

TARGET  OL

**BIOZOL**  
FIT FOR SCIENCE

2016-2017

# **INHIBITORS OF SIGNALING PATHWAYS**

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*Inhibitors, Libraries, Natural Compounds*

## About us

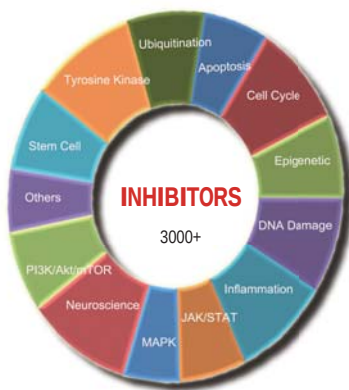
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## Our Product Portfolio

### Inhibitor

Targetmol supplies **over 3000** compounds used in the study of cell signaling pathways and drug discovery. Track the latest scientific research and promptly offer the newest and most popular inhibitors.

- 50~100 new inhibitors per month.
- NMR and HPLC validated to ensure high purity.
- Above 95% compounds are in stock.



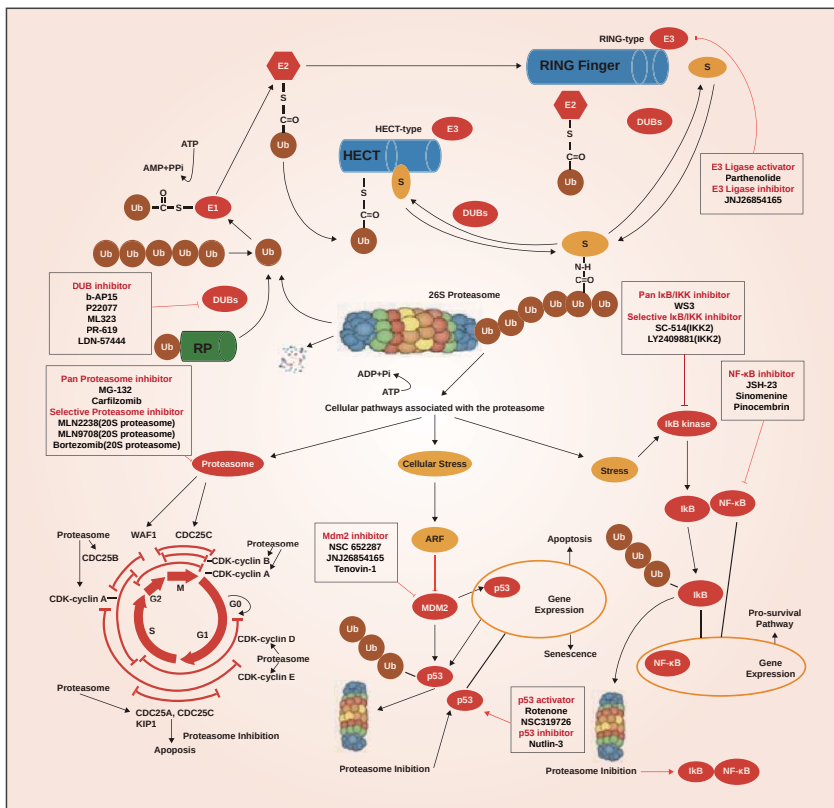
### Compound Libraries

Targetmol provides **more than 32** types of compound libraries. They are available for **cell induction, signaling pathway and HTS**. Compound libraries are useful professional tools for drug discovery and new indication research. Customers can customize individual libraries by selecting specific molecules, quantities, concentrations, and format.

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## Proteases/Proteasome

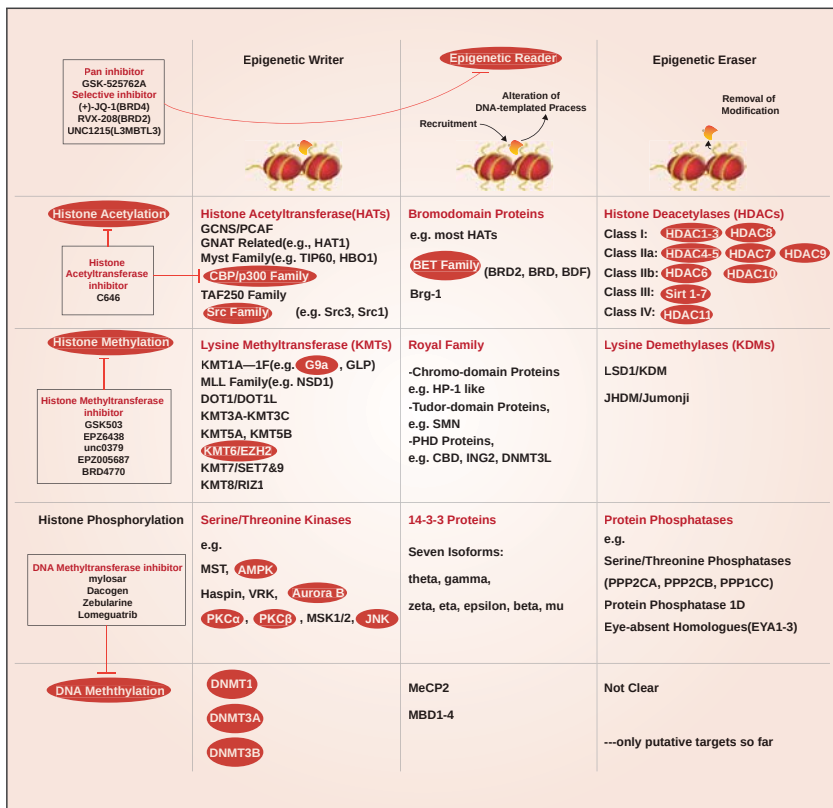


### Proteasome

| ID    | Product Name         | Cas Number   | Type      | Target         | IC50        | Clinical Trail | Condition                              |
|-------|----------------------|--------------|-----------|----------------|-------------|----------------|----------------------------------------|
| T2399 | Bortezomib (PS-341)  | 179324-69-7  | Selective | 20S proteasome | (Ki) 0.6 nM | FDA Approved   | Mantle-cell lymphoma; Multiple myeloma |
| T2154 | MG-132               | 133407-82-6  | Selective | 20S proteasome | 100 nM      |                |                                        |
| T1795 | Carfilzomib (PR-171) | 868540-17-4  | Selective | 20S proteasome | <5 nM       | FDA Approved   | Multiple myeloma                       |
| T2016 | MLN9708              | 1201902-80-8 | Selective | LMP7           | 10 nM       |                |                                        |

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# Chromatin/Epigenetics



## Epigenetic Reader Domain

| ID               | Product Name               | Cas Number   | Type      | Target             | IC50           | Clinical Trail | Condition |
|------------------|----------------------------|--------------|-----------|--------------------|----------------|----------------|-----------|
| T2110            | (+)-JQ-1                   | 1268524-70-4 | Selective | BRD4(1)<br>BRD4(2) | 77 nM<br>33 nM |                |           |
| T2480 <b>NEW</b> | RVX-208                    | 1044870-39-4 | Selective | BD2                | 0.51 μM        | Phase 2        | Diabetes  |
| T1906 <b>NEW</b> | GSK-525762A<br>(I-BET-762) | 1260907-17-2 | Pan       | BET proteins       | ~35 nM         |                |           |
| T2442 <b>NEW</b> | CPI-203                    | 202591-23-9  | Selective | BRD4               | 37             |                |           |
| T2379 <b>NEW</b> | UNC1215                    | 1415800-43-9 | Selective | L3MBTL3            | 40             |                |           |

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## Aurora Kinase

| ID    | Product Name                 | Cas Number   | Type      | Target          | IC50           | Clinical Trail | Condition                                            |
|-------|------------------------------|--------------|-----------|-----------------|----------------|----------------|------------------------------------------------------|
| T3068 | AT9283                       | 896466-04-9  | Selective | Aurora A        | 3 nM           | Phase 1        | Non-Hodgkins Lymphoma; Unspecified Adult Solid Tumor |
|       |                              |              |           | Aurora B        | 3 nM           |                |                                                      |
| T2241 | MLN8237 (Alisertib)          | 1028486-01-2 | Selective | <b>Aurora A</b> | <b>1.2 nM</b>  | Phase 3        | Advanced Solid Tumors; Relapsed Lymphoma             |
|       |                              |              |           | Aurora B        | 396.5 nM       |                |                                                      |
| T2509 | VX-680 (Tozasertib, MK-0457) | 639089-54-6  | Pan       | Aurora A        | Ki (0.6 nM)    | Phase 2        | Advanced Cancer                                      |
|       |                              |              |           | Aurora B        | Ki (18 nM)     |                |                                                      |
|       |                              |              |           | Aurora C        | Ki (4.6 nM)    |                |                                                      |
| T2602 | Barasertib (AZD1152-HQPA)    | 722544-51-6  | Selective | <b>Aurora B</b> | <b>0.37 nM</b> | Phase 1        | Acute Myeloid Leukaemia                              |
|       |                              |              |           | Aurora A        | 1368 nM        |                |                                                      |
| T2617 | SNS-314 Mesylate             | 1146618-41-8 | Pan       | Aurora A        | 9 nM           | Phase 1        |                                                      |
|       |                              |              |           | Aurora B        | 31 nM          |                |                                                      |
|       |                              |              |           | Aurora C        | 3 nM           |                |                                                      |

## HDAC

| ID                  | Product Name          | Cas Number   | Type      | Target       | IC50           | Clinical Trail | Condition                  |
|---------------------|-----------------------|--------------|-----------|--------------|----------------|----------------|----------------------------|
| T6233               | Entinostat (MS-275)   | 209783-80-2  | Pan       | HDAC1        | 0.51 $\mu$ M   | Phase 2        | Non-Small Cell Lung Cancer |
|                     |                       |              |           | HDAC3        | 1.7 $\mu$ M    |                |                            |
| T2383               | Panobinostat (LBH589) | 404950-80-7  | Pan       | HDAC         | 5 nM           | Phase 3        |                            |
| T6270               | Trichostatin A        | 58880-19-6   | Pan       | <b>HDAC</b>  | <b>~1.8 nM</b> |                |                            |
| T1857<br><b>NEW</b> | TMP269                | 1314890-29-3 | Pan       | HDAC4        | 157 nM         |                |                            |
|                     |                       |              |           | HDAC5        | 97 nM          |                |                            |
|                     |                       |              |           | HDAC7        | 43 nM          |                |                            |
|                     |                       |              |           | HDAC9        | 23 nM          |                |                            |
| T1819<br><b>NEW</b> | Nexturastat A         | 1403783-31-2 | Selective | <b>HDAC6</b> | <b>5 nM</b>    |                |                            |
| T1762               | RGFP 966              | 1357389-11-7 | Selective | HDAC3        | 0.08 $\mu$ M   |                |                            |

## PARP

| ID    | Product Name                   | Cas Number  | Type      | Target       | IC50       | Clinical Trail | Condition     |
|-------|--------------------------------|-------------|-----------|--------------|------------|----------------|---------------|
| T6224 | Iniparibnb(BSI-201)            | 160003-66-7 | Selective | PARP1        | N/A        | Phase 2        | Breast Cancer |
| T3015 | Olaparib (AZD2281, Ku-0059436) | 763113-22-0 | Pan       | PARP1        | 5 nM       | Phase 2        | Cancer        |
|       |                                |             |           | <b>PARP2</b> | <b>1nM</b> |                |               |
| T2591 | Veliparib(ABT-888)             | 912444-00-9 | Pan       | PARP1        | Ki(5.2 nM) | Phase 3        |               |
|       |                                |             |           | PARP2        | Ki(2.9 nM) |                |               |

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## Histone Methyltransferase

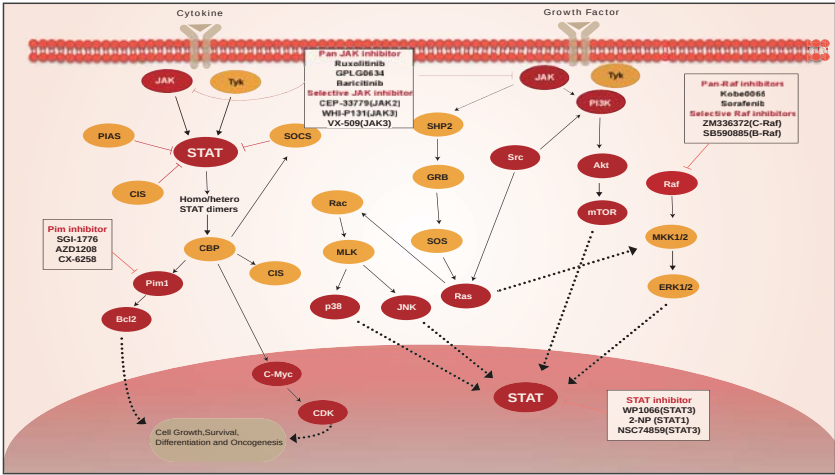
| ID                       | Product Name | Cas Number   | Type      | Target | IC50        | Clinical Trail | Condition |
|--------------------------|--------------|--------------|-----------|--------|-------------|----------------|-----------|
| T3099                    | EPZ5676      | 1380288-87-8 | Selective | DOT1L  | Ki(80 pM)   | Phase 1        |           |
| T1905                    | EPZ005687    | 1396772-26-1 | Selective | EZH2   | Ki(24 nM)   |                |           |
| T1959                    | BIX 01294    | 1392399-03-9 | Selective | G9a    | 2.7 $\mu$ M |                |           |
| T3082                    | SGC0946      | 1561178-17-3 | Selective | DOT1L  | 0.3 nM      |                |           |
| T3057 <small>NEW</small> | UNC1999      | 1431612-23-5 | Selective | EZH2   | 2 nM        |                |           |
|                          |              |              |           | EZH1   | 45 nM       |                |           |
| T3081 <small>NEW</small> | EPZ004777    | 1338466-77-5 | Selective | DOT1L  | 0.3 nM      |                |           |

## Sirtuin

| ID    | Product Name        | Cas Number   | Type      | Target            | IC50                            | Clinical Trail | Condition       |
|-------|---------------------|--------------|-----------|-------------------|---------------------------------|----------------|-----------------|
| T6111 | EX 527 (Selisistat) | 49843-98-3   | Selective | SIRT1             | 38 nM                           |                |                 |
| T6671 | Sirtinol            | 410536-97-9  | Pan       | SIRT1             | 131 $\mu$ M                     |                |                 |
|       |                     |              |           | SIRT2             | 38 $\mu$ M                      |                |                 |
| T2412 | SRT1720             | 1001645-58-4 | Selective | SIRT1 (activator) | EC <sub>50</sub> (0.16 $\mu$ M) |                |                 |
| T2174 | Quercetin           | 117-39-5     | Selective | SIRT1 (activator) |                                 | Phase 3        | Thromboembolism |

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JAK/STAT Signaling



JAK

| ID    | Product Name                       | Cas Number   | Type      | Target       | IC50    | Clinical Trail | Condition                         |
|-------|------------------------------------|--------------|-----------|--------------|---------|----------------|-----------------------------------|
| T3043 | Ruxolitinib (INCB-18424) phosphate | 1092939-17-7 | Pan       | JAK1         | 3.3 nM  | FDA Approved   | Oxidative Stress                  |
| T3066 | S-Ruxolitinib                      | 1160597-27-2 | Selective | JAK1         | 3.2 nM  | Phase 4        | Myelofibrosis (PMF); Splenomegaly |
| T2398 | Tofacitinib Citrate (CP-690550)    | 540737-29-9  | Selective | JAK3         | 1 nM    | FDA Approved   |                                   |
| T3069 | AZD1480                            | 935666-88-9  | Selective | JAK2         | 0.26 nM | Phase 1        | Myelopro liferative Diseases      |
| T1995 | Fedratinib (SAR302503, TG101348)   | 936091-26-8  | Selective | JAK2 (V617F) | 3 nM    | Phase 2        |                                   |

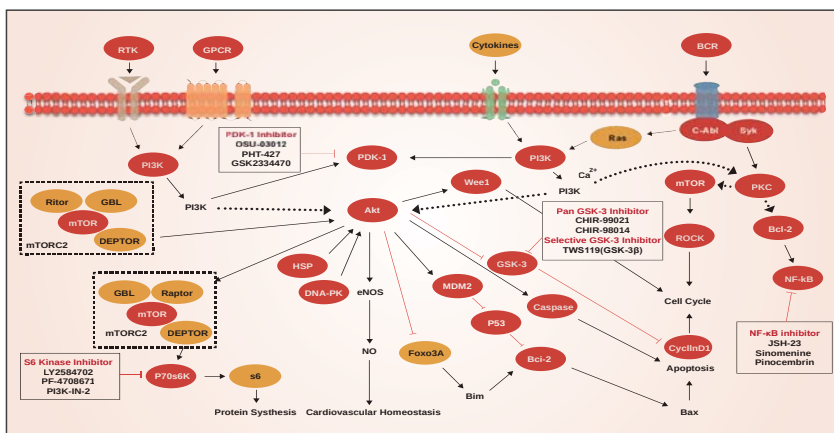
STAT

| ID    | Product Name       | Cas Number  | Type      | Target | IC50    | Clinical Trail | Condition |
|-------|--------------------|-------------|-----------|--------|---------|----------------|-----------|
| T2505 | S3I-201; NSC 74859 | 501919-59-1 | Selective | STAT3  | 86 μ M  |                |           |
| T1038 | Fludarabine        | 21679-14-1  | Selective | STAT1  | N/A     | FDA Approved   |           |
| T6308 | Stattic            | 19983-44-9  | Selective | STAT1  | 5.1 μ M |                |           |
| T0711 | Niclosamide        | 50-65-7     | Selective | STAT   | 0.7 μ M | FDA Approved   |           |
| T2814 | Cryptotanshinone   | 35825-57-1  | Selective | STAT3  | 4.6 μ M |                |           |

## EGFR

| ID     | Product Name            | Cas Number  | Type      | Target                    | IC50    | Clinical Trail | Condition                                            |
|--------|-------------------------|-------------|-----------|---------------------------|---------|----------------|------------------------------------------------------|
| T0373L | Erlotinib hydrochloride | 183319-69-9 | Selective | EGFR/ErbB1                | 2 nM    | FDA Approved   | Breast Cancer                                        |
| T1181  | Gefitinib (ZD1839)      | 184475-35-2 | Selective | Tyr1173 (NR62 cells)      | 26 nM   | FDA Approved   | Cancer                                               |
|        |                         |             |           | Tyr1173 (NR6wtEGFR cells) | 37 nM   |                |                                                      |
|        |                         |             |           | Tyr992 (NR6wtEGFR cells)  | 37 nM   |                |                                                      |
|        |                         |             |           | Tyr992 (NR6W cells)       | 57 nM   |                |                                                      |
| T2303  | Afinatinib (BIBW2992)   | 439081-18-2 | Pan       | EGFR(L858R)               | 0.4 nM  | FDA Approved   | Renal Insufficiency                                  |
|        |                         |             |           | EGFR(wt)                  | 0.5 nM  |                |                                                      |
|        |                         |             |           | EGFR(L858R/T790M)         | 10 nM   |                |                                                      |
|        |                         |             |           | HER2/ErbB2                | 14 nM   |                |                                                      |
| T0078  | Lapatinib               | 231277-92-2 | Pan       | EGFR/ ErbB1               | 10.8 nM | FDA Approved   | Colorectal Cancer; Lung Cancer; Head and Neck Cancer |
|        |                         |             |           | EGFR/ ErbB2               | 9.2 nM  |                |                                                      |

## PI3K/Akt/mTOR Signaling



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## PI3K Inhibitor

| ID    | Product Name                    | Cas Number   | Type      | Target        | IC50         | Clinical Trail | Condition                                              |
|-------|---------------------------------|--------------|-----------|---------------|--------------|----------------|--------------------------------------------------------|
| T2235 | BEZ235 (Dactolisib)             | 915019-65-7  | Pan       | p110 $\alpha$ | 4 nM         | Phase2         | Pancreatic Neuroendocrine Tumors                       |
|       |                                 |              |           | p110 $\gamma$ | 5 nM         |                |                                                        |
|       |                                 |              |           | p110 $\delta$ | 7 nM         |                |                                                        |
| T1994 | GDC-0941                        | 957054-30-7  | Selective | p110 $\alpha$ | 3 nM         | Phase2         | Breast Cancer; Non-Squamous Non-Small Cell Lung Cancer |
|       |                                 |              |           | p110 $\beta$  | 33 nM        |                |                                                        |
|       |                                 |              |           | p110 $\delta$ | 3 nM         |                |                                                        |
| T2008 | LY294002                        | 154447-36-6  | Pan       | p110 $\alpha$ | 0.5 $\mu$ M  |                |                                                        |
|       |                                 |              |           | p110 $\beta$  | 0.97 $\mu$ M |                |                                                        |
|       |                                 |              |           | p110 $\delta$ | 0.57 $\mu$ M |                |                                                        |
| T1827 | BKM120 (NVP-BKM120, Buparlisib) | 944396-07-0  | Pan       | p110 $\alpha$ | 52 nM        | Phase2         | Breast Cancer                                          |
|       |                                 |              |           | p110 $\beta$  | 166 nM       |                |                                                        |
|       |                                 |              |           | p110 $\delta$ | 116 nM       |                |                                                        |
| T1879 | 3-Methyladenine (3-MA)          | 5142-23-4    | Pan       | Vps34         | 25 $\mu$ M   |                |                                                        |
|       |                                 |              |           | p110 $\gamma$ | 60 $\mu$ M   |                |                                                        |
| T2308 | HS-173                          | 1276110-06-5 | Selective | p110 $\alpha$ | 0.8 nM       |                |                                                        |
| T2661 | TGX-221                         | 663619-89-4  | Selective | p110 $\beta$  | 5 nM         |                |                                                        |
| T1949 | CZC24832                        | 1159824-67-5 | Selective | p110 $\gamma$ | 27 nM        |                |                                                        |
| T1894 | CAL-101 (Idelalisib, GS-1101)   | 870281-82-6  | Selective | p110 $\delta$ | 2.5 nM       | FDA Approved   |                                                        |

## Akt Inhibitor

| ID    | Product Name          | Cas Number   | Type | Target | IC50        | Clinical Trail | Condition |
|-------|-----------------------|--------------|------|--------|-------------|----------------|-----------|
| T1952 | MK-2206 2HCl          | 1032350-13-2 | Pan  | Akt1   | 8 nM        | Phase2         |           |
|       |                       |              |      | Akt2   | 12 nM       |                |           |
|       |                       |              |      | Akt3   | 65 nM       |                |           |
| T1920 | AZD-5363              | 1143532-39-1 | Pan  | Akt1   | 3 nM        | Phase2         |           |
|       |                       |              |      | Akt2   | 8 nM        |                |           |
|       |                       |              |      | Akt3   | 8 nM        |                |           |
| T2492 | Perifosine (KRX-0401) | 157716-52-4  | Pan  | Akt    | 4.7 $\mu$ M | Phase3         |           |

## ATM/ATR

| ID    | Product Name | Cas Number   | Type      | Target | IC50    | Clinical Trail | Condition |
|-------|--------------|--------------|-----------|--------|---------|----------------|-----------|
| T3032 | VE-821       | 1232410-49-9 | Selective | ATM    | 12.9 nM |                |           |
| T2685 | KU55933      | 587871-26-9  | Selective | ATM    | 6.3 nM  |                |           |
| T2474 | KU-60019     | 925701-49-1  | Selective | ATR    | 26 nM   |                |           |
| T2669 | VE822        | 1232416-25-9 | Selective | ATR    | 19 nM   |                |           |
| T1958 | AZ20         | 1233339-22-4 | Selective | ATR    | 5 nM    |                |           |

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## mTOR Inhibitor

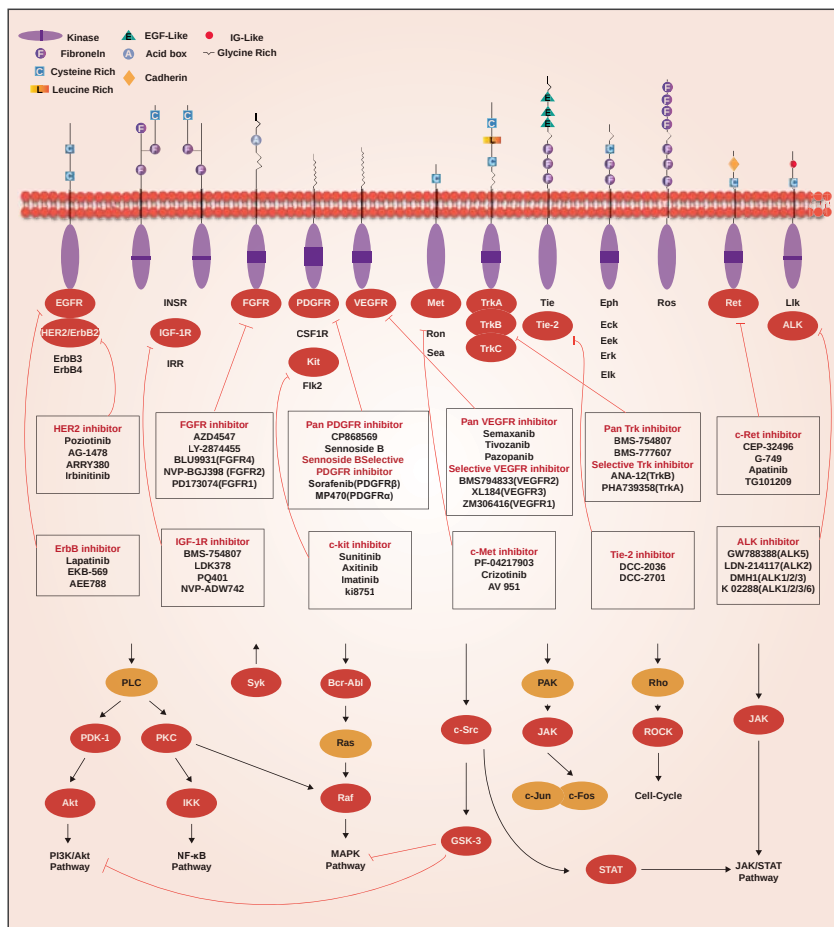
| ID    | Product Name                       | Cas Number   | Type             | Target | IC50         | Clinical Trail | Condition                                      |
|-------|------------------------------------|--------------|------------------|--------|--------------|----------------|------------------------------------------------|
| T1784 | Everolimus (RAD001)                | 159351-69-6  | Pan              | mTOR   | 1.6-2.4 nM   | FDA approved   |                                                |
| T1859 | AZD8055                            | 1009298-09-2 | Pan              | mTOR   | 0.8 nM       | Phase1         | Solid Tumors: Glioblastoma Multiforme          |
| T1537 | Rapamycin (Sirolimus)              | 53123-88-9   | Pan              | mTOR   | 0.1 nM       | FDA approved   |                                                |
| T2145 | Temsirolimus (CCI-779, NSC 683864) | 162635-04-3  | mTORC1 Selective | mTOR   | 1.76 $\mu$ M | FDA approved   |                                                |
| T1838 | INK 128 (MLN0128)                  | 1224844-38-5 | Pan              | mTOR   | 1 nM         | Phase2         | Thyroid Cancer; Prostate Cancer; Breast Cancer |

## GSK-3 inhibitor

| ID    | Product Name   | Cas Number  | Type      | Target         | IC50     | Clinical Trail | Condition |
|-------|----------------|-------------|-----------|----------------|----------|----------------|-----------|
| T2310 | CHIR-99021 HCL | 252917-06-9 | Pan       | GSK-3 $\alpha$ | 10 nM    |                |           |
|       |                |             |           | GSK-3 $\beta$  | 6.7 nM   |                |           |
| T3077 | SB216763       | 280744-09-4 | Pan       | GSK-3 $\alpha$ | 34.3 nM  |                |           |
|       |                |             |           | GSK-3 $\beta$  | ~34.3 nM |                |           |
| T2166 | TWS119         | 601514-19-6 | Selective | GSK-3 $\beta$  | 30 nM    |                |           |
| T1917 | 6-BIO          | 667463-62-9 | Pan       | GSK-3 $\alpha$ | 5 nM     |                |           |
|       |                |             |           | GSK-3 $\beta$  | 5 nM     |                |           |
| T2608 | CHIR-98014     | 252935-94-7 | Pan       | GSK-3 $\alpha$ | 0.65 nM  |                |           |
|       |                |             |           | GSK-3 $\beta$  | 0.58 nM  |                |           |
| T1957 | AZD2858        | 486424-20-8 | Pan       | GSK-3          | 68 nM    |                |           |
| T1881 | AR-A014418     | 487021-52-3 | Selective | GSK-3 $\beta$  | 104 nM   |                |           |
| T3067 | Tideglusib     | 865854-05-3 | Selective | GSK-3 $\beta$  | 60 nM    | Phase2         |           |

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# Tyrosine Kinase/Adaptors



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## VEGFR

| ID                                                                                      | Product Name                   | Cas Number   | Type      | Target                     | IC50                           | Clinical Trail | Condition                                                 |
|-----------------------------------------------------------------------------------------|--------------------------------|--------------|-----------|----------------------------|--------------------------------|----------------|-----------------------------------------------------------|
| T1797                                                                                   | XL184<br>(Cabozantinib malate) | 1140909-48-3 | Selective | VEGFR2                     | 0.035 nM                       | FDA Approved   | Renal cancer; Thyroid cancer                              |
| T1656                                                                                   | Vandetanib<br>(ZD6474)         | 443913-73-3  | Selective | VEGFR2                     | 40 nM                          | FDA Approved   | Thyroid cancer                                            |
| T1452                                                                                   | Axitinib                       | 319460-85-0  | Pan       | VEGFR1<br>VEGFR2<br>VEGFR3 | 0.1 nM<br>0.2 nM<br>0.1-0.3 nM | FDA Approved   | Renal cancer                                              |
| T1777                                                                                   | Nintedanib<br>(BIBF 1120)      | 656247-17-5  | Pan       | VEGFR1<br>VEGFR2<br>VEGFR3 | 34 nM<br>13 nM<br>13 nM        | Phase 3        | Idiopathic pulmonary fibrosis; Non-small cell lung cancer |
| T2421  | SKLB1002                       | 1225451-84-2 | Selective | VEGFR2                     | 32 nM                          |                |                                                           |

## c-MET

| ID    | Product Name              | Cas Number   | Type         | Target       | IC50             | Clinical Trail | Condition                                                          |
|-------|---------------------------|--------------|--------------|--------------|------------------|----------------|--------------------------------------------------------------------|
| T1661 | Crizotinib                | 877399-52-5  | Non-specific | c-MET<br>ALK | 11 nM<br>24 nM   | FDA Approved   | Non-small cell lung cancer                                         |
| T3113 | Foretinib<br>(GSK1363089) | 849217-64-7  | Non-specific | Met<br>KDR   | 0.4 nM<br>0.9 nM | Phase 2        | Cancer                                                             |
| T2293 | SGX-523                   | 1022150-57-7 | Selective    | Met          | 4 nM             | Phase 1        | Solid tumours                                                      |
| T1963 | INCB28060<br>(Capmatinib) | 1029712-80-8 | Selective    | c-Met        | 0.13 nM          | Phase 2        | Glioblastoma; Hepatocellular carcinoma; Non-small cell lung cancer |

## Bcr-Abl

| ID                                                                                        | Product Name               | Cas Number  | Type         | Target                  | IC50                       | Clinical Trail | Condition                                                |
|-------------------------------------------------------------------------------------------|----------------------------|-------------|--------------|-------------------------|----------------------------|----------------|----------------------------------------------------------|
| T1621                                                                                     | Imatinib Mesylate (STI571) | 220127-57-1 | Non-specific | v-Abl<br>c-Kit<br>PDGFR | 600 nM<br>100 nM<br>100 nM | FDA Approved   | Acute lymphoblastic leukaemia; Chronic myeloid leukaemia |
| T1448L                                                                                    | Dasatinib monohydrate      | 863127-77-9 | Non-specific | Abl<br>Src              | <1 nM<br>0.8 nM            | FDA Approved   |                                                          |
| T1524                                                                                     | Nilotinib (AMN-107)        | 641571-10-0 | Selective    | Bcr-Abl                 | <30 nM                     | FDA Approved   | Chronic myeloid leukaemia                                |
| T1803  | GNF-5                      | 778277-15-9 | Selective    | Bcr-Abl                 | 220 nM                     |                |                                                          |

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## PDGFR

| ID    | Product Name               | Cas Number  | Type      | Target                          | IC50             | Clinical Trail | Condition                                                         |
|-------|----------------------------|-------------|-----------|---------------------------------|------------------|----------------|-------------------------------------------------------------------|
| T6230 | Imatinib (STI571)          | 152459-95-5 | Pan       | PDGFR<br>c-Kit                  | 100 nM<br>100 nM | FDA Approved   |                                                                   |
| T0374 | Sunitinib Malate           | 341031-54-7 | Selective | PDGFR $\beta$                   | 2 nM             | FDA Approved   | Gastrointestinal stromal tumours; Pancreatic cancer; Renal cancer |
| T2677 | Crenolanib (CP-868596)     | 670220-88-9 | Pan       | PDGFR $\alpha$<br>PDGFR $\beta$ | 2.1 nM<br>3.2 nM | Phase 3        | Acute myeloid leukaemia; Gastrointestinal stromal tumours         |
| T6184 | TSU-68 (SU6668, Orantinib) | 252916-29-3 | Selective | PDGFR $\beta$                   | 8 nM             | Phase 3        | Solid tumours                                                     |
| T1452 | Axitinib                   | 319460-85-0 | Pan       | PDGFR $\beta$<br>c-Kit          | 1.6 nM<br>1.7 nM | FDA Approved   | Renal cancer                                                      |

## FGFR

| ID                  | Product Name        | Cas Number   | Type      | Target                                   | IC50                                 | Clinical Trail | Condition                                                                     |
|---------------------|---------------------|--------------|-----------|------------------------------------------|--------------------------------------|----------------|-------------------------------------------------------------------------------|
| T1975               | BGJ398 (NVP-BGJ398) | 872511-34-7  | Pan       | FGFR1<br>FGFR2<br>FGFR3<br>FGFR3 (K650E) | 0.9 nM<br>1.4 nM<br>1.0 nM<br>4.9 nM | Phase 2        | Bladder cancer; Cholangiocarcinoma; Glioblastoma; Haematological malignancies |
| T2642               | PD173074            | 219580-11-7  | Selective | FGFR1                                    | 0.37 nM                              |                |                                                                               |
| T1948               | AZD4547             | 1035270-39-3 | Pan       | FGFR1<br>FGFR2<br>FGFR3<br>FGFR4         | 0.2 nM<br>1.5 nM<br>2.8 nM<br>165 nM | Phase 2/3      | Non-small cell lung cancer                                                    |
| T6082<br><b>NEW</b> | SSR128129E          | 848318-25-2  | Selective | FGFR1                                    | 1.9 $\mu$ M                          |                |                                                                               |

## HER2

| ID     | Product Name         | Cas Number  | Type | Target                   | IC50              | Clinical Trail | Condition     |
|--------|----------------------|-------------|------|--------------------------|-------------------|----------------|---------------|
| T0078L | Lapatinib ditosylate | 388082-78-8 | Pan  | EGFR/ErbB2<br>HER2/ErbB2 | 10.8 nM<br>9.8 nM | FDA Approved   | Breast cancer |
| T2325  | Neratinib (HKI-272)  | 698387-09-6 | Pan  | EGFR/ErbB2<br>HER2/ErbB2 | 92 nM<br>59 nM    | Phase 2        |               |

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## ALK

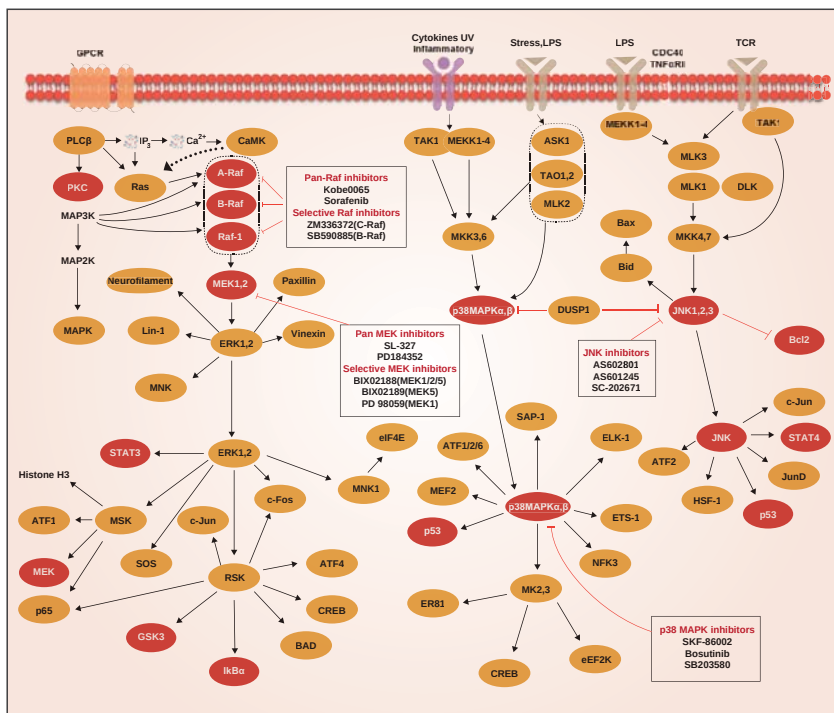
| ID                                                                                         | Product Name             | Cas Number   | Type            | Target      | IC50   | Clinical Trail  | Condition                        |
|--------------------------------------------------------------------------------------------|--------------------------|--------------|-----------------|-------------|--------|-----------------|----------------------------------|
| T2251                                                                                      | TAE684<br>(NVP-TAE684)   | 761439-42-3  | Selective       | ALK         | 3 nM   |                 |                                  |
| T1936                                                                                      | Alectinib<br>(CH5424802) | 1256580-46-7 | Mutant-targeted | ALK         | 1.9 nM | FDA<br>Approved | Non-small<br>cell lung<br>cancer |
|                                                                                            |                          |              |                 | ALK(F1174L) | 1 nM   |                 |                                  |
|                                                                                            |                          |              |                 | ALK(3,5)    | 3.5 nM |                 |                                  |
| T1791                                                                                      | LDK378(Ceritinib)        | 1032900-25-6 | Selective       | ALK         | 0.2 nM | Phase 3         |                                  |
|                                                                                            |                          |              |                 | InsR        | 7 nM   |                 |                                  |
|                                                                                            |                          |              |                 | IGF-1R      | 8 nM   |                 |                                  |
| T1962<br> | ASP 3026                 | 1097917-15-1 | Non-specific    | ALK         | 3.5 nM |                 |                                  |

## FLT3

| ID    | Product Name           | Cas Number   | Type            | Target      | IC50   | Clinical Trail | Condition                  |
|-------|------------------------|--------------|-----------------|-------------|--------|----------------|----------------------------|
| T2066 | Quizartinib<br>(AC220) | 950769-58-1  | Mutant-targeted | FLT3(WT)    | 4.2 nM | Phase 3        | Acute myeloid<br>leukaemia |
|       |                        |              |                 | FLT3(ITD)   | 1.1 nM |                |                            |
| T2341 | KW2449                 | 1000669-72-6 | Mutant-targeted | FLT3        | 6.6 nM | Phase 1        |                            |
|       |                        |              |                 | FLT3(D835Y) | 1 nM   |                |                            |
|       |                        |              |                 | Abi         | 14 nM  |                |                            |
|       |                        |              |                 | Abi(t315i)  | 4 nM   |                |                            |

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## MAPK Signaling



## MEK

| ID    | Product Name                       | Cas Number  | Type      | Target | IC50    | Clinical Trail | Condition          |
|-------|------------------------------------|-------------|-----------|--------|---------|----------------|--------------------|
| T6218 | Selumetinib (AZD6244)              | 606143-52-6 | Selective | MEK1   | 14 nM   | Phase 1        | Solid Tumours      |
| T6189 | PD0325901                          | 391210-10-9 | Pan       | MEK    | 0.33 nM | Phase 2        |                    |
| T2125 | Trametinib (GSK1120212)            | 871700-17-3 | Pan       | MEK1   | 0.92 nM | FDA Approved   | Malignant melanoma |
|       |                                    |             |           | MEK2   | 1.8 nM  |                |                    |
| T2443 | PD184352(CI-1040)                  | 212631-79-3 | Pan       | MEK1   | 17 nM   | Phase 2        |                    |
|       |                                    |             |           | MEK2   | 17 nM   |                |                    |
| T2623 | PD 98059                           | 167869-21-8 | Selective | MEK1   | 1 μM    |                |                    |
| T6636 | Refametinib (RDEA119, Bay 86-9766) | 923032-37-5 | Pan       | MEK2   | 19 nM   | FDA Approved   | Neoplasms          |
|       |                                    |             |           | MEK1   | 47 nM   |                |                    |

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## Raf

| ID     | Product Name                  | Cas Number   | Type            | Target                | IC50   | Clinical Trail | Condition                |
|--------|-------------------------------|--------------|-----------------|-----------------------|--------|----------------|--------------------------|
| T1903  | Dabrafenib (GSK2118436)       | 1195765-45-7 | Mutant-targeted | B-Raf(V600E)          | 0.8 nM | FDA Approved   | Neoplasms, Brain         |
|        |                               |              |                 | B-Raf                 | 3.2 nM |                |                          |
|        |                               |              |                 | C-Raf                 | 5 nM   |                |                          |
| T0093L | Sorafenib                     | 284461-73-0  | Pan             | Raf-1                 | 6 nM   | FDA Approved   | Hepatocellular Carcinoma |
|        |                               |              |                 | B-Raf                 | 22 nM  |                |                          |
|        |                               |              |                 | B-Raf(V599E)          | 38 nM  |                |                          |
|        |                               |              |                 | VEGFR2/Flk1           | 15 nM  |                |                          |
| T0093  | Sorafenib tosylate            | 475207-59-1  | Pan             | Raf-1                 | 6 nM   | FDA Approved   |                          |
|        |                               |              |                 | B-Raf                 | 22 nM  |                |                          |
|        |                               |              |                 | B-Raf(V599E)          | 38 nM  |                |                          |
|        |                               |              |                 | VEGFR2/Flk1           | 15 nM  |                |                          |
| T2382  | Vemurafenib (PLX4032, RG7204) | 918504-65-1  | Mutant-targeted | B-Raf(V600E)          | 31 nM  | FDA Approved   |                          |
|        |                               |              |                 | B-Raf                 | 100 nM |                |                          |
|        |                               |              |                 | C-Raf                 | 48 nM  |                |                          |
| T2473  | PLX4720                       | 918505-84-7  | Mutant-targeted | C-Raf-1 (Y340D/Y341D) | 6.7 nM |                |                          |
|        |                               |              |                 | B-Raf(V600E)          | 13 nM  |                |                          |
|        |                               |              |                 | B-Raf                 | 160 nM |                |                          |

## p38 MAPK

| ID    | Product Name           | Cas Number  | Type      | Target            | IC50            | Clinical Trail | Condition |
|-------|------------------------|-------------|-----------|-------------------|-----------------|----------------|-----------|
| T2301 | SB202190 (FHPI)        | 152121-30-7 | Pan       | p38 $\alpha$ MAPK | 50 nM           |                |           |
|       |                        |             |           | p38 $\beta$ MAPK  | 100 nM          |                |           |
| T6277 | BIRB 796 (Doramapimod) | 285983-48-4 | Selective | p38 $\alpha$ MAPK | 0.1 nM          |                |           |
| T1764 | SB203580               | 152121-47-6 | Pan       | p38 MAPK          | 0.3-0.5 $\mu$ M |                |           |
|       |                        |             |           | PKB               | 3-5 $\mu$ M     |                |           |
| T6047 | LY2228820              | 862507-23-1 | Selective | p38 $\alpha$ MAPK | 7 nM            | Phase 1/2      |           |
| T1974 | PH797804               | 586379-66-0 | Pan       | p38 $\alpha$ MAPK | 26 nM           | phase 2        |           |
|       |                        |             |           | p38 $\beta$ MAPK  | 102 nM          |                |           |

## ERK

| ID                          | Product Name | Cas Number   | Type      | Target | IC50             | Clinical Trail | Condition |
|-----------------------------|--------------|--------------|-----------|--------|------------------|----------------|-----------|
| T1956                       | FR180204     | 865362-74-9  | Selective | ERK1   | Ki(0.31 $\mu$ M) |                |           |
|                             |              |              |           | ERK2   | Ki(0.14 $\mu$ M) |                |           |
| T6066<br><small>NEW</small> | SCH772984    | 942183-80-4  | Selective | ERK1   | 4 nM             |                |           |
|                             |              |              |           | ERK2   | 1 nM             |                |           |
| T6511                       | GDC-0994     | 1453848-26-4 | Selective | ERK1   | 1.1 nM           | Phase 1        |           |
|                             |              |              |           | ERK2   | 0.3 nM           |                |           |

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The diagram illustrates the complex signaling pathways that regulate the cell cycle and respond to DNA damage. Key components include:

- Cell Cycle Phases:** G1-PHASE, S-PHASE, G2-PHASE, and M-PHASE.
- Key Proteins and Inhibitors:**
  - G1-PHASE:** TGF- $\beta$ , Smad3, Smad4, Myc, cdc25A, CDK4/6, Cyclin D, Rb, E2F, HDAC, FoxO1, DBE, Bim, Fasl, TRAIL, p53, p21, p27, kip1, p21 CIP1, Myc, p53, ATM/ATR, p53, Chk1/2, Chk inhibitor (BML-277, MK-8776), CDK inhibitor (AT 7519, BS-181, SC-514, WH-P180, Roscovitine), CDK7, Cyclin-H, CDK2, p21, p27 kip1, CDC25A, Cyclin-A, CDK2, p21, p27 kip1, Nuclear Exclusion.
  - S-PHASE:** p53, p21, p27, kip1, CDC25A, Cyclin-A, CDK2, p21, p27 kip1, Nuclear Exclusion.
  - G2-PHASE:** p53, p21, p27, kip1, CDC25A, Cyclin-A, CDK2, p21, p27 kip1, Nuclear Exclusion.
  - M-PHASE:** p53, p21, p27, kip1, CDC25A, Cyclin-A, CDK2, p21, p27 kip1, Nuclear Exclusion.
- DNA Damage Response:**
  - UV, IR, CRISPR/Cas9:** Induce DNA damage, leading to the activation of ATM/ATR and DNA-PK.
  - ATM/ATR:** Phosphorylates Chk1/2 and p53, leading to cell cycle arrest and DNA repair.
  - DNA-PK:** Phosphorylates DNA-PK, leading to DNA repair.
  - p53:** Activated by DNA damage, leading to cell cycle arrest and DNA repair.
  - Chk1/2:** Inhibitors of p53, leading to cell cycle arrest.
  - Mdm2 Inhibitors:** NSC 652287, JNJ26854165, Tenovin-1.
  - DNA-PK Inhibitor:** PIK-93, NU7026.
- Other Key Proteins:**
  - Plasma Membrane:** Grpwt, Factor withdrawal, GSK-3 $\beta$ , Pan GSK-3 Inhibitor (CHIR-99021, CHIR-98014), Selective GSK-3 Inhibitor (TWS119 (GSK-3 $\beta$ ), IM-12 (GSK-3 $\beta$ ), SB216763 (GSK-3 $\alpha$ )).
  - Cell Cycle Regulation:** p53, p21, p27, kip1, CDC25A, Cyclin-A, CDK2, p21, p27 kip1, Nuclear Exclusion.
  - DNA Repair:** HR, NER, FANCD2, Rad51, Rad52, c-Abl, BRCA1, Chk1, Chk2, MDM2, MDM4, HIPK2, DNA-PK, DNA Repair.

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## CDK

| ID                                                                                         | Product Name                     | Cas Number   | Type      | Target                                                      | IC50                                                       | Clinical Trail | Condition                     |
|--------------------------------------------------------------------------------------------|----------------------------------|--------------|-----------|-------------------------------------------------------------|------------------------------------------------------------|----------------|-------------------------------|
| T1785                                                                                      | Palbociclib                      | 571190-30-2  | Pan       | CDK4/ CyclinD3<br>CDK4/ CyclinD1<br>CDK6/ CyclinD2          | 9 nM<br>11 nM<br>15 nM                                     | FDA Approved   | Breast cancer                 |
| T2095                                                                                      | Roscovitine (CYC202, Seliciclib) | 186692-46-6  | Pan       | CDK5/p35<br>Cdc2/ CyclinB<br>CDK2/ CyclinA<br>CDK2/ CyclinE | 0.16 $\mu$ M<br>0.65 $\mu$ M<br>0.7 $\mu$ M<br>0.7 $\mu$ M | Phase 2        | Non-small Cell Lung Cancer    |
| T1912                                                                                      | Dinaciclib (SCH727965)           | 779353-01-4  | Pan       | CDK1<br>CDK2<br>CDK5<br>CDK9                                | 3 nM<br>1 nM<br>1 nM<br>4 nM                               | Phase 3        | Chronic lymphocytic leukaemia |
| T1901<br> | TG003                            | 300801-52-9  | Pan       | Clk1<br>Clk2<br>Clk4                                        | 20 nM<br>200 nM<br>15 nM                                   |                |                               |
| T6563                                                                                      | LDC000067                        | 1073485-20-7 | Selective | CDC7                                                        | 3.4 nM                                                     |                |                               |
| T6735                                                                                      | XL413 (BMS-863233)               | 1169562-71-3 | Selective | CDK9                                                        | 44 nM                                                      |                |                               |

## Chk

| ID    | Product Name | Cas Number  | Type      | Target       | IC50                     | Clinical Trail | Condition |
|-------|--------------|-------------|-----------|--------------|--------------------------|----------------|-----------|
| T6093 | AZD7762      | 860352-01-8 | Pan       | Chk1<br>Chk2 | 5 nM<br><10 nM           | Phase 1        |           |
| T6084 | LY2603618    | 911222-45-2 | Selective | Chk1         | N/A                      | Phase 2        |           |
| T6350 | CHIR-124     | 405168-58-3 | Selective | Chk1<br>Chk2 | 0.3 nM<br>697.4 nM       |                |           |
| T6028 | PF-477736    | 952021-60-2 | Selective | Chk1<br>Chk2 | Ki(0.49 nM)<br>Ki(47 nM) | Phase 1        |           |

## PLK

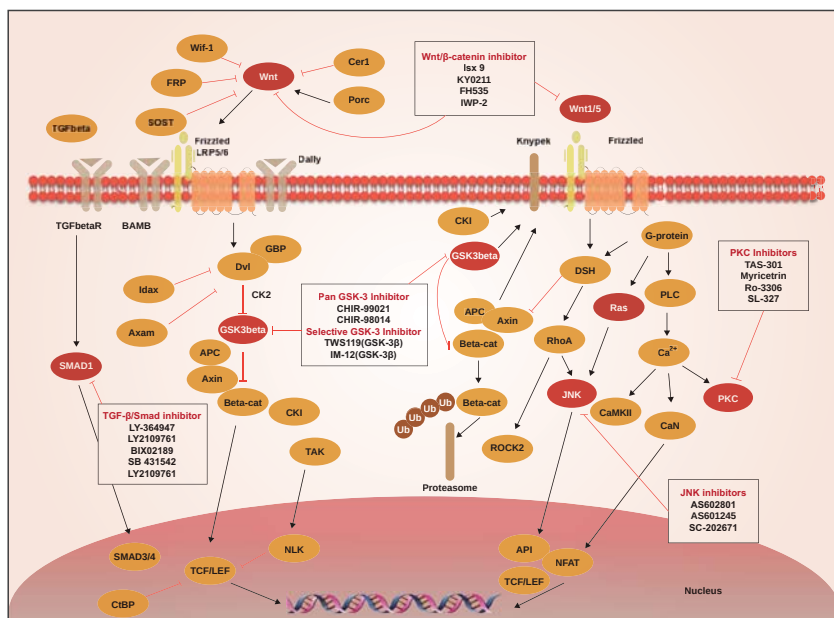
| ID    | Product Name          | Cas Number   | Type      | Target               | IC50                      | Clinical Trail | Condition               |
|-------|-----------------------|--------------|-----------|----------------------|---------------------------|----------------|-------------------------|
| T6173 | BI 2536               | 755038-02-9  | Pan       | Plk1<br>Plk2<br>Plk3 | 0.83 nM<br>3.5 nM<br>9 nM | Phase 2        |                         |
| T2704 | MLN0905               | 1228960-69-7 | Selective | Plk1                 | 2 nM                      |                |                         |
| T2634 | Ro3280                | 1062243-51-9 | Selective | Plk1                 | 3 nM                      |                |                         |
| T6019 | Volasertib (BI 6727)  | 755038-65-4  | Selective | Plk1                 | 0.87 nM                   | Phase 3        | Acute myeloid leukaemia |
| T6070 | Rigosertib (ON-01910) | 1225497-78-8 | Selective | Plk1                 | 9 nM                      | Phase 3        |                         |
| T6282 | GSK461364             | 929095-18-1  | Selective | Plk1                 | Ki(2.2 nM)                | Phase 1        |                         |

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## Rock

| ID    | Product Name          | Cas Number   | Type         | Target | IC50    | Clinical Trail | Condition                              |
|-------|-----------------------|--------------|--------------|--------|---------|----------------|----------------------------------------|
| T1725 | Y-27632 2HCl          | 129830-38-2  | Pan          | ROCK1  | 140 nM  |                |                                        |
|       |                       |              |              | ROCK2  | 300 nM  |                |                                        |
| T3060 | Fasudil (HA-1077) HCl | 105628-07-7  | Non-specific | ROCK2  | 0.33 μM | FDA Approved   | Cerebral ischaemia; Cerebral vasospasm |
|       |                       |              |              | PKA    | 1.6 μM  |                |                                        |
|       |                       |              |              | PKG    | 1.6 μM  |                |                                        |
|       |                       |              |              | PKC    | 3.3 μM  |                |                                        |
| T2155 | Thiazovivin           | 1226056-71-8 | Pan          | ROCK   | ~0.5 μM |                |                                        |
| T1898 | RKI-1447              | 1342278-01-6 | Pan          | ROCK1  | 14.5 nM |                |                                        |
|       |                       |              |              | ROCK2  | 6.2 nM  |                |                                        |
| T2633 | GSK429286A            | 864082-47-3  | Pan          | ROCK1  | 14 nM   |                |                                        |
|       |                       |              |              | ROCK2  | 63 nM   |                |                                        |

# Stem Cell



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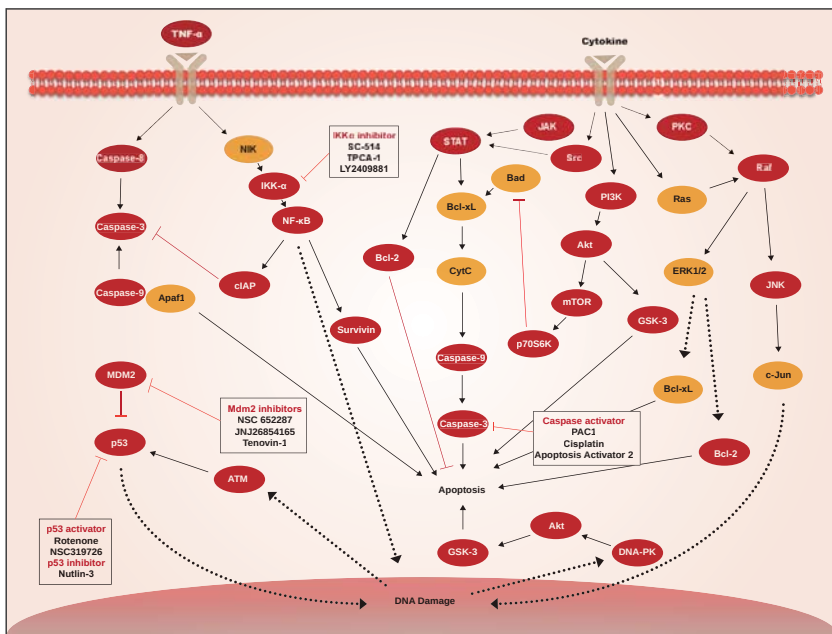
## TGF-beta/ Smad

| ID    | Product Name | Cas Number   | Type      | Target              | IC50    | Clinical Trail | Condition |
|-------|--------------|--------------|-----------|---------------------|---------|----------------|-----------|
| T1726 | SB 431542    | 301836-41-9  | Selective | ALK5                | 94 nM   |                |           |
| T1935 | LDN-193189   | 1062368-24-4 | Pan       | ALK2                | 20 nM   |                |           |
|       |              |              |           | ALK3                | 30 nM   |                |           |
| T1763 | SB525334     | 356559-20-1  | Selective | TGF $\beta$ R1/ALK5 | 14.3 nM |                |           |
| T1900 | LDN212854    | 1432597-26-6 | Pan       | ALK1                | 2.4 nM  |                |           |
|       |              |              |           | ALK2                | 1.3 nM  |                |           |
|       |              |              |           | ALK3                | 85.8 nM |                |           |
|       |              |              |           | ALK4                | 2133 nM |                |           |

## Wnt beta-catenin

| ID    | Product Name | Cas Number   | Type      | Target | IC50   | Clinical Trail | Condition         |
|-------|--------------|--------------|-----------|--------|--------|----------------|-------------------|
| T1878 | XAV939       | 284028-89-3  | Selective | TNKS1  | 11 nM  |                |                   |
|       |              |              |           | TNKS2  | 4 nM   |                |                   |
| T2242 | Wnt-C59      | 1243243-89-1 | Selective | Porcn  | 74 Pm  |                |                   |
| T2618 | LGK-974      | 1243244-14-5 | Pan       | Porcn  | 0.4 nM | Phase 2        | Colorectal cancer |

## Apoptosis



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## Bcl-2

| ID    | Product Name                     | Cas Number   | Type      | Target | IC50                 | Clinical Trail  | Condition                           |
|-------|----------------------------------|--------------|-----------|--------|----------------------|-----------------|-------------------------------------|
| T2101 | ABT-263<br>(Navitoclax)          | 923564-51-6  | Pan       | Bcl-XI | (Ki) $\leq 0.5$ nM   | Phase 2         |                                     |
|       |                                  |              |           | Bcl-2  | (Ki) $\leq 1$ nM     |                 |                                     |
|       |                                  |              |           | Bcl-W  | (Ki) $\leq 1$ nM     |                 |                                     |
| T6275 | Obatoclax Mesylate<br>(GX15-070) | 803712-79-0  | Selective | Bcl-2  | 220 nM               |                 |                                     |
| T2099 | ABT-737                          | 852808-04-9  | Pan       | Bcl-XI | 78.7 nM              | Phase 2         |                                     |
|       |                                  |              |           | Bcl-2  | 30.3 nM              |                 |                                     |
|       |                                  |              |           | Bcl-W  | 197.8 nM             |                 |                                     |
| T2119 | ABT-199<br>(GDC-0199)            | 1257044-40-8 | Selective | Bcl-XI | (Ki)<0.01nM          | FDA<br>Approved | Chronic<br>lymphocytic<br>leukaemia |
|       |                                  |              |           | Bcl-2  | (Ki)<48 nM           |                 |                                     |
|       |                                  |              |           | Bcl-W  | (Ki)<246 nM          |                 |                                     |
|       |                                  |              |           | Mcl-1  | (Ki)>444 nM          |                 |                                     |
| T1980 | HA14-1                           | 65673-63-4   | Selective | Bcl-2  | $\sim 9 \mu\text{M}$ |                 |                                     |

## p53

| ID    | Product Name        | Cas Number  | Type | Target | IC50      | Clinical Trail | Condition |
|-------|---------------------|-------------|------|--------|-----------|----------------|-----------|
| T2707 | Pifithrin- $\alpha$ | 63208-82-2  | Pan  | p53    | N/A       |                |           |
| T1919 | Tenovin-1           | 380315-80-0 | Pan  | p53    | Activator |                |           |
| T1798 | RITA (NSC 652287)   | 213261-59-7 |      | MDM2   |           |                |           |
|       |                     |             |      | p53    |           |                |           |

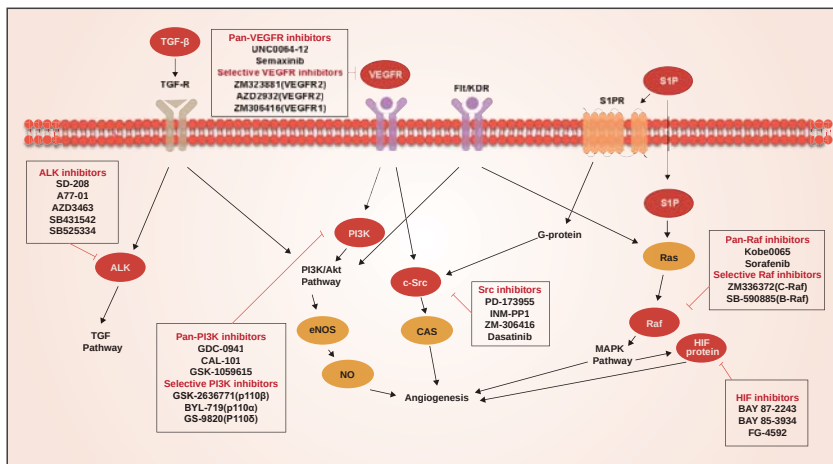
## TNF- $\alpha$

| ID    | Product Name              | Cas Number  | Type                                                                                                                      | Target | IC50 | Clinical Trail  | Condition |
|-------|---------------------------|-------------|---------------------------------------------------------------------------------------------------------------------------|--------|------|-----------------|-----------|
| T1642 | Lenalidomide<br>(CC-5013) | 191732-72-6 | Lenalidomide is a TNF- $\alpha$ secretion inhibitor with IC50 of 13 nM                                                    |        |      | FDA<br>Approved | Cancer    |
| T2384 | Pomalidomide              | 19171-19-8  | Pomalidomide inhibits TNF- $\alpha$ release that induced by LPS with IC50 of 13 nM                                        |        |      | FDA<br>Approved |           |
| T1847 | Necrostatin-1             | 4311-88-0   | Necrostatin-1 is a specific RIP1 inhibitor and inhibits the necroptosis that induced by TNF- $\alpha$ with EC50 of 490 nM |        |      |                 |           |

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## Angiogenesis



### BTK

| ID                       | Product Name          | Cas Number   | Type         | Target | IC50   | Clinical Trail | Condition                                                                             |
|--------------------------|-----------------------|--------------|--------------|--------|--------|----------------|---------------------------------------------------------------------------------------|
| T1835                    | Ibrutinib (PCI-32765) | 936563-96-1  | Non-specific | BTK    | 0.5 nM | FDA Approved   | Chronic lymphocytic leukaemia; Mantle-cell lymphoma; Waldenstrom's macroglobulinaemia |
|                          |                       |              |              | BLK    | 0.5 nM |                |                                                                                       |
|                          |                       |              |              | Bmx    | 0.8 nM |                |                                                                                       |
|                          |                       |              |              | CSK    | 2.3 nM |                |                                                                                       |
| T2302 <small>NEW</small> | CNX-774               | 1202759-32-7 | BTK          | <1 nM  |        |                |                                                                                       |
| T2472 <small>NEW</small> | CGI1746               | 910232-84-7  | BTK          | 1.9 nM |        |                |                                                                                       |
| T2603 <small>NEW</small> | CC-292 (AVL-292)      | 1202757-89-8 | BTK          | <0.5nM |        | Phase 1        | Rheumatoid arthritis                                                                  |

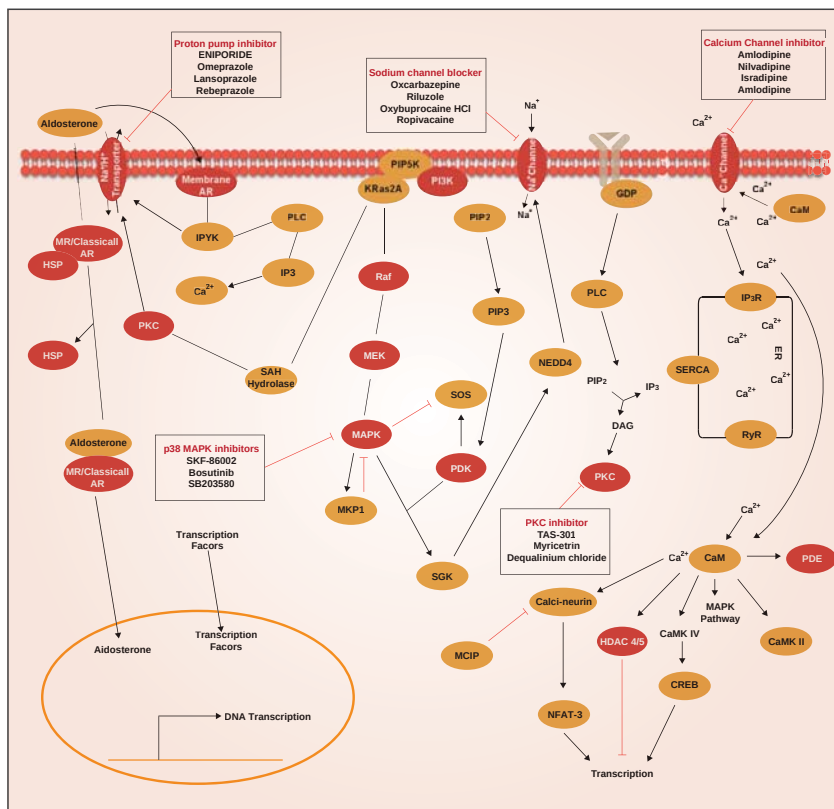
### Syk

| ID    | Product Name                     | Cas Number   | Type      | Target | IC50   | Clinical Trail | Condition                       |
|-------|----------------------------------|--------------|-----------|--------|--------|----------------|---------------------------------|
| T2467 | R406                             | 841290-80-0  | Selective | Syk    | 41 nM  | Phase 3        | Immune thrombocytopenic purpura |
| T2605 | R788(Fostatinib) Disodium        | 1025687-58-4 | Selective | Syk    | 42 nM  | Phase 3        | Immune thrombocytopenic purpura |
| T2696 | PRT062607 (P505-15, BIIB057) HCl | 1370261-97-4 | Selective | Syk    | 1 nM   | Phase 1        |                                 |
|       |                                  |              |           | FGR    | 81 nM  |                |                                 |
|       |                                  |              |           | MLK1   | 88 nM  |                |                                 |
|       |                                  |              |           | YES    | 123 nM |                |                                 |

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# Membrane Transporter/Ion Channel

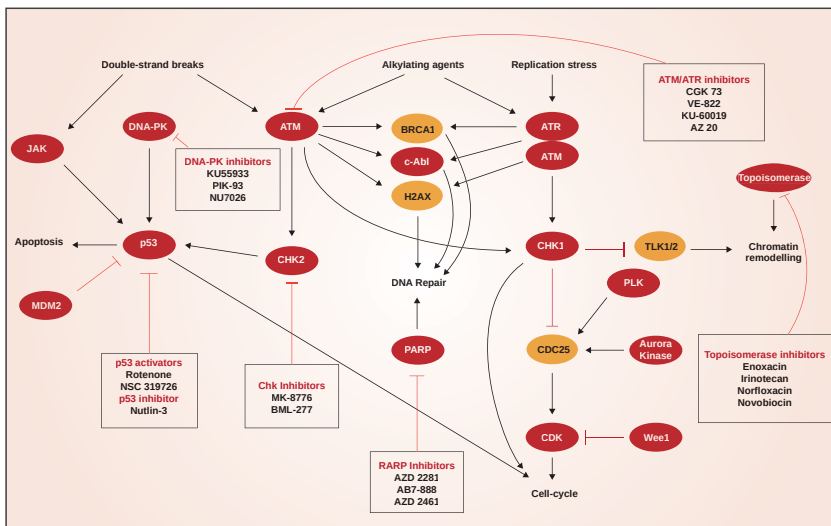


## CFTR

| ID    | Product Name      | Cas Number  | Type                                                                                                                                                                                                                                                        | Target | IC50       | Clinical Trail | Condition                   |
|-------|-------------------|-------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------|------------|----------------|-----------------------------|
| T1805 | Ataluren (PTC124) | 775304-57-9 | PTC124 (Ataluren) selectively induces ribosomal read-through of premature but not normal termination codons, with EC50 of 0.1 $\mu$ M, may provide treatment for genetic disorders caused by nonsense mutations (e.g. CF caused by CFTR nonsense mutation). |        |            | FDA Approved   | Duchenne muscular dystrophy |
| T2355 | CFTRinh-172       | 307510-92-5 | Selective                                                                                                                                                                                                                                                   | CFTR   | (Ki)300 nm |                |                             |

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## DNA Damage/DNA Repair

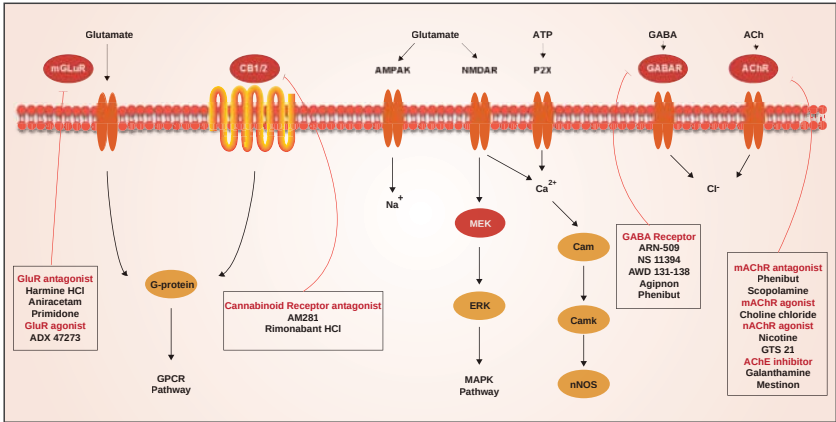


## DNA/ RNA Synthesis

| ID    | Product Name      | Cas Number | Type                                                                                             | Target   | IC50  | Clinical Trail | Condition                                                                                                    |
|-------|-------------------|------------|--------------------------------------------------------------------------------------------------|----------|-------|----------------|--------------------------------------------------------------------------------------------------------------|
| T1564 | Cisplatin         | 15663-27-1 | Cisplatin is able to inhibit DNA synthesis by conforming DNA adducts                             |          |       | Phase 1        | Solid tumours                                                                                                |
| T0251 | Gemcitabine       | 95058-81-4 | Pan                                                                                              | PANC1    | 50 nM | FDA Approved   | Biliary cancer; Bladder cancer; Breast cancer; Non-small cell lung cancer; Ovarian cancer; Pancreatic cancer |
|       |                   |            |                                                                                                  | MIAPaCa2 | 40 nM |                |                                                                                                              |
|       |                   |            |                                                                                                  | BxPC3    | 18 nM |                |                                                                                                              |
|       |                   |            |                                                                                                  | Capan2   | 12 nM |                |                                                                                                              |
| T6116 | Bleomycin Sulfate | 9041-93-4  | Bleomycin Sulfate is a glycopeptide antibiotic and an anticancer agent for SCC with IC50 of 4 nM |          |       | Phase 3        | Hodgkin's disease                                                                                            |
| T0164 | Oxaliplatin       | 61825-94-3 | Cisplatin is able to inhibit DNA synthesis by conforming DNA adducts                             |          |       | FDA Approved   | Colorectal cancer                                                                                            |

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Neuroscience

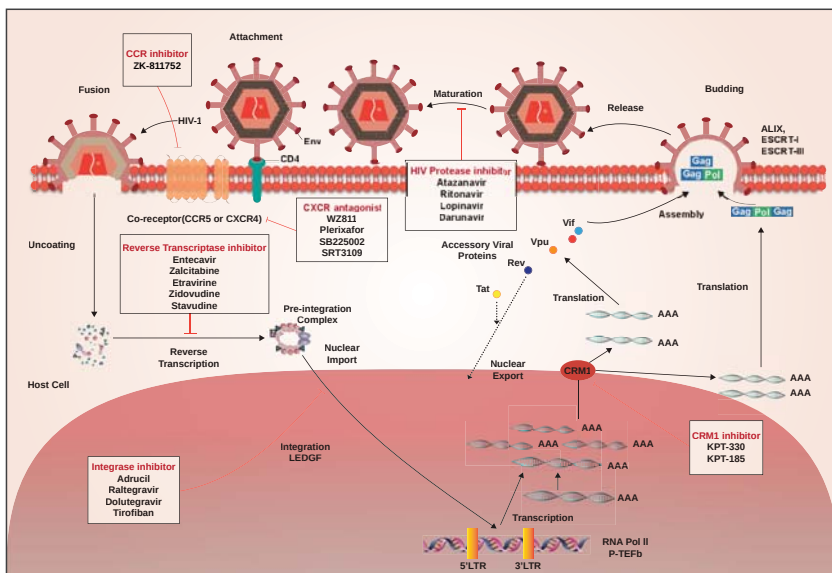


Gamma-Secretase

| ID                  | Product Name  | Cas Number  | Type      | Target                                   | IC50        | Clinical Trail | Condition                                                   |
|---------------------|---------------|-------------|-----------|------------------------------------------|-------------|----------------|-------------------------------------------------------------|
| T6202               | DAPT (GSI-IX) | 208255-80-5 | Selective | $\gamma$ -secretase [ $\alpha$ $\beta$ ] | 20 nM       |                |                                                             |
| T2625               | MK0752        | 471905-41-6 | Selective | $\alpha$ $\beta$ 40                      | 5 nM        | Phase 1        | Breast cancer; CNS cancer; Pancreatic cancer; Solid tumours |
| T3075<br><b>NEW</b> | FLI-06        | 313967-18-9 | Selective | Notch                                    | 2.9 $\mu$ M |                |                                                             |

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# Microbiology & Virology



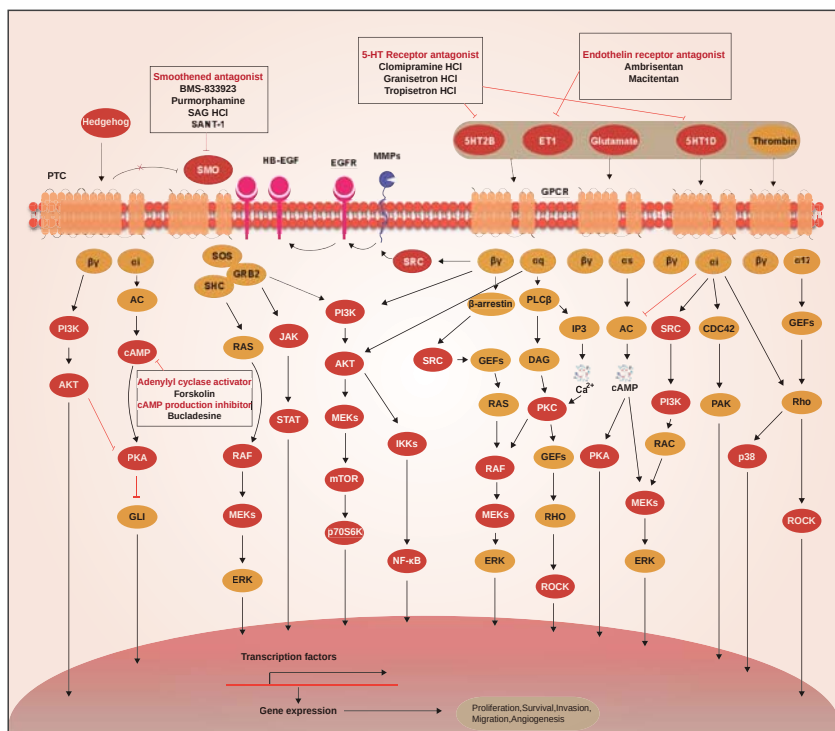
| ID     | Product Name            | Cas Number   | Type                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             | Target | IC50 | Clinical Trail | Condition      |
|--------|-------------------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------|------|----------------|----------------|
| T0100L | Atazanavir              | 198904-31-3  | Atazanavir (formerly known as BMS-232632) is an antiretroviral drug of the protease inhibitor (PI) class. Like other antiretrovirals, it is used to treat infection of human immunodeficiency virus (HIV). Atazanavir is distinguished from other PIs in that it can be given once-daily (rather than requiring multiple doses per day) and has lesser effects on the patient's lipid profile (the amounts of cholesterol and other fatty substances in the blood). Like other protease inhibitors, it is used only in combination with other HIV medications. The U.S. Food and Drug Administration (FDA) approved atazanavir on June 20, 2003. |        |      | FDA App roved  | HIV infection  |
| T1525  | Ritonavir               | 155213-67-5  | Ritonavir is an antiretroviral (HIV) drug which inhibits a particular liver enzyme that normally metabolizes protease inhibitors, cytochrome P450-3A4 (CYP3A4).                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |        |      | FDA App roved  |                |
| T1623  | Lopinavir               | 192725-17-0  | Lopinavir (also known as ABT-378) is a highly potent inhibitor of human immunodeficiency virus (HIV) protease that potently inhibits wild-type and mutant HIV protease                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |        |      | FDA App roved  |                |
| T2162  | Maribavir               | 176161-24-3  | Maribavir(GW257406X; BW1263W94; 1263W94) inhibits HCMV replication is by inhibition of an HCMV encoded protein kinase enzyme called UL97 or pUL97.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |        |      | Phase 2        | CMV infections |
| T1786  | Daclatasvir, BMS 790052 | 1009119-65-6 | BMS-790052 is a highly selective inhibitor of HCV NS5A with EC50 of 9-50 pM, for a broad range of HCV replicon genotypes and the JFH-1 genotype 2a infectious virus in cell culture.                                                                                                                                                                                                                                                                                                                                                                                                                                                             |        |      | FDA App roved  | HCV infection  |

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## DNA/ RNA Synthesis

| ID    | Product Name      | Cas Number | Type                                                                                             | Target   | IC50  | Clinical Trail | Condition                                                                                                    |
|-------|-------------------|------------|--------------------------------------------------------------------------------------------------|----------|-------|----------------|--------------------------------------------------------------------------------------------------------------|
| T1564 | Cisplatin         | 15663-27-1 | Cisplatin is able to inhibit DNA synthesis by conforming DNA adducts                             |          |       | Phase 1        | Solid tumours                                                                                                |
| T0251 | Gemcitabine       | 95058-81-4 | Pan                                                                                              | PANC1    | 50 nM | FDA Approved   | Biliary cancer; Bladder cancer; Breast cancer; Non-small cell lung cancer; Ovarian cancer; Pancreatic cancer |
|       |                   |            |                                                                                                  | MIAPaCa2 | 40 nM |                |                                                                                                              |
|       |                   |            |                                                                                                  | BxPC3    | 18 nM |                |                                                                                                              |
|       |                   |            |                                                                                                  | Capan2   | 12 nM |                |                                                                                                              |
| T6116 | Bleomycin Sulfate | 9041-93-4  | Bleomycin Sulfate is a glycopeptide antibiotic and an anticancer agent for SCC with IC50 of 4 nM |          |       | Phase 3        | Hodgkin's disease                                                                                            |
| T0164 | Oxaliplatin       | 61825-94-3 | Cisplatin is able to inhibit DNA synthesis by conforming DNA adducts                             |          |       | FDA Approved   | Colorectal cancer                                                                                            |

## GPCR/G Protein



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## Hedgehog Smoothened

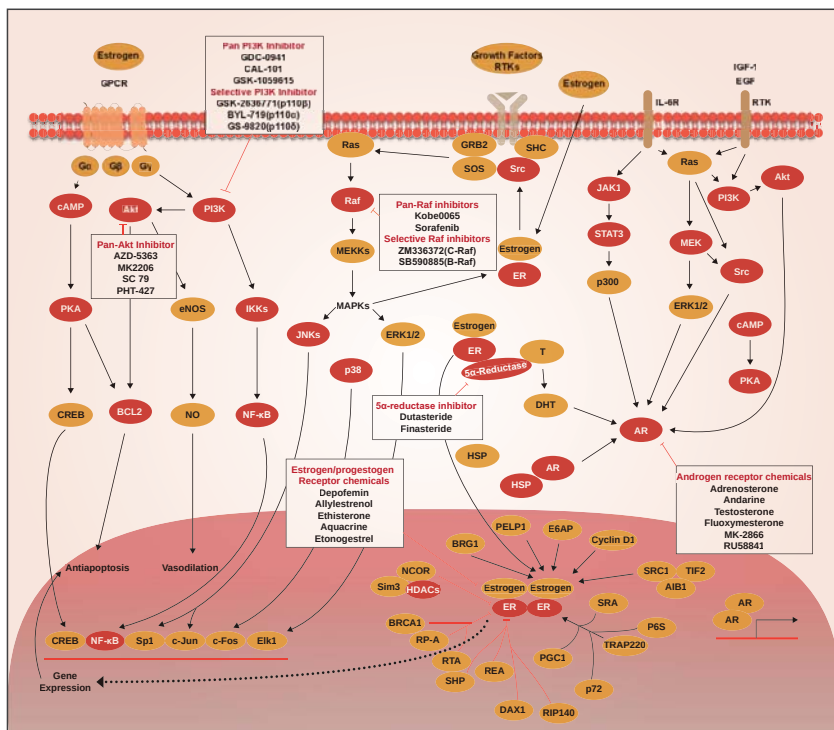
| ID    | Product Name                     | Cas Number   | Type      | Target                  | IC50   | Clinical Trail | Condition |
|-------|----------------------------------|--------------|-----------|-------------------------|--------|----------------|-----------|
| T2299 | BMS-833923                       | 1059734-66-5 | Selective | Smoothened (antagonist) |        | Phase 2        |           |
| T2666 | Taladegib (LY2940680)            | 1258861-20-9 | Selective | Smoothened              |        | Phase 1/2      |           |
| T2590 | Vismodegib (GDC-0449)            | 879085-55-9  | Selective | Hedgehog                | 3 nM   |                |           |
| T1926 | LDE225 (NVP-LDE225, Erismodegib) | 956697-53-3  | Selective | Smoothened (Mouse)      | 1.3 nM | Phase 3        |           |
|       |                                  |              |           | Smoothened (Human)      | 2.5 nM |                |           |

## Adrenergic

| ID    | Product Name        | Cas Number | Type      | Target                      | IC50     | Clinical Trail | Condition                                 |
|-------|---------------------|------------|-----------|-----------------------------|----------|----------------|-------------------------------------------|
| T0101 | Doxazosin mesylate  | 77883-43-3 | Selective | $\alpha$ 1-adrenergic       |          | FDA Approved   | Cocaine Dependence; Prostatic Hyperplasia |
| T1056 | Isoprenaline HCl    | 51-30-9    | Pan       | $\beta$ -adrenergic agonist |          | FDA Approved   |                                           |
| T0487 | Metoprolol tartrate | 56392-17-7 | Selective | $\beta$ -adrenergic         | 42 ng/ml | FDA Approved   | Hypertension                              |

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# Endocrinology/ Hormones

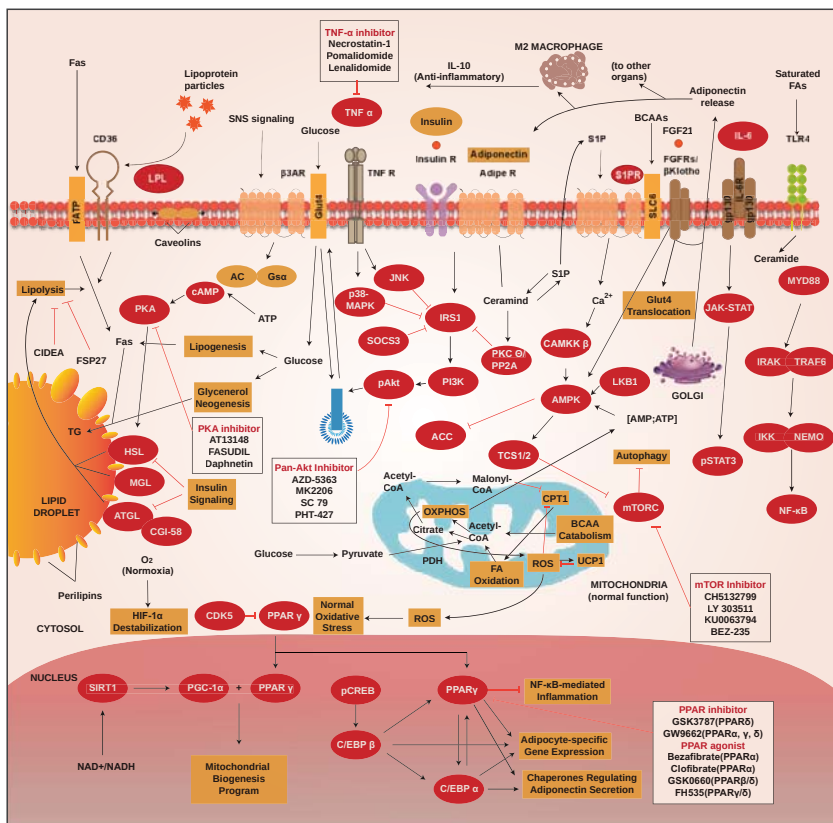


## Androgen Receptor

| ID    | Product Name           | Cas Number  | Type      | Target                              | IC50             | Clinical Trail | Condition       |
|-------|------------------------|-------------|-----------|-------------------------------------|------------------|----------------|-----------------|
| T6002 | Enzalutamide (MDV3100) | 915087-33-1 | Selective | Abdrigeb Receptor                   | 36 nM            | FDA Approved   | Prostate cancer |
| T0380 | Bicalutamide           | 90357-06-5  | Selective | Abdrigeb Receptor                   | 0.16 $\mu$ M     | FDA Approved   | Prostate cancer |
| T2339 | ARN-509                | 956104-40-8 | Selective | Abdrigeb Receptor<br>GAPAA Receptor | 16 nM<br>3000 nM | Phase 3        | Prostate cancer |
| T1167 | Cyproterone acetate    | 427-51-0    | Selective | Abdrigeb Receptor                   | 7.1 nM           |                |                 |

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# Metabolism



## PDE

| ID    | Product Name | Cas Number   | Type      | Target | IC50       | Clinical Trail | Condition                                                       |
|-------|--------------|--------------|-----------|--------|------------|----------------|-----------------------------------------------------------------|
| T1024 | Roflumilast  | 162401-32-3  | Selective | PDE4   | 0.2-4.3 nM | FDA Approved   | Chronic obstructive pulmonary disease                           |
| T1708 | Pimobendan   | 74150-27-9   | Selective | PDE3   | 110 nM     | FDA Approved   | Heart failure                                                   |
| T6042 | PF-2545920   | 1292799-56-4 | Selective | PDE10A | 0.37 nM    | Phase 2        | Huntington's disease; Schizophrenia                             |
| T0462 | Cilostazol   | 73963-72-1   | Selective | PDE3   | 0.2 μM     | Phase 2        | Arterial occlusive disorders; Intermittent claudication; Stroke |

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## Enzyme

|                    |                       |                    |
|--------------------|-----------------------|--------------------|
| Carbonic anhydrase | Hydrogenase           | PP2A               |
| Cathepsin K        | Hydrolase             | Reductase          |
| LXR                | Hydroxylase           | Squalene epoxidase |
| CzcO-like          | sGC                   | Tyrosinase         |
| DHPS               | Oxidosqualene cyclase | VKOR               |
| Endopeptidase      | Peroxiredoxin-5       |                    |
| Hexokinase         | Phosphorylase         |                    |

## Others

|                         |                                 |                       |
|-------------------------|---------------------------------|-----------------------|
| ACCase                  | MCT                             | RAGE                  |
| Adrenodoxin             | MELK                            | Ryanodine receptor    |
| AGE                     | mTOT                            | SAA                   |
| APN                     | Oct3/4                          | SGK1;SGK2             |
| ATX                     | PCSK9                           | SRPK1                 |
| BMI-1                   | Pepsin                          | TTR                   |
| Calcineurin phosphatase | PFKFB3                          | Xylose isomerase      |
| CART                    | p-HBH                           | $\alpha$ -glucosidase |
| DYRK                    | Phytoestrogen                   | Others                |
| Lysozyme                | PPM1D                           |                       |
| MALT1                   | Purine nucleoside phosphorylase |                       |

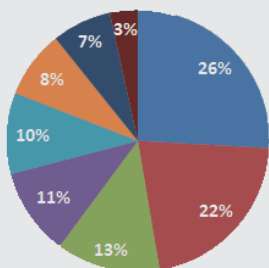
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- |                   |                      |
|-------------------|----------------------|
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| ■ Metabolism      | ■ Endocrinology      |
| ■ Cardiology      | ■ Respiratory system |

### Database Contains:

- CAS, Chemical name, 3D structure, Formula, Solubility;
- Bioactivity, Targets, IC50 Value, References, Clinical Data;
- COA, MSDS, Datasheet, NMR, LCMS, HPLC, etc.

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