FLT3
Cluster of differentiation antigen 135; CD135; Fms like tyrosine kinase 3

FLT3 (Fms-like tyrosine kinase 3, CD135) is a protein that in humans is encoded by the FLT3 gene. FLT3 is a cytokine receptor which belongs to the receptor tyrosine kinase class III. FLT3 is the receptor for the cytokine Flt3 ligand (FLT3L). FLT-3 is expressed on the surface of many hematopoietic progenitor cells. Signalling of FLT3 is important for the normal development of haematopoietic stem cells and progenitor cells. The FLT3 gene is one of the most frequently mutated genes in acute myeloid leukemia (AML). Besides, high levels of wild-type FLT3 have been reported for blast cells of some AML patients without FLT3 mutations. These high levels may be associated with worse prognosis. Signaling through FLT3 plays a role in cell survival, proliferation, and differentiation. FLT3 is important for lymphocyte (B cell and T cell) development, but not for the development of other blood cells. Two cytokines that down modulate FLT3 activity are TNF-Alpha and TGF-Beta.
### FLT3 Inhibitors

<table>
<thead>
<tr>
<th>Compound Details</th>
<th>Cat. No.</th>
<th>Formula</th>
<th>Description</th>
</tr>
</thead>
<tbody>
<tr>
<td>3-Hydroxy Midostaurin (CGP52421)</td>
<td>HY-108263</td>
<td></td>
<td>A metabolite of PKC412, effectively inhibits FMS-like tyrosine kinase-3 (FLT3) autophosphorylation with IC₅₀ of approximately 132 nM and 9.8 μM in culture medium and plasma, respectively. 3-Hydroxy Midostaurin is less selective but more cytotoxic than PKC412.</td>
</tr>
<tr>
<td>Altiratinib (DCC-2701)</td>
<td>HY-80791</td>
<td></td>
<td>A multi-targeted kinase inhibitor with IC₅₀ of 2.7, 8, 9.2, 9.3, 0.85, 4.6, 0.83 nM for MET, TIE2, VEGFR2, FLT3, Trk1, Trk2, and Trk3 respectively.</td>
</tr>
<tr>
<td>AMG 925 HCl</td>
<td>HY-15889A</td>
<td></td>
<td>A potent, selective, and orally available FLT3/CDK4 dual inhibitor with IC₅₀ of 2±1 nM and 3±1 nM, respectively.</td>
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<td>Amuvatinib (MP470; HPK 56)</td>
<td>HY-10206</td>
<td></td>
<td>An orally bioavailable multi-targeted tyrosine kinase inhibitor with potent activity against mutant c-Kit, PDGFRα, PDGFRβ, c-Met and c-Ret.</td>
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<td>Amuvatinib hydrochloride (MP470 hydrochloride; HPK 56 hydrochloride)</td>
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<td>AT9283</td>
<td>HY-50514</td>
<td></td>
<td>A multi-targeted kinase inhibitor with potent activity against Aurora A/B, JAK2/3, Abl (T315I) and Flt3 (IC₅₀ ranging from 1 to 30 nM). AT9283 inhibits growth and survival of multiple solid tumors in vitro and in vivo.</td>
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<td>AC710</td>
<td>HY-13493</td>
<td></td>
<td>A potent PDGFR inhibitor with Kᵢ values of 0.6, 1.57, 1, 1.3, 10 nM for FLT3, CSF1R, KIT, PDGFRα and PDGFRβ, respectively.</td>
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<tr>
<td>HY-13537</td>
<td>BPR1J-097</td>
<td>BPR1J-097 is a novel potent FLT3 inhibitor with an IC&lt;sub&gt;50&lt;/sub&gt; of 11nM.</td>
<td></td>
</tr>
<tr>
<td>HY-100865</td>
<td>BPR1K871</td>
<td>BPR1K871 is a potent and selective dual FLT3/AURKA inhibitor with IC&lt;sub&gt;50&lt;/sub&gt;s of 19 nM and 22 nM for FLT3 and AURKA, respectively, acts as a preclinical development candidate for anti-cancer therapy.</td>
<td></td>
</tr>
<tr>
<td>HY-13016</td>
<td>Cabozantinib</td>
<td>Cabozantinib is a potent multiple receptor tyrosine kinases (RTKs) inhibitor that inhibits VEGFR2, c-Met, Kit, Axl and FLT3 with IC&lt;sub&gt;50&lt;/sub&gt;s of 0.035, 1.3, 4.6, 7 and 11.3 nM, respectively.</td>
<td></td>
</tr>
<tr>
<td>HY-13072</td>
<td>Cenisertib</td>
<td>Cenisertib (AS-703569; R-763) is a multi-kinase inhibitor that blocks the activity of Aurora-kinase-A/B, ABL1, AKT, STAT5 and FLT3. Cenisertib induces major growth-inhibitory effects by blocking the activity of several different molecular targets in neoplastic mast cells (MC).</td>
<td></td>
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<tr>
<td>HY-13263</td>
<td>CHIR-124</td>
<td>CHIR-124 is a potent and selective Chk1 inhibitor with IC&lt;sub&gt;50&lt;/sub&gt;s of 0.3 nM, and also potently targets PDGFR and FLT3 with IC&lt;sub&gt;50&lt;/sub&gt;s of 6.6 nM and 5.8 nM.</td>
<td></td>
</tr>
<tr>
<td>HY-13537A</td>
<td>BPR1J-097 Hydrochloride</td>
<td>BPR1J-097 Hydrochloride is a novel and potent FLT3 inhibitor with IC&lt;sub&gt;50&lt;/sub&gt; of 11 nM.</td>
<td></td>
</tr>
<tr>
<td>HY-111545</td>
<td>BSc5371</td>
<td>BSc5371 is a potent and irreversible FLT3 inhibitor, which inhibits Aurora kinases (Aurora-A K&lt;sub&gt;d&lt;/sub&gt; 7.5 nM, IC&lt;sub&gt;50&lt;/sub&gt; 38 nM, Aurora-B K&lt;sub&gt;d&lt;/sub&gt; 48 nM), FLT3 kinase (K&lt;sub&gt;d&lt;/sub&gt; 6.2 nM), and FLT3 mutants including FLT3-ITD (K&lt;sub&gt;d&lt;/sub&gt; 38 nM) and FLT3(D835Y) (K&lt;sub&gt;d&lt;/sub&gt; 14 nM).</td>
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<td>HY-18161</td>
<td>CCT241736</td>
<td>CCT241736 is a potent and orally bioavailable dual FLT3 and Aurora kinase inhibitor, which inhibits Aurora kinases (Aurora-A K&lt;sub&gt;d&lt;/sub&gt; 7.5 nM, IC&lt;sub&gt;50&lt;/sub&gt; 38 nM, Aurora-B K&lt;sub&gt;d&lt;/sub&gt; 48 nM), FLT3 kinase (K&lt;sub&gt;d&lt;/sub&gt; 6.2 nM), and FLT3 mutants including FLT3-ITD (K&lt;sub&gt;d&lt;/sub&gt; 38 nM) and FLT3(D835Y) (K&lt;sub&gt;d&lt;/sub&gt; 14 nM).</td>
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<tr>
<td>HY-112646</td>
<td>CG-806</td>
<td>CG-806 is an orally active, potent and non-covalent pan-FLT3/pan-BTK inhibitor with an IC&lt;sub&gt;50&lt;/sub&gt; of 0.08 µM for FLT3. CG-806 has an IC&lt;sub&gt;50&lt;/sub&gt; of 11 nM against FLT3 wild type (WT)-transfected Ba/F3 cells.</td>
<td></td>
</tr>
<tr>
<td>HY-13223</td>
<td>Crenolanib</td>
<td>Crenolanib is a potent and selective inhibitor of wild-type and mutant isoforms of the class III receptor tyrosine kinases FLT3 and PDGFRα/β with K&lt;sub&gt;d&lt;/sub&gt; of 0.74 nM and 2.1 nM/3.2 nM, respectively.</td>
<td></td>
</tr>
</tbody>
</table>

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**Crotonoside**
(Isoguanosine)

Cat. No.: HY-N0071

Crotonoside is isolated from Chinese medicinal herb, Croton. Crotonoside inhibits FLT3 and HDAC3/6, exhibits selective inhibition in acute myeloid leukemia (AML) cells. Crotonoside could be a promising new lead compound for the treatment of AML.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 20 mg

**Dovitinib**
(TKI258; CHIR-258)

Cat. No.: HY-50905

Dovitinib (TKI258; CHIR-258) is a multi-targeted tyrosine kinase inhibitor with IC_{50}s of 1, 2, 8/9, 10/13/8, 27/210 nM for FLT3, c-Kit, FGFR1/3, VEGFR1/2/3 and PDGFRα/β, respectively.

Purity: 97.18%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

**ENMD-2076**

Cat. No.: HY-10987A

ENMD-2076 is a multi-targeted kinase inhibitor with IC_{50}s of 1.86, 14, 58.2, 15.9, 92.7, 70.8, 56.4 nM for Aurora A, Flt3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.

Purity: 99.23%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**FLT3-IN-2**

Cat. No.: HY-18744

FLT3-IN-2 is a FLT3 inhibitor with IC_{50} of 1 μM, detailed information refer to WO 2012158957 A2 and WO 2007013896.

Purity: 99.30%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**FLT3-IN-3**

Cat. No.: HY-112145

FLT3-IN-3 is a potent FLT3 inhibitor with IC_{50}s of 1.3 and 8 nM for FLT3 WT and FLT3 D835Y, respectively.

Purity: >98%
Clinical Data: No Development Reported
Size: 100 mg, 250 mg, 500 mg

**FLT3-IN-4**

Cat. No.: HY-128571

FLT3-IN-4 is a potent and orally effective Fms-like tyrosine receptor kinase 3 (FLT3; IC_{50}=7 nM) inhibitor for treating acute myelogenous leukemia.

Purity: >98%
Clinical Data: No Development Reported
Size: 100 mg, 250 mg, 500 mg

**FN-1501**

Cat. No.: HY-111361

FN-1501 is a potent inhibitor of FLT3 and CDK, with IC_{50}s of 2.47, 0.85, 1.96, and 0.28 nM for CDK2/cyclin A, CDK4/cyclin D1, CDK6/cyclin D1 and FLT3, respectively. FN-1501 has anticancer activity.

Purity: 98.41%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**ENMD-2076 Tartrate**

Cat. No.: HY-10987

ENMD-2076 Tartrate is a multi-targeted kinase inhibitor with IC_{50}s of 1.86, 14, 58.2, 15.9, 92.7, 70.8, 56.4 nM for Aurora A, Flt3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.

Purity: 98.59%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**G-749**

Cat. No.: HY-12333

G-749 is a novel FLT3 inhibitor that showed potent and sustained inhibition of the FLT3 wild type and mutants with IC_{50}s of 0.4/0.6/3.5/7.5 nM for Wt Flt3/D835Y/MV4-11/Molm-14 respectively.

Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg
<table>
<thead>
<tr>
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<tbody>
<tr>
<td>HY-13034</td>
<td>Gandotinib (LY2784544)</td>
<td>Gandotinib (LY2784544) is a potent JAK2 inhibitor with IC₅₀ of 3 nM. Gandotinib (LY2784544) also inhibits FLT3, FLT4, FGFR2, TKY2, and TRKB with IC₅₀ of 4, 25, 32, 44, and 95 nM.</td>
</tr>
<tr>
<td>HY-12432</td>
<td>Gilteritinib (ASP2215)</td>
<td>Gilteritinib is a potent FLT3/AXL inhibitor with IC₅₀ of 0.29 nM/0.73 nM, respectively.</td>
</tr>
<tr>
<td>HY-12432A</td>
<td>Gilteritinib hemifumarate (ASP2215 hemifumarate)</td>
<td>Gilteritinib hemifumarate is a potentFLT3/AXL inhibitor with IC₅₀ of 0.29 nM/0.73 nM, respectively.</td>
</tr>
<tr>
<td>HY-12420</td>
<td>JNJ-47117096 hydrochloride (MELK-T1 hydrochloride)</td>
<td>JNJ-47117096 hydrochloride is potent and selective MELK inhibitor, with an IC₅₀ of 23 nM, also effectively inhibits FRT3, with an IC₅₀ of 18 nM.</td>
</tr>
<tr>
<td>HY-10339</td>
<td>KW-2449</td>
<td>KW-2449 is a multi-targeted kinase inhibitor of FLT3, ABL, ABLᵀᴹ, and Aurora kinase with IC₅₀ values of 6.6, 14, 4 and 48 nM, respectively.</td>
</tr>
<tr>
<td>HY-50867</td>
<td>Lestaurtinib (CEP-701, KT-5555)</td>
<td>Lestaurtinib (CEP-701,KT-5555) is a multi-kinase inhibitor with potent activity against the Trk family of receptor tyrosine kinases. Lestaurtinib inhibits JAK2, FLT3 and TrkA with IC₅₀ of 0.9, 3 and less than 25 nM, respectively.</td>
</tr>
<tr>
<td>HY-50751</td>
<td>Linifanib (ABT-869, AL-39324)</td>
<td>Linifanib (ABT-869) is a potent and orally active multi-target inhibitor of VEGFR and PDGFR family with IC₅₀ of 4, 3, 66, and 4 nM for KDR, FLT1, PDGFRB, and FLT3, respectively. Linifanib (ABT-869) shows prominent antitumor activity.</td>
</tr>
<tr>
<td>HY-15514</td>
<td>Merestinib (LY2801653)</td>
<td>Merestinib (LY2801653) is a potent, orally bioavailable c-Met inhibitor (Kᵢ=2 nM) with anti-tumor activities.</td>
</tr>
<tr>
<td>HY-15514A</td>
<td>Merestinib dihydrochloride (LY2801653 dihydrochloride)</td>
<td>Merestinib dihydrochloride (LY2801653 dihydrochloride) is a potent, orally bioavailable c-Met inhibitor (Kᵢ=2 nM) with anti-tumor activities.</td>
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</tbody>
</table>
MRX-2843  
(Cat. No.: HY-101549)

MRX-2843 is an orally available, dual MERTK and FLT3 tyrosine kinase inhibitor (TKI) with enzymatic IC₅₀ of 1.3 nM for MERTK and 0.64 nM for FLT3, respectively.

Purity: 99.21%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

PROTAC FLT-3 degrader 1  
(Cat. No.: HY-114323)

PROTAC FLT-3 degrader 1 is an FLT-3 internal tandem duplication (ITD) degrader with an IC₅₀ of 0.6 nM. Anti-proliferative activity: apoptosis induction.

Purity: >98%
Clinical Data: No Development Reported
Size: 100 mg, 250 mg, 500 mg

Rebastinib  
(DCC-2036)  
(Cat. No.: HY-13024)

Rebastinib (DCC-2036) is a conformational control Bcr-Abl inhibitor for AblWT and AblT315I with IC₅₀ of 0.8 nM and 4 nM, also inhibits SRC, KDR, FLT3, and Tie-2, and low activity to seen towards c-Kit.

Purity: 99.91%
Clinical Data: Phase 1
Size: 10 nM × 1 mL, 5 mg, 10 mg, 50 mg

SB1317  
(TG02)  
(Cat. No.: HY-15166)

SB1317 is a potent inhibitor of CDK2, JAK2, and FLT3 for the treatment of cancer, with IC₅₀ of 13.73, and 56 nM for CDK2, JAK2 and FLT3, respectively.

Purity: 99.96%
Clinical Data: Phase 2
Size: 10 nM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Sitravatinib malate  
(MGCD516 malate; MG-516 malate)  
(Cat. No.: HY-16961A)

Sitravatinib malate (MGCD516 malate) is an orally bioavailable receptor tyrosine kinase (RTK) inhibitor with IC₅₀ of 1.5 nM, 2 nM, 2 nM, 5 nM, 6 nM, 6 nM, 8 nM, 0.5 nM, 29 nM, 5 nM, and 9 nM for Axl, MER, VEGFR3, VEGFR2, VEGFR1, KIT, FLT3, DDR2, DDR1, TRKA, TRKB, respectively.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Pacritinib  
(SB1518)  
(Cat. No.: HY-16379)

Pacritinib is a potent inhibitor of both wild-type JAK2 (IC₅₀=23 nM) and JAK2T315I mutant (IC₅₀=19 nM). Pacritinib also inhibits FLT3 (IC₅₀=22 nM) and its mutant FLT3ITD+ (IC₅₀=6 nM).

Purity: 99.66%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Quizartinib  
(AC220)  
(Cat. No.: HY-13001)

Quizartinib (AC220) is a potent Flt3 tyrosine kinase inhibitor with a Kᵣ of 1.6±0.7 nM.

Purity: 99.34%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Ripretinib  
(DCC-2618)  
(Cat. No.: HY-112306)

Ripretinib (DCC-2618) is an orally bioavailable, selective KIT and PDGFRA switch-control inhibitor.

Purity: 99.46%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

SKLB4771  
(FT3-1N-1)  
(Cat. No.: HY-12960)

SKLB4771 is a novel potent and selective Flt3 inhibitor with IC₅₀ of 10 nM against FLT3-ITD-expressing MV4-11 cells with IC₅₀ of 6 nM. IC₅₀ value: 10 nM (in vitro) Target: in vitro: SKLB4771 inhibited FLT3 phosphorylation in a dose-dependent manner.

Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg
Sorafenib (Bay 43-9006)

Sorafenib (Bay 43-9006) is a potent, orally active multikinase inhibitor with IC50s of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.

Purity: 99.92%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

Sorafenib (D4) (Bay 43-9006 D4)

Sorafenib D4 (Bay 43-9006 D4) is the deuterium labeled Sorafenib. Sorafenib is a multikinase inhibitor IC50 of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TAK-659

TAK-659 is a highly potent, selective, reversible and orally available dual inhibitor of spleen tyrosine kinase (SYK) and fms related tyrosine kinase 3 (FLT3), with an IC50 of 3.2 nM and 4.6 nM for SYK and FLT3, respectively.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg

Tandutinib hydrochloride (MLN518 hydrochloride, CT53518 hydrochloride)

Tandutinib hydrochloride (MLN518 hydrochloride) is a potent and selective inhibitor of the FLT3 with an IC50 of 0.22 μM, and also inhibits c-Kit and PDGFR with IC50s of 0.17 μM and 0.20 μM, respectively. Tandutinib can be used to treat acute myelogenous leukemia (AML).

Purity: 99.81%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 50 mg, 100 mg

TG101209

TG101209 is a selective JAK2 inhibitor with IC50 of 6 nM, less potent to JAK3 and RET with IC50 of 25 nM and 17 nM, appr 30-fold selective for JAK2 than JAK3, and sensitive to JAK2V617F and MPLW515L/K mutations.

Purity: 98.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

TCS 359

TCS 359, a 2-acylaminothiophene-3-carboxamide, is a potent inhibitor of FLT3 with IC50 of 42 nM.

Purity: 99.51%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

UNC2025

UNC2025 is a potent and orally bioavailable Mer/Flt3 dual inhibitor with IC50 of 0.8/0.74 nM for Mer/Flt3.

Purity: 99.97%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg
UNC2025 hydrochloride

UNC2025 hydrochloride is a potent and orally bioavailable Mer/Flt3 dual inhibitor with IC50 of 0.8/0.74 nM for Mer/Flt3.

Purity: 99.83%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg