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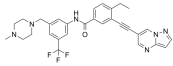
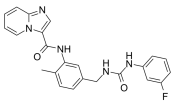
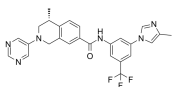
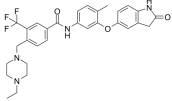
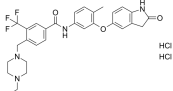
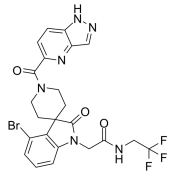
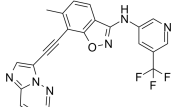
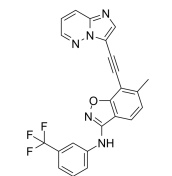
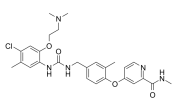
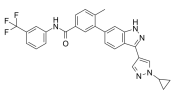
Inhibitors, Screening Libraries, Proteins

Discoidin Domain Receptor

Discoidin domain receptors (DDR) are members of the transmembrane receptor tyrosine kinase (RTK) superfamily which are distinguished from others by the presence of a discoidin motif in the extracellular domain and their utilization of collagens as internal ligands. Two types of DDRs, DDR1 and DDR2, have been identified with distinct expression profiles and ligand specificities.

Upon collagen binding, DDRs transduce cellular signaling involved in various cell functions, including cell adhesion, proliferation, differentiation, migration, and matrix homeostasis. Altered DDR function resulting from either mutations or overexpression has been implicated in several types of disease, including atherosclerosis, inflammation, cancer, and tissue fibrosis. DDRs have been considered as novel potential molecular targets for drug discovery and increasing efforts are being devoted to the identification of new small molecule inhibitors targeting the receptors.

Discoidin Domain Receptor Inhibitors

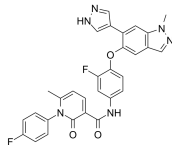
<p>7rh (DDR1-IN-2)</p> <p>Cat. No.: HY-U00444</p> <p>7rh (DDR1-IN-2) is a potent inhibitor of discoidin domain receptor 1 (DDR1), with an IC_{50} of 13.1 nM, and also less potently inhibits DDR2, with an IC_{50} of 203 nM.</p>  <p>Purity: 98.62% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg</p>	<p>DDR Inhibitor</p> <p>Cat. No.: HY-W018931</p> <p>DDR Inhibitor is a potent discoidin domain receptor (DDR) inhibitor, with an IC_{50} of 3.3 nM for DDR2, and shows 53% inhibition on DDR1 at 1.5 nM.</p>  <p>Purity: 99.43% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg</p>
<p>DDR-TRK-1</p> <p>Cat. No.: HY-100695</p> <p>DDR-TRK-1 is a selective Discoidin Domain Receptor 1 (DDR1) inhibitor, with an IC_{50} value of 9.4 nM. DDR-TRK-1 also inhibits TRK family.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>DDR1-IN-1</p> <p>Cat. No.: HY-13979</p> <p>DDR1-IN-1 is a potent and selective DDR1 receptor tyrosine kinase inhibitor with an IC_{50} of 105 nM; 4-fold less potent for DDR2 (IC_{50} = 413 nM).</p>  <p>Purity: 98.20% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>DDR1-IN-1 dihydrochloride</p> <p>Cat. No.: HY-13979A</p> <p>DDR1-IN-1 dihydrochloride is a potent and selective DDR1 receptor tyrosine kinase inhibitor with an IC_{50} of 105 nM; 4-fold less potent for DDR2 (IC_{50} = 413 nM).</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>DDR1-IN-4</p> <p>Cat. No.: HY-114173</p> <p>DDR1-IN-4 (Compound 2.45) is a selective and potent Discoidin Domain Receptor 1 (DDR1) autophosphorylation inhibitor, with IC_{50} values of 29 nM and 1.9 μM for DDR1 and DDR2, respectively.</p>  <p>Purity: 98.01% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>DDR1-IN-5</p> <p>Cat. No.: HY-133669</p> <p>DDR1-IN-5 is a selective Discoidin Domain Receptor family, member 1 (DDR1) inhibitor with an IC_{50} of 7.36 nM. DDR1-IN-5 inhibits auto-phosphorylation DDR1b (Y513) with an IC_{50} of 4.1 nM. DDR1-IN-5 has anti-cancer activity.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>DDR1-IN-6</p> <p>Cat. No.: HY-133670</p> <p>DDR1-IN-6 is a selective Discoidin Domain Receptor family, member 1 (DDR1) inhibitor with an IC_{50} of 9.72 nM. DDR1-IN-6 inhibits auto-phosphorylation DDR1b (Y513) with an IC_{50} of 9.7 nM. DDR1-IN-6 has anti-cancer activity.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>DDR2-IN-1</p> <p>Cat. No.: HY-112545</p> <p>DDR2-IN-1 is potent DDR2 inhibitor with an IC_{50} of 26 nM. DDR2-IN-1, compound 129, can be used for osteoarthritis research.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>FGFR1/DDR2 inhibitor 1</p> <p>Cat. No.: HY-114311</p> <p>FGFR1/DDR2 inhibitor 1 is an orally active inhibitor of fibroblast growth factor receptor 1 (FGFR1) and discoidin domain receptor 2 (DDR2), with IC_{50} values of 31.1 nM and 3.2 nM, respectively. Antitumor activity.</p>  <p>Purity: 99.03% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>

Merestinib

(LY2801653)

Cat. No.: HY-15514

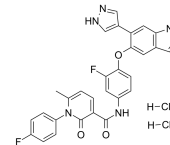
Merestinib (LY2801653) is a potent, orally bioavailable **c-Met** inhibitor ($K_i=2$ nM) with anti-tumor activities.

**Purity:** 99.99%**Clinical Data:** Phase 2**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg**Merestinib dihydrochloride**

(LY2801653 dihydrochloride)

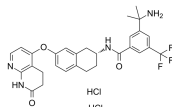
Cat. No.: HY-15514A

Merestinib dihydrochloride (LY2801653 dihydrochloride) is a potent, orally bioavailable **c-Met** inhibitor ($K_i=2$ nM) with anti-tumor activities.

**Purity:** 99.36%**Clinical Data:** Phase 2**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg**ML786 dihydrochloride**

Cat. No.: HY-14979A

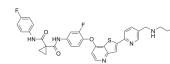
ML786 dihydrochloride is a potent and orally bioavailable **Raf** inhibitor, with IC_{50} s of 2.1, 4.2, and 2.5 nM for V^{600E} **ΔB-Raf**, **wt B-Raf**, and **C-Raf**, respectively. ML786 dihydrochloride also inhibits **Abl-1**, **DDR2**, **EPHA2**, **KDR**, and **RET** (IC_{50} = <0.5, 7.0, 11, 6.2, 0.8 nM).

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

(MGCD516; MG-516)

Cat. No.: HY-16961

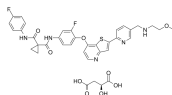
Sitravatinib (MGCD516) is an orally bioavailable **receptor tyrosine kinase (RTK)** inhibitor with IC_{50} s of 1.5 nM, 2 nM, 2 nM, 5 nM, 6 nM, 6 nM, 8 nM, 0.5 nM, 29 nM, 5 nM, and 9 nM for **Axl**, **MER**, **VEGFR3**, **VEGFR2**, **VEGFR1**, **KIT**, **FLT3**, **DDR2**, **DDR1**, **TRKA**, **TRKB**, respectively.

**Purity:** 99.59%**Clinical Data:** Phase 3**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg**Sitravatinib malate**

(MGCD516 malate; MG-516 malate)

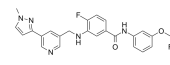
Cat. No.: HY-16961A

Sitravatinib malate (MGCD516 malate) is an orally bioavailable **receptor tyrosine kinase (RTK)** inhibitor with IC_{50} s 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:** Phase 3**Size:** 1 mg, 5 mg**VU6015929**

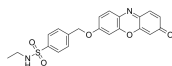
Cat. No.: HY-135401

VU6015929 is a potent, selective and orally active **dual discoidin domain receptor 1/2 (DDR1/2)** inhibitor with IC_{50} s of 4.67 nM and 7.39 nM, respectively. VU6015929 potently blocks collagen-induced **DDR1** activation and collagen-IV production.

**Purity:** 98.10%**Clinical Data:** No Development Reported**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg**WRG-28**

Cat. No.: HY-114169

WRG-28 is a selective, extracellularly acting **DDR2** allosteric inhibitor with an IC_{50} of 230 nM. WRG-28 uniquely inhibits receptor-ligand interactions via allosteric modulation of the receptor.

**Purity:** 99.42%**Clinical Data:****Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg