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Inhibitors, Agonists, Screening Libraries

# Discoidin Domain Receptor

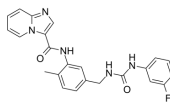
Discoidin domain receptors (DDR) are receptor tyrosine kinases with the unique ability among receptor tyrosine kinases to respond to collagen. Several signaling molecules have been implicated in DDR signaling, including Shp-2, Src, and MAPK pathways. DDRs have been reported to induce the expression of various genes including matrix metalloproteinases and bone morphogenetic proteins, but the regulatory mechanisms underlying DDR-induced gene expression remain to be determined. DDRs regulate cell-collagen interactions in normal and pathological conditions and thus are emerging as major sensors of collagen matrices and potential novel therapeutic targets.

## Discoidin Domain Receptor Inhibitors

### DDR Inhibitor

Cat. No.: HY-W018931

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.

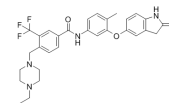


**Purity:** 97.85%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg

### DDR1-IN-1

Cat. No.: HY-13979

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).

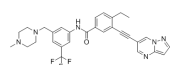


**Purity:** 98.20%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### DDR1-IN-2

Cat. No.: HY-U00444

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.

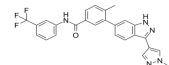


**Purity:** 98.62%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

### FGFR1/DDR2 inhibitor 1

Cat. No.: HY-114311

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.



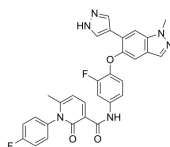
**Purity:** 99.03%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Merestinib

(LY2801653)

Cat. No.: HY-15514

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



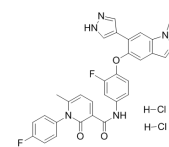
**Purity:** 99.99%  
**Clinical Data:** Phase 2  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### 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.

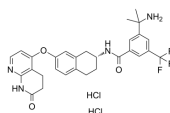


**Purity:** 99.02%  
**Clinical Data:** Phase 2  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### ML786 dihydrochloride

Cat. No.: HY-14979A

ML786 dihydrochloride potent and orally bioavailable **Raf** inhibitor, with  $IC_{50}$ s of 2.1, 4.2, and 2.5 nM for  $V600E$  **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).



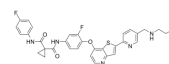
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### 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.

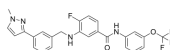


**Purity:** 99.85%  
**Clinical Data:** Phase 3  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### 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.

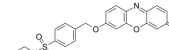


**Purity:** 98.10%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### 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