# Ripretinib

**Cat. No.:** HY-112306

**CAS No.:** 1442472-39-0

**Molecular Formula:** $C_{24}H_{21}BrFN_5O_2$

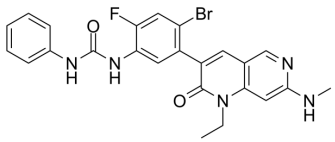
**Molecular Weight:** 510.36

**Target:** c-Kit; PDGFR; FLT3; VEGFR; Apoptosis

**Pathway:** Protein Tyrosine Kinase/RTK; Apoptosis

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 25 mg/mL (48.99 mM; Need ultrasonic)

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.9594 mL | 9.7970 mL | 19.5940 mL |
| | 5 mM | 0.3919 mL | 1.9594 mL | 3.9188 mL |
| | 10 mM | 0.1959 mL | 0.9797 mL | 1.9594 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.08 mg/mL (4.08 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.08 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.08 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Ripretinib (DCC-2618) is an orally bioavailable, selective KIT and PDGFRA switch-control inhibitor. Ripretinib (DCC-2618) targets and binds to both wild-type and mutant forms of KIT and PDGFRA specifically at their switch pocket binding sites, thereby preventing the switch from inactive to active conformations of these kinases and inactivating their wild-type and mutant forms. Ripretinib (DCC-2618) also inhibits multiple other kinase targets, such as FLT3 and KDR (or VEGFR-2)[1][2]. DCC-2618 exerts antineoplastic effect and induces apoptosis[3].

| $IC_{50}$ & Target | | |
|---|---|---|
| | PDGFRA | KIT |

| | |
|---|---|
| **In Vitro** | Ripretinib (DCC-2618) suppresses phosphorylation of KIT and decreases the expression of phosphosphorylated (p)STAT5, pAKT and pERK1/2 in neoplastic mast cells. Ripretinib inhibits the growth of ROSA$^{KIT\ K509I}$ cells with an $IC_{50}$ of 34 ± 10 nM, and also induces apoptosis in these cells. Ripretinib (0.1-1.0 μM) inhibits IgE-dependent histamine release from basophils and spontaneous tryptase release from neoplastic mast cells, and also counteracts growth and survival of leukemic monocytes and blast cells at 0.01-5 μM[2].<br>?Ripretinib (DCC-2618) is a pan-KIT and PDGFRA inhibitor, shows cytotoxic activity against gastrointestinal stromal tumors[4].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES


[1]. Schneeweiss M, et al. The KIT and PDGFRA switch-control inhibitor DCC-2618 blocks growth and survival of multiple neoplastic cell types in advanced mastocytosis. Haematologica. 2018 May;103(5):799-809.

[2]. KIT/PDGFR Inhibitor DCC-2618.

[3]. BLU-285, DCC-2618 Show Activity against GIST. Cancer Discov. 2017 Feb;7(2):121-122.





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