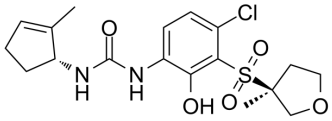
CXCR2-IN-2

Cat. No.:	HY-120878
CAS No.:	1838123-21-9
Molecular Formula:	$C_{18}H_{23}ClN_2O_5S$
Molecular Weight:	414.9
Target:	CXCR
Pathway:	GPCR/G Protein; Immunology/Inflammation
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 240 mg/mL (578.45 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4102 mL	12.0511 mL	24.1022 mL
5 mM	0.4820 mL	2.4102 mL	4.8204 mL
10 mM	0.2410 mL	1.2051 mL	2.4102 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6 mg/mL (14.46 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CXCR2-IN-2 is a selective, brain penetrant, and orally bioavailable CXCR2 antagonist (IC_{50}=5.2 nM/1 nM in β-arrestin assay/CXCR2 Tango assay, respectively). CXCR2-IN-2 displays ~730-fold selectivity over CXCR1 and >1900-fold selectivity over all other chemokine receptors. CXCR2-IN-2 inhibits human whole blood Gro-α induced CD11b expression with an IC_{50} of 0.04 μM[1].

IC_{50} & Target

CXCR2	CXCR1
5.2 nM (IC_{50})	3.8 μM (IC_{50})

In Vivo

CXCR2-IN-2 (compound 68) (1-10 mg/kg; p.o.; twice daily for 3 days) dose-dependently reduces neutrophil infiltration in vivo in rat and mouse air pouch models[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-8 week old male C57Bl/6 mice (Air Pouch Model in Mouse)[1]
Dosage:	1, 3, and 10 mg/kg
Administration:	P.o.; twice daily for 3 days
Result:	Significantly inhibited neutrophil infiltration into mouse air pouch.

Animal Model:	8-10 week old male Wistar rats (Air Pouch Model in Rat)[1]
Dosage:	1, 3, and 10 mg/kg
Administration:	P.o.; twice daily for 3 days
Result:	Inhibited neutrophil migration to air pouch in rat.

CUSTOMER VALIDATION

- J Inflamm Res. 2021 Apr 12;14:1375-1385.

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REFERENCES

[1]. Lu H, et al. Discovery of Novel 1-Cyclopentenyl-3-phenylureas as Selective, Brain Penetrant, and Orally Bioavailable CXCR2 Antagonists. J Med Chem. 2018;61(6):2518-2532.

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