# SX-517

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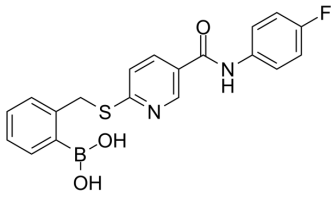
| **Cat. No.:** | HY-12927 |
| **CAS No.:** | 1240494-13-6 |
| **Molecular Formula:** | $C_{19}H_{16}BFN_2O_3S$ |
| **Molecular Weight:** | 382.22 |
| **Target:** | CXCR |
| **Pathway:** | GPCR/G Protein; Immunology/Inflammation |
| **Storage:** | 4°C, sealed storage, away from moisture and light<br>* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light) |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (261.63 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.6163 mL | 13.0815 mL | 26.1629 mL |
| | 5 mM | 0.5233 mL | 2.6163 mL | 5.2326 mL |
| | 10 mM | 0.2616 mL | 1.3081 mL | 2.6163 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: ≥ 3.75 mg/mL (9.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.54 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

SX-517 is a dual CXCR2/1 antagonist, containing boronic acid. SX-517 inhibits CXCL1-induced $Ca^{2+}$ flux ($IC_{50}$=38 nM), and antagonizes CXCL8-induced [(35)S]GTPγS binding ($IC_{50}$=60 nM) and ERK1/2 phosphorylation. SX-517 has significant ability for inflammation suppression, in both humanized polymorphonuclear (PMN) cells and in murine model[1][2].

| $IC_{50}$ & Target | | |
|---|---|---|
| | CXCR2 | CXCR1 |

**In Vitro**

SX-517 (compound 7) (0.1 nM-0.1 mM; 60 min) potently inhibits [35S]GTPγS binding induced by 10 nM CXCL8 with an IC50 of 60 nM[1].

SX-517 (10 μM; 60 min) has inhibitory effect on the cell surface expression of CXCR2 in HEK293 cells[1].

SX-517 (10 μM; 0-30 min) blocks CXCR2-mediated phosphorylation of ERK1/2 in HEK293 cells[1].

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

Western Blot Analysis[1]

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| Cell Line: | HEK293 cells |
| Concentration: | 10 μM; with or without 100 ng/mL CXCL-8 |
| Incubation Time: | 0, 5, 15, 30 min |
| Result: | Completely blocked CXCL-8-induced phosphorylation of ERK1/2 by 30 min. |

**In Vivo**

SX-517 (compound 7) (0.2 mg/kg; iv; single dose) significantly inhibits inflammation in an in vivo murine model[1].

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

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| Animal Model: | Male CD1 SWISS mice with an air-pouch on the backs (10-15 week old)[1] |
| Dosage: | 0.02 mg/kg, 0.2 mg/kg |
| Administration: | Intravenous injection; single dose |
| Result: | Significant reduction in cell count in the pouches of treated animals compared to the positive control cohort. |

## REFERENCES


[1]. 2-[5-(4-Fluorophenylcarbamoyl)pyridin-2-ylsulfanylmethyl]phenylboronic Acid (SX-517): Noncompetitive Boronic Acid Antagonist of CXCR1 and CXCR2. J Med Chem. 2014 Oct 23;57(20):8378-97.

[2]. Ti H, et al. Targeted Treatments for Chronic Obstructive Pulmonary Disease (COPD) Using Low-Molecular-Weight Drugs (LMWDs). J Med Chem. 2019 Jul 11;62(13):5944-5978.





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