LIT-927

Cat. No.: HY-112709

CAS No.: 2172879-52-4

Molecular Formula: $C_{17}H_{13}ClN_2O_3$

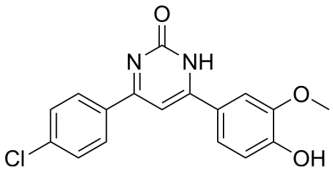
Molecular Weight: 328.75

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 : 12.5 mg/mL (38.02 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0418 mL	15.2091 mL	30.4182 mL
5 mM	0.6084 mL	3.0418 mL	6.0837 mL
10 mM	0.3042 mL	1.5209 mL	3.0418 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: ≥ 1.25 mg/mL (3.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LIT-927 is a locally and orally active CXCL12 neutraligand with anti-inflammatory effect, with a K_i of 267 nM for CXCL12 binding to its specific receptor CXCR4[1] .

IC_{50} & Target

CXCL12/CXCR4
267 nM (Ki)

In Vitro

LIT-927 is a locally and orally active CXCL12 neutraligand with anti-inflammatory effect, with a K_i of 267 nM for CXCL12 binding to CXCR4. Compounds 50, 57 (LIT-927), 65, and 67 are the most potent and soluble cyclic neutraligands identified in vitro and are representative of four novel chemotypes: pyrazoline, pyrimidinone, benzofuranone, and chromanone. LIT-927 is bound to a wide and accessible pocket, which is incompatible with the observed nanomolar binding affinities of our neutraligands[1].

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

In Vivo	LIT-927 (compound 57) reduces eosinophil recruitment in a murine model of allergic airway hypereosinophilia, LIT-927 is the only one to display inhibitory activity following oral administration. Combined with a high binding selectivity for CXCL12 over other chemokines, LIT-927 represents a powerful pharmacological tool to investigate CXCL12 physiology in vivo and to explore the activity of chemokine neutralization in inflammatory and related diseases[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Regenass P, et al. Discovery of a Locally and Orally Active CXCL12 Neutraligand (LIT-927) with Anti-inflammatory Effect in a Murine Model of Allergic Airway Hypereosinophilia. J Med Chem. 2018 Sep 13;61(17):7671-7686.

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