ACT-389949

Cat. No.: HY-124071

CAS No.: 1258417-54-7

Molecular Formula: $C_{20}H_{18}F_2N_6O_3$

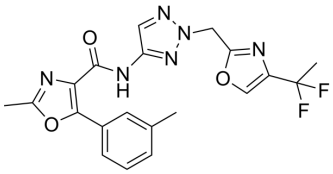
Molecular Weight: 428

Target: Formyl Peptide Receptor (FPR)

Pathway: GPCR/G Protein

Storage: Powder -20°C 3 years

* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (23.36 mM; ultrasonic and adjust pH to 1 with HCl)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3364 mL	11.6822 mL	23.3645 mL
	5 mM	0.4673 mL	2.3364 mL	4.6729 mL
	10 mM	0.2336 mL	1.1682 mL	2.3364 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: 2.5 mg/mL (5.84 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.84 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ACT-389949 is a first-in-class, potent and selective and agonist of formyl peptide receptor type 2 (FPR2)/Lipoxin A4 receptor (ALX), with an EC_{50} of 3 nM for FPR2/ALX internalization into monocytes. ACT-389949 has potential for the treatment of inflammatory disorders[1][2].

IC_{50} & Target

(FPR2)/(ALX)[1]

In Vivo

ACT-389949 has well tolerated. Maximum concentrations are reached around 2 hours after dosing, with a mean terminal half-life of 29.3 hours[1].

Administration of ACT-389949 results in a dose-dependent, long-lasting internalization of FPR2/ALX into leukocytes[1].

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

REFERENCES

[1]. Stalder AK,et al. Biomarker-guided clinical development of the first-in-class anti-inflammatory FPR2/ALX agonist ACT-389949. Br J Clin Pharmacol. 2017 Mar;83(3):476-486.

[2]. Lind S, et al. Functional and signaling characterization of the neutrophil FPR2 selective agonist Act-389949. Biochem Pharmacol. 2019 Aug;166:163-173.

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