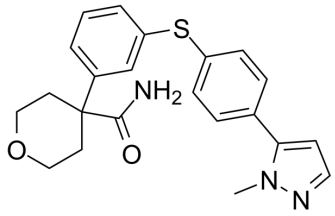
PF-4191834

Cat. No.:	HY-117048
CAS No.:	1029317-21-2
Molecular Formula:	$C_{22}H_{23}N_3O_2S$
Molecular Weight:	393.5
Target:	Lipoxygenase
Pathway:	Metabolic Enzyme/Protease
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 : 100 mg/mL (254.13 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5413 mL	12.7065 mL	25.4130 mL
5 mM	0.5083 mL	2.5413 mL	5.0826 mL
10 mM	0.2541 mL	1.2706 mL	2.5413 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.35 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-4191834 (PF-04191834) is an orally active, noniron chelating, and non-redox inhibitor of the 5-Lipoxygenase (5-LOX) (IC_{50} =229 nM), displays ~300-fold selectivity for 5-LOX over 12-LOX and 15-LOX, shows no activity toward the cyclooxygenase enzymes, and is effective in inflammation and pain[1].

IC_{50} & Target

5-LOX

In Vitro

PF-4191834 (PF-04191834) inhibits the synthesis of the 5-LOX products 5-HETE, 5-oxo-ETE, LTB4, and LTE4 with estimated IC_{50} values between 100 and 190 nM and do not inhibit significantly the COX-1/2 enzymes or the 12- or 15-LOX enzymes at concentrations up to 30 μM[1].

PF-4191834 (PF-04191834) exerts a concentration-dependent inhibition of human 5-LOX, with an IC_{50} value of 130 nM and an

IC_{80} value of 370 nM[1].

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

REFERENCES

[1]. Masferrer JL, et al. Pharmacology of PF-4191834, a novel, selective non-redox 5-lipoxygenase inhibitor effective in inflammation and pain. J Pharmacol Exp Ther. 2010 Jul;334(1):294-301.

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