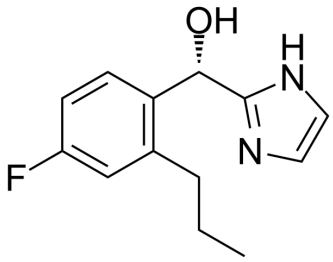
AZ8838

Cat. No.:	HY-123617
CAS No.:	2100285-41-2
Molecular Formula:	$C_{13}H_{15}FN_2O$
Molecular Weight:	234.27
Target:	Protease Activated Receptor (PAR)
Pathway:	GPCR/G Protein
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 : 200 mg/mL (853.72 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.2686 mL	21.3429 mL	42.6858 mL
5 mM	0.8537 mL	4.2686 mL	8.5372 mL
10 mM	0.4269 mL	2.1343 mL	4.2686 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: ≥ 5 mg/mL (21.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (21.34 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (21.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AZ8838 is a potent, competitive, allosteric, orally active non-peptide small molecule antagonist of PAR2 with a pK_i of 6.4 for hPAR2[1].

IC_{50} & Target

PAR2
6.4 (pKi)

In Vitro

AZ8838 binds in an occluded pocket[1].

	AZ8838 is a potent antagonist against SLIGRL-NH_2 in the Ca^{2+} assay with a pIC_{50} of 5.70 ± 0.02[1]. AZ8838 shows a potency trend when inhibiting IP1 production (pIC_{50} = 5.84 ± 0.02)[1]. AZ8838 attenuates both peptide-induced phosphorylation of ERK1/2 (pIC_{50} = 5.7 ± 0.1) and β-arrestin-2 recruitment (pIC_{50} = 6.1 ± 0.1)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AZ8838 (10 mg/kg; p.o.; 2 h prior) is anti-inflammatory in a PAR2 agonist-induced rat paw oedema model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Wistar rats, PAR2 agonist 2f-LIGRLO-NH_2 induced acute oedema model[1]
Dosage:	10 mg/kg
Administration:	Oral administration, once, 2 h prior
Result:	Showed 60% reduction of paw swelling. Inhibited 2f-LIGRLO-NH_2 induced activated mast cells, inhibited 2f-LIGRLO-NH_2 decreased tryptase-positive (AA1+ve) intact mast cells in paw, blocked histamine release.

REFERENCES

[1]. Kennedy AJ, et al. Protease-activated receptor-2 ligands reveal orthosteric and allosteric mechanisms of receptor inhibition. Commun Biol. 2020 Dec 17;3(1):782.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA