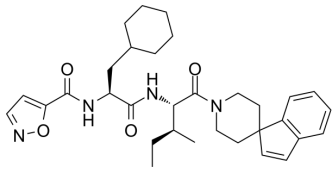
GB-88

Cat. No.:	HY-120261
CAS No.:	1416435-96-5
Molecular Formula:	$C_{32}H_{42}N_4O_4$
Molecular Weight:	546.7
Target:	Protease Activated Receptor (PAR)
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 31.25 mg/mL (57.16 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8292 mL	9.1458 mL	18.2916 mL
	5 mM	0.3658 mL	1.8292 mL	3.6583 mL
	10 mM	0.1829 mL	0.9146 mL	1.8292 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: ≥ 2.08 mg/mL (3.80 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.80 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GB-88 is an oral, selective non-peptide antagonist of PAR2, inhibits PAR2 activated Ca^{2+} release with an IC_{50} of 2 μM[1].

IC_{50} & Target

PAR2

In Vitro

GB-88 inhibits iCa^{2+} release induced in HT29 cells by trypsin, 2f-LIGRLO-NH2 and GB110 (PAR2 agonists). And antagonism by GB-88 is agonist dependent[1].

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

In Vivo

GB-88 (10 mg/kg, p.o. in olive oil) is both orally active and anti-inflammatory in vivo, with specific antagonist activity against four structurally and mechanistically different PAR2 agonists (2f-LIGRLO-NH2, trypsin, SLIGRL-NH2 and GB110)[1].
GB-88 inhibits PAR2-induced acute inflammation in vivo[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats (8–9 weeks)[1]
Dosage:	10 mg/kg
Administration:	Oral gavage in olive oil
Result:	Was both orally active and anti-inflammatory in vivo, with specific antagonist activity against four structurally and mechanistically different PAR2 agonists (2f-LIGRLO-NH2, trypsin, SLIGRL-NH2 and GB110).

CUSTOMER VALIDATION

- Am J Physiol Lung Cell Mol Physiol. 2021 Mar 3.

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REFERENCES

[1]. Suen JY, et al. Modulating human proteinase activated receptor 2 with a novel antagonist (GB88) and agonist (GB110). Br J Pharmacol. 2012 Mar;165(5):1413-23.

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