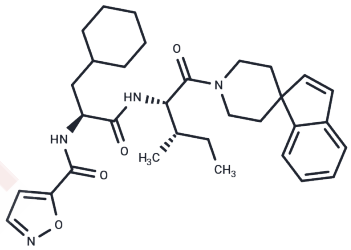
Data Sheet (Cat.No.T11370)

TargetMol

GB-88

Chemical Properties



CAS No. : 1416435-96-5

Formula: C32H42N4O4

Molecular Weight: 546.70

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GB-88 is an orally active, highly selective, non-peptide PAR2 antagonist that blocks downstream inflammatory and pain signaling pathways by inhibiting PAR2-mediated Ca^{2+} release (IC_{50} = 2 μM). GB-88 exhibits antagonistic activity against various PAR2 agonists, including trypsin, SLIGRL-NH_2, and GB110, and shows no significant cross-reactivity with PAR1, PAR3, or PAR4. GB-88 is suitable for research into diseases such as inflammation, pain, and metabolic disorders.
Targets(IC50)	Protease-activated Receptor
In vitro	Methods: A549 cells were pretreated with GB-88 (50 μM). Following pretreatment, nTyr-p39 (5 μg/ml) was added, and the cells were incubated until morphological changes occurred. Immunofluorescence staining and two-photon microscopy were used to examine cell junctions. Results: nTyr-p39 disrupted the localization of ZO-1 (tight junctions) and E-cadherin (adhesion junctions); pretreatment with GB-88 attenuated this disruption. [1] Methods: Primary rat DRG neurons were pre-incubated with GB-88 (10 μM) for 30 minutes, followed by the addition of trypsin (10 nM). Activation of DRG neurons was monitored in real time for 5 minutes using Fura-2 AM calcium imaging (340/380 nm ratio). Results: Trypsin induced a rapid, transient calcium increase; GB-88 (10 μM) suppressed both the amplitude of the response and the proportion of responding neurons.[2]
In vivo	Methods: To investigate the inhibitory effects of GB-88 on protease-induced inflammation and pain, male C57BL/6 mice were administered GB-88 (10 mg/kg) via oral gavage. Two hours later, cathepsin-S (2.5 μM (0.06 U/μL), 10 μL per paw) was injected subcutaneously into the plantar region of each paw. Relevant parameters were measured 1-4 hours after injection. Results: GB-88 completely inhibited cathepsin-S-induced edema and suppressed mechanical and thermal allodynia. [2]

Solubility Information

Solubility	DMSO: 25.00 mg/mL (45.73 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2.00 mg/mL (3.66 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0366 mL	0.1829 mL	0.3658 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Wang YJ, et al. Antagonism of Protease Activated Receptor-2 by GB88 Reduces Inflammation Triggered by Protease Allergen Tyr-p3. Front Immunol. 2021;12:557433. Published 2021 Sep 8.
Lieu T, et al. Antagonism of the proinflammatory and pronociceptive actions of canonical and biased agonists of protease-activated receptor-2. Br J Pharmacol. 2016;173(18):2752-2765.
Suen JY, et al. Modulating human proteinase activated receptor 2 with a novel antagonist (GB88) and agonist (GB110). Br J Pharmacol. 2012;165(5):1413-1423.