GB-110 hydrochloride

Cat. No.: HY-120528A

Molecular Formula: $C_{33}H_{49}ClN_6O_5$

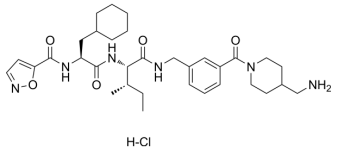
Molecular Weight: 645.23

Target: Protease Activated Receptor (PAR)

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (193.73 mM; Need ultrasonic)

H_2O : 25 mg/mL (38.75 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5498 mL	7.7492 mL	15.4983 mL
5 mM	0.3100 mL	1.5498 mL	3.0997 mL
10 mM	0.1550 mL	0.7749 mL	1.5498 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: ≥ 2.33 mg/mL (3.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.33 mg/mL (3.61 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.33 mg/mL (3.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GB-110 hydrochloride is a potent, orally active, and nonpeptidic protease activated receptor 2 (PAR2) agonist. GB-110 hydrochloride selectively induces PAR2-mediated intracellular Ca^{2+} release in HT29 cells with an EC_{50} of 0.28 μM[1].

IC_{50} & Target

PAR2

In Vitro

In an intracellular Ca^{2+} (iCa^{2+}) mobilization assay using HT29 colon cancer cells, GB110 (EC_{50} 240±20 nM; pEC_{50} 6.7±0.05) is equipotent with the peptide agonist 2f-LIGRLO-NH2 (EC_{50} 210±30 nM; pEC_{50} 6.6±0.05), 10-fold more potent than SLIGRL-NH2, but ~35-fold less potent than trypsin (EC_{50} 6±0.5 nM; pEC_{50} 8.2±0.8)[2].

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

CUSTOMER VALIDATION

- Cell Mol Gastroenterol Hepatol. 2022 Jul 14;S2352-345X(22)00157-6.

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REFERENCES

[1]. Barry GD, et al. Novel agonists and antagonists for human protease activated receptor 2. J Med Chem. 2010 Oct 28;53(20):7428-40.

[2]. 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.

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