GB-110 hydrochloride

Cat. No.:	HY-120528A	
Molecular Formula:	C ₃₃ H ₄₉ ClN ₆ O 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, 2 years; -20°C, 1 year (sealed storage, away from moisture)	

SOLVENT & SOLUBILITY

	H ₂ O : 25 mg/mL (38.75 mM; Need ultrasonic) Mass							
	Preparing Stock Solutions	Solvent Concentration	1 mg	5 mg	10 mg			
		1 mM	1.5498 mL	7.7492 mL	15.4983 mL			
	Stock Solutions	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] .			

IC ₅₀ & Target	PAR2
In Vitro	In an intracellular Ca^{2+} (i Ca^{2+}) mobilization

H-CI

_NH₂



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.

Caution: Product has not been fully validated for medical applications. For research use only.

 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