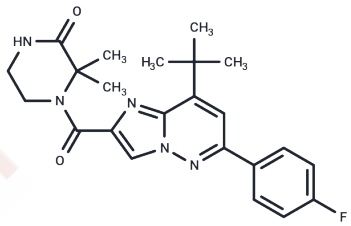
Data Sheet (Cat.No.T7137)

TargetMol

I-191

Chemical Properties



CAS No. : 1690172-25-8

Formula: C23H26FN5O2

Molecular Weight: 423.48

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	I-191 is a potent antagonist of protease-activated receptor 2 (PAR2), inhibits multiple signaling functions in human cancer cells.
Targets(IC50)	Protease-activated Receptor
In vitro	At nanomolar concentrations, I-191 inhibited PAR2 binding of and activation by structurally distinct PAR2 agonists (trypsin, peptide, nonpeptide) in a concentration-dependent manner in cells of the human colon adenocarcinoma grade II cell line (HT29).?I-191 potently attenuated multiple PAR2-mediated intracellular signaling pathways leading to Ca2+ release, extracellular signal-regulated kinase 1/2 (ERK1/2) phosphorylation, Ras homologue gene family, member A (RhoA) activation, and inhibition of forskolin-induced cAMP accumulation.?The mechanism of action of I-191 was investigated using binding and calcium mobilization studies in HT29 cells where I-191 was shown to be noncompetitive and a negative allosteric modulator of the agonist 2f-LIGRL-NH2 The compound alone did not activate these PAR2-mediated pathways, even at high micromolar concentrations, indicating no bias in these signaling properties.?I-191 also potently inhibited PAR2-mediated downstream functional responses, including expression and secretion of inflammatory cytokines and cell apoptosis and migration, in human colon adenocarcinoma grade II cell line (HT29) and human breast adenocarcinoma cells (MDA-MB-231).?I-191 is a potent PAR2 antagonist that inhibits multiple PAR2-induced signaling pathways and functional responses.?I-191 may be a valuable tool for characterizing PAR2 functions in cancer and in other cellular, physiological, and disease settings.

Solubility Information

Solubility	DMSO: 8.33 mg/mL (19.67 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3614 mL	11.8069 mL	23.6139 mL
5 mM	0.4723 mL	2.3614 mL	4.7228 mL
10 mM	0.2361 mL	1.1807 mL	2.3614 mL
50 mM	0.0472 mL	0.2361 mL	0.4723 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

Jiang Y , Yau M K , Lim J , et al. A potent antagonist of protease-activated receptor 2 that inhibits multiple signaling functions in human cancer cells[J]. Journal of Pharmacology & Experimental Therapeutics, 2018, 364(2):246.