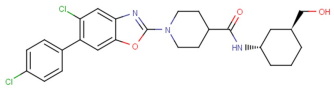
Data Sheet (Cat.No.T16504)

PF-4693627

Chemical Properties



CAS No.:	1312815-93-2
Formula:	C26H29Cl2N3O3
Molecular Weight:	502.43
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	PF-4693627 is an effective and selective microsomal prostaglandin E synthase-1 inhibitor (IC50=3 nM). It is used for the treatment of inflammation caused by osteoarthritis (OA) and rheumatoid arthritis (RA).
Targets(IC_{50})	mPGES-1: 3 nM
In vitro	PF-4693627 displays high activity in lipopolysaccharide (LPS) stimulated human whole blood (HWB) cell assay (IC50=109 nM). PF-4693627 also inhibits mPGES-1 (IC50s: 180 and 6 nM in HWB-1483 and human fetal fibroblast, respectively).
In vivo	PF-4693627 (1.0 mg/kg; i.v.) displays good bioavailability (59%) and modest half-life (t1/2=3.7 h) in Sprague-Dawley rats. PF-4693627 (10 mg/kg; orally) suppresses 63% of PGE2 production relative to vehicle control in the Guinea pig carrageenan stimulated air pouch model[1].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.99 mL	9.952 mL	19.903 mL
5 mM	0.398 mL	1.99 mL	3.981 mL
10 mM	0.199 mL	0.995 mL	1.99 mL
50 mM	0.04 mL	0.199 mL	0.398 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Arhancet GB, et al. Discovery and SAR of PF-4693627, a potent, selective and orally bioavailable mPGES-1 inhibitor for the potential treatment of inflammation. Bioorg Med Chem Lett. 2013 Feb 15;23(4):1114-9.

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