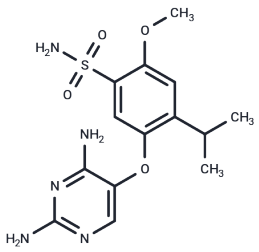
Data Sheet (Cat.No.T5099)

TargetMol

Gefapixant

Chemical Properties



CAS No. : 1015787-98-0

Formula: C14H19N5O4S

Molecular Weight: 353.4

Storage: Store at low temperature,Keep away from moisture
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	Gefapixant (AF219) is a P2X3 receptor (P2X3R) antagonist with IC50 of ~30 nM at recombinant hP2X3 homotrimers and 100-250 nM at hP2X2/3 heterotrimeric receptors.
Targets(IC50)	P2X Receptor
In vitro	The IC50 of Gefapixant has been reported as ~30 nM versus recombinant hP2X3 homotrimers and 100-250 nM at hP2X2/3 heterotrimeric receptors, potencies very similar to those reported for recombinant rat receptors, and it displays no inhibitory impact on any non-P2X3 subunit-containing receptors (IC50 values>>10,000 nM at recombinant homotrimeric hP2X1, hP2X2, hP2X4, rP2X5, and hP2X7 channels).
In vivo	In a rat model for knee osteoarthritis (developed 14 days after the intra-articular injection of monoiodoacetate), oral administration of Gefapixant twice daily for seven days resulted in a significant attenuation of weight bearing asymmetry, with a full reversal of observable hyperalgesia at the two higher doses [2].
Animal Research	A rodent model often employs for assessing the potential for drug effect in osteoarthritis (OA) pain is based on the intraarticular injection of monoiodoacetate (mIOA) into one knee joint of the rat. To measure the effect of Gefapixant on the weight bearing laterality and apparent hyperalgesia, Gefapixant is given by intraplantar or oral administration to the rats, with different concentrations (6, 20, and 60 mg/kg) two times a day and continues up to a week[2].

Solubility Information

Solubility	DMSO: 10 mg/mL (28.3 mM),pH is adjusted to 3 with HCl. H2O: Insoluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8297 mL	14.1483 mL	28.2965 mL
5 mM	0.5659 mL	2.8297 mL	5.6593 mL
10 mM	0.283 mL	1.4148 mL	2.8297 mL
50 mM	0.0566 mL	0.283 mL	0.5659 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

Anthony P. Ford, et al. The therapeutic promise of ATP antagonism at P2X3 receptors in respiratory and urological disorders. Front Cell Neurosci. 2013; 7: 267.
Ford AP, In pursuit of P2X3 antagonists: novel therapeutics for chronic pain and afferent sensitization. Purinergic Signal. 2012 Feb;8(Suppl 1):3-26.