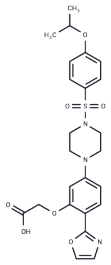
Data Sheet (Cat.No.T5386)

TargetMol

Asapiprant

Chemical Properties



CAS No. : 932372-01-5

Formula: C24H27N3O7S

Molecular Weight: 501.55

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	Asapiprant (S-555739) is a potent and selective DP1 receptor antagonist (Ki: 0.44 nM). It exhibited high affinity and selectivity for the DP1 receptor.
Targets(IC50)	Prostaglandin Receptor
In vitro	Asapiprant strongly inhibited the cAMP elevation elicited by PGD2 in human platelets with a half-maximal inhibitory concentration (IC50) value of 16 nM. Strong inhibition by asapiprant was observed in the cAMP elevation induced by PGD2 in guinea pigs, rats, and sheep with IC50 values (nM) of 61, 74, and 15, respectively.
In vivo	Intranasal challenge with 0.5% PGD2 led to a rapid increase in nasal resistance (sRaw) from 5 min to 60 min in sensitized guinea pigs. Oral administration of asapiprant at 1 and 3 mg/kg significantly suppressed the increase in nasal resistance by 82% and 92%, respectively. By contrast, S-5751 showed partial suppression on PGD2-induced nasal resistance in guinea pigs at 30 mg/kg by 76% that was inferior to the suppression by asapiprant at 3 mg/kg.
Cell Research	The functional antagonist activity of asapiprant on the DP1 receptor was evaluated by examining PGD2-induced elevation of cyclic adenosine monophosphate (cAMP) in platelet-rich plasma derived from venous blood (humans, guinea pigs, and sheep), and in rat DP1-transfected cells stimulated with PGD2, as described elsewhere. The functional antagonist activity of asapiprant on the DP2 receptor was evaluated by examining PGD2-induced shape change of peripheral eosinophils derived from humans and guinea pigs, as reported previously.
Animal Research	After the oral administration of asapiprant or S-5751 to rats, guinea pigs, dogs, and sheep at 10 mg/kg in suspension with 0.5% methylcellulose solution, the plasma concentrations of the drugs were measured by liquid chromatography/tandem mass spectrometry or high-performance liquid chromatography.

Solubility Information

Solubility	DMSO: 10 mg/mL (19.94 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (1.99 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9938 mL	9.9691 mL	19.9382 mL
5 mM	0.3988 mL	1.9938 mL	3.9876 mL
10 mM	0.1994 mL	0.9969 mL	1.9938 mL
50 mM	0.0399 mL	0.1994 mL	0.3988 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

Takahashi G, et al. Effect of the potent and selective DP1 receptor antagonist, asapiprant (S-555739), in animal models of allergic rhinitis and allergic asthma. Eur J Pharmacol. 2015 Oct 15;765:15-23.