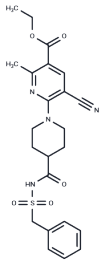
Data Sheet (Cat.No.T3536)

TargetMol

AZD1283

Chemical Properties



CAS No. : 919351-41-0

Formula: C23H26N4O5S

Molecular Weight: 470.54

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	AZD1283 is an effective P2Y12 receptor antagonist (EC50: 3.0 ug/kg/min, binding IC50: 11 nM). AZD1283 dose-dependently induced increases in blood flow and inhibition of ADP-induced platelet aggregation with antithrombotic ED50 values of 3.0 and 10 μg/kg/min, respectively. The doses induced the increase in bleeding time at 33 and 100 μg/kg/min for 3- and 13-fold, respectively. Thus, the therapeutic index (TI) was ≥10 for both compounds.
Targets(IC50)	P2Y Receptor
In vivo	Acute administration of dasotraline dose-dependently decreases the spontaneous firing rate of LC NE, VTA DA and DR 5-HT neurons through the activation of α2, D2 and 5-HT1A autoreceptors, respectively. Dasotraline predominantly inhibits the firing rate of LC NE neurons while producing only a partial decrease in VTA DA and DR 5-HT neuronal discharge. SEP-225289 is equipotent at inhibiting 5-HT and NE transporters since it prolongs to the same extent the time required for a 50% recovery of the firing activity of dorsal hippocampus CA3 pyramidal neurons from the inhibition induced by microiontophoretic application of 5-HT and NE[1]. Average dopamine and serotonin transporter occupancies increase with increasing doses of SEP-225289. Mean dopamine and serotonin transporter occupancies are 33%±11% and 2%±13%, respectively, for 8 mg; 44%±4% and 9%±10%, respectively, for 12 mg; and 49%±7% and 14%±15%, respectively, for 16 mg[2].

Solubility Information

Solubility	DMSO: 22.5 mg/mL (47.82 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: 2 mg/mL (4.25 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	2.1252 mL	10.6261 mL	21.2522 mL
5 mM	0.425 mL	2.1252 mL	4.2504 mL
10 mM	0.2125 mL	1.0626 mL	2.1252 mL
50 mM	0.0425 mL	0.2125 mL	0.425 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

Bach P,et al. Lead optimization of ethyl 6-aminonicotinate acyl sulfonamides as antagonists of the P2Y12 receptor. separation of the antithrombotic effect and bleeding for candidate drug AZD1283. J Med Chem. 2013 Sep 12;56 (17):7015-24.