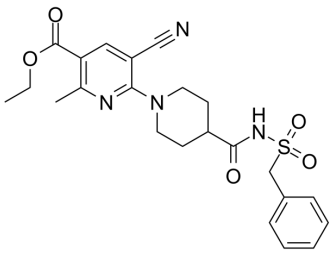
AZD1283

Cat. No.:	HY-15799
CAS No.:	919351-41-0
Molecular Formula:	$C_{23}H_{26}N_4O_5S$
Molecular Weight:	470.54
Target:	P2Y Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (212.52 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1252 mL	10.6261 mL	21.2522 mL
	5 mM	0.4250 mL	2.1252 mL	4.2504 mL
	10 mM	0.2125 mL	1.0626 mL	2.1252 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.31 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AZD1283 is a potent $P2Y_{12}$ receptor antagonist with a binding IC_{50} of 11 nM and a GTPγS IC_{50} of 25 nM. AZD1283 has excellent antiplatelet aggregation potency. AZD1283 can be used to research thromboembolic disorders[1][2].

IC_{50} & Target

P2Y12 Receptor
11 nM (IC_{50})

In Vitro

AZD1283 exhibits excellent antiplatelet aggregation potency with an IC_{50} value of 3.6 μM[1].
AZD1283 has highly inhibitory activity against CYP450 with IC_{50} values of 6.62 μM, 0.399 μM and 4.28 μM and 3.64 μM for CYP2C9, CYP2C19, CYP3A4 (Midazolam as the substrate) and CYP3A4 (Testosterone as the substrate), respectively[1].
AZD1283 induces increases in blood flow and inhibition of ADP-induced platelet aggregation with an antithrombotic EC_{50}

value of 3 μg/(kg×min)[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

AZD1283 exhibits poor liver microsomal stability in rat ($T_{1/2}$ = 6.08 min), but better in dog microsomes ($T_{1/2}$ = 201 min) and human microsomes ($T_{1/2}$ = 65.0 min)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Sprague-Dawley rats[1]
Dosage:	5 mg/kg
Administration:	p.o.; single dosage
Result:	Exhibited a C_{max} of 25.9 ± 11 ng/mL, a $T_{1/2}$ of 1.68 ± 0.37 h and a T_{max} of 0.25 h.

REFERENCES

[1]. Kong D, et al. Optimization of P2Y12 Antagonist Ethyl 6-(4-((Benzylsulfonyl)carbamoyl)piperidin-1-yl)-5-cyano-2-methylnicotinate (AZD1283) Led to the Discovery of an Oral Antiplatelet Agent with Improved Druglike Properties. J Med Chem. 2019 Mar 28;62(6):

[2]. 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.

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