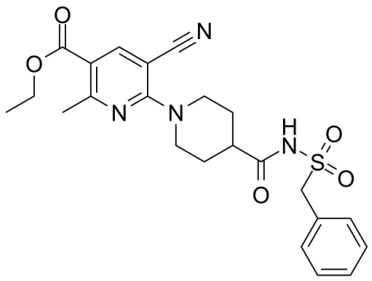
Data Sheet

Product Name:	AZD1283
Cat. No.:	CS-3256
CAS No.:	919351-41-0
Molecular Formula:	C23H26N4O5S
Molecular Weight:	470.54
Target:	P2Y Receptor
Pathway:	GPCR/G Protein
Solubility:	DMSO : 100 mg/mL (212.52 mM; Need ultrasonic); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

AZD1283 is a potent antagonist of the P2Y12 receptor with EC50 of 3.0 ug/kg/min, TI >10; with binding IC50 of 11 nM. IC50 value: 3.0 ug/kg/min(EC50) [1] Target: P2Y12 receptor inhibitor 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 that induced a larger than 3-fold increase in bleeding time were 33 and 100 μg/kg/min for 3 and 13, respectively. Thus, the therapeutic index (TI) was ≥10 for both compounds. On the basis of these data, compound 3 was progressed into human clinical trials as candidate drug AZD1283.

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [1] In a modified Folts dog model the platelet/vessel wall interactions are evaluated by measurement of femoral artery blood flow after mechanical damage of the endothelium followed by approximately 80% stenosis. A blood flow pattern comprising thrombus growth followed by mechanical restoration of artery is known as cyclic flow reductions (CFRs), and an in vivo antithrombotic effect is seen as an abolition of the CFRs. In vivo (blood flow) and ex vivo (platelet aggregation measured with impedance aggregometry) antithrombotic effects, bleeding time, and blood loss (incision in the tongue) are measured during a 30 min control period followed by five consecutive 30 min periods with increasing doses of the test compounds. AZD1283 induced dose-dependent increases in blood flow and inhibition of ADP-induced platelet aggregation, showing an antithrombotic ED50 for AZD1283 of 3.0 μg/(kg × min).

References:

[1]. 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.

CAIndexNames:

3-Pyridinecarboxylic acid, 5-cyano-2-methyl-6-[4-[[[(phenylmethyl)sulfonyl]amino]carbonyl]-1-piperidinyl]-, ethyl ester

SMILES:

CC1=C(C(OCC)=O)C=C(C#N)C(N2CCC(C(NS(=O)(CC3=CC=CC=C3)=O)=O)CC2)=N1

Caution: Product has not been fully validated for medical applications. For research use only.

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