Oral antiplatelet agent 1

Cat. No.: HY-111755

CAS No.: 2299200-91-0

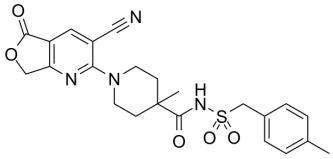
Molecular Formula: $C_{23}H_{24}N_4O_5S$

Molecular Weight: 468.53

Target: P2Y Receptor

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Oral antiplatelet agent 1 is a potent $P2Y_{12}$ receptor antagonist. Oral antiplatelet agent 1 exhibits excellent antiplatelet aggregation potency with an IC_{50} value of 2.94 μM as well as antithrombotic efficacy in a rat ferric chloride model. Oral antiplatelet agent 1 shows a superior safety profile than Clopidogrel (HY-15283) in a rat tail-bleeding model. Oral antiplatelet agent 1 can be used to research thromboembolic disorders[1].
IC_{50} & Target	IC_{50}: 2.94 μM (antiplatelet aggregation)[1]
In Vitro	Oral antiplatelet agent 1 (compound 58l) moderately inhibits CYP3A4 with an IC_{50} of about 1.5 μM, but exhibits weak inhibition in the other main subtypes (IC_{50}>25 μM)[1]. Oral antiplatelet agent 1 does not inhibit hERG even at 40 μM, indicating that it has no QT interval prolongation-related cardiac toxicity[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oral antiplatelet agent 1 (2.5-80 mg/kg; p.o.; single dosage) decreases thrombus weight in $FeCl_3$ thrombosis model rats[1]. Oral antiplatelet agent 1 (2.5-40 mg/kg; p.o.; single dosage) prolongs the bleeding time in tail-bleeding model rats[1]. Oral antiplatelet agent 1 exhibits great stability in both rat and human liver microsomes with the low clearance values and long half-life [human: $T_{1/2}$=208.3 min, Cl_{int}=10.1 mL/(min g protein); rats: $T_{1/2}$=89.1 min, Cl_{int}=10.6 mL/(min g protein)][1]. Oral antiplatelet agent 1 (5 mg/kg; p.o.; single dosage) exhibits excellent pharmacokinetic properties with relatively low clearance, high plasma exposure and good oral bioavailability in rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats (250-300 g, n = 10; $FeCl_3$ thrombosis model)[1]
Dosage:	2.5, 5, 10, 20, 40 and 80 mg/kg
Administration:	p.o.; single dosage
Result:	Decreased thrombus weight in a dose-dependent manner with an ED_{50} of 27 mg/kg compared to that of 7 mg/kg for Clopidogrel (HY-15283).

Animal Model:	Male rats (250-300 g, n = 10; tail-bleeding model)[1]

Dosage:	2.5, 5, 10, 20 and 40 mg/kg
Administration:	p.o.; single dosage
Result:	Prolonged the bleeding time in a dose-dependent manner.

Animal Model:	Male Sprague-Dawley rats (200-220g)[1]
Dosage:	5 mg/kg
Administration:	p.o.; single dosage
Result:	Pharmacokinetic Parameters of Oral antiplatelet agent 1 (compound 58l) in male Sprague-Dawley rats[1].

	C_{max} (ng/mL)	$T_{1/2}$ (h)	T_{max} (h)	$AUC_{0-\infty}$ (ng·h/mL)	MRT (h)
p.o. 5 mg/kg	1661 ± 642	2.91 ± 1.09	0.25	4120 ± 2127	3.64 ± 0.18

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):3088-3106.

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