Product Data Sheet

Product Name: TAK-024
Cat. No.: GC32594

Chemical Properties

Cas. No.	186971-69-7		
SMILES	O=C(O)CN1C([C@H](CCCNC(C2=CC=C(NC(N)=N)C=C2)=O)N(C(CNC(C3=CC=C(NC(N)=N)C=C3)=O)=O)CC1)=O		
Formula	$C_{27}H_{34}N_{10}O_6$	M.Wt	594.62
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	TAK-024		

Protocol

Cell experiment:	Blood is collected from guinea pigs and used in this study. Blood is withdrawn into a plastic syringe containing 3.8% (human and monkey) or 3.15% (guinea pig) sodium citrate (1:10 citrate/blood, v/v). Platelet rich plasma (PRP) and platelet poor plasma (PPP) are obtained by centrifugation at 1000 g for 3 to 5 s and 1000 g for 20 min at room temperature, respectively. PRP (250 µL), in a cuvette stirred at 1000 rpm, is prewarmed for 2 min at 37°C with variousconcentrations of TAK-024 (25 µL). The change in light transmittance is measured after the addition of aggregating agents (25 µL) to the cuvette[1].
Animal experiment:	Male guinea pigs (250 to 400 g) are used in this study. TAK-024 is given as continuous iv infusions, and the vehicle is given to the control animals. Ninety minutes after starting the infusion, citrated blood is collected from the abdominal aorta under anesthesia, and Platelet rich plasma (PRP) is prepared. As the aggregation inducer, ADP (20 µL, submaximal concentration) is used. The bleeding time (BT) is also examined 90 min after starting the infusion[1].

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References:

[1]. Kitamura S, et al. Potent dibasic GPIIb/IIIa antagonists with reduced prolongation of bleeding time: synthesis and pharmacological evaluation of 2-oxopiperazine derivatives. J Med Chem. 2001 Jul 19;44(15):2438-50.

Background

TAK-024 is a platelet inhibitor with IC50s of 31, 79 and 51 nM in human, monkey and guinea pig, respectively.

TAK-024 is a platelet inhibitor with IC50s of 31, 79 and 51 nM in human, monkey and guinea pig, respectively. In a preliminary experiment, the IC50 value of TAK-024 in the heparinized blood sample is 230 nM, 4.5-fold less potent than that in the citrated physiological blood sample. The ID50 value of TAK-024 on ex vivo ADP-induced platelet aggregation in guinea pigs is 0.18 μg/kg/min, the dissociation ratio of TAK-024 is found to be 32[1].

Intravenous infusion of TAK-024 (compound 12c) at 1.6 μg/mL/min completely prevents arterial thrombus formation induced by endothelial injury in guinea pigs. Results demonstrate the inhibitory effects of TAK-024 on the carotid thrombosis induced by balloon injury in guinea pigs and the ID50 value is 0.73 μg/kg/min. A single dose of TAK-024 at 100 μg/kg iv produces almost complete inhibition for 120 min, and about 40% inhibition is observed after 240 min. Dose-dependent inhibition of platelet aggregation is achieved with a single iv dose of 30 to 100 μg/kg of TAK-024[1].

[1]. Kitamura S, et al. Potent dibasic GPIIb/IIIa antagonists with reduced prolongation of bleeding time: synthesis and pharmacological evaluation of 2-oxopiperazine derivatives. J Med Chem. 2001 Jul 19;44(15):2438-50.

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