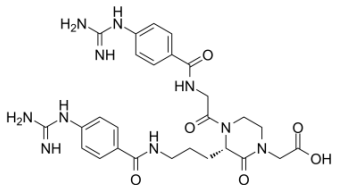
TAK-024

Cat. No.:	HY-100254
CAS No.:	186971-69-7
Molecular Formula:	$C_{27}H_{34}N_{10}O_6$
Molecular Weight:	594.62
Target:	P2Y Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	TAK-024 is a platelet inhibitor with IC_{50}s of 31, 79 and 51 nM in human, monkey and guinea pig, respectively.
IC_{50} & Target	IC50: 31 nM (human platelet), 79 nM (monkey platelet), 51 nM (pig platelet)[1]
In Vitro	TAK-024 is a platelet inhibitor with IC_{50}s of 31, 79 and 51 nM in human, monkey and guinea pig, respectively. In a preliminary experiment, the IC_{50} 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 ID_{50} 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	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 ID_{50} 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	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 Blood is withdrawn into a plastic syringe containing 3.8% (human and monkey) or 3.15% (guinea pig) sodium citrate (1:10 citrate/blood, v/v).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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA