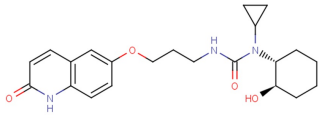
Data Sheet (Cat.No.T15640)

TargetMol
A DRUG SCREENING EXPERT

K134

Chemical Properties



CAS No.:	189362-06-9
Formula:	C22H29N3O4
Molecular Weight:	399.48
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	K134 is an inhibitor of phosphodiesterase 3. The IC50s of K134 for PDE3A, PDE3B, PDE5, PDE2 and PDE4 are 0.1, 0.28, 12.1, >300 and >300 μM, respectively.
Targets(IC_{50})	PDE3A: 0.1 μM PDE3B: 0.28 μM PDE5: 12.1 μM
In vitro	K134 inhibits rat platelet aggregation caused by collagen and ADP in a dose-dependent manner in vitro. K134 also inhibits mouse platelet aggregation induced by collagen and ADP in a dose-dependent manner (IC50s: 5.5 μM and 6.7 μM, respectively), in vitro experiments. The half-maximal (50%) inhibitory concentration (IC50) values of K134 are 2.5 μM and 3.2 μM, respectively.
In vivo	K134 obviously decreases the incidence of occlusive shunt thrombi at doses above 10 mg/kg (half-maximal effective dose: ED50=11 mg/kg). The effects of PDE3 inhibitors on thrombus formation are also investigated in an arteriovenous shunt model in rats. The plasma concentration of K134 is 0.43±0.08 μM (Cmax) at a dose of 10 mg/kg. K134 obviously prolongs middle cerebral artery (MCA) occlusion time at doses >10 mg/kg and decreases cerebral infarct size at 30 mg/kg in the stroke model (n=12, 87.5±5.6 vs. 126.8±7.5 mm3, P<0.01), indicating its potent antithrombotic effect. The overall bleeding risk of K134 is assessed in general in mice. K134 (30 mg/kg; Single oral administration) does not prolong bleeding time at a dose of compared to control (106±5 vs. 110±5 s, not significant). A sufficiently high enough plasma concentration of K134 (13.6±2.3 μM) is detected to inhibit platelet aggregation at 10 min after single administration in mice at a dose of 30 mg/kg, which is the same time point as the above test of bleeding time.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.503 mL	12.516 mL	25.033 mL
5 mM	0.501 mL	2.503 mL	5.007 mL
10 mM	0.25 mL	1.252 mL	2.503 mL
50 mM	0.05 mL	0.25 mL	0.501 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Yoshida H, et al. K-134, a phosphodiesterase 3 inhibitor, prevents brain damage by inhibiting thrombus formation in a rat cerebral infarction model. PLoS One. 2012;7(10):e46432.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481