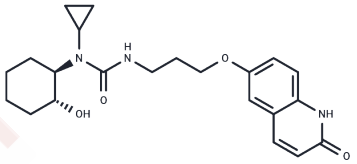
Data Sheet (Cat.No.T15640)

TargetMol

K134

Chemical Properties



CAS No. : 189362-06-9

Formula: C22H29N3O4

Molecular Weight: 399.48

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

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(IC50)	PDE
In vitro	K134 (K-134) inhibits rat and mouse platelet aggregation induced by collagen and ADP in a dose-dependent manner in vitro (IC50s: 5.5 μM and 6.7 μM for mice, respectively). The half-maximal (50%) inhibitory concentration (IC50) values of K134 are 2.5 μM and 3.2 μM, respectively [1].
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 [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5033 mL	12.5163 mL	25.0325 mL
5 mM	0.5007 mL	2.5033 mL	5.0065 mL
10 mM	0.2503 mL	1.2516 mL	2.5033 mL
50 mM	0.0501 mL	0.2503 mL	0.5007 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.