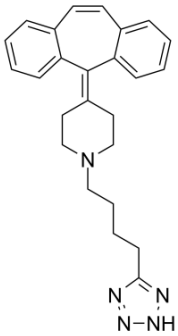
AT-56

Cat. No.:	HY-13988
CAS No.:	162640-98-4
Molecular Formula:	$C_{25}H_{27}N_5$
Molecular Weight:	397.52
Target:	PGE synthase
Pathway:	Immunology/Inflammation
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	AT-56 is a potent, selective and orally active inhibitor of lipocalin-type prostaglandin D synthase (L-PGDS), with an IC_{50} of 95 μM and K_i of 75 μM. AT-56 could selectively suppress the drowsiness or pain reaction mediated by L-PGDS-catalyzed PGD_2[1].
IC_{50} & Target	IC50: 95 μM (L-PGDS); Ki: 75 μM (L-PGDS)[1]
In Vitro	AT-56 (1-30 μM; 10 minutes) dose-dependently inhibits the production of PGD_2 in L-PGDS-expressing human medulloblastoma TE-671 cells with an IC_{50} of about 3 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AT-56 (1-30 mg/kg; p.o.) suppresses the PGD_2 production in the stab-wounded brain[1]. AT-56 (1-10 mg/kg; p.o.) suppresses the L-PGDS-mediated allergic airway inflammation in mice[1]. AT-56 (10 mg/kg; p.o.) exhibits C_{max} (2.15 μg/ml), half-life (1.71 h) and high oral bioavailability (82%)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	H-PGDS KO mice (14-16weeks, 25-30 g, C57BL/6 strain) with a stab wound brain injury[1]
Dosage:	0, 1, 3, 10, 30 mg/kg
Administration:	P.o. 1 h before the stab wound injury
Result:	Inhibited the L-PGDS reaction in the brain. Decreased the total amount of PGD_2 in the brain to 40% with 30 mg/kg AT-56.

Animal Model:	Human L-PGDS-overexpressing TG mice (males, 14-16 weeks, 25-30 g)[1]
Dosage:	0, 1, 10 mg/kg
Administration:	P.o. 1 h before and 24 h after the antigen exposure
Result:	Prevented the eosinophil infiltration by inhibiting transgened human L-PGDS.

Animal Model:	Male C57BL/6 mice (7 weeks, 22-26 g)[1]
Dosage:	10 mg/kg for p.o. and 2 mg/kg for i.v. (Pharmacokinetic Analysis)
Administration:	P.o. and i.v. administration
Result:	Oral bioavailability (82%); C_{max} (2.15 μg/ml); $T_{1/2}$ (1.71 h, p.o.); $T_{1/2}$ (2.35 h, i.v.).

REFERENCES

[1]. Irikura D, et, al. Biochemical, functional, and pharmacological characterization of AT-56, an orally active and selective inhibitor of lipocalin-type prostaglandin D synthase. J Biol Chem. 2009 Mar 20; 284(12): 7623-30.

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