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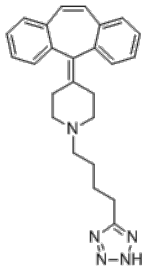
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AT-56
Cat. No.	:	PC-38455
CAS No.	:	162640-98-4
Molecular Formula	:	$C_{25}H_{27}N_5$
Molecular Weight	:	397.526
Target	:	PGE synthase
Solubility	:	10 mM in DMSO



Biological Activity

AT-56 is an orally active, selective inhibitor of lipocalin-type prostaglandin D synthase (**L-PGDS**) with Ki of 75 uM, IC50 of 95 uM.
AT-56 inhibited the L-PGDS activity in a competitive manner against the substrate PGH2 (Km = 14 μM) with a Ki value of 75 μM but did not inhibit the binding of 13-cis-retinoic acid, a nonsubstrate lipophilic ligand, to L-PGDS.
AT-56 occupied the catalytic pocket, but not the retinoid-binding pocket, of L-PGDS.
AT-56 inhibited the production of PGD2 by L-PGDS-expressing human TE-671 cells after stimulation with Ca2+ ionophore (5 μm A23187) with an IC50 value of 3 uM.
Orally administered AT-56 (<30 mg/kg body weight) decreased the PGD2 production to 40% in the brain of H-PGDS-deficient mice, without affecting the production of PGE2 and PGF2α.
AT-56 also suppressed the accumulation of eosinophils and monocytes in the bronco-alveolar lavage fluid from the antigen-induced lung inflammation model of human L-PGDS-transgenic mice.

References

Daisuke Irikura, et al. ***J Biol Chem***. 2009 Mar 20;284(12):7623-30.

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