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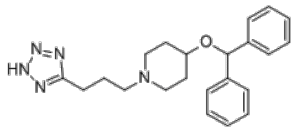
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	HQL-79
Cat. No.	:	PC-49639
CAS No.	:	162641-16-9
Molecular Formula	:	$C_{22}H_{27}N_5O$
Molecular Weight	:	377.48
Target	:	PGE synthase
Solubility	:	10 mM in DMSO



Biological Activity

HQL-79 (HQL79) is an orally selective inhibitor of human hematopoietic prostaglandin D synthase (**H-PGDS**), inhibits human H-PGDS competitively against the substrate PGH2 and non-competitively against GSH with Ki of 5 and 3 uM, respectively.
HQL-79 binds to H-PGDS with an affinity that is 12-fold higher in the presence of GSH and Mg2+ (Kd, 0.8 uM) than in their absence.
HQL-79 selectively inhibited PGD2 production by H-PGDS-expressing human megakaryocytes and rat mastocytoma cells with an IC50 value of about 100 uM but only marginally affected the production of other prostanoids.
Orally administered HQL-79 (30 mg/kg body weight) inhibited antigen-induced production of PGD2, without affecting the production of PGE2 and PGF2alpha, and ameliorated airway inflammation in wild-type and human H-PGDS-overexpressing mice.

References

Aritake K, et al. ***J Biol Chem***. 2006 Jun 2;281(22):15277-86.

Tajima T, et al. ***J Pharmacol Exp Ther.*** 2008 Aug;326(2):493-501.

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