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Data Sheet

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Product Name	:	H-PGDS inhibitor 8
Cat. No.	:	PC-49636
CAS No.	:	1033836-12-2
Molecular Formula	:	C ₁₉ H ₁₉ F ₄ N ₃ O
Molecular Weight	:	381.37
Target	:	PGE synthase
Solubility	:	10 mM in DMSO

Biological Activity

H-PGDS inhibitor 8 is a potent, selective and orally active hematopoietic prostaglandin D synthase (HPGDS) inhibitor with enzyme IC50 of 0.6 nM, and cell IC50 of 32 nM.

H-PGDS inhibitor 8 exhibitd equal potency against purified HPGDS from human, rat, dog, and sheep (IC50, 0.5-2.3 nM).

H-PGDS inhibitor 8 does not inhibit human L-PGDS, mPGES, COX-1, COX-2, or 5 LOX (IC50 values > 10000 nM).

Oral administration of H-PGDS inhibitor 8 (10 mpk) blocked PGD2 production in the rat spleen.

H-PGDS inhibitor 8 (1 mg/mL) completely prevented the LAR (maximum was 86% inhibition of LAR) and blocked the AHR (no change in post antigen PC400) in a model of antigen-induced airway response in allergic sheep.

References

Chris P Carron, et al. ACS Med Chem Lett. 2010 Feb 2;1(2):59-63.