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Data Sheet

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Product Name	:	MK-7246
Cat. No.	:	PC-61565
CAS No.	:	1218918-62-7
Molecular Formula	:	C ₂₁ H ₂₁ FN ₂ O ₄ S
Molecular Weight	:	416.467
Target	:	Prostaglandin Receptor
Solubility	:	10 mM in DMSO

Biological Activity

MK-7246 is a potent, selectie, orally available **prostaglandin D2 receptor (CRTH2, GPR44)** antagonist with Ki of 2.5 nM (hCRTH2).

MK-7246 displayes149-fold selectivity over DP receptor, >1,500-fold over other prostanoid receptors, also binds to recombinant mouse, rat, dog and cynomolgus monkey CRTH2 receptors with Ki of <10 nM.

MK-7246 blocks DK-PGD2-induced inhibition of cAMP formation in HEK293E-CRTH2 cells with IC50 of 3 nM, inhibits DK-PGD2-induced eosinophil shape change (IC50=2.2 nM), also efficiently blocksDK-PGD2-induced CD11b up-regulation on eosinophils and basophils (IC50= 6.2 and 5.4 nM) in human whole blood.

MK-7246 significantly reduces antigen-induced late phase bronchoconstriction and airway hyper-responsiveness in vivo.

References

Gervais FG, et al. *Mol Pharmacol.* 2011 Jan;79(1):69-76.

Gallant M, et al. Bioorg Med Chem Lett. 2011 Jan 1;21(1):288-93.

Wang YH, et al. *Clin Pharmacol Ther.* 2012 Jul;92(1):96-102.

Gil MA, et al. *Eur J Pharmacol.* 2014 Nov 15;743:106-16.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com