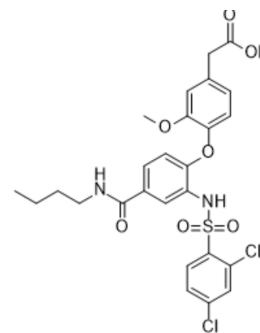


AMG-009

Cat. No.:	HY-19499
CAS No.:	1027847-67-1
Molecular Formula:	C ₂₆ H ₂₆ Cl ₂ N ₂ O ₇ S
Molecular Weight:	581.46
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	AMG-009 is a potent antagonist of prostaglandin D2, with IC ₅₀ of 3 nM and 12 nM for CRTH2 and DP receptors, respectively.	
IC₅₀ & Target	DP 12 nM (IC ₅₀)	CRTH2 3 nM (IC ₅₀)
In Vitro	AMG-009 inhibits PGD2-induced down-modulation of CRTH2 on CD16 negative granulocytes (eosinophils) in human whole blood with a K _i of 1 nM. AMG 009 also inhibits PGD2-induced cAMP response mediated by DP in platelets in 80% human whole blood with a K _i of 148 nM. AMG 009 inhibits guinea pig CRTH2 receptors (IC ₅₀ =3 nM) and a PGD2-induced cAMP response assay with cells expressing the guinea pig DP receptors (K _i =131 nM) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	AMG 009 (3, 10 or 30 mg/kg, s.c.) results in a dose dependent decrease in airway resistance provoked by PGD2 aerosol in an acute guinea pig model ^[1] . In a guinea pig model of PGD2-induced airway constriction, AMG 009 significantly improves DP potency, with K _b of 82 nM ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

- [1]. Liu J, et al. Discovery and optimization of CRTH2 and DP dual antagonists. *Bioorg Med Chem Lett*. 2009 Nov 15;19(22):6419-23.
- [2]. Liu J, et al. Discovery of AMG 853, a CRTH2 and DP Dual Antagonist. *ACS Med Chem Lett*. 2011 Mar 2;2(5):326-30.
- [3]. Johnson MG, et al. Solving time-dependent CYP3A4 inhibition for a series of indole-phenylacetic acid dual antagonists of the PGD(2) receptors CRTH2 and DP. *Bioorg Med Chem Lett*. 2014 Jul 1;24(13):2877-80.

Caution: Product has not been fully validated for medical applications. For research use only.

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