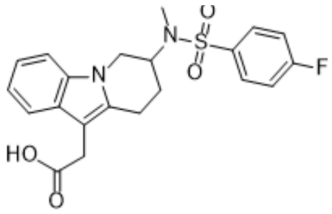
CRTH2-IN-1

Cat. No.:	HY-U00423
CAS No.:	926661-54-3
Molecular Formula:	$C_{21}H_{21}FN_2O_4S$
Molecular Weight:	416.47
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CRTH2-IN-1 (Ramatroban analog) is a selective prostaglandin D2 receptor DP2 (CRTH2) antagonist with an IC_{50} of 6 nM in a human DP2 binding assay.			
IC_{50} & Target	CYP 2C9 5 μM (IC_{50})	CYP3A4 7 μM (IC_{50})	hDP2 6 nM (IC_{50})	hDP1 1 μM (IC_{50})
In Vitro	CRTH2-IN-1 (Ramatroban analog, Compound 5) is a novel prostaglandin D2 receptor DP2 (CRTH2) antagonist with an IC_{50} of 7 nM in a human whole blood eosinophil shape change assay (hESC). CRTH2-IN-1 (Ramatroban analog) is a novel tricyclic antagonist of the prostaglandin D2 receptor DP2 (CRTH2) with efficacy in a murine model of allergic rhinitis. Human prostaglandin D1 receptor (hDP1) binding is performed using ^{3}H-PGD2 and human platelet membranes. Human thromboxane receptor (hTP) binding performed using human platelet membranes and ^{3}H-SQ-29,548. Human prostacyclin receptor (hIP) binding perfomed using hIP/293 membranes and ^{3}Hiloprost. CRTH2-IN-1 inhibits hDP1 binding with an IC_{50} of 1μM. CRTH2-IN-1 inhibits hTP and hIP binding with IC_{50}s of >100 μM. CRTH2-IN-1 inhibits human CYP isoforms CYP3A4, CYP 2C9 and CYP2D6 with IC_{50}s of 7, 5 and >30 μM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

REFERENCES

[1]. Stearns BA, et al. Novel tricyclic antagonists of the prostaglandin D2 receptor DP2 with efficacy in a murine modelof allergic rhinitis. Bioorg Med Chem Lett. 2009 Aug 15;19(16):4647-51.

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

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