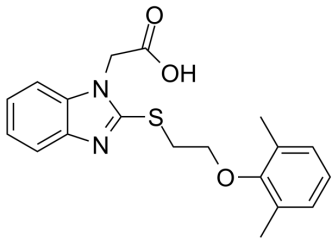
CRTh2 antagonist 3

Cat. No.:	HY-135773
CAS No.:	312928-72-6
Molecular Formula:	$C_{19}H_{20}N_2O_3S$
Molecular Weight:	356.44
Target:	Prostaglandin Receptor; PDK-1
Pathway:	GPCR/G Protein; PI3K/Akt/mTOR
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CRTh2 antagonist 3 is a potent chemoattractant receptor-homologous molecule expressed on Th2 cells (CRTh2) antagonist [1]. CRTh2 antagonist 3 enhances the activity of PDK1 toward a short peptide substrate, with an EC_{50} of 2 μM and a K_d of 8.4 μM. CRTh2 antagonist 3 has the potential for cardiovascular inflammation[2].
IC_{50} & Target	CRTh2[1] EC50: 2 μM (PDK1)[2] Ki: 8.4 μM (PDK1)[2]
In Vitro	CRTh2 antagonist 3 (compound 4) is a small-molecule allosteric modulator of the protein kinase PDK1 from structure-based docking. CRTh2 antagonist 3 is 5-fold more potent than its parent compound[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Heinz Fretz, et al. 2-sulfanyl-benzoimidazol-1-yi-acetic acid derivatives as CRTH2 antagonists. US8273740B2.

[2]. Rettenmaier TJ, et al. Small-Molecule Allosteric Modulators of the Protein Kinase PDK1 from Structure-Based Docking. J Med Chem. 2015 Oct 22;58(20):8285-8291.

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