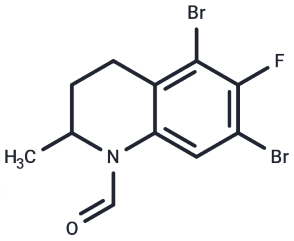
Data Sheet (Cat.No.T12616L)

TargetMol

CE3F4

Chemical Properties



CAS No. : 143703-25-7

Formula: C11H10Br2FNO

Molecular Weight: 351.01

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	CE3F4 is a selective antagonist of exchange protein directly activated by cAMP (Epac1; IC50s of 10.7 μM and 66 μM for Epac1 and Epac2(B), respectively).
Targets(IC50)	cAMP,Ras
In vitro	CE3F4 acts as a selective antagonist of the Epac1, demonstrating inhibitory concentrations (IC50) of 10.7 μM for Epac1 and 66 μM for Epac2(B), illustrating greater potency against Epac1 as compared to its (S)-stereoisomer ((S)-CE3F4, IC50, 56 μM), yet showing lesser activity than its (R)-stereoisomer ((R)-CE3F4, IC50, 5.8 μM). At a concentration of 20 μM, CE3F4 effectively inhibits Epac-induced Rap1 activation in HEK293 cells and significantly reduces the late-phase ERK activation prompted by glucose in INS-1 cells. Moreover, at 40 μM, it specifically targets Epac1's guanine nucleotide exchange activity without disturbing Rap1's function or the Epac1-Rap1 interaction. CE3F4 at a 50 μM concentration exhibits stronger inhibitory effects on the guanine nucleotide exchange factor (GEF) activity of Epac1 compared to both forms of Epac2. It also inhibits the Epac1 exchange activity induced by compound 007, with an IC50 of 23 ± 3 μM, while not affecting PKA activity.

Solubility Information

Solubility	DMSO: 50 mg/mL (142.45 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.7 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8489 mL	14.2446 mL	28.4892 mL
5 mM	0.5698 mL	2.8489 mL	5.6978 mL
10 mM	0.2849 mL	1.4245 mL	2.8489 mL
50 mM	0.057 mL	0.2849 mL	0.5698 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Courilleau D, et al. The (R)-enantiomer of CE3F4 is a preferential inhibitor of human exchange protein directly activated by cyclic AMP isoform 1 (Epac1). Biochem Biophys Res Commun. 2013 Oct 25;440(3):443-8.
Courilleau D, et al. Identification of a tetrahydroquinoline analog as a pharmacological inhibitor of the cAMP-binding protein Epac. J Biol Chem. 2012 Dec 28;287(53):44192-202.
Pratt EP, et al. Ca2+ influx through L-type Ca2+ channels and Ca2+-induced Ca2+ release regulate cAMP accumulation and Epac1-dependent ERK 1/2 activation in INS-1 cells. Mol Cell Endocrinol. 2016 Jan 5;419:60-71.