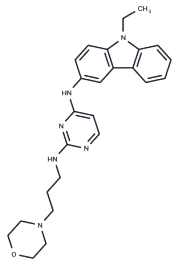
Data Sheet (Cat.No.T2427)

TargetMol

EHop-016

Chemical Properties



CAS No. : 1380432-32-5

Formula: C25H30N6O

Molecular Weight: 430.55

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	EHop-016 is a specific Rac GTPase inhibitor with IC50 of 1.1 μM for Rac1 in MDA-MB-231 and MDA-MB-435 cells, equally effective inhibition for Rac3.
Targets(IC50)	Rho,Ras
In vitro	EHop-016 significantly improves the survival rate of leukemia mice with KIT^D814V^ cells.
In vivo	In MDA-MB-435 cells, EHop-016 (2-5 μM) inhibits the binding of active VAV2 to the Rac1 (G15A) mutant fusion protein, leading to a reduction in Rac-regulated cellular functions, including the formation of lamellipodia and cell migration. Due to compensatory mechanisms, the inhibition of Rac by EHop-016 results in increased activity of the closely related Rho GTPase RhoA. Additionally, EHop-016 suppresses the viability of MDA-MB-435 cells (IC50: 10 μM). EHop-016 also inhibits the growth induced by KITD814V in cells derived from SM and AML patients.
Kinase Assay	Rac Activity Assays: Rac activity is determined from lysates of the MDA-MB-435 and MDA-MB-231 human metastatic cancer cell lines (from ATCC). Cancer cells in culture medium (DMEM, 10% FBS, pH 7.5) are treated with vehicle (0.1% DMSO) or varying concentrations of EHop-016 (0–10 μM) for 24 h. Rac1 activity is determined using the G-LISA Rac1 activation assay kit.
Cell Research	MDA-MB-231, MDA-MB-435, or MCF-10A mammary epithelial cells (from ATCC) are incubated in vehicle (0.1% DMSO) or varying concentrations of EHop-016 (0–10 μM) for 24 h. Cell viability is measured using the 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide cell survival and proliferation kit according to the manufacturer's instructions.(Only for Reference)

Solubility Information

Solubility	DMSO: 50 mg/mL (116.13 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 (4.65 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one.*

In vivo Formulation	*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	2.3226 mL	11.6131 mL	23.2261 mL
5 mM	0.4645 mL	2.3226 mL	4.6452 mL
10 mM	0.2323 mL	1.1613 mL	2.3226 mL
50 mM	0.0465 mL	0.2323 mL	0.4645 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

Montalvo-Ortiz BL, et al. J Biol Chem. 2012, 287(16), 13228-13238.
Wang C, Hu R, Wang T, et al.A bivalent β-carboline derivative inhibits macropinocytosis-dependent entry of pseudorabies virus by targeting the kinase DYRK1A.Journal of Biological Chemistry.2023: 104605.
Martin H, et al. J Clin Invest. 2013, 123(10), 4449-4463.