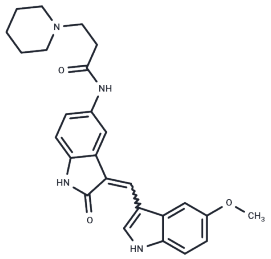
Data Sheet (Cat.No.T6818)

TargetMol

DEL-22379

Chemical Properties



CAS No. : 181223-80-3

Formula: C26H28N4O3

Molecular Weight: 444.53

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	DEL-22379 is a water-soluble ERK dimerization inhibitor with IC50 of ~0.5 μM.
Targets(IC50)	Apoptosis,ERK
In vitro	DEL-22379 inhibits ERK dimerization without affecting its phosphorylation. In a panel of human cell lines harboring mutant BRAF (V600E) or RAS (Q61L or G12V), DEL-22379 shows potent anti-proliferative effects, and induces apoptosis. [1]
In vivo	DEL-22379 (15 mg/kg, i.p.) prevents tumor growth and metastasis in mice bearing A375 (BRAF mutant) and HCT116 (KRAS mutant) tumors, but fails to prevent the formation of tumors in mice injected with CHL cells (WT/WT). [1]
Kinase Assay	ERK dimerization assay: Compound screening is performed in HEK293T cells treated with the potential inhibitors (10 μM) for 30 min before EGF stimulation. Cellular lysates are tested for ERK dimerization by native PAGE and p-ERK evaluation of the potential positives. In vitro ERK dimerization is assayed using GST-MEK1 ΔN EE purified from bacteria, bound to glutathione sepharose beads, and incubated with 25 μg/ml of purified His-ERK2 plus increasing concentrations of DEL-22379. Western blotting, kinase assays, and luciferase assays are also performed. In silico docking of the DEL-22379 compound is carried out with the modeling tools provided by the OpenEye package (v. 2.1).
Cell Research	Cellular proliferation is analyzed by Alamar blue assays. Briefly, Cells are plated in 96-well plates at a density of 1000-2000 cells per well. Cells are treated with drug concentrations prepared by serial dilution ranging from 0.1 nM to 10 μM. 48 hr after drug treatment cells are exposed to Alamar Blue and the colorimetric change is measured at 570 and 600 nm. GI50 is estimated by nonlinear regression using GraphPad5 Prism Software.(Only for Reference)

Solubility Information

Solubility	DMSO: 22.2 mg/mL (49.94 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 (4.5 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	2.2496 mL	11.2478 mL	22.4957 mL
5 mM	0.4499 mL	2.2496 mL	4.4991 mL
10 mM	0.225 mL	1.1248 mL	2.2496 mL
50 mM	0.045 mL	0.225 mL	0.4499 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

Herrero A, et al. Cancer Cell. 2015, 28(2), 170-182.