Data Sheet (Cat.No.T6152)

PD318088

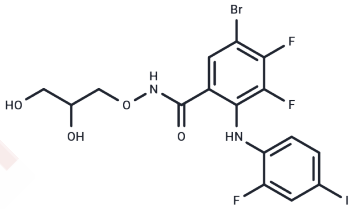
Chemical Properties

CAS No. : 391210-00-7

Formula: C16H13BrF3IN2O4

Molecular Weight: 561.09

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	PD318088 is a non-ATP competitive allosteric MEK1/2 inhibitor, binding simultaneously with ATP in a region of the MEK1 active site that is adjacent to the ATP-binding site.
Targets(IC50)	MEK
In vitro	PD318088 is a small-molecule inhibitor of MEK1/2, which is an analog of PD184352, suggesting it might have substantial anti-proliferative activity against cancer cells, although no functional study of PD318088 is currently available. PD318088 binds simultaneously with ATP in a region of the MEK1 active site that is adjacent to the ATP-binding site. Formation of the ternary complexes with PD318088 and MgATP results in moderate increases (to 140 nM) for the Kd monomer-dimer for both MEK1 and MEK2. The binding of PD318088 and MgATP to MEK1 also abolishes the formation of tetramers and higher-order aggregates. PD318088 and MgATP together increase the dimerization disassociation constant for MEK1 and MEK2 slightly from ~75 nM to ~140 nM, suggesting that the mechanism of inhibition for PD318088 is probably a result of localized conformational changes in the active site and not a global change in the overall structure. [1]
Kinase Assay	Enzyme Assays: Phosphatidylinositide 3-kinase inhibitory activity was determined using a scintillation proximity assay in the presence of 1 μmol/L ATP. Inhibition of mTOR protein kinase was determined using a TR-FRET-based LanthaScreen method from Invitrogen. Compounds were assayed at a maximum concentration of 10 μmol/L in the presence of 1 μmol/L ATP, and IC50 values were determined using GraphPad Prism software.

Solubility Information

Solubility	Ethanol: 14 mg/mL (24.95 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 112 mg/mL (199.61 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: 4 mg/mL (7.13 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*

In vivo Formulation	*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	1.7822 mL	8.9112 mL	17.8225 mL
5 mM	0.3564 mL	1.7822 mL	3.5645 mL
10 mM	0.1782 mL	0.8911 mL	1.7822 mL
50 mM	0.0356 mL	0.1782 mL	0.3564 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

Ohren JF, et al. Nat Struct Mol Biol, 2004, 11(12), 1192-1197.