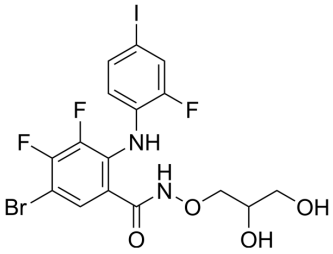
PD318088

Cat. No.:	HY-12062
CAS No.:	391210-00-7
Molecular Formula:	$C_{16}H_{13}BrF_3IN_2O_4$
Molecular Weight:	561.09
Target:	MEK
Pathway:	MAPK/ERK Pathway
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (178.22 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (4.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (4.90 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (4.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PD318088 is a potent, allosteric and non-ATP competitive MEK1/2 inhibitor, an analog of PD184352 (HY-50295). PD318088 binds simultaneously with ATP in a region of the MEK1 active site that is adjacent to the ATP-binding site. PD318088 can be used for cancer research[1].

IC_{50} & Target	MEK1	MEK2

In Vitro	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 K_d 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[1]. 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- ACS Comb Sci. 2019 Dec 9;21(12):805-816.

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REFERENCES

[1]. Ohren JF, et al. Structures of human MAP kinase kinase 1 (MEK1) and MEK2 describe novel noncompetitive kinase inhibition. Nat Struct Mol Biol. 2004 Dec;11(12):1192-7.

[2]. Han S, et al. Identification of coumarin derivatives as a novel class of allosteric MEK1 inhibitors. Bioorg Med Chem Lett. 2005 Dec 15;15(24):5467-73.

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