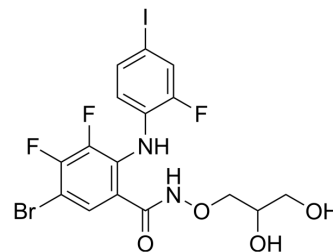


PD318088

Cat. No.:	HY-12062		
CAS No.:	391210-00-7		
Molecular Formula:	C ₁₆ H ₁₃ BrF ₃ IN ₂ O 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.

Concentration	Mass		
	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

- 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
- 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
- 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].

IC₅₀ & Target

MEK1	MEK2
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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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