Raf inhibitor 1

MedChemExpress

Cat. No.:	HY-14177		
CAS No.:	1093100-40-3		
Molecular Formula:	C ₂₆ H ₁₉ CIN ₈		
Molecular Weight:	478.94		
Target:	Raf		
Pathway:	MAPK/ERK Pathway		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year

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SOLVENT & SOLUBILITY

In Vitro	DMSO : 50 mg/mL (104.40 mM; Need ultrasonic)					
Preparin Stock Sol	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg	
		1 mM	2.0879 mL	10.4397 mL	20.8794 mL	
		5 mM	0.4176 mL	2.0879 mL	4.1759 mL	
		10 mM	0.2088 mL	1.0440 mL	2.0879 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: ≥ 2.5 mg/mL (5.22 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (5.22 mM); Suspended solution; Need ultrasonic 					

BIOLOGICAL ACTIVITY						
Description	Raf inhibitor 1 is a potent Raf kinase inhibitor with K _i s of 1 nM, 1 nM, and 0.3 nM for B-Raf ^{WT} , B-Raf ^{V600E} , and C-Raf, respectively.					
IC ₅₀ & Target	B-Raf 1 nM (Ki)	B-Raf ^{V600E} 1 nM (Ki)	c-Raf 0.3 nM (Ki)			
In Vitro	Raf inhibitor 1 (Compound 13) inhibits A375 and HCT-116 proliferation with IC ₅₀ s of 0.31 and 0.72 μM, respectively. Raf inhibitor 1 (Compound 13) binds to and stabilizes B-Raf in a DFG-out, inactive conformation in which the ATP pocket is partially filled by Phe595 and Gly596 from the DFG motif. Raf inhibitor 1 (Compound 13) additionally exhibits low micromolar inhibition against wild type B-Raf cell lines, which may be due to off-target kinase activities or alternatively to					

Product Data Sheet

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pan-Raf inhibition, including Raf dimers^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2015 Jan 8;58(1):466-79.
- Patent. US20200147090A1
- Patent. WO2017154001A1.

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REFERENCES

[1]. Wang X, et al. Conformation-specific effects of Raf kinase inhibitors. J Med Chem. 2012 Sep 13;55(17):7332-41.

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

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