Raf inhibitor 1

Cat. No.: HY-14177

CAS No.: 1093100-40-3

Molecular Formula: $C_{26}H_{19}ClN_8$

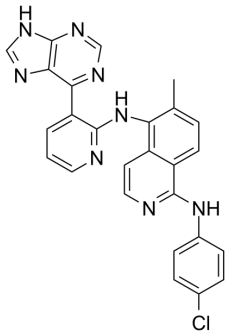
Molecular Weight: 478.94

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (104.40 mM; Need ultrasonic)

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

1. 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
2. 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_is 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	B-Raf^{V600E}	c-Raf
1 nM (Ki)	1 nM (Ki)	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

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.

See more customer validations on www.MedChemExpress.com

REFERENCES

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA