Belvarafenib

Cat. No.: HY-109080

CAS No.: 1446113-23-0

Molecular Formula: $C_{23}H_{16}ClFN_6OS$

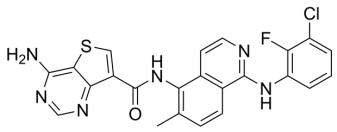
Molecular Weight: 478.93

Target: Raf

Pathway: MAPK/ERK Pathway

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 1 years; -20°C, 6 months (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (26.10 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0880 mL	10.4399 mL	20.8799 mL
	5 mM	0.4176 mL	2.0880 mL	4.1760 mL
	10 mM	0.2088 mL	1.0440 mL	2.0880 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: ≥ 1.25 mg/mL (2.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Belvarafenib (HM95573) is a potent and pan RAF (Rapidly Accelerated Fibrosarcoma) inhibitor, with IC_{50}s of 56 nM, 7 nM and 5 nM for B-RAF, B-RAF^{V600E} and C-RAF respectively[1].

IC_{50} & Target

$BRaf^{V600E}$	CRAF	B-Raf
7 nM (IC_{50})	5 nM (IC_{50})	56 nM (IC_{50})

In Vitro

Belvarafenib (Example 116) is a potent and pan RAF inhibitor with antineoplastic activity. The IC_{50} values of Belvarafenib are 56 nM, 7 nM and 5 nM for B-RAF, B-RAF^{V600E} and C-RAF respectively. It also shows high inhibitory activity for FMS, DDR1 and DDR2 kinases, with IC_{50}s of 10 nM, 23 nM and 44 nM, respectively[1].

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

REFERENCES

[1]. WO 2013/100632 A1.

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