Tovorafenib

Cat. No.: HY-15246

CAS No.: 1096708-71-2

Molecular Formula: $C_{17}H_{12}Cl_2F_3N_7O_2S$

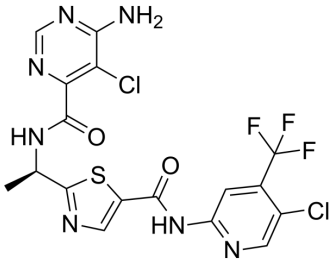
Molecular Weight: 506.29

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 : 100 mg/mL (197.52 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9752 mL	9.8758 mL	19.7515 mL
	5 mM	0.3950 mL	1.9752 mL	3.9503 mL
	10 mM	0.1975 mL	0.9876 mL	1.9752 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: ≥ 0.67 mg/mL (1.32 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.67 mg/mL (1.32 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.67 mg/mL (1.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tovorafenib (TAK-580, MLN 2480) is an orally active and selective inhibitor of pan-Raf kinase.

IC_{50} & Target

RAF

In Vitro

Tovorafenib (TAK-580, MLN 2480) has effect on reversing feedback activation of MEK in response to TAK-733, leading to more concerted MAPK pathway inhibition[1].

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

In Vivo	Tovorafenib (TAK-580, MLN 2480) inhibits MAPK pathway signaling in BRAF mutant and some RAS mutant preclinical cancer models at concentrations that are tolerated in vivo. TAK-580 (MLN 2480) is most potent in BRAF mutant melanoma models but also has single agent activity in some RAS mutant models. The combination of MLN2480 with TAK-733 inhibits the growth of a broader range of RAS mutant tumor models than single agent TAK-580 (MLN 2480), including primary human tumor xenograft models of melanoma and CRC[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Neoplasia. 2021 Jun 5.
- Technical University of Munich. 24.01.2018.

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REFERENCES

[1]. Elizabeth Grace Carideo Cunniff, et al. Abstract C146: Combination treatment with the investigational RAF kinase inhibitor MLN2480 and the investigational MEK kinase inhibitor TAK-733 inhibits the growth of BRAF mutant and RAS mutant preclinical models of

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