Tinlorafenib

Cat. No.: HY-147405

CAS No.: 2573781-75-4

Molecular Formula: $C_{19}H_{19}ClF_2N_4O_3S$

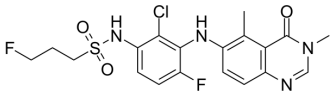
Molecular Weight: 456.89

Target: Raf

Pathway: MAPK/ERK Pathway

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (273.59 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1887 mL	10.9436 mL	21.8871 mL
	5 mM	0.4377 mL	2.1887 mL	4.3774 mL
	10 mM	0.2189 mL	1.0944 mL	2.1887 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: ≥ 2.08 mg/mL (4.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.55 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tinlorafenib (PF-07284890) (compound 10) is an orally active BRAF kinase inhibitor, with IC_{50}s of 4.25 and 2.7 nM for $BRAF^{V600E/V600K}$ respectively. Tinlorafenib demonstrates CNS penetration and can be used in the research of BRAF-associated malignant and benign tumors of the CNS as well as extracranial malignancies[1].

IC_{50} & Target

$BRaf^{V600E}$	$BRaf^{V600K}$
4.25 nM (IC_{50})	2.7 nM (IC_{50})

REFERENCES

[1]. Barbour, et al. Quinazolin-4-one derivatives useful for the treatment of braf-associated diseases and disorders. WO2020261156A1.

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