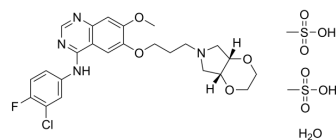


Larotinib mesylate hydrate

Cat. No.:	HY-153110A
CAS No.:	2097129-93-4
Molecular Formula:	C ₂₆ H ₃₆ ClFN ₄ O ₁₁ S ₂
Molecular Weight:	699.17
Target:	EGFR; IRAK; Btk
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Immunology/Inflammation
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (35.76 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent		1 mg	5 mg	10 mg
	Concentration	Mass			
	1 mM		1.4303 mL	7.1513 mL	14.3027 mL
	5 mM		0.2861 mL	1.4303 mL	2.8605 mL
	10 mM		0.1430 mL	0.7151 mL	1.4303 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Larotinib mesylate hydrate is a potent broad-spectrum and orally active tyrosine kinase inhibitor (TKI) with EGFR as the main target with an IC₅₀ of 0.6 nM^[1].

IC₅₀ & Target

EGFR ^{L861Q} 0.423 nM (IC ₅₀)	EGFR ^{L858R} 0.563 nM (IC ₅₀)	EGFR (WT) 0.611 nM (IC ₅₀)	EGFR ^{T790M} 45.2 nM (IC ₅₀)
HER4 84 nM (IC ₅₀)	BLK 102 nM (IC ₅₀)	IRAK-1 167 nM (IC ₅₀)	BTK 196 nM (IC ₅₀)
HER2 253 nM (IC ₅₀)			

In Vivo

After daily administration of Larotinib mesylate hydrate, the no observed adverse effect level (NOAEL) is 10 mg/kg in Sprague-Dawley rats and the lowest observed adverse effect level (LOAEL) is 5 mg/kg in beagle dogs. The maximal tolerable doses (MTDs) are 20 and 25 mg/kg in Sprague-Dawley rats and beagle dogs, respectively^[1].
Larotinib mesylate hydrate shows dose-dependent antitumor results and a tumor-inhibiting rate exceeding 60% at 18 mg/kg in a tumor-bearing mice model (data not published)^[1].

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

REFERENCES

[1]. Liu J, et al. Phase I Trial to Evaluate the Tolerance, Pharmacokinetics and Efficacy of the Broad-Spectrum ErbB Family Inhibitor Larotinib Mesylate in Patients With Advanced Solid Tumors. *Front Pharmacol*. 2021 Feb 18;12:636324.

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

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