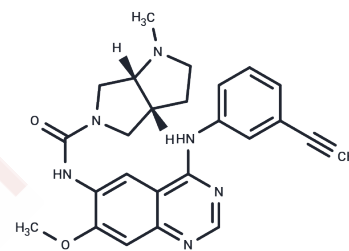


Theliatinib

Chemical Properties

CAS No. :	1353644-70-8
Formula:	C ₂₅ H ₂₆ N ₆ O ₂
Molecular Weight:	442.51
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Theliatinib, a potent and highly selective EGFR inhibitor, with anti-tumor activity. Ki of 0.05 nM for wild type EGFR, and IC ₅₀ s of 3 and 22 nM for EGFR and EGFR T790M/L858R mutant, respectively.
Targets(IC ₅₀)	EGFR
In vitro	In vitro studies suggest that Theliatinib is a potent EGFR kinase inhibitor with good kinase selectivity.
In vivo	In vivo data demonstrated broad spectrum anti-tumor activity via oral dosing in multiple xenografts such as A-431, Bcap-37 and Fadu. Two PDECX models with EGFR gene amplification (PDECX1T0326 and PDECX1T0950) were sensitive to theliatinib treatment demonstrating tumor regression of 32% and 75%, respectively.
Animal Research	Seven- to nine week old NOD-SCID immunodeficient or BALB/cASlac-nu/nu male or female mice. Fresh tumor specimens from newly diagnosed patients were collected during surgery and separated into three parts for the following: (1) To prepare formalin fixed paraffin embedded (FFPE) sections; (2) For snap freezing in liquid nitrogen for DNA extraction and sequencing and (3) For subcutaneous implantation into NOD-SCID mice (P0) and subsequent passages in additional NOD-SCID or nude mice once the tumor size reached 800~1500 mm ³ . After several consecutive in vivo passages, the PDECX models (P3~P7) were used to evaluate the anti-tumor efficacy of theliatinib or gefitinib or AZD4547.

Solubility Information

Solubility	DMSO: 47 mg/mL (106.21 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2598 mL	11.2992 mL	22.5984 mL
5 mM	0.452 mL	2.2598 mL	4.5197 mL
10 mM	0.226 mL	1.1299 mL	2.2598 mL
50 mM	0.0452 mL	0.226 mL	0.452 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Ren Y , Zheng J , Fan S , et al. Anti-tumor efficacy of thelletinib in esophageal cancer patient-derived xenografts models with epidermal growth factor receptor (EGFR) overexpression and gene amplification.[J]. Oncotarget, 2017, 8(31):50832-50844.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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