Rezivertinib

Cat. No.: HY-109189

CAS No.: 1835667-12-3

Molecular Formula: $C_{27}H_{30}N_6O_3$

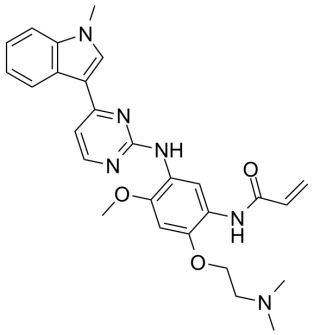
Molecular Weight: 486.57

Target: EGFR

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

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 (256.90 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0552 mL	10.2760 mL	20.5520 mL
	5 mM	0.4110 mL	2.0552 mL	4.1104 mL
	10 mM	0.2055 mL	1.0276 mL	2.0552 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 (4.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rezivertinib (BPI-7711) is an orally active, highly selective and irreversible third-generation EGFR tyrosine kinase inhibitor (TKI). Rezivertinib exhibits high potency against the common activation EGFR and the resistance T790M mutations. Rezivertinib has excellent central nervous system (CNS) penetration and has antitumor activity[1][2].

IC_{50} & Target

EGFR

In Vitro

Rezivertinib (BPI-7711) selectively inhibits cellular proliferation of EGFR mutations in cell lines: GI_{50} 13.3 nM (PC9, del19), 6.8 nM (HCC827, L858R), 22 nM (NCI-H1975, del19/T790M) and > 1000 nM (A431, EGFR WT)[1].

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

In Vivo	Rezivertinib (BPI-7711; 6.25-25 mg/kg/day; orally; 14 days) shows significant tumor regression[2]. Rezivertinib (12.5 mg/kg/day; orally; 14 days) survives an average of 112% longer in H1975-luc human NSCLC mice model[2]. Rezivertinib (50 mg/kg/day; orally) has anti-tumor efficacy correlated to improved average overall survival of the animals of 115% (28 days vs. 13 days)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Misako Nagasaka, et al. Beyond Osimertinib: The Development of Third-Generation EGFR Tyrosine Kinase Inhibitors For Advanced EGFR+ NSCLC. J Thorac Oncol. 2021 May;16(5):740-763.

[2]. Victoria L. Wilde, et al. Preclinical evidence of BPL-7711 activity in Egfr-mutant non-smallcell lung cancer (NSCLC) in orthotopically implanted human tumorxenografts in the lung and brain.

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