BI-4020

Cat. No.: HY-129550

CAS No.: 2664214-60-0

Molecular Formula: $C_{30}H_{38}N_8O_2$

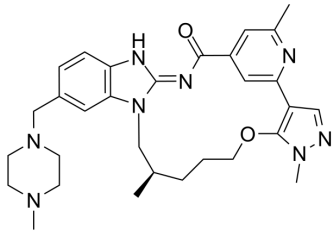
Molecular Weight: 542.68

Target: EGFR

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

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 : 250 mg/mL (460.68 mM; Need ultrasonic)

1M HCl : 100 mg/mL (184.27 mM; ultrasonic and adjust pH to 1 with HCl)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8427 mL	9.2135 mL	18.4271 mL
5 mM	0.3685 mL	1.8427 mL	3.6854 mL
10 mM	0.1843 mL	0.9214 mL	1.8427 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 (3.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.83 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.83 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BI-4020 is a fourth-generation, orally active, and non-covalent EGFR tyrosine kinase inhibitor. BI-4020 inhibits not only the triple mutant EGFR del19 T790M C797S variant (IC_{50}=0.2 nM in BaF3 cell lines) but also the double mutant EGFR del19 T790M and primary mutant EGFR del19 (IC_{50}=1 nM). BI-4020 also shows activity against EGFR wt (IC_{50}=190 nM). BI-4020 shows high kinome selectivity and good DMPK properties[1].

IC_{50} & Target	$EGFR^{del19\ T790M\ C797S}$	$EGFR^{del19}$	$EGFR^{WT}$	$EGFR^{del19\ T790M}$

	0.2 nM (IC_{50})	1 nM (IC_{50})	190 nM (IC_{50})	
In Vitro	BI-4020 inhibits p-EGFR del19 T790M C797S with an IC_{50} of 0.6 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	BI-4020 leads to tumor regressions in the human PC-9 (EGFR del19 T790M C797S) triple mutant NSCLC xenograft model in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

REFERENCES

[1]. Engelhardt H,et al. Start selective and rigidify: The discovery path towards a next generation of EGFR tyrosine kinase inhibitors. J Med Chem. 2019 Nov 5.

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