

BI-4020

## Chemical Properties

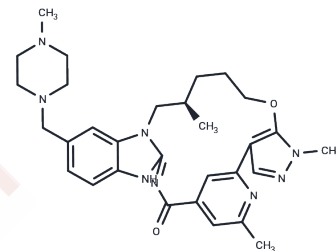
CAS No. : 2664214-60-0

Formula: C30H38N8O2

Molecular Weight: 542.68

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	BI-4020 is a fourth-generation, orally active, and non-covalent inhibitor of EGFR tyrosine kinase. It exhibits activity against the triple mutant EGFR del19 T790M C797S variant (IC50=0.2 nM in BaF3 cell lines), the double mutant EGFR del19 T790M and primary mutant EGFR del19 (IC50=1 nM), and EGFR wt (IC50=190 nM). BI-4020 also shows high kinome selectivity and good DMPK properties.
Targets(IC50)	EGFR
In vitro	BI-4020 inhibits p-EGFR del19 T790M C797S (IC50: 0.6 nM) and the triple mutant EGFR (del19/T790M/C797S) variant (IC50=0.2 nM in BaF3 cell lines), as well as the double mutant EGFR (del19/T790M) and primary mutant EGFR del19 (IC50: 1 nM), with activity against EGFR wt (IC50: 190 nM), and exhibits high kinome selectivity and good DMPK properties.
In vivo	In the human PC-9 (EGFR del19/T790M/C797S) triple mutant NSCLC xenograft model in mice, BI-4020 leads to tumor regressions.

## Solubility Information

Solubility	DMSO: 240 mg/mL (442.25 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (9.21 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
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
50 mM	0.0369 mL	0.1843 mL	0.3685 mL

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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

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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