

BI-4020

## Chemical Properties

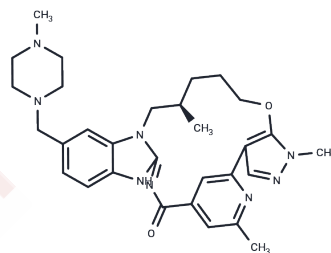
CAS No. : 2664214-60-0

Formula: C<sub>30</sub>H<sub>38</sub>N<sub>8</sub>O<sub>2</sub>

Molecular Weight: 542.68

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



## 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 (IC <sub>50</sub> =0.2 nM in BaF3 cell lines), the double mutant EGFR del19 T790M and primary mutant EGFR del19 (IC <sub>50</sub> =1 nM), and EGFR wt (IC <sub>50</sub> =190 nM). BI-4020 also shows high kinome selectivity and good DMPK properties.
Targets(IC <sub>50</sub> )	EGFR
In vitro	BI-4020 inhibits p-EGFR del19 T790M C797S (IC <sub>50</sub> : 0.6 nM) and the triple mutant EGFR (del19/T790M/C797S) variant (IC <sub>50</sub> =0.2 nM in BaF3 cell lines), as well as the double mutant EGFR (del19/T790M) and primary mutant EGFR del19 (IC <sub>50</sub> : 1 nM), with activity against EGFR wt (IC <sub>50</sub> : 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)
------------	--

## Preparing Stock Solutions

	1mg	5mg	10mg
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

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.

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.

**Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins**

This product is for Research Use Only. Not for Human or Veterinary or Therapeutic Use

Tel: 781-999-4286    E\_mail: [info@targetmol.com](mailto:info@targetmol.com)    Address: 36 Washington Street, Wellesley Hills, MA 02481