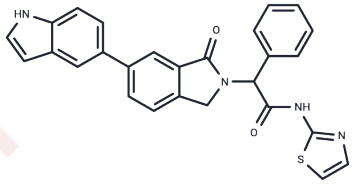
# Data Sheet (Cat.No.T11713)

TargetMol

JBJ-02-112-05

## Chemical Properties



CAS No. : 2748162-29-8

Formula: C27H20N4O2S

Molecular Weight: 464.54

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 | JBJ-02-112-05 is a potent, mutant-selective, allosteric, and orally active inhibitor of EGFR, with an IC50 of 15 nM for EGFR L858R/T790M [1]. |
| Targets(IC50) | EGFR,JAK |
| In vitro | In Ba/F3 cells, JBJ-02-112-05 inhibits the activities of wildtype EGFR, EGFR L858R, EGFR L858R/T790M, and EGFR L858R/T790M/C797S with IC50 values of 9.29 μM, 8.35 μM, 8.53 μM, and 2.13 μM, respectively [1]. JBJ-02-112-05 exhibits mutant selectivity by inhibiting mutant EGFR and downstream AKT and ERK1/2 phosphorylation in Ba/F3 cells stably transfected with EGFR L858R, EGFR L858R/T790M, and EGFR L858R/T790M/C797S mutations [1]. |
| In vivo | Administering JBJ-02-112-05 (100 mg/kg; oral gavage; once daily for 3 days) to EGFR L858R/T790M/C797S genetically engineered mice effectively inhibits the phosphorylation of EGFR and downstream signaling pathways [1]. This compound has a moderate half-life of 3 hours and reaches a peak concentration (Cmax) of 13.7 μM after an intravenous (i.v.) dose of 3 mg/kg. Furthermore, a 5 mg/kg oral dosage achieves a prolonged half-life of 16.4 hours with a Cmax of 1.31 μM [1]. |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.1527 mL | 10.7633 mL | 21.5267 mL |
| 5 mM | 0.4305 mL | 2.1527 mL | 4.3053 mL |
| 10 mM | 0.2153 mL | 1.0763 mL | 2.1527 mL |
| 50 mM | 0.0431 mL | 0.2153 mL | 0.4305 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

To C, et al. Single and Dual Targeting of Mutant EGFR with an Allosteric Inhibitor. Cancer Discov. 2019 Jul;9(7):926-943.



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