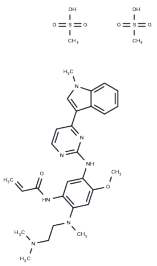
Data Sheet (Cat.No.T10433)

TargetMol

Osimertinib dimesylate

Chemical Properties



CAS No. : 2070014-82-1

Formula: C30H41N7O8S2

Molecular Weight: 691.82

Storage: Store at low temperature
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	Osimertinib dimesylate is an irreversible and mutant selective EGFR inhibitor (IC50s: 12 and 1 nM against EGFR L858R and EGFR L858R/T790M).
Targets(IC50)	EGFR
In vitro	Osimertinib (AZD-9291) exhibits similar potency to early-generation tyrosine kinase inhibitors in inhibiting EGFR phosphorylation in EGFR cells with sensitizing EGFR mutations, including PC-9 (ex19del), H3255 (L858R), and H1650 (ex19del) (mean IC50s: 13-54 nM). Additionally, Osimertinib potently inhibits EGFR phosphorylation in T790M mutant cell lines H1975 (L858R/T790M) and PC-9VanR (ex19del/T790M), with mean IC50s below 15 nM [1].
In vivo	Tumor-bearing mice are treated with Osimertinib (5 mg/kg/day) for one to two weeks. Within days of treatment, 5 out of 5 C/L858R mice exhibit nearly 80% reduction in tumor volume by MRI, while 5 out of 5 vehicle-treated mice show tumor growth [1]. Comparable efficacy is observed at low doses (10 mg/kg per day) in three efficacy models, and excellent efficacy is also noted at 5 mg/kg per day [2].

Solubility Information

Solubility	DMSO: 0.4 mg/mL (0.58 mM),Sonication and heating are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.4455 mL	7.2273 mL	14.4546 mL
5 mM	0.2891 mL	1.4455 mL	2.8909 mL
10 mM	0.1445 mL	0.7227 mL	1.4455 mL
50 mM	0.0289 mL	0.1445 mL	0.2891 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

Cross DA, et al. AZD9291, an irreversible EGFR TKI, overcomes T790M-mediated resistance to EGFR inhibitors in lung cancer. Cancer Discov. 2014 Sep;4(9):1046-61.
Finlay MR, et al. Discovery of a potent and selective EGFR inhibitor (AZD9291) of both sensitizing and T790M resistancemutations that spares the wild type form of the receptor. J Med Chem. 2014 Oct 23;57(20):8249-67.