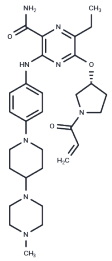
Data Sheet (Cat.No.TQ0092)

TargetMol

Naquotinib

Chemical Properties



CAS No. : 1448232-80-1

Formula: C30H42N8O3

Molecular Weight: 562.71

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	Naquotinib (ASP8273) (ASP8273) is an orally available, mutant-selective and irreversible EGFR inhibitor; (IC50s: 8-33 nM and 230 nM toward EGFR mutants and EGFR.
Targets(IC50)	EGFR
In vitro	In studies utilizing cells inherently dependent on EGFR, Naquotinib effectively hinders the proliferation of various cancer cell lines, including PC-9(del ex19), HCC827(del ex19), NCI-H1975(del ex19/T790M), and PC-9ER(del ex19/T790M), demonstrating IC50 values ranging from 8 to 33 nM [1]. It specifically targets and suppresses the phosphorylation of EGFR along with the subsequent activation of the ERK and Akt signaling pathways, starting at concentrations as low as 10nM in HCC827 and NCI-H1975 cells. However, this effect requires a much higher concentration of 1000nM in A431 cells. Notably, in NCI-H1650 (del ex19) cells, Naquotinib significantly curtails cell growth with an IC50 value of 70nM, showing its efficacy where other EGFR-TKIs have limited effectiveness [2].
In vivo	In NCI-H1975 (L858R/T790M), HCC827 (del ex19), and PC-9 (del ex19) xenograft models, oral administration of Naquotinib results in dose-dependent tumor regression, with the dosing schedules having no impact on its efficacy. Specifically, in an NCI-H1975 xenograft model, Naquotinib leads to complete tumor regression after a 14-day treatment period. Remarkably, 50% of the mice maintain complete regression for over 85 days following the cessation of Naquotinib treatment [2].

Solubility Information

Solubility	H2O: Insoluble, Ethanol: 80 mg/mL (142.17 mM),Sonication is recommended. DMSO: 40 mg/mL (71.08 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: 2 mg/mL (3.55 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7771 mL	8.8856 mL	17.7711 mL
5 mM	0.3554 mL	1.7771 mL	3.5542 mL
10 mM	0.1777 mL	0.8886 mL	1.7771 mL
50 mM	0.0355 mL	0.1777 mL	0.3554 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

Sakagami H, et al. ASP8273, a novel mutant-selective irreversible EGFR inhibitor, inhibits growth of non-small cell lung cancer (NSCLC) cells with EGFR activating and T790M resistance mutations. [abstract]. In: Proceedings of the 105th Annual Meeting of the American Association for Cancer Research; 2014 Apr 5-9; San Diego, CA. Philadelphia (PA): AACR; Cancer Res 2014;74(19 Suppl):Abstract nr 1728. doi:10.1158/1538-7445.AM2014-1728

Konagai S, et al. ASP8273 selectively inhibits mutant EGFR signal pathway and induces tumor shrinkage in EGFR mutated tumor models. [abstract]. In: Proceedings of the 106th Annual Meeting of the American Association for Cancer Research; 2015 Apr 18-22; Philadelphia, PA. Philadelphia (PA): AACR; Cancer Res 2015;75(15 Suppl): Abstract nr 2586. doi:10.1158/1538-7445.AM2015-2586

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