Data Sheet (Cat.No.TQ0092)

TargetMol
A DRUG SCREENING EXPERT

Naquotinib

Chemical Properties

CAS No.:	1448232-80-1
Formula:	C30H41N8O3
Molecular Weight:	562.71
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Naquotinib (ASP8273) is an orally available, mutant-selective and irreversible EGFR inhibitor; (IC50s: 8-33 nM and 230 nM toward EGFR mutants and EGFR.
In vitro	In assays using endogenously EGFR-dependent cells, Naquotinib inhibits the growth of PC-9(del ex19), HCC827(del ex19), NCI-H1975(del ex19/T790M) and PC-9ER(del ex19/T790M) with IC50s of 8-33 nM [1]. Naquotinib selectively inhibits phosphorylation of EGFR and its downstream signal pathway, ERK, and Akt from 10nM in HCC827 and NCI-H1975 while inhibitory effects are only detected at 1000nM in A431.In NCI-H1650 (del ex19), Naquotinib inhibits cell growth with an IC50 value of 70nM while other EGFR-TKIs are only partially effective [2].
In vivo	In NCI-H1975 (L858R/T790M), HCC827 (del ex19) and PC-9 (del ex19) xenograft models, oral Naquotinib treatment dose-dependently induces tumor regression. Dosing schedules do not affect the efficacy of Naquotinib. In an NCI-H1975 xenograft model, complete regression of tumor is achieved after 14-days of Naquotinib treatment. Complete regression is maintained in 50% of mice more than 85 days after cessation of Naquotinib treatment [2].

Solubility Information

Solubility	DMSO: 40mg/mL(71.08 mM) Ethanol: 80 mg/mL (142.16 mM) Water: Insoluble (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.777 mL	8.886 mL	17.771 mL
5 mM	0.355 mL	1.777 mL	3.554 mL
10 mM	0.178 mL	0.889 mL	1.777 mL
50 mM	0.036 mL	0.178 mL	0.355 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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

2. 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

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481