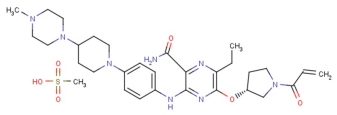
Data Sheet (Cat.No.T12175)

TargetMol
A DRUG SCREENING EXPERT

Naquotinib mesylate

Chemical Properties



CAS No.:	1448237-05-5
Formula:	C31H46N8O6S
Molecular Weight:	658.81
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Naquotinib mesylate is an orally available, mutant-selective and irreversible inhibitor of EGFR(iC50s of 8-33 nM and 230 nM for toward EGFR mutants and EGFR).
Targets(IC_{50})	EGFR: 230 nM
In vitro	In NCI-H1650 (del ex19), Naquotinib inhibits cell growth with an IC50 value of 70nM while other EGFR-TKIs are only partially effective.Naquotinib selectively inhibits phosphorylation of EGFR and its down-stream signal pathway, ERK and Akt from 10nM in HCC827 and NCI-H1975 while inhibitory effects are only detected at 1000nM in A431[2].
In vivo	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: 12.5 mg/mL (18.97 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.518 mL	7.589 mL	15.179 mL
5 mM	0.304 mL	1.518 mL	3.036 mL
10 mM	0.152 mL	0.759 mL	1.518 mL
50 mM	0.03 mL	0.152 mL	0.304 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

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