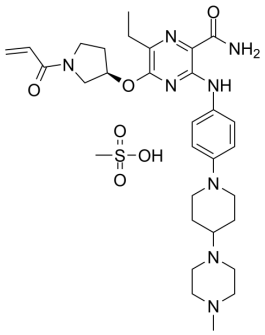
Naquotinib mesylate



Cat. No.:	HY-19803
CAS No.:	1448237-05-5
Molecular Formula:	$C_{31}H_{46}N_8O_6S$
Molecular Weight:	658.81
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (18.97 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5179 mL	7.5894 mL	15.1789 mL
	5 mM	0.3036 mL	1.5179 mL	3.0358 mL
	10 mM	0.1518 mL	0.7589 mL	1.5179 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2 mg/mL (3.04 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (3.04 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Naquotinib mesylate (ASP8273 mesylate) is an orally available, mutant-selective and irreversible EGFR inhibitor; with IC_{50}s of 8-33 nM toward EGFR mutants and 230 nM for EGFR.

IC_{50} & Target

$EGFR^{L858R/T790M}$ (IC_{50})	$EGFR^{L858R}$ (IC_{50})	$EGFR^{Exon\ 19\ deletion}$ (IC_{50})	$EGFR^{Exon\ 19\ deletion/T790M}$ (IC_{50})
EGFR 230 nM (IC_{50})			

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 IC_{50}s of 8-33 nM[1]. 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.In NCI-H1650 (del ex19), Naquotinib inhibits cell growth with an IC_{50} value of 70nM while other EGFR-TKIs are only partially effective[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oral Naquotinib treatment dose dependently induces tumor regression in NCI-H1975 (L858R/T790M), HCC827 (del ex19) and PC-9 (del ex19) xenograft models. Dosing schedules does 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- RSC Adv. 2019, 9(18):10211-10225.
- RSC Adv. 2019, 9, 4862-4869
- R Soc Open Sci. 2019 Jun 5;6(6):190434.
- bioRxiv. 2020 Jun.

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REFERENCES

[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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