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产品名称: **Naquotinib (mesylate)**

产品别名: **ASP8273 (mesylate)**

生物活性:					
Description	Naquotinib mesylate (ASP8273 mesylate) is an orally available, mutant-selective and irreversible EGFR inhibitor; with IC50s of 8-33 nM toward EGFR mutants and 230 nM for EGFR.				
IC50 & Target	EGFR ^{L858R/T790M}	EGFR ^{L858R}	EGFR ^{Exon 19 deletion}	EGFR ^{Exon 19 deletion/T790M}	EGFR
					230 nM (IC50)
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 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 IC50 value of 70nM while other EGFR-TKIs are only partially effective ^[2] .				
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] .				
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
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限：-80℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。				
	In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2 mg/mL (3.04 mM); Clear solution 此方案可获得 ≥ 2 mg/mL (3.04 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 20.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。				



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	2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2 mg/mL (3.04 mM); Clear solution 此方案可获得 ≥ 2 mg/mL (3.04 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 20.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。
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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