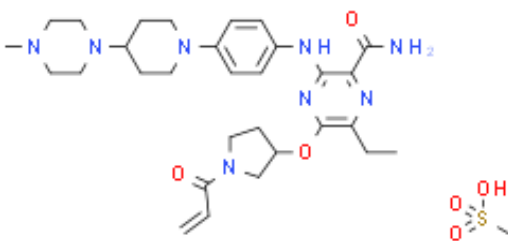


Product Data Sheet

Cas No.:	1448237-05-5	Cat. No:	PL04951
Product Name:	Naquotinib mesylate		
Product synonym:	-		
Chemical name:	Naquotinib mesylate		
MF:	C31H46N8O6S	FW:	658.811945438385
Purity:	≥98%	Batch No.:	-
Storage:			
Structural formula:			
λmax:	-	Formulation:	-
Solubility :			
SMILES :	O=C(C1=NC(CC)=C(O[C@H]2CN(C(C=C=O)CC2)N=C1NC3=CC=C(N4CCC(N5CCN(C)CC5)CC4)C=C3)N.CS(=O)(O)=O		
InChI Code:	-		
InChI Key:			
<p>WARNING This product is not for human or veterinary use.</p>			

Product Description

Naquotinib mesylate (ASP8273 mesylate) 是有口服活性，不可逆的 EGFR 突变体抑制剂；对 EGFR 突变体和 EGFR 的 IC_{50} 值分别为 8-33 和 230 nM。

生物活性	Naquotinib mesylate (ASP8273 mesylate) is an orally available, mutant-selective and irreversible EGFR inhibitor; with IC50 s of 8-33 nM toward EGFR mutants and 230 nM for EGFR.
IC50 & Target[1][2]	EGFR EGFR
体外研究(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. 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. has not independently confirmed the accuracy of these methods. They are for reference only.

体内研究(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. has not independently confirmed the accuracy of these methods. They are for reference only.
包装储存	4°C, sealed storage, away from moistur In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)
溶解度数据	In Vitro: DMSO : 12.5 mg/mL (18.97 mM; Need ultrasonic and warming)配制储备液