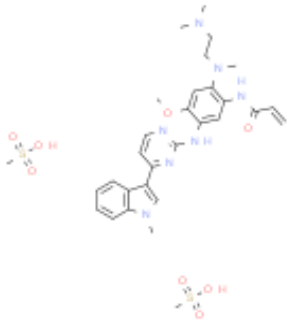
Product Data Sheet

Cas No.:	2070014-82-1	Cat. No:	PL05167
Product Name:	Osimertinib dimesylate		
Product synonym:	奥希替尼二甲磺酸盐		
Chemical name:	Osimertinib dimesylate		
MF:	C30H41N7O8S2	FW:	691.818644285202
Purity:	≥98%	Batch No.:	-
Storage:			
Structural formula:			
λmax:	-	Formulation:	-
Solubility :			
SMILES :	S(C)(=O)(=O)O.S(C)(=O)(=O)O.O(C)C1C(=CC(=C(C=1)N(C)CCN(C)C)NC(C=C)=O)NC1=NC=CC(C2=CN(C)C3C=CC=CC=32)=N1		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

Osimertinib dimesylate (AZD-9291 dimesylate) 是不可逆的突变体选择性 EGFR 抑制剂；对EGFR^{L858R} 和EGFR^{L858R/T790M} 的 IC50 值分别为12 和 1 nM。

生物活性	Osimertinib dimesylate (AZD-9291 dimesylate) is an irreversible and mutant selective EGFR inhibitor with IC 50 s of 12 and 1 nM against EGFR and EGFR, respectively.
IC50 & Target[1][2]	EGFR 12 nM (IC50) EGFR 1 nM (IC50u
体外研究(In Vitro)	Osimertinib (AZD-9291) shows similar potency to early generation tyrosine kinase inhibitor (TKIs) in inhibiting EGFR phosphorylation in EGFR cells harboring sensitising EGFR mutants including PC-9 (ex19del), H3255 (L858R) and H1650 (ex19del), with mean IC50 values ranging from 13 to 54 nM for Osimertinib (AZD-9291). Osimertinib (AZD-9291) also potently inhibits phosphorylation of EGFR in T790M mutant cell lines (H1975 (L858R/T790M), PC-9VanR (ex19del/T790M), with mean IC50 potency less than 15 nM. has not independently confirmed the accuracy of these methods. They are for reference only.

体内研究(In Vivo)	The tumor-bearing mice are treated with Osimertinib (AZD-9291) (5 mg/kg/day) for one to two weeks. Within days of treatment, 5 of 5 C/L858R mice displays nearly 80% reduction in tumor volume by magnetic resonance imaging MRI after therapy with Osimertinib (AZD-9291), while 5 of 5 mice treated with vehicle shows tumor growth. Osimertinib (AZD-9291) demonstrates improved rat PK, reduced hERG affinity, and improved IGF1R margins relative to the previously described compounds, and so this compound is selected for further investigation. Osimertinib (AZD-9291) also offers an additional degree of broader chemical and profile diversity when compared to the previously described lead compounds. Upon dosing Osimertinib (AZD-9291) in three efficacy models, The comparable efficacy is observed at relatively low doses (10 mg/kg per day). The excellent efficacy is also observed when Osimertin
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: H_2O : 100 mg/mL (144.55 mM; Need ultrasonic)DMSO : 2.63 mg/mL (3.80 mM; Need ultrasonic)配制储备液