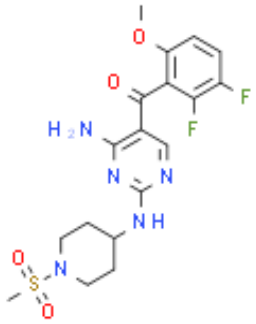
Product Data Sheet

Cas No.:	741713-40-6	Cat. No:	PL01027
Product Name:	R547		
Product synonym:	[4-氨基-2-[(1-甲磺酰基哌啶-4-基)氨基]嘧啶-5-基](2,3-二氟-6-甲氧基苯基)甲酮;：[4-氨基-2-[(1-甲磺酰基哌啶-4-基)氨基]嘧啶-5-基](2,3-二氟-6-甲氧基苯基)甲酮		
Chemical name:	R547		
MF:	C18H21F2N5O4S	FW:	441.45
Purity:	≥98%	Batch No.:	-
Storage:			
Structural formula:			
λmax：	-	Formulation：	-
Solubility :			
SMILES :	O=S(N1CCC(NC2=NC(N)=C(C(C3=C(C(F)=CC=C3OC)F)=O)C=N2)CC1)(C)=O		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

R547 是一种高效、选择性的，口服有效的 ATP 竞争性的 CDK 抑制剂，对 CDK1/cyclin B、 CDK2/cyclin E 和 CDK4/cyclin D1 作用的 K_i 值分别为 2 nM、3 nM、1 nM。

生物活性	R547 is a potent, selective and orally active ATP-competitive CDK inhibitor, with K i s of 2 nM, 3 nM and 1 nM for CDK1/cyclin B, CDK2/cyclin E and CDK4/cyclin D1, respectively.
IC50 & Target[1][2]	Cdk1/cyclin B 2 nM (Ki) CDK2/cyclinE 3 nM (Ki)

体外研究(In Vitro)	R547 effectively inhibits CDK1/cyclin B, CDK2/cyclin E, and CDK4/cyclin D1 (Ki = 1–3 nmol/L) and is inactive (Ki > 5,000 nmol/L) against a panel of >120 unrelated kinases in cell-free assays. R547 effectively inhibits the proliferation of tumor cell lines independent of multidrug resistant status, histologic type, retinoblastoma protein, or p53 status, with IC50s <0.60 μM. R547 reduces phosphorylation of the cellular retinoblastoma protein at specific CDK phosphorylation sites at the same concentrations that induced cell cycle arrest. R547 has anti-proliferative activity in tumor cells independent of p53, retinoblastoma, or MDR status. R547 blocks tumor cells in G1 plus G2 and induces apoptosis. R547 induces apoptosis as measured by DNA fragmentation. R547 inhibits phosphorylation of retinoblastoma protein in humantumor cells.
体内研究(In Vivo)	R547 has significant in vivo efficacy with daily oral and once weekly i.v. dosing. R547 inhibits phosphorylation of retinoblastoma protein in tumors. has not independently confirmed the accuracy of these methods. They are for reference only. Animal Model:
包装储存	Powder -20°C 3 years；4°C 2 years