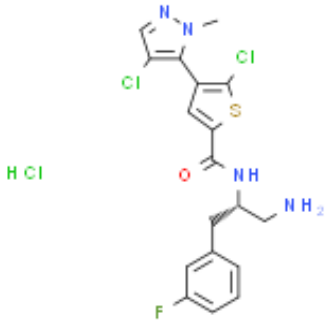
Product Data Sheet

Cas No.:	1047645-82-8	Cat. No:	PL09903
Product Name:	Afuresertib hydrochloride		
Product synonym:	-		
Chemical name:	Afuresertib hydrochloride		
MF:	C18H18CL3FN4OS	FW:	463.7841
Purity:	≥99%	Batch No.:	-
Storage:			
Structural formula:			
λmax：	-	Formulation：	-
Solubility :			
SMILES :	ClC1=C(C2=C(C([H])=NN2C([H])([H])[H])Cl)C([H])=C(C(N([H])[C@]([H])(C([H])([H])N([H])[H])C([H])([H])C2C([H])=C([H])C([H])=C(C=2[H])F)=O)S1.Cl[H]		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

Afuresertib hydrochloride (GSK 2110183 hydrochloride) 是一个口服有效的，ATP 竞争性的选择性 pan-Akt 抑制剂，作用于 Akt1/Akt2/Akt3，K_i 值分别为 0.08/2/2.6 nM。

生物活性	Afuresertib hydrochloride (GSK 2110183 hydrochloride) is an orally bioavailable, selective, ATP-competitive and potent pan-Akt kinase inhibitor with K i s of 0.08/2/2.6 nM for Akt1/Akt2/Akt3 respectively.
IC50 & Target[1][2]	Akt1 0.08 nM (Ki) Akt2 2 nM (Ki)
体外研究(In Vitro)	Afuresertib (GSK 2110183) exhibits favorable tumor-suppressive effects on malignant pleural mesothelioma (MPM) cells. Afuresertib significantly increases caspase-3 and caspase-7 activities and apoptotic cell number among ACC-MESO-4 and MSTO-211H cells. Afuresertib strongly arrests the cell cycle in the G1 phase. Western blotting analysis shows that Afuresertib increases the expression of p21 and decreases the phosphorylation of Akt substrates, including GSK-3β and FOXO family proteins. Afuresertib-induced p21 expression promotes G1 phase arrest by inducing FOXO activity. Afuresertib significantly enhances cisplatin-induced cytotoxicity. Afuresertib modulates the expression E2F1 and MYC , which are associated with fibroblast core serum response. has not independently confirmed the a

体内研究(In Vivo)	Mice bearing BT474 breast tumor xenografts are dosed orally with either vehicle or GSK2110183 at 10, 30 or 100 mg/kg daily for 21 days which result in 8, 37 and 61% TGI, respectively. Mice tolerated GSK2110183 well, with 1-3% body weight loss reported after 5 days of dosing which recover over the course of the study. Other tumor xenograft models which possess an activation of the Akt pathway are explored to further demonstrate compound efficacy. Mice treated with GSK2110183 at 10, 30 and 100 mg/kg result in 23, 37 and 97% TGI, respectively, of SKOV3 xenografts. has not independently confirmed the accuracy of these methods. They are for reference only.
包装储存	-20°C, protect from light, stored under nitrogen In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)
溶解度数据	In Vitro: DMSO : 100 mg/mL (215.62 mM; Need ultrasonic)配制储备液