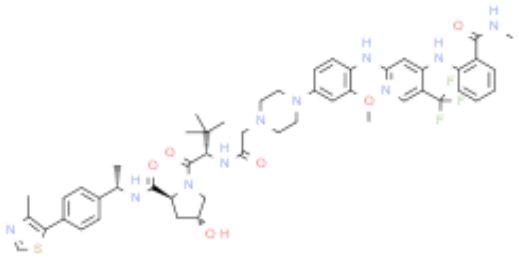
Product Data Sheet

Cas No.:	2743427-26-9	Cat. No:	PL10594
Product Name:	GSK215		
Product synonym:	-		
Chemical name:	GSK215		
MF:	C50H59F3N10O6S	FW:	985.13
Purity:	≥99%	Batch No.:	-
Storage:			
Structural formula:			
λmax:	-	Formulation:	-
Solubility :			
SMILES :	N(C1C=CC=CC=1C(=O)NC)C1=CC(NC2C=CC(N3CCN(CC(=O)N[C@@H](C(C)(C)C)C(N4C[C@H](O)C[C@H]4C(=O)N[C@H](C4C=CC(C5SC=NC=5C)=CC=4)C)=O)CC3)=CC=2OC)=NC=C1C(F)(F)F		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

GSK215 是一种有效且具有选择性的 PROTAC 粘着斑激酶 (FAK) 降解剂，pDC_{50} 值为 8.4。GSK215 是由 VHL E3 连接酶粘合剂和FAK 抑制剂 VS-4718 联合设计的。GSK215 诱导 FAK 快速而持久性的降解，对 FAK 水平产生长期影响，并显著降低药代动力学/药效学 (PK/PD)。

生物活性	GSK215 is a potent and selective PROTAC focal adhesion kinase (FAK) degrader with a pDC 50 of 8.4. GSK215 is designed by a binder for the VHL E3 ligase and the FAK inhibitor VS-4718. GSK215 induces rapid and prolonged FAK degradation, giving a long-lasting effect on FAK levels and a marked pharmacokinetic/pharmacodynamics (PK/PD) disconnect.
IC50 & Target[1][2]	PROTAC; pDC50: 8.4 (FAK)
体外研究(In Vitro)	GSK215 (0.1-1000 nM; 2 h) effectively increases the FAK degradation by >90% and determines a DC50 of 1.3 nM in A549 cells. GSK215 induced degradation is proteasome and ubiquitin dependent. GSK215 (above 100 nM, 6h) reduces primarily kinases CDK7, RPS6KA3, MET and GAK. GSK215 (100 nM, 48 h) inhibits migration, invasion and collagen deposition in A549 cells. has not independently confirmed the accuracy of these methods. They are for reference only.

体内研究(In Vivo)	GSK215 (8 mg/kg; i.h.; once) degrades FAK, and shows the C max and t max values of 526 ng/mL and 0.33 hours, respectively. 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
溶解度数据	In Vitro: DMSO : 250 mg/mL (253.77 mM; Need ultrasonic)配制储备液