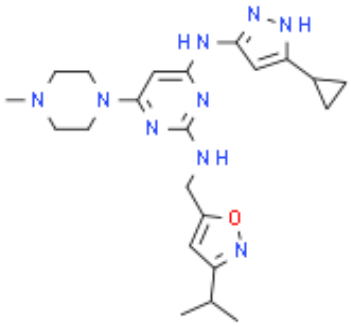
Product Data Sheet

Cas No.:	898280-07-4	Cat. No:	PL11450
Product Name:	XL228		
Product synonym:	N4-(5-环丙基-1H-吡唑-3-基)-N2-[[3-异丙基-5-异恶唑基]甲基]-6-(4-甲基-1-哌嗪基)-2,4-嘧啶二胺		
Chemical name:	XL228		
MF:	C22H31N9O	FW:	437.541242837906
Purity:	≥99%	Batch No.:	-
Storage:			
Structural formula:			
λmax：	-	Formulation：	-
Solubility :			
SMILES :	N1=C(C(C)C)C=C(CNC2N=C(N3CCN(C)CC3)C=C(NC3C=C(C4CC4)NN=3)N=2)O1		
InChI Code:	-		
InChI Key:			

WARNING This product is not for human or veterinary use.

Product Description

XL228是多靶点的酪氨酸激酶抑制剂，对Bcr-Abl，Aurora A，IGF-1R，Src 和 Lyn 的IC50 值分别为5，3.1，1.6，6.1，2 nM。

生物活性	XL228 is a multi-targeted tyrosine kinase inhibitor with IC 50 s of 5, 3.1, 1.6, 6.1, 2 nM for Bcr-Abl, Aurora A, IGF-1R, Src and Lyn, respectively.
IC50 & Target[1][2]	Aurora A 3.1 nM (IC50) IGF-1R 1.6 nM (IC
体外研究(In Vitro)	XL228 shows a broad pattern of protein kinase inhibition, including the tyrosine kinases IGF1R, SRC, ABL, FGFR1-3, and ALK and the serine/threonine kinases Aurora A and Aurora B. A panel of kinase inhibitors including XL228 is profiled against a series of cancer cell lines with known alterations in major signaling pathways. Approximately 30% of the lines demonstrate XL228 IC50 values of <100nM in viability assays, including many lines with characterized ALK or FGFR mutations or amplifications. XL228 eliminates the phosphorylation of Aurora A and B at concentrations above 10 nM. Short-term treatment of HeLa cells leads to disruption of mitotic spindle formation, with the majority of mitotic cells exhibiting a unipolar spindle and disorganized chromosomes. It displays low nanomolar biochemical activity against wild type Abl kinase (Ki=5 nM), as well as t

体内研究(In Vivo)	Single-dose pharmacodynamics studies demonstrate a potent effect of XL228 on BCR-ABL signaling in K562 xenograft tumors. Phosphorylation of BCR-ABL is decreased by 50% at XL228 plasma concentrations of 3.5 µM; a similar decrease in phospho-STAT5 occurred at 0.8 µM plasma concentration. has not independently confirmed the accuracy of these methods. They are for reference only.
包装储存	Powder -20°C 3 years； 4°C 2 years
溶解度数据	In Vitro: DMSO : ≥ 83.33 mg/mL (190.45 mM)配制储备液