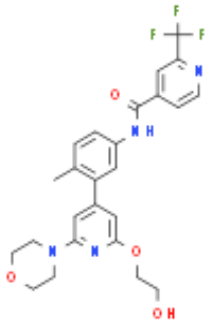
Product Data Sheet

Cas No.:	1800398-38-2	Cat. No:	PL08726
Product Name:	LXH254		
Product synonym:	-		
Chemical name:	LXH254		
MF:	C25H25F3N4O4	FW:	502.4856
Purity:	≥99%	Batch No.:	-
Storage:			
Structural formula:			
λmax：	-	Formulation：	-
Solubility :			
SMILES :	FC(C1C=C(C=CN=1)C(NC1C=CC(C)=C(C=1)C1C=C(N=C(C=1)N1CCOCC1)OCCO)=O)(F)F		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

LXH254 是一种有效的、具有口服活性的 II 型 BRAF 和 CRAF 抑制剂，对 CRAF 和 BRAF的 IC_{50} 值分别为 0.072 和 0.21 nM。

生物活性	LXH254 is a potent, selective, orally active, type II BRAF and CRAF inhibitor, with IC 50 values of 0.072 and 0.21 nM against CRAF and BRAF, respectively.
IC50 & Target[1][2]	CRAF 0.072 nM (IC50) Braf 0.21 nM (IC
体外研究(In Vitro)	LXH254 (Compound A) is an adenosine triphosphate (ATP)-competitive inhibitor of BRAF (also referred to herein as b-RAF or b-Raf) and CRAF (also referred to herein as c-RAF or c- Raf) protein kinases. Throughout the present disclosure, LXH254 is also referred to as a c-RAF (or CRAF) inhibitor or a C-RAF/c-Raf kinase inhibitor. In cell-based assays, LXH254 has demonstrated anti-proliferative activity in cell lines that contain a variety of mutations that activate MAPK signaling. Moreover, LXH254 is a Type 2 ATP -competitive inhibitor of both B-Raf and C-Raf that keeps the kinase pocket in an inactive conformation, thereby reducing the paradoxical activation seen with many B-Raf inhibitors, and blocking mutant RAS-driven signaling and cell proliferation. LXH254 (0-10 μM, 1 h) inhibits both monomeric and dimeric RAF and promotes RAF dimer formation. LXH254 has reduced abil

体内研究(In Vivo)	Treatment with LXH254 (Compound A) generates tumor regression in several KRAS-mutant models including the NSCLC-derived Calu-6 (KRAS Q61K) and NCI-H358 (KRAS G12C). LXH254 exhibits efficacy in numerous MAPK-driven human cancer cell lines and in xenograft tumors representing model tumors harboring human lesions in KRAS, NRAS and BRAF oncogenes. LXH254 shows significant antitumor activity in models harboring BRAF mutations either alone or coincident with either activated NRAS or KRAS, and RAS mutants lacking ARAF are more sensitive to LXH254. 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 : 100 mg/mL (199.01 mM; Need ultrasonic)配制储备液