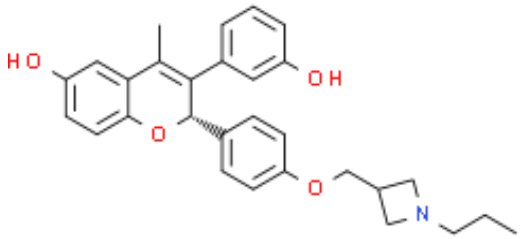
Product Data Sheet

Cas No.:	2369048-69-9	Cat. No:	PL03942
Product Name:	GNE-274		
Product synonym:	-		
Chemical name:	GNE-274		
MF:	C29H31NO4	FW:	457.56
Purity:	≥98%	Batch No.:	-
Storage:			
Structural formula:			
λmax:	-	Formulation:	-
Solubility :			
SMILES :	[C@@H]1(C2=CC=C(OCC3CN(CCC)C3)C=C2)OC2=CC=C(O)C=C2C(C)=C1C1=CC=CC(O)=C1		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

GNE-274 是 ER 降解剂 GDC-0927 的结构类似物，是一种非降解剂。GNE-274 在乳腺癌细胞系中不诱导 ER 的转换，作为 ER 的部分激动剂 (partial ER agonist) 发挥作用。GNE-274 增加了ER-DNA 结合位点的的染色质可进入性，而 GDC-0927 则没有。GNE-274 是一种有效的 ER 配体结合域 (LBD) 抑制剂。GNE-274 可用于癌症研究。

生物活性	GNE-274 is a non-degrader that is structurally related to GDC-0927 (ER degrader). GNE-274 does not induce ER turnover and functions as a partial ER agonist in breast cancer cell lines. GNE-274 increase chromatin accessibility at ER-DNA binding sites, while GDC-0927 do not. GNE-274 is a potent inhibitor of ER-ligand binding domain (LBD). GNE-274 can be used for cancer research.
体外研究(In Vitro)	GNE-274 (0.1 nM-1000 nM; 4 hours) fails to trigger increased ER turnover in MCF7, MD-134, HCC1500 and CAMA cells. GNE-274 (1-1000 nM; 7-10 days) potently inhibits cellular proliferation, exhibiting greater potency than fulvestrant, 4-OHT, AZD9496, and GDC-0810 in E2-stimulated ER breast cancer cell lines. In transposaseaccessible chromatin sequencing (ATAC-seq) assay, GNE-274 increase chromatin accessibility at ER-DNA binding sites, it significantly alters chromatin accessibility at 594 sites. But GDC-0927 has considerably less impact on chromatin accessibility. has not independently confirmed the accuracy of these methods. They are for reference only.

包装储存	4°C, protect from light, stored under nitrogen In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)