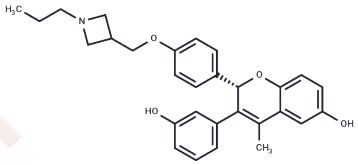
Data Sheet (Cat.No.T39916)

TargetMol

GNE-274

Chemical Properties



CAS No. : 2369048-69-9

Formula: C29H31NO4

Molecular Weight: 457.57

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GNE-274, a non-degrader compound structurally similar to GDC-0927 (an ER degrader), serves as a partial ER agonist in breast cancer cell lines without triggering ER turnover. Notably, GNE-274 enhances chromatin accessibility at ER-DNA binding sites, unlike GDC-0927. Acting as a potent inhibitor of the ER-ligand binding domain (LBD), GNE-274 exhibits potential for cancer research purposes.
Targets(IC50)	Estrogen Receptor/ERR,Others
In vitro	GNE-274, at concentrations ranging from 0.1 nM to 1000 nM and applied over 4 hours, does not initiate increased ER turnover in MCF7, MB-134, HCC1500, and CAMA cells. However, when used at concentrations of 1-1000 nM for 7-10 days, it significantly suppresses cellular proliferation in E2-stimulated ER+ breast cancer cell lines, demonstrating superior efficacy compared to fulvestrant, 4-OHT, AZD9496, and GDC-0810. Additionally, GNE-274 enhances chromatin accessibility at ER-DNA binding sites in an ATAC-seq assay, altering accessibility at 594 sites distinctly, whereas GDC-0927 shows minimal impact. In a Cell Viability Assay involving various cell lines (MCF7, MB-134, HCC1500, EFM-19, CAMA-1, T-47D), with treatment concentrations from 1 nM to 1000 nM over 7-10 days, GNE-274 demonstrates IC 50 values roughly between 5nM to 20 nM, indicating its potency in inhibiting cell viability across different cells.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1855 mL	10.9273 mL	21.8546 mL
5 mM	0.4371 mL	2.1855 mL	4.3709 mL
10 mM	0.2185 mL	1.0927 mL	2.1855 mL
50 mM	0.0437 mL	0.2185 mL	0.4371 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Jane Guan, et al. Therapeutic Ligands Antagonize Estrogen Receptor Function by Impairing Its Mobility. Cell. 2019 Aug 8;178(4):949-963.e18.

Jane Guan, et al. Abstract NG05: Not all “SERDs” are equal: Context-independent ER degradation and full ER antagonism define the next generation of ER therapeutics. Cancer research.