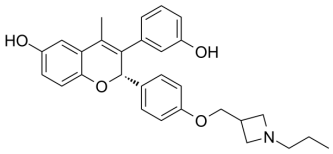
GNE-274

Cat. No.:	HY-141551
CAS No.:	2369048-69-9
Molecular Formula:	$C_{29}H_{31}NO_4$
Molecular Weight:	457.56
Target:	Estrogen Receptor/ERR
Pathway:	Vitamin D Related/Nuclear Receptor
Storage:	4°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (437.10 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1855 mL	10.9275 mL	21.8551 mL
	5 mM	0.4371 mL	2.1855 mL	4.3710 mL
	10 mM	0.2186 mL	1.0928 mL	2.1855 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1.75 mg/mL (3.82 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.75 mg/mL (3.82 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

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[1][2].

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[1].
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[1].
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[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	MCF7, MB-134, HCC1500, EFM-19, CAMA-1, T-47D cells
Concentration:	1 nM; 10 nM; 100 nM; 1000 nM
Incubation Time:	7-10 days
Result:	Exhibited IC_{50} values approximately ranging from 5nM to 20 nM in different cells.

REFERENCES

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

[2]. 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.

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