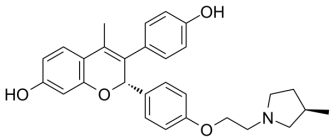
OP-1074

Cat. No.:	HY-125263
CAS No.:	1443752-76-8
Molecular Formula:	$C_{29}H_{31}NO_4$
Molecular Weight:	457.56
Target:	Estrogen Receptor/ERR
Pathway:	Others
Storage:	-20°C, stored under nitrogen, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (218.55 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.

BIOLOGICAL ACTIVITY

Description

OP-1074 is a pure antiestrogen and a selective ER degrader (PA-SERD), shows specific antiestrogenic activity for ERα and ER β, inhibits 17β-estradiol (E2)-stimulated transcriptional activity with IC_{50} of 1.6 and 3.2 nM, respectively[1].

IC_{50} & Target	ERα	ERβ

In Vitro

OP-1074 (0-100 nM; 24 hours) inhibits MCF-7 cells and CAMA-1 cells proliferation with IC50 values of 6.3 and 9.2 nM, respectively[1].

OP-1074 (100 nM; 24 hours) destabilizatizes ERα protein expression in MCF-7 and CAMA-1 cells[1].

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

Cell Viability Assay[1]

Cell Line:	MCF-7 cells, CAMA-1 cells
Concentration:	0 nM, 10 nM,100 nM
Incubation Time:	24 hours

Result:	Inhibited breast cancer cell proliferation.

Western Blot Analysis[1]

Cell Line:	MCF-7 cells, CAMA-1 cells
Concentration:	100 nM
Incubation Time:	24 hours
Result:	Downregulated ERα protein expression.

REFERENCES

[1]. Fanning SW, et al. Specific stereochemistry of OP-1074 disrupts estrogen receptor alpha helix 12 and confers pure antiestrogenic activity.Nat Commun. 2018 Jun 18;9(1):2368.

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