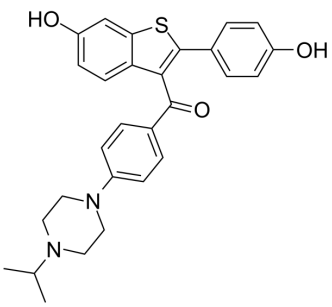
Y134

Cat. No.:	HY-103457
CAS No.:	849662-80-2
Molecular Formula:	$C_{28}H_{28}N_2O_3S$
Molecular Weight:	472.6
Target:	Estrogen Receptor/ERR
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Y134 is a selective and orally active oestrogen receptor (ER) modulator (SERM), exhibits potent antagonist activity at ERα and ERβ. Y134 shows 121.1-fold selectivity for ERα (K_i=0.09 nM) over ERβ (K_i=11.31 nM). Y134 inhibits oestrogen-stimulated proliferation of ER-positive human breast cancer cells[1].

IC_{50} & Target

ERα	ERβ
0.09 nM (Ki)	11.31 nM (Ki)

In Vitro

Y134 exhibits potent antagonist activity at ERs in CV-1 cells cotransfected with plasmids containing ERα or ERβ and oestrogen-response element-driven luciferase, with IC_{50}[1].

~~Y134 (0.01 nM-10 μM; 6 d) inhibits the oestrogen-stimulated ER-expressing breast cancer cell (MCF-7 and T47D) proliferation [1].~~

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

~~Cell Viability Assay[1]~~

~~Cell Line:~~	~~MCF-7, T47, MDA-MB-231 cells~~
~~Concentration:~~	~~0.01 nM-10 μM~~
~~Incubation Time:~~	~~6 days~~
~~Result:~~	~~Suppressed oestrogen-stimulated MCF-7 and T47D cell proliferation. Showed no effects on MDA-MB-231 cells, except some cytotoxicity was seen at high concentrations.~~

In Vivo

Y134 (1-3 mg/kg/day; p.o. for 3 days) abolishes the E_2-induced mammary gland terminal end bud (TEB) outgrowth in ovariectomized rats. Y134 inhibits uterine cell proliferation induced by E_2 in a dose-dependent manner[1].

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

Animal Model:	Four-week old female Sprague-Dawley rats were received ovariectomy[1]
Dosage:	1, 3 mg/kg
Administration:	P.o. daily for 3 days

Result:	Abolished the effect exerted by E2 in a dose-dependent manner.

REFERENCES

[1]. Ning M, et, al. Biological activities of a novel selective oestrogen receptor modulator derived from raloxifene (Y134). Br J Pharmacol. 2007 Jan;150(1):19-28.

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