DY131

Cat. No.: HY-15483

CAS No.: 95167-41-2

Molecular Formula: $C_{18}H_{21}N_3O_2$

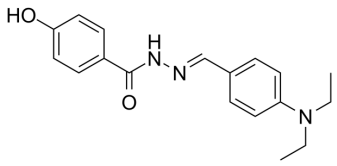
Molecular Weight: 311.38

Target: Estrogen Receptor/ERR; Smo

Pathway: Vitamin D Related/Nuclear Receptor; Stem Cell/Wnt

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (160.58 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2115 mL	16.0576 mL	32.1151 mL
	5 mM	0.6423 mL	3.2115 mL	6.4230 mL
	10 mM	0.3212 mL	1.6058 mL	3.2115 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: ≥ 2.5 mg/mL (8.03 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.03 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.03 mM); Suspended solution

BIOLOGICAL ACTIVITY

Description

DY131 (GSK 9089) is a potent and selective ERRγ and ERRβ agonist. DY131displays inactive against ERRα, ERα and ERβ[1][2]. DY131 also inhibits Smo signaling[3].

IC_{50} & Target	ERRγ	ERRβ

In Vitro

DY131 (0.1-30 μM; 5 days) treatment suppresses cell proliferation and reduces BrdUrd-positive cells in both LNCaP-ERRγ and LNCaP cells in a dose-dependent manner, with higher suppression in LNCaP-ERRγ clone[1].

DY131inhibits Shh induced accumulation of Smo::EGFP with an IC_{50} of 0.8 μM. DY131 suppresses SAG (100 nM) induced accumulation of Smo::EGFP in the primary cilium and Gli transcription activity with an IC_{50} of ~2 μM[3].
DY131 dramatically decreases phosphorylated histone H3 (pH3) marked proliferation of CGNPs induced by Shh[3].
A selective ERRγ agonist, DY131, inhibits the growth of the ERα-positive endometrial cancer cells but promoted that of the ERα-negative cancer cells[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	LNCaP-ERRγ and LNCaP cells
Concentration:	0.1 μM, 1 μM, 10 μM, 30 μM
Incubation Time:	5 days
Result:	Suppressed cell proliferation and reduced BrdUrd-positive cells in both LNCaP-ERRγ and LNCaP cells in a dose-dependent manner.

In Vivo

DY131 (5 μg/kg; subcutaneous injection; every second day; for 12 days) treatment increases P450 side-chain cleavage (P450scc), StAR and HMGCoA reductase (HMGCR) while decreases hormone sensitive lipase (HSL) expressions[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mature male mice (C57BL/6) (8-10 week-old; ~24.3 g)[5]
Dosage:	5 μg/kg
Administration:	Subcutaneous injection; every second day; for 12 days
Result:	Increased P450scc, StAR and HMGCR while decreased HSL expressions.

CUSTOMER VALIDATION

- J Transl Med. 2023 Sep 7;21(1):605.
- Front Pharmacol. 23 April 2021.

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REFERENCES

[1]. Wang Y, et al. Selective identification of hedgehog pathway antagonists by direct analysis of smoothened ciliary translocation . ACS Chem Biol. 2012,15;7(6):1040-8.

[2]. Yamamoto T, et al. Estrogen-related receptor-γ regulates estrogen receptor-α responsiveness in uterine endometrial cancer. Int J Gynecol Cancer. 2012;22(9):1509-16.

[3]. Yu S, et al. ERRgamma suppresses cell proliferation and tumor growth of androgen-sensitive and androgen-insensitive prostate cancer cells and its implication as a therapeutic target for prostate cancer. Cancer Res. 2007;67(10):4904-14.

[4]. Donna D. Yu, Barry Marc Forman. Identification of an agonist ligand for estrogen-related receptors ERRβ/γ. Bioorganic & Medicinal Chemistry Letters. 2005,15(5): 1311-1313.

[5]. A Pacwa, et al. Interplay between estrogen-related receptors and steroidogenesis-controlling molecules in adrenals. In vivo and in vitro study. Acta Histochem. 2018 Jul;120(5):456-467.

Caution: Product has not been fully validated for medical applications. For research use only.

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