Drospirenone

Cat. No.: HY-B0111

CAS No.: 67392-87-4

Molecular Formula: $C_{24}H_{30}O_3$

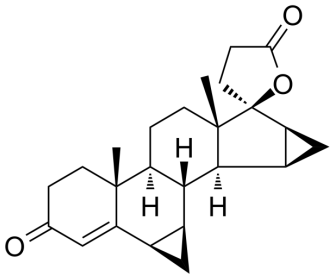
Molecular Weight: 366.49

Target: Progesterone Receptor; Androgen Receptor

Pathway: Vitamin D Related/Nuclear Receptor

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 (136.43 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7286 mL	13.6429 mL	27.2859 mL
	5 mM	0.5457 mL	2.7286 mL	5.4572 mL
	10 mM	0.2729 mL	1.3643 mL	2.7286 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 (6.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.82 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Drospirenone (Dihydrospirorenone) is an orally active fourth-generation progestin that interacts with the progesterone receptor (PR) and androgen receptor (AR). Drospirenone significantly decreases both plasminogen activator inhibitor-1 (PAI-1) and tissue plasminogen activator (tPA) via the AR. Drospirenone can produce DNA damage in bone marrow cells of female mice. [1][2][3][4].

In Vitro

Drospirenone (10-150 μM, 24-48 h) has the value of EC_{50} (105 to 119 μM (24 h exposure) and 51 to 58 μM (48 h exposure)) for the cytotoxicity in PLHC-1 cells[1].

Drospirenone (10-200 μM, 15-120 min) increases ROS production in PLHC-1 cells[2].
Drospirenone (0.01-10 μM, 24 h) decreases both PAI-1 and tPA secretion in human immortalized endometrial endothelial cells (HEEC)[2].
Drospirenone (1-100 μM, 72 h) causes DNA damage to MCF-7 cells when supplemented with mice liver S9 fraction[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	PLHC-1 cell
Concentration:	10-150 μM
Incubation Time:	24 h, 48 h
Result:	Caused a 50% decline in cell viability with the effective concentration of 102-119 μM after 24 h exposure and 51-58 μM after 48 h exposure.

In Vivo

Drospirenone (10-100 mg/kg, oral gavage for five days) caused DNA damage in bone marrow cells of adult female mice[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult female mice [3]
Dosage:	10 mg/kg, 100mg/kg
Administration:	Oral gavage (p.o.)
Result:	Caused DNA damage at dose rates of 10 and 100 mg/kg. Enhanced the genotoxicity combinated with ethinylestradiol.

REFERENCES

[1]. Marqueño A, et al. Drospirenone induces the accumulation of triacylglycerides in the fish hepatoma cell line, PLHC-1 [J]. Science of The Total Environment, 2019, 692: 653-659.

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[4]. Motivala A, et al. Drospirenone for oral contraception and hormone replacement therapy: are its cardiovascular risks and benefits the same as other progestogens? [J]. Drugs, 2007, 67: 647-655.

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[7]. Warming, L., et al., Safety and efficacy of drospirenone used in a continuous combination with 17beta-estradiol for prevention of postmenopausal osteoporosis. Climacteric, 2004. 7(1): p. 103-11.

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

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