Prinaberel

Cat. No.: HY-14933

CAS No.: 524684-52-4

Molecular Formula: $C_{15}H_{10}FNO_3$

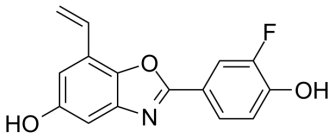
Molecular Weight: 271.24

Target: Estrogen Receptor/ERR; Apoptosis; Wnt

Pathway: Vitamin D Related/Nuclear Receptor; Apoptosis; 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 : ≥ 40 mg/mL (147.47 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6868 mL	18.4339 mL	36.8677 mL
	5 mM	0.7374 mL	3.6868 mL	7.3735 mL
	10 mM	0.3687 mL	1.8434 mL	3.6868 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: ≥ 5 mg/mL (18.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (18.43 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (18.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Prinaberel (ERB-041) is a potent and selective estrogen receptor (ER) β agonist with IC_{50}s of 5.4, 3.1 and 3.7 nM for human, rat and mouse ERβ, respectively. Prinaberel displays >200-fold selectivity for ERβ over ERα. Prinaberel is a potent skin cancer chemopreventive agent that acts by dampening the WNT/β-catenin signaling pathway. Prinaberel induces ovarian cancer apoptosis[1][2][3].

IC_{50} & Target	hERβ	rat ERβ	mouse ERβ	hERα

	5.4 nM (IC_{50})	3.1 nM (IC_{50})	3.7 nM (IC_{50})	1200 nM (IC_{50})
	mouse ERα 750 nM (IC_{50})	rat ERα 620 nM (IC_{50})		

In Vitro

Prinaberel (ERB-041) (0-60 μM; 24 hours) treatment of human SCC cells induces cell differentiation, cell cycle arrest and reduces colony formation[2].

Prinaberel shows a marked reduction in the expression of inflammation regulatory proteins such as p-NFκBp65, iNOS and COX-2 in A431 cells. Prinaberel diminishes phosphorylated-PI3K and -AKT, which is associated with the enhancement in E-cadherin expression and reduction in migration of A431 cells[2].

Prinaberel (0.01-10 μM) inhibits cell proliferation in a dose- and time-dependent manner[3].

Prinaberel (10 μM; 48 hours) promotes ovarian cancer (SKOV-3 cells) apoptosis[3].

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

Western Blot Analysis[2]

Cell Line:	A431 cells
Concentration:	0, 20, 40 and 60 μM
Incubation Time:	24 hours
Result:	Reduction in the expression of G1 cyclins (D1, D2 and D3) and CDK4.

Cell Proliferation Assay[3]

Cell Line:	SKOV-3, A2780CP or OVCAR-3 cells
Concentration:	0.01, 0.1 and 10 μM
Incubation Time:	24-48 hours
Result:	Showed significantly inhibitory effect on cell proliferation.

In Vivo

Prinaberel (2mg/mouse; topically; 30 min prior to UVB irradiation for 30 weeks) suppresses development of squamous cell carcinoma in SKH-1 hairless mice[2].

Prinaberel reduces proliferation and angiogenesis and induces apoptosis in UVB-induced skin tumors. Prinaberel suppresses pro-inflammatory signaling pathway in UVB-induced skin tumors. Prinaberel diminished tumor invasiveness via PI3K-AKT pathway and WNT signaling[2].

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

Animal Model:	Six- to eight-weeks-old SKH-1 hairless female mice[2]
Dosage:	2 mg/mouse in 200μl ethanol
Administration:	Topically; 30 min prior to UVB (180mJ/cm2) irradiation for 30 weeks
Result:	Diminished UVB-induced skin tumor development in SKH-1 hairless mice.

CUSTOMER VALIDATION

- Research Square Preprint. 2021 Jul.

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REFERENCES

[1]. Malamas MS, et al. Design and synthesis of aryl diphenolic azoles as potent and selective estrogen receptor-beta ligands. J Med Chem. 2004;47(21):5021-5040.

[2]. Chaudhary SC, et al. Erb-041, an estrogen receptor-β agonist, inhibits skin photocarcinogenesis in SKH-1 hairless mice by downregulating the WNT signaling pathway. Cancer Prev Res (Phila). 2014;7(2):186-198.

[3]. Chan KKL, et al. Estrogen receptor modulators genistein, daidzein and ERB-041 inhibit cell migration, invasion, proliferation and sphere formation via modulation of FAK and PI3K/AKT signaling in ovarian cancer. Cancer Cell Int. 2018;18:65. Published 2018

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