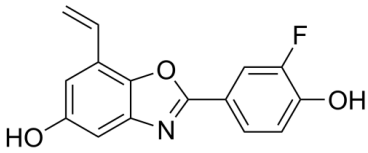
Data Sheet

Product Name:	Prinaberel
Cat. No.:	CS-3887
CAS No.:	524684-52-4
Molecular Formula:	$C_{15}H_{10}FNO_3$
Molecular Weight:	271.24
Target:	Apoptosis; Estrogen Receptor/ERR; Wnt
Pathway:	Apoptosis; Stem Cell/Wnt; Vitamin D Related/Nuclear Receptor
Solubility:	DMSO : ≥ 40 mg/mL



BIOLOGICAL ACTIVITY:

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]. ***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]. ***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].

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 May 1.

CAIndexNames:

5-Benzoxazolol, 7-ethenyl-2-(3-fluoro-4-hydroxyphenyl)-

SMILES:

OC1=CC(C=C)=C(OC(C2=CC=C(O)C(F)=C2)=N3)C3=C1

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

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