PS121912

Cat. No.: HY-103053

CAS No.: 1529814-60-5

Molecular Formula: $C_{24}H_{21}F_3N_2O$

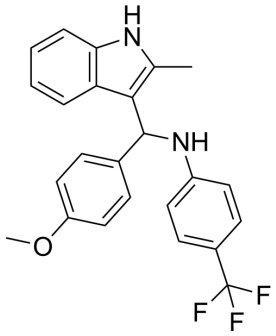
Molecular Weight: 410.43

Target: VD/VDR; Apoptosis

Pathway: Vitamin D Related/Nuclear Receptor; Apoptosis

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (243.65 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4365 mL	12.1823 mL	24.3647 mL
	5 mM	0.4873 mL	2.4365 mL	4.8729 mL
	10 mM	0.2436 mL	1.2182 mL	2.4365 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 (6.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PS121912 is a selective vitamin D receptor (VDR)-coregulator inhibitor. PS121912 has acceptable metabolic stability in vivo. PS121912 can be used for the research of cancer[1].

In Vitro

PS121912 behaves like a VDR antagonist at low concentrations but interacts with more targets at higher concentrations leading to apoptosis mediated by caspase 3/7 activation[1].

PS121912 (combined with 1,25-(OH)2D3)reduces the transcription levels of cyclin A and D thus arresting HL-60 cells in the S or G2/M phase[1].

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

Cell Viability Assay[1]

Cell Line:	Cancer cells consisting of DU145 (prostate), Caco2 (colon), HL-60 (monocytes), and SKOV3 (ovary)

Concentration:	0-100 μM
Incubation Time:	18 h
Result:	Induced apoptosis in all four cancer cells, with HL-60 cells being the most sensitive.

Cell Proliferation Assay[1]

Cell Line:	Four different cancer cell lines (DU145 prostate cancer, Caco2 colon cancer, SKOV3 ovarian cancer and HL-60 monocytes)
Concentration:	0.5, 2 μM
Incubation Time:	5 days
Result:	Amplified the growth inhibition of cancer cells caused by 1.25-(OH)2Ds without being antiproliferative by itself at sub-micromolar concentrations.

Apoptosis Analysis[1]

Cell Line:	HL-60 cells
Concentration:	500 nM
Incubation Time:	18 h
Result:	Reduced expression of HSP60 and Survivin and elevated the levels of pro-apoptotic BIM.

RT-PCR[1]

Cell Line:	HL-60 cells
Concentration:	3 μM
Incubation Time:	18 h
Result:	Induced the expression of caspase 3 and caspase 7 mRNA levels.

In Vivo

PS121912 shows an acceptable metabolic stability and can inhibit the metabolic enzyme CYP3A4 at higher concentrations in vivo cancer studies[1].

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

REFERENCES

[1]. Sidhu PS, et al. Anticancer activity of VDR-coregulator inhibitor PS121912. Cancer Chemother Pharmacol. 2014;74(4):787-798.

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