PHTPP

Cat. No.: HY-103456

CAS No.: 805239-56-9

Molecular Formula: $C_{20}H_{11}F_6N_3O$

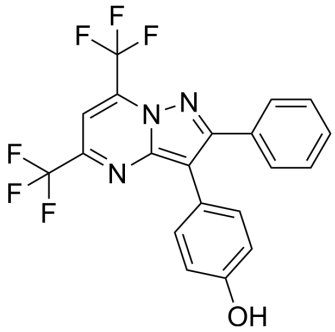
Molecular Weight: 423.31

Target: Estrogen Receptor/ERR

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 : 25 mg/mL (59.06 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3623 mL	11.8117 mL	23.6233 mL
	5 mM	0.4725 mL	2.3623 mL	4.7247 mL
	10 mM	0.2362 mL	1.1812 mL	2.3623 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 (5.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PHTPP is a selective estrogen receptor β (ERβ) antagonist with 36-fold selectivity over ERα[1].

IC_{50} & Target

ERβ[1]

In Vitro

PHTPP is a selective ERβ antagonist. PHTPP reduces FSH-mediated cAMP production by 80% ($p<0.01$) while it has no effect on basal cAMP[1]. PHTPP (10^{-6} M) inhibits E2-stimulated ERβ activity, but does not suppress E2-stimulated ERα activity. A high dose of PHTPP (10^{-6} M) slightly increases class 1 Igf1 mRNA expression, and facilitates the DPN-induced increase in class 1 Igf1 mRNA expression[2].

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

PROTOCOL

Cell Assay [1]

Cells are plated at a density of 3 to 4×10^5 in 1 mL of medium per well in a 24-well plate for cell viability and cAMP analysis. Cells are cultured in Dulbecco's Modified Eagle Medium with PHTPP (1 μM), or ethanol (0.1%) as the vehicle. The incubator is set to an atmosphere of 5% CO_2 in air at 37°C, and cultures are allowed to acclimate for at least 24 h. The culture medium is then aspirated and replaced with serum-free DMEM/F12 containing 0.1 μM androstenedione. The cells are collected for intracellular cAMP and to test cell viability[1].

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

CUSTOMER VALIDATION

- Environ Pollut. 2021 Jan 1;268(Pt B):115748.
- Phytomedicine. 2023 Nov 14:123:155218.
- Phytomedicine. 2023 Apr 26;115:154839.
- Phytomedicine. 27 February 2022, 154022.
- Front Immunol. 2022 May 19;13:818173.

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REFERENCES

[1]. Ogo Y, et al. IGF-1 gene expression is differentially regulated by estrogen receptors α and β in mouse endometrial stromal cells and ovarian granulosa cells. J Reprod Dev. 2014;60(3):216-23. Epub 2014 Mar 25.

[2]. Dennis R Compton, et al. Pyrazolo[1,5-a]pyrimidines: estrogen receptor ligands possessing estrogen receptor beta antagonist activity. J Med Chem. 2004 Nov 18;47(24):5872-93.

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