

# **Data Sheet**

 Product Name:
 PHTPP

 Cat. No.:
 CS-0027927

 CAS No.:
 805239-56-9

 Molecular Formula:
 C20H11F6N3O

Molecular Weight: 423.31

Target: Estrogen Receptor/ERR

Pathway: Others

Solubility: H2O: < 0.1 mg/mL (insoluble); DMSO: 25 mg/mL (59.06 mM;

Need ultrasonic)



#### **BIOLOGICAL ACTIVITY:**

PHTPP is a selective **ER\beta** antagonist. IC50 & Target: ER $\beta^{[1]}$  **In Vitro**: PHTPP is a selective ER $\beta$  antagonist. PHTPP reduces FSH-mediated cAMP production by 80% (p<0.01) while it has no effect on basal cAMP<sup>[1]</sup>. PHTPP (10<sup>-6</sup> M) inhibits E2-stimulated ER $\beta$  activity, but does not suppress E2-stimulated ER $\alpha$  activity. A high dose of PHTPP (10<sup>-6</sup> M) slightly increases class 1 Igf1 mRNA expression, and facilitates the DPN-induced increase in class 1 Igf1 mRNA expression<sup>[2]</sup>.

## PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: <sup>[1]</sup>Cells are plated at a density of 3 to  $4\times10^5$  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  $\mu$ M), or ethanol (0.1%) as the vehicle. The incubator is set to an atmosphere of 5% CO<sub>2</sub> 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  $\mu$ M androstenedione. The cells are collected for intracellular cAMP and to test cell viability<sup>[1]</sup>.

## References:

[1]. Compton DR, 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.

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

### **CAIndexNames:**

#### **SMILES:**

OC1=CC=C(C2=C3N=C(C(F)(F)F)C=C(C(F)(F)F)N3N=C2C4=CC=CC=C4)C=C1

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

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