

Data Sheet

Product Name: PHTPP

 $\begin{array}{lll} \textbf{Cat. No.:} & \textbf{CS-0027927} \\ \textbf{CAS No.:} & 805239\text{-}56\text{-}9 \\ \textbf{Molecular Formula:} & \textbf{C}_{20}\textbf{H}_{11}\textbf{F}_{6}\textbf{N}_{3}\textbf{O} \\ \end{array}$

Molecular Weight: 423.31

Target: Estrogen Receptor/ERR

Pathway: Others

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

BIOLOGICAL ACTIVITY:

PHTPP is a selective **estrogen receptor \beta (ER\beta)** antagonist with 36-fold selectivity over ER $\alpha^{[1]}$. IC50 & Target: ER $\beta^{[1]}$ **In Vitro:** PHTPP is a selective ER β 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 ER β activity, but does not suppress E2-stimulated ER α 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].

PROTOCOL (Extracted from published papers and Only for reference)

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 μ M), or ethanol (0.1%) as the vehicle. The incubator is set to an atmosphere of 5% CO₂ 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 μ M androstenedione. The cells are collected for intracellular cAMP and to test cell viability^[1].

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.

CAIndexNames:

Phenol, 4-[2-phenyl-5,7-bis(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-3-yl]-

SMILES:

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

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

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