

Product Data Sheet

Product Name: PHTPP
Cat. No.: GC11863

Chemical Properties

Cas. No. 805239-56-9

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

SMILES FC(F)(C1=CC(C(F)(F)F)=NC2=C(C(C=C3)=CC=C3O)C(C4=CC=CC=C4)=NN21)F

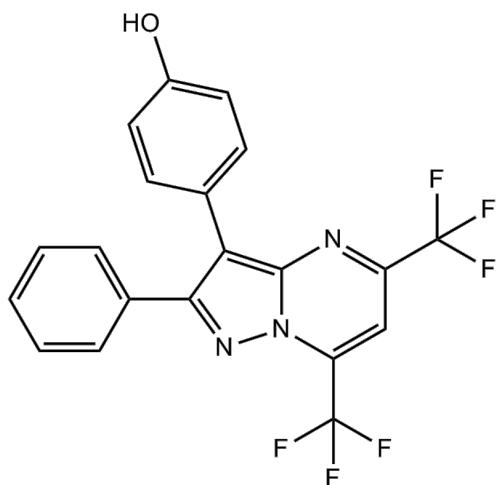
Formula $C_{20}H_{11}F_6N_3O$ M.Wt 423.31

Solubility $\leq 30\text{mg/ml}$ in ethanol; 50mg/ml in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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Cell lines MCF-7 cells

Preparation Method MCF-7 cells were cultured in Minimum Essential Medium. All media were supplemented with 10% fetal bovine serum (FBS), penicillin (100U/mL) and streptomycin (100mg/mL). The cells were pre-treated with 5μM selective ERβ full antagonist (PHTPP) for 1h.

Reaction
Conditions 5μM; 1h

Applications PHTPP significantly weakened the inhibitory effect of silibinin on MCF-7 breast cancer cells by blocking the activity of Erβ.

Animal experiment [2]:

Animal models Sprague-dawley rat model

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Ninety female Sprague-Dawley rats, weighing 186 ± 15 g with an average age of approximately 8 weeks, were housed in a temperature and humidity-controlled environment with 12h light dark cycles and free access to food and water. The rats were randomly divided as follows into 9 groups of 10 rats each: Control, Carbon tetrachloride (CCl_4), CCl_4 +E2, CCl_4 +PPT, CCl_4 +DPN, CCl_4 +G1, CCl_4 +E2+MPP, CCl_4 +E2+PHTPP and CCl_4 +E2+G15. The Control group underwent sham surgery, while the other 8 groups underwent bilateral ovariectomy. Two weeks after the surgeries, the 8 CCl_4 groups were

Preparation Method subcutaneously injected with 40% CCl_4 in peanut oil at a dose of 0.2mL/100g body weight twice weekly. 5% alcohol was added to drinking water to induce P450 and intensify the development of hepatic fibrosis. Starting from the first CCl_4 injection day, the rats received subcutaneously injections of the corresponding drugs (E2, PPT, DPN, G1, MPP, PHTPP and G15; first dissolved in DMSO and then diluted with saline) at a dosage of 30nM/100g body weight/day for 12 weeks, according to the grouping classification. The Control and CCl_4 groups were treated subcutaneously with the same volume of placebos (peanut oil and/or DMSO diluted with saline).

Dosage form 0.091mg/kg; sc; 12 weeks

Applications PHTPP could block the antifibrotic effects of E2.

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References:

- [1]. Zheng N, Liu L, Liu W, et al. ER α up-regulation was involved in silibinin-induced growth inhibition of human breast cancer MCF-7 cells. Archives of biochemistry and biophysics. 2016 Feb 1; 591: 141-149.
- [2]. Zhang B, Zhang CG, Ji LH, et al. Estrogen receptor α selective agonist ameliorates liver cirrhosis in rats by inhibiting the activation and proliferation of hepatic stellate cells. Journal of Gastroenterology and Hepatology. 2018 Mar; 33(3): 747-755.

Background

PHTPP is a selective ER β full antagonist with 36-fold selectivity for ER β over ER α . PHTPP can selectively antagonize the ligand binding and transcriptional functions of ER β , blocking its inhibitory effect on tumor cells, thereby promoting cell proliferation. PHTPP was used to verify ER β function [1-3].

In MCF-7 cells, PHTPP (5 μ M, 1h) significantly weakened the inhibitory effect of silibinin on MCF-7 breast cancer cells by blocking the activity of ER β [4]. In Caco-2 cells, PHTPP (0.1 μ M, 24h) reversed the p-hydroxybenzoic acid-induced inhibition of the expression of proinflammatory factors (e.g., TNF- α and IL-6) and upregulated the expression of E-cadherin and occluding [5]. In SKOV3 cells, PHTPP (10 μ M, 24h) promotes the proliferation and migration of SKOV3 cells [6].

In colitis mouse model, PHTPP (1mg/kg, ip, 1d) reversed the improvement effect of p-hydroxybenzoic acid on the symptoms of colitis [5]. In sprague-dawley rat model, PHTPP (0.091mg/kg, sc, 12 weeks) could block the antifibrotic effects of E2 [7]. In sprague-dawley rat model, PHTPP (850 μ g/kg, ip, 12d) inhibited ER β activation by E2 and naringenin by over 90% [8].

References:

- [1]. Compton DR, Sheng S, Carlson KE, et al. Pyrazolo [1, 5-a] pyrimidines: estrogen receptor ligands possessing estrogen receptor β antagonist activity. Journal of medicinal chemistry. 2004 Nov 18; 47(24): 5872-5893.
- [2]. Chan KK, Leung TH, Chan DW, et al. Targeting estrogen receptor subtypes (ER α and ER β) with selective ER modulators in ovarian cancer. J. Endocrinol. 2014; 221: 325-336.

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- [3]. Boopathy GT, Hong W. Role of hippo pathway-YAP/TAZ signaling in angiogenesis. *Frontiers in cell and developmental biology*. 2019 Apr 10; 7: 49.
- [4]. Zheng N, Liu L, Liu W, et al. ER β up-regulation was involved in silibinin-induced growth inhibition of human breast cancer MCF-7 cells. *Archives of biochemistry and biophysics*. 2016 Feb 1; 591: 141-149.
- [5]. Xu X, Luo A, Lu X, et al. p-Hydroxybenzoic acid alleviates inflammatory responses and intestinal mucosal damage in DSS-induced colitis by activating ER β signaling. *Journal of Functional Foods*. 2021 Dec 1; 87: 104835.
- [6]. Yan Y, Jiang X, Zhao Y, et al. Role of GPER on proliferation, migration and invasion in ligand-independent manner in human ovarian cancer cell line SKOV3. *Cell biochemistry and function*. 2015 Dec; 33(8): 552-559.
- [7]. Zhang B, Zhang CG, Ji LH, et al. Estrogen receptor β selective agonist ameliorates liver cirrhosis in rats by inhibiting the activation and proliferation of hepatic stellate cells. *Journal of Gastroenterology and Hepatology*. 2018 Mar; 33(3): 747-755.
- [8]. Lin P, Zhang X, Zhu B, et al. Naringenin protects pancreatic β cells in diabetic rat through activation of estrogen receptor β . *European Journal of Pharmacology*. 2023 Dec 5; 960: 176115.

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