BHPI

Cat. No.: HY-12825

CAS No.: 56632-39-4

Molecular Formula: $C_{21}H_{17}NO_3$

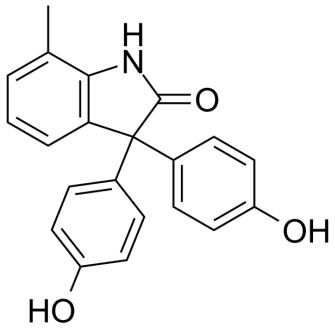
Molecular Weight: 331.36

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 : 120 mg/mL (362.14 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0179 mL	15.0893 mL	30.1787 mL
	5 mM	0.6036 mL	3.0179 mL	6.0357 mL
	10 mM	0.3018 mL	1.5089 mL	3.0179 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: ≥ 3 mg/mL (9.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (9.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BHPI is a potent inhibitor of nuclear estrogen–ERα-regulated gene expression; elicits sustained ERα-dependent activation of the endoplasmic reticulum (EnR) stress sensor, the unfolded protein response (UPR), and persistent inhibition of protein synthesis.IC50 value:Target: ERα inhibitorBHPI is effective because it elicits sustained ERα-dependent activation of the endoplasmic reticulum (EnR) stress sensor, the unfolded protein response (UPR), and persistent inhibition of protein synthesis. BHPI distorts a newly described action of estrogen-ERα: mild and transient UPR activation. In contrast, BHPI elicits massive and sustained UPR activation, converting the UPR from protective to toxic. In ERα(+) cancer cells, BHPI rapidly hyperactivates plasma membrane PLCγ, generating inositol 1,4,5-triphosphate (IP3), which opens EnR IP3R calcium channels, rapidly depleting EnR Ca(2+) stores.

REFERENCES

[1]. Andruska ND, et al. Estrogen receptor α inhibitor activates the unfolded protein response, blocks protein synthesis, and induces tumor regression. Proc Natl Acad Sci U S A. 2015 Apr 14;112(15):4737-42.

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