

Data Sheet

Product Name:	DPN	
Cat. No.:	CS-3514	
CAS No.:	1428-67-7	OH
Molecular Formula:	C ₁₅ H ₁₃ NO ₂	
Molecular Weight:	239.274	
Target:	Apoptosis; Autophagy; Estrogen Receptor/ERR	но
Pathway:	Apoptosis; Autophagy; Vitamin D Related/Nuclear Receptor	N N
Solubility:	H ₂ O : 0.67 mg/mL (ultrasonic);DMSO : 100 mg/mL (ultrasonic)	

BIOLOGICAL ACTIVITY:

DPN (Diarylpropionitrile) is a non-steroidal **estrogen receptor β (ERβ)** selective ligand, with an **EC**₅₀ of 0.85 nM. DPN has neuroprotective effects in a number of neurological diseases^{[1][2]}. *In Vitro:* DPN has a 70-fold ERα relative binding affinity selectivity, and it is a full ERα agonist with a 78-fold ERα potency selectivity (EC₅₀=0.85 nM for ERβ; EC₅₀=66 nM for ERα)^[1]. DPN (10 nM) prevents morphological alterations from A $\beta_{1.42}$ (10 µM)-induced toxicity in cultured cortical neurons^[2]. DPN (0.1-100 nM) decreases ROS levels in a non-dose response manner^[2]. DPN (0.1-100 nM) significantly reduces A $\beta_{1.42}$ -stimulated expression of Bax in a non-dose dependent manner^[2]. DPN (0.1-100 nM) reduces activated IL-1 levels induced by A $\beta_{1.42}$ treatment on cultured cortical neurons^[2]. DPN (0.1-100 nM) suppresses the A $\beta_{1.42}$ -upregulated phosphorylation of JNK and p38^[2]. *In Vivo:* DPN (10 µg; s.c.; daily; for 11 days) increases swimming and decreases immobility in the FST, and increases TPH protein expression in the dorsal raphe nucleus (DR) in rat model^[3].

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay [3] The murine macrophage cell line RAW264.7 was purchased from the Type Culture Collection of the Chinese Academy of Sciences (Shanghai, People's Republic of China). The cells were seeded in 24-well plates (2.5 × 105 cells/well), cultured for at least 24 hours in phenol red-free Dulbecco's modified Eagle's medium (DMEM; Invitrogen) with 10% charcoaltreated fetal bovine serum (Hyclone), and then pretreated for 2 hours with dexamethasone (106 and 105 mol/L) or with increasing concentrations (from 1010 to 106 mol/L) of DPN (Tocris Bioscience) before the addition of 1 mg/mL LPS (Sigma-Aldrich). After 24 hours, the supernatants were collected for cytokine production assays, and the cells were lysed for polymerase chain reaction (PCR) or immunoblot experiments. Animal administration [2] Male or female mice, 8–10 wk old, were administered 8 mg/kg per 48 h DPN (Tocris Bioscience), 0.04 mg/kg per day E2 (Sigma-Aldrich), or vehicle, made up of 10% ethyl alcohol (EM Sciences) and 90% Miglyol 812N oil (kind gift from Sasol North America, Houston), s.c. beginning at EAE postinduction day 0 and continued until day 36–42 (end of experiment). The DPN dose is based on uterine weight measurements for biological response and previous EAE experiments (2, 4). Myelin oligodendrocyte glycoprotein (MOG; amino acids 35–55) was obtained from Mimotopes.

References:

[1]. Suwanna N, et al. Neuroprotective effects of diarylpropionitrile against β-amyloid peptide-induced neurotoxicity in rat cultured cortical neurons. Neurosci Lett. 2014 Aug 22;578:44-9.

[2]. Meyers, M. J., et al. Estrogen Receptor-β Potency-Selective Ligands: Structure–Activity Relationship Studies of Diarylpropionitriles and Their Acetylene and Polar Analogues. Journal of Medicinal Chemistry, 2001. 44(24), 4230–4251.

[3]. Fuzhong Yang, et al. Physiological dosages of estradiol and diarylpropionitrile decrease depressive behavior and increase tryptophan hydroxylase expression in the dorsal raphe nucleus of rats subjected to the forced swim test. Neuroreport. 2019 Jan 16;30(2):66-70.

[4]. Sherry A. Said, et al. Effects of long-term dietary administration of estrogen receptor-beta agonist diarylpropionitrile on ovariectomized female ICR (CD-1) mice. GeroScience. 2018 Aug; 40(4): 393–403.

CAIndexNames:

Benzenepropanenitrile, 4-hydroxy-a-(4-hydroxyphenyl)-

SMILES:

OC1=CC=C(CC(C#N)C2=CC=C(O)C=C2)C=C1

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

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