

Product Data Sheet

Product Name: DPCPX
Cat. No.: GC16099

Chemical Properties

Cas. No. 102146-07-6

Chemical Name 8-cyclopentyl-1,3-dipropyl-1H-purine-2,6(3H,7H)-dione

SMILES O=C1N(CCC)C(N(CCC)C2=C1NC(C3CCCC3)=N2)=O

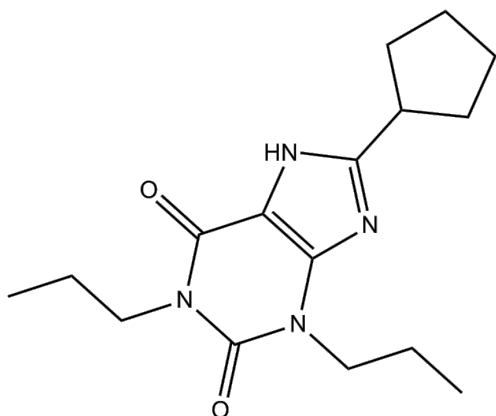
Formula $C_{16}H_{24}N_4O_2$ M.Wt 304.39

Solubility <1.52mg/ml in DMSO; <3.04mg/ml in ethanol 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]:

Cell lines MCF-7 cells

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

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MCF-7 cells were seeded into 24-well plates with 10^4 cells per well and cultured for 24h. They were treated with different concentrations (0, 87nM) of DPCPX. DMSO was added at 24, 48, 72, and 96h, and 100µl aliquot of the soluble fraction was transferred into 96-well plates, and the optical density (OD) was measured by a plate reader.

Reaction Conditions 0, 87nM; 24, 48, 72h

Applications DPCPX significantly inhibited the survival rate of MCF-7 cells in a time-dependent manner.

Animal experiment [2]:

Animal models kidney injury model mice

Preparation Method Mice were injected with a nitric oxide synthase inhibitor (N^G-nitro-L-arginine methyl ester, 10mg/kg) and an inhibitor of prostaglandin synthesis (indomethacin, 10mg/kg) intraperitoneally (ip) to inhibit the protective mechanisms in the kidneys. Part of them were pretreated with 1 mg/kg DPCPX ip. After 15min, the mice were injected with iohexol (350mg iodine/ml) ip. Renal function was assessed by measuring plasma Cr 24h after radiocontrast injection by a colorimetric method.

Dosage form 1mg/kg for 15min; i.p.

Applications Treatment of DPCPX significantly protected against radiocontrast nephropathy.

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- [1] The effect of adenosine A₁ receptor agonist and antagonist on p53 and caspase 3, 8, and 9 expression and apoptosis rate in MCF-7 breast cancer cell line, Research in Pharmaceutical Sciences[J]. 2016, 11: 303-310.
- [2] Lee H T, Jan M, Bae S C, et al., A₁ adenosine receptor knockout mice are protected against acute radiocontrast nephropathy in vivo, American Journal of Physiology-Renal Physiology[J]. 2006, 290: F1367-F1375.

Background

DPCPX is a potent and selective high affinity A₁ adenosine receptor antagonist with a K_i value of 0.45nM for adenylyl cyclase in rat fat cell membranes [1]. The A₁ adenosine receptor is an important G protein-coupled receptor whose activation inhibits adenylyl cyclase activity, regulates calcium ion channels, and inhibits potassium ion channels, thereby modulating cardiac function, neurotransmission, and various physiological processes[2]. DPCPX is a xanthine analog that competitively binds to the adenosine binding site on the A₁ adenosine receptor, inhibiting its activation. It is commonly used to study the function of A₁ adenosine receptors and their roles in cardiovascular, neurological, metabolic systems, and certain cancers[1,3].

DPCPX is used to evaluate pharmacological differences in A₁ adenosine receptors from different sources. [³H]-DPCPX was used to treat the membranes of human, guinea pig, rat, and mouse brains (treatment concentrations and times were: human: 0.7nM, 120min; guinea pig: 0.2nM, 60min; rat and mouse: 0.1nM, 20min). DPCPX exhibited significant differences in binding properties to membranes from different species, while maintaining relatively consistent binding affinity across different brain regions within the same species[4]. Treatment of MCF-7 cells with DPCPX (87nM) for 24, 48, and 72h resulted in increased expression of p53, caspase 3, 8, and 9, and a decrease in cell viability, indicating that DPCPX promotes apoptosis in MCF-7 cells[5].

DPCPX (1mg/kg) administered intraperitoneally to mice significantly reduced renal damage caused by the radiocontrast agent iohexol[6]. DPCPX (0.25mg/kg) administered subcutaneously to rats

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significantly increased the mortality rate, neurological deficit scores, and brain infarction volume in middle cerebral artery occlusion (MCAO) rats, while reducing the neuroprotective effects of tetramethylpyrazine (TSG) [7].

References:

- [1] Lohse M, Klotz K N, Lindenborn-Fotinos J, et al., 8-Cyclopentyl-1,3-dipropylxanthine (DPCPX) — a selective high affinity antagonist radioligand for A₁ adenosine receptors, Naunyn-Schmiedeberg's archives of pharmacology[J]. 1987, 336: 204-210.
- [2] Linden J, Structure and function of A₁ adenosine receptors, FASEB journal : official publication of the Federation of American Societies for Experimental Biology[J]. 1991,12: 2668-76.
- [3] Moro S, Gao Z G, Jacobson K A, et al., Progress in the pursuit of therapeutic adenosine receptor antagonists, Medicinal Research Reviews[J]. 2005, 26: 131-159.
- [4] Maemoto T, Finlayson K, Olverman H J, Akahane A, et al., Species differences in brain adenosine A₁ receptor pharmacology revealed by use of xanthine and pyrazolopyridine based antagonists, British Journal of Pharmacology[J]. 1997, 122: 1202-1208.
- [5] Dastjerdi M N, Rarani M Z, Valiani A, et al., The effect of adenosine A₁ receptor agonist and antagonist on p53 and caspase 3, 8, and 9 expression and apoptosis rate in MCF-7 breast cancer cell line, Research in Pharmaceutical Sciences[J]. 2016, 11: 303-310.
- [6] Lee H T, Jan M, Bae S C, et al., A₁ adenosine receptor knockout mice are protected against acute radiocontrast nephropathy in vivo, American Journal of Physiology-Renal Physiology[J]. 2006, 290: F1367-F1375.
- [7] Ruan L, Li G, Zhao W, et al., Activation of Adenosine A₁ Receptor in Ischemic Stroke: Neuroprotection by Tetrahydroxy Stilbene Glycoside as an Agonist, Antioxidants[J]. 2021, 10: 1112.

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