

Product Data Sheet

Product Name: Pyronaridine Tetraphosphate

Cat. No.: GC17403

Chemical Properties

Cas. No. 76748-86-2

Chemical Name 4-[(7-chloro-2-methoxy-1,5-dihydrobenzo[b][1,5]naphthyridin-10-yl)imino]-2,6-bis(pyrrolidin-1-ylmethyl)cyclohexa-2,5-dien-1-one;phosphoric acid

SMILES COC1=CC=C2C(=C(C3=C(N2)C=C(C=C3)Cl)N=C4C=C(C(=O)C(=C4)CN5CCCC5)CN6CCCC6)N1.OP(=O)(O)O.OP(=O)(O)O.OP(=O)(O)O.OP(=O)(O)O

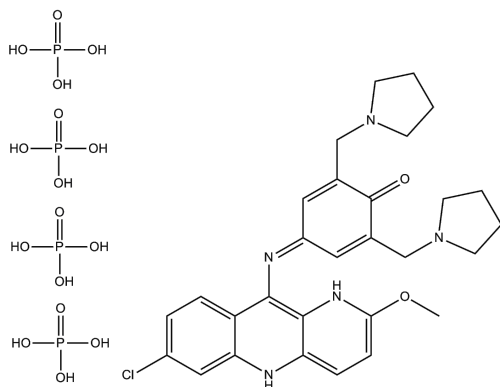
Formula $C_{29}H_{32}ClN_5O_{24}H_3PO_4$ M.Wt 910.03

Solubility $\geq 12.25\text{mg/mL}$ in DMSO with gentle warming Storage Store at RT

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 K562/A02 and MCF-7/ADR cells

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

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Address: 10292 Central Ave. #205, Montclair, CA, USA

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Preparation method The solubility of this compound in DMSO is > 12.25 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.

Reacting condition 0 ~ 4.4 µM; 72 hrs

Applications Pyronaridine Tetrphosphate significantly enhanced the effect of DOX on K562/A02 and MCF-7/ADR cells, without affecting the effect of DOX on parent K562 and MCF-7 cells. At a concentration of 4.4 µM, Pyronaridine Tetrphosphate resulted in a ~ 295-fold and a 30-fold DOX sensitization in K562/A02 and MCF-7/ADR cells, respectively.

Animal experiment [1]:

Animal models Nude mice bearing K562 and K562/A02 tumors

Dosage form 40 mg/kg; i.p.; q3d

Applications Pyronaridine Tetrphosphate in combination with 4 mg/kg DOX exhibited no effect on the antitumor effect of DOX on K562 tumors, but significantly enhanced the antitumor effect of DOX on K562/A02 tumors. When DOX given at sub-MTD doses (1 or 2 mg/kg), the addition of Pyronaridine Tetrphosphate dose-dependently inhibited the growth of K562 tumors, but showed the minimal effect on K562/A02 tumors.

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Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

[1]. Qi J, Wang S, Liu G, Peng H, Wang J, Zhu Z, Yang C. Pyronaridine, a novel modulator of P-glycoprotein-mediated multidrug resistance in tumor cells in vitro and in vivo. Biochem Biophys Res Commun. 2004 Jul 9;319(4):1124-31.

Background

Pyronaridine (PND), a synthetic quinolone derivative frequently prescribed for the treatment of malaria, is a selective and potent multidrug resistance (MDR) modulator of Pgp-mediated MDR that inhibits the proliferation of a variety of tumor cells, including myeloid leukemia (K562 and K562/A02), epidermoid carcinoma (KB and KBV200), breast carcinoma (MCF-7 and MCF-7/ADR), ovarian carcinoma (SKOV3, ES-2 and PA-1), gastric carcinoma (BGC-823), colon carcinoma (LoVo), hepatocellular carcinoma (SMMZ-7721 and QGY-7703), with the half maximal inhibition concentration IC₅₀ values of 8.3 μ M, 5.6 μ M, 20.8 μ M, 14.5 μ M, 9.5 μ M, 11 μ M, 9.7 μ M, 12.9 μ M, 15.7 μ M, 14.9 μ M, 21.4 μ M, 10.9 μ M and 17.1 μ M respectively [1].

References:

[1] Qi J, Wang S, Liu G, Peng H, Wang J, Zhu Z, Yang C. Pyronaridine, a novel modulator of P-glycoprotein-mediated multidrug resistance in tumor cells in vitro and in vivo. Biochem Biophys Res Commun. 2004 Jul 9;319(4):1124-31.

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