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Catalog Number: CM06641

产品信息

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CAS号:

141400-58-0 $C_7H_{12}N_2S_2$

上要靶点: Thioredoxin 主要通路: 代谢

分子量: 188.32 溶解度:

DMSO:18.8 mg/mL(100 mM),Ethanol:38 mg/mL (201.79 mM),H2O:<1 mg/mL

靶点活性

HT-29 cell:2.9 $\,\mu$ M|MCF-7 cell:1.9 $\,\mu$ M

体外活性

In MCF-7 and HT-29 cells, PX-12 prevents the hypoxia (1% oxygen)-induced increase in HIF-1alpha protein, and decreases HIF-1-trans-activating activity, VEGF formation, and inducible nitric oxide synthase. PX-12 also inhibits the growth of MCF-7 and HT-29 cells with IC50s of 1.9 μ M and 2.9 μ M, respectively. [1] PX-12 also inhibits HIF-1 α protein levels through an Nrf2/PMF-1-mediated increase. [2] In A549 cells, PX-12 inhibits cell growth via G2/M phase arrest, and Bax-mediated and ROS-dependent apoptosis. [3] In hepatocelluar carcinoma cells, PX-12 exerts a synergistic effect with 5-FU to significantly suppress tumorigenicity. [4]

体内活性

In mice bearing MCF-7 tumor xenografts, PX-12 (12 mg/kg, i.p.) decreases HIF-1 lpha and VEGF protein levels and microvessel density.[1]

细胞实验

Cell growth is measured using the 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide assay. Cells are exposed to a range of concentrations of PX-12 or pleurotin for 16 h in air or hypoxia (1% oxygen). The cells are then washed with warm drug-free medium and grown in air for the remainder of the 72-h incubation.(Only for Reference)

PX-12 (1-methylpropyl 2-imidazolyl disulfide) is a small-molecule inhibitor of Trx-1 (thioredoxin-1), stimulates apoptosis, down-regulates HIF-1 $^{\circ}$ and vascular endothelial growth factor (VEGF) and inhibits tumor growth in animal models. Since high levels of Trx-1 have been associated with colorectal, gastric and lung cancers, PX-12 is indicated as a potential cancer treatment in combination with chemotherapy for patients with advanced metastatic cancer. Initial trials correlated doses of Px-12 with increased patient survival.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years