

Product Data Sheet

Product Name: Hematoporphyrin (Hematoporphyrin IX)

Cat. No.: GC30041

Chemical Properties

Cas. No. 14459-29-1

SMILES O=C(O)CCC1=C2/C=C3C(CCC(O)=O)=C(C)C(/C=C(N4)/C(C)=C(C(O)C)C4=C\C5=N/C(C(C(O)C)=C5C)=C\C(N2)=C1C)=N/3

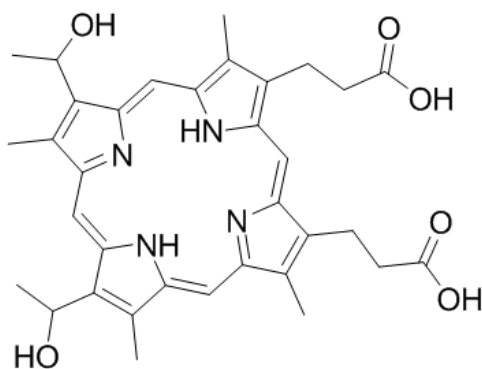
Formula $C_{34}H_{38}N_4O_6$ M.Wt 598.69

Solubility DMSO : 150 mg/mL (250.55 mM); Water : < 0.1 mg/mL (insoluble) Storage -20°C, protect from light, unstable in solution, ready to use.

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 Human glioma cell lines U87 and U251 cells

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Preparation Method 5×10^3 cells per well were seeded in 96-well plates. Following incubation for 12h at 37°C, the cells were treated with phosphate-buffered saline (PBS, control) or various concentrations of Hematoporphyrin (0 - 120nM) for 60min. Following light irradiation using an M8 Spectrum Power Energy meter at 625nm, 5mW/cm² for 60min and culturing for 22h at 37°C, 100μl MTT solution (5.0mg/ml) was then added to each well and incubated for 4h at 37°C, followed by removal of culture medium and the addition of dimethyl sulfoxide (100μl/well) to dissolve the formed formazan crystals. The absorbance value was determined using a microplate reader at a wavelength of 520nm. The relative cell viability was calculated by normalization to the control. The experiments were independently performed three times.

Reaction Conditions 20 - 120nM, 60min

Applications Hematoporphyrin significantly inhibited cell viability in U87 (IC₅₀=85nM) and U251 (IC₅₀=166nM) cells in a dose-dependent manner.

Animal experiment [2]:

Animal models Gastric cancer mice model

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Hematoporphyrin was dissolved in 150mmol/L buffered saline, and a 1mg/ml Hematoporphyrin solution was prepared.

Thirty BALB/c nude mice weighing between 15 and 18g were divided into three groups: normal control group (ten samples), model group (ten samples) and model with Hematoporphyrin group (ten samples).

The human gastric cancer cell line MCG-803 cells were cultured in a DMEM culture medium supplemented with 10% fetal calf serum, 50U/ml penicillin and 50µg/ml streptomycin. Suspensions of tumor cells MCG-803 ($5 - 10 \times 10^6$ viable cells/mouse) were implanted into the right dorsal portion of BALB/c nude mice. After 20 days, the inoculated tumors reached the diameter of about 0.8 - 1.0mm, the mice were killed and tumors were resected for establishing the animal model of gastric carcinoma in situ. The resected tumor tissues were sheared to small tumor tissues about 1mm³. The abdominal cavity of the 20 mice in model

Preparation Method groups were opened by operation, the gastric wall was exposed and the small tumor tissues were then implanted into the gastric wall. After 20 days, when the diameter of the implanted tumor became about 0.4 - 0.6mm, the animals were prepared for optical coherence tomography (OCT) imaging.

24h before the OCT image detections, the normal control group, model group and model with hematoporphyrin group received 5ml/kg body weight NS, NS and Hematoporphyrin solution i.v. from vena caudalis, respectively. The animals were then still housed for 24h under specific pathogen-free conditions. On the second day, the mice were anesthetized using an intraperitoneal injection of a mixture of ketamine (100mg/ml) and xylazine (20mg/ml) 0.02ml/g body weight. The gastric body was exposed by surgical intervention, and the stomach mucosa tissues and implanted tumor tissues in nude mice are performed by OCT at a central wavelength of 1310nm. In addition, in the normal control group, the stomach mucosa tissues were locally injected a 0.02ml Hematoporphyrin solution, and were performed by OCT imaging after 30min.

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Dosage form 5mg/kg, 24h, i.v. from vena caudalis

Applications Hematoporphyrin significantly attenuated the OCT signal in the tumor tissues, enhancing the OCT image contrast of normal tissues and tumor tissues.

References:

- [1] Yuan SX, Li JL, Xu XK, et al. Underlying mechanism of the photodynamic activity of hematoporphyrin-induced apoptosis in U87 glioma cells. *Int J Mol Med*. 2018;41(4):2288-2296.
- [2] Xiong H, Zeng C, Guo Z, et al. Potential ability of hematoporphyrin to enhance an optical coherence tomographic image of gastric cancer in vivo in mice. *Phys Med Biol*. 2008;53(23):6767-6775.

Background

Hematoporphyrin (Hematoporphyrin IX) is a type of photosensitizer that possesses the porphyrin macrocycle structure^[1]. It has been clinically used in photodynamic therapy and fluorescence diagnostics^{[2][3]}.

Hematoporphyrin (20 - 120nM, 60min) significantly inhibited cell viability in U87 (IC₅₀=85nM) and U251 (IC₅₀=166nM) cells in a dose-dependent manner^[4]. Hematoporphyrin (85nM, 60min) significantly increased cell apoptosis at a later stage, increased the content of reactive oxygen species (ROS), and decreased the mitochondrial membrane potential in U87 cells^[4].

Hematoporphyrin (5mg/kg, 24h, i.v. from vena caudalis) significantly attenuated the optical coherence tomography (OCT) signal in the tumor tissues in the gastric cancer mice model, enhancing the OCT image contrast of normal tissues and tumor tissues^[5].

References:

- [1] Dougherty TJ. Hematoporphyrin as a photosensitizer of tumors. *Photochem Photobiol*. 1983;38(3):377-379.
- [2] Chang JE, Yoon IS, Sun PL, Yi E, Jheon S, Shim CK. Anticancer efficacy of photodynamic therapy with hematoporphyrin-modified, doxorubicin-loaded nanoparticles in liver cancer. *J*

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Photochem Photobiol B. 2014;140:49-56.

[3] Leonard JR, Beck WL. Hematoporphyrin fluorescence: an aid in diagnosis of malignant neoplasms. *Laryngoscope.* 1971;81(3):365-372.

[4] Yuan SX, Li JL, Xu XK, et al. Underlying mechanism of the photodynamic activity of hematoporphyrin-induced apoptosis in U87 glioma cells. *Int J Mol Med.* 2018;41(4):2288-2296.

[5] Xiong H, Zeng C, Guo Z, et al. Potential ability of hematoporphyrin to enhance an optical coherence tomographic image of gastric cancer in vivo in mice. *Phys Med Biol.* 2008;53(23):6767-6775.

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