

Product Data Sheet

Product Name: LYG-202

Cat. No.: GC40865

Chemical Properties

Cas. No. 1175077-25-4

SMILES CN1CCN(CCCCCOC2=CC(O)=C(C(C=C(C3=CC=CC=C3)O4)=O)C4=C2OC)CC1

Formula C₂₅H₃₀N₂O₅

M.Wt 438.5

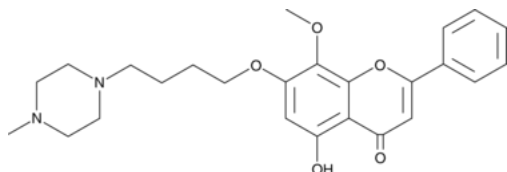
Solubility DMF: 14 mg/ml, DMF:PBS (pH7.2)
(1:40): 0.12 mg/ml, Ethanol: 2.5
mg/ml

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 HepG2 cells

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

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Preparation Method HepG2 cells were cultured in RPMi-1640 medium supplemented with 10% heat-inactivated calf serum, 100U/ml penicillin, and 100µg/ml streptomycin, and incubated at 37°C in a humidified environment with 5% CO₂. HepG2 cells were seeded in 96-well plates, and 100µl of cells were added to each well (the cell density had been adjusted to 1×10^5 /ml). Cells were allowed to adhere overnight and then exposed to medium and various concentrations of LYG-202 (0, 2, 5, and 8µM) for 24 hours. Subsequently, 20µl of MTT solution (5mg/ml) was transferred to each well to give a final assay volume of 220µl/well. The culture plates were incubated at 37°C and 5% CO₂ for 4 hours. After incubation, the supernatant was removed, and 100µl DMSO was added to ensure complete dissolution of formazan crystals. The culture plate was placed on a fixed-rail oscillator for 2min, and absorbance was recorded at 562nm.

Reaction Conditions 0, 2, 5, and 8µM; 24h

Applications LYG-202 treatment significantly inhibited the viability of HepG2 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models Female BALB/c nude mice

Preparation Method Female BALB/c nude mice (35-40 days old, weighing 18-22g) were maintained in a pathogen-free environment (23±2°C, 55±5% humidity) on a 12h light-12h dark cycle, with food and water ad libitum throughout the experiment. Mice were subcutaneously inoculated with 5×10^6 MCF-7 cells per nude mouse. After 12-14 days, the tumor size was measured by micrometer, and then the nude mice with similar tumor volume were randomly divided into 3 groups (6 mice in each group): a saline tumor control group; (i.v.) wogonin 60mg/kg/2-day group; (i.v.) LYG-202 20mg/kg/2-day group. After 3 weeks, the nude mice were sacrificed, and the tumor xenografts were removed and measured.

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Dosage form 20mg/kg/2-day for 3 weeks; i.v.

Applications LYG-202 treatment inhibited tumor growth in xenograft mice bearing MCF-7 tumors.

References:

[1] Chen F, Zhang L, Qiang L, et al. Reactive oxygen species-mitochondria pathway involved in LYG-202-induced apoptosis in human hepatocellular carcinoma HepG2 cells[J]. Cancer Letters, 2010, 296(1): 96-105.

[2] Zhao Y, Wang X, Sun Y, et al. LYG-202 exerts antitumor effect on PI3K/Akt signaling pathway in human breast cancer cells[J]. Apoptosis, 2015, 20(9): 1253-1269.

Background

LYG-202 is a synthesized flavonoid with a piperazine substitution, exhibiting potent antitumor effects^[1]. LYG-202 has been widely used to inhibit angiogenesis in different xenograft tumor mouse models^[2].

In vitro, LYG-202 treatment for 24h inhibited the viabilities of HepG2, MCF-7, HeLa, BGC-823, MDA-MB-435, and HCT-116 cells, with the IC₅₀ values of 4.74±0.80, 27.70±1.09, 8.05±0.21, 6.42±1.40, 6.74±0.71, and 10.90±0.95μM, respectively^[3]. Pretreatment of J774A.1 cells with 4μM LYG-202 for 1 hour improved the thermostability of ALDOA enzyme and inhibited ALDOA hydrolysis^[4]. Treatment of HepG2 cells with 8μM LYG-202 for 24h resulted in a decrease in mitochondrial membrane potential and intracellular ATP and increased intracellular ROS levels^[5]. Treatment with 10μM LYG-202 for 8 hours inhibited vascular endothelial growth factor (VEGF)-stimulated human umbilical vein endothelial cell (HUEVC) migration and tube formation^[6].

In vivo, LYG-202 treatment via intravenous injection at a dose of 20mg/kg/2-day for 3 weeks inhibited tumor growth in xenograft mice bearing MCF-7 tumor^[7]. Along with the subcutaneous injection of TNF-α (1.5μg/day), LYG-202 treatment (p.o) inhibited H₂₂ solid tumor growth in a xenograft mouse model at a dose of 750mg/kg every two days for 7 days^[8].

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References:

- [1] Al-Ghorbani M, Gouda M A, Baashen M, et al. Piperazine heterocycles as potential anticancer agents: A review[J]. Pharmaceutical Chemistry Journal, 2022, 56(1): 29-37.
- [2] Zhao K, Yao Y, Luo X, et al. LYG-202 inhibits activation of endothelial cells and angiogenesis through CXCL12/CXCR7 pathway in breast cancer[J]. Carcinogenesis, 2018, 39(4): 588-600.
- [3] Zeng S, Liu W, Nie F, et al. LYG-202, a new flavonoid with a piperazine substitution, shows antitumor effects in vivo and in vitro[J]. Biochemical and biophysical research communications, 2009, 385(4): 551-556.
- [4] Bai D, Du J, Bu X, et al. ALDOA maintains NLRP3 inflammasome activation by controlling AMPK activation[J]. Autophagy, 2022, 18(7): 1673-1693.
- [5] Chen F, Zhang L, Qiang L, et al. Reactive oxygen species-mitochondria pathway involved in LYG-202-induced apoptosis in human hepatocellular carcinoma HepG2 cells[J]. Cancer Letters, 2010, 296(1): 96-105.
- [6] Chen Y, Lu N, Ling Y, et al. LYG-202, a newly synthesized flavonoid, exhibits potent anti-angiogenic activity in vitro and in vivo[J]. Journal of pharmacological sciences, 2010, 112(1): 37-45.
- [7] Zhao Y, Wang X, Sun Y, et al. LYG-202 exerts antitumor effect on PI3K/Akt signaling pathway in human breast cancer cells[J]. Apoptosis, 2015, 20(9): 1253-1269.
- [8] Chen F, Lu N, Zhang H, et al. LYG-202 augments tumor necrosis Factor- α -Induced apoptosis via attenuating casein kinase 2-Dependent nuclear Factor- κ B pathway in HepG2 cells[J]. Molecular pharmacology, 2012, 82(5): 958-971.

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