

Product Data Sheet

Product Name: G-15
Cat. No.: GC16618

Chemical Properties

Cas. No. 1161002-05-6

Chemical Name (3aR,4R,9bS)-4-(6-bromobenzo[d][1,3]dioxol-5-yl)-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinoline

SMILES BrC1=C(C=C2OCOC2=C1)[C@@H]3NC4=CC=CC=C4[C@@H]5[C@H]3CC=C5

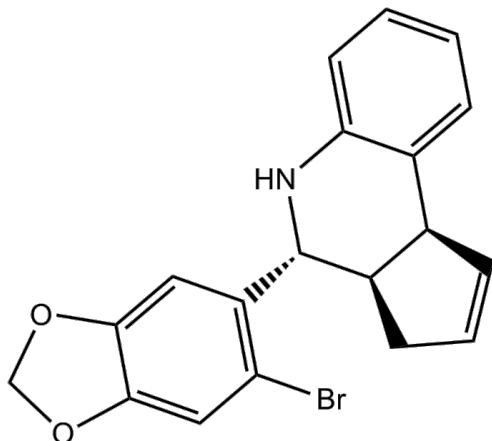
Formula $C_{19}H_{16}BrNO_2$ M.Wt 370.24

Solubility $\geq 37\text{mg/mL}$ in DMSO Storage -20°C , protect from light

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

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

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Cell experiment [1]:

Cell lines SCC4, SCC9, and HSC-3 cells

Preparation Method SCC4, SCC9, and HSC-3 cells were seeded at 5×10^3 cells per well in 96-well flat-bottomed plates; then, 24h later, cells were treated with G15 or DMSO at the concentrations indicated in the individual figures. At the end of the treatment, the medium was removed, replaced by 200 IL DMEM/F12 containing 0.5mg/mL of MTT and cells were incubated in the CO₂ incubator at 37°C for 2h. Supernatants were aspirated from the wells, and the reduced MTT dye was solubilized in 200μL/well DMSO.

Reaction Conditions 5-20μM; 24h, 48h

Applications After G-15 treatment, all three cell lines showed dose-dependent inhibition of cell proliferation.

Animal experiment [2]:

Animal models C57BL/6 mice

Preparation Method Mice from various age groups were allotted into experimental groups (each group including 5 animals); and control (Cont.) and treated receiving selective GPER receptor antagonist (G-15). G-15 was dissolved in DMSO and the stock solutions were kept at -20°C. Animals from the experimental groups were injected subcutaneously with freshly prepared solutions of G-15 (50μg/kg) in phosphate buffered saline. Mice from control groups received vehicle only.

Dosage form 50μg/kg; sc; 6d

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Applications G-15 treatment decreased expression of GPER in all treated age groups, with a significant decrease in mature animals.

[1]. Bai L Y, Weng J R, Hu J L, et al. G15, a GPR30 antagonist, induces apoptosis and autophagy in human oral squamous carcinoma cells[J]. Chemico-biological interactions, 2013, 206(2): 375-384.
[2]. Kotula-Balak M, Pawlicki P, Milon A, et al. The role of G-protein-coupled membrane estrogen receptor in mouse Leydig cell function—in vivo and in vitro evaluation[J]. Cell and Tissue Research, 2018, 374(2): 389-412.

Background

G-15 is a high-affinity, selective antagonist of G protein-coupled estrogen receptor (GPER/ GPR30) ($K_i = 20\text{nM}$) [1]. G-15 competes with GPER for ligand binding sites, thereby blocking the action of hormones on the receptor, thereby inhibiting cell growth, proliferation or migration [2]. G-15 is commonly used in cancer treatment research [3-4].

In SCC4, SCC9, and HSC-3 cells, after G-15 (5-20 μM ; 24h. 48h) treatment, all three cell lines showed dose-dependent inhibition of cell proliferation [5]. In MCF-7, MDA-MB-231, Bcap-37 cells, higher concentrations of G15 (1.25 μM , 2.5 μM , 5.0 μM ; 48h) significantly inhibited the viability of these three cell lines [6]. In A549 cells, G-15 (1 μM ; 24h) treatment inhibited cell growth [7].

In C57BL/6 mice, G-15 (50 $\mu\text{g/kg}$; sc; 6d) treatment decreased expression of GPER in all treated age groups, with a significant decrease in mature animals [8]. In C57BL/6 mice, G-15 (10 $\mu\text{g/kg}$, 50 $\mu\text{g/kg}$; sc; 10d) treatment antagonizes the increase in striatal HVA/DA ratio induced by G1 [9].

References:

[1]. Kang W B, Cong Y, Ru J Y, et al. Osteoprotective effect of combination therapy of low-dose oestradiol with G15, a specific antagonist of GPR30/GPER in ovariectomy-induced osteoporotic rats[J]. Bioscience reports, 2015, 35(4): e00239.
[2]. Xu F, Ma J, Wang X, et al. The role of G protein-coupled estrogen receptor (GPER) in vascular pathology and physiology[J]. Biomolecules, 2023, 13(9): 1410.
[3]. Zhu Z, Nie X, Deng L, et al. Regulation of cervical cancer via G15-mediated inhibition of G protein-coupled estrogen receptor[J]. Anti-Cancer Drugs, 2024, 35(9): 817-829.

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- [4]. Liu C, Liao Y, Fan S, et al. G-protein-coupled estrogen receptor antagonist G15 decreases estrogen-induced development of non-small cell lung cancer[J]. *Oncology Research*, 2019, 27(3): 283.
- [5]. Bai L Y, Weng J R, Hu J L, et al. G15, a GPR30 antagonist, induces apoptosis and autophagy in human oral squamous carcinoma cells[J]. *Chemico-biological interactions*, 2013, 206(2): 375-384.
- [6]. Liu Y, Du F Y, Chen W, et al. G15 sensitizes epithelial breast cancer cells to doxorubicin by preventing epithelial-mesenchymal transition through inhibition of GPR30[J]. *American Journal of Translational Research*, 2015, 7(5): 967.
- [7]. Shen Y, Li C, Zhou L, et al. G protein-coupled oestrogen receptor promotes cell growth of non-small cell lung cancer cells via YAP1/QKI/circNOTCH1/m6A methylated NOTCH1 signalling[J]. *Journal of cellular and molecular medicine*, 2021, 25(1): 284-296.
- [8]. Kotula-Balak M, Pawlicki P, Milon A, et al. The role of G-protein-coupled membrane estrogen receptor in mouse Leydig cell function—in vivo and in vitro evaluation[J]. *Cell and Tissue Research*, 2018, 374(2): 389-412.
- [9]. Bourque M, Morissette M, Côté M, et al. Implication of GPER1 in neuroprotection in a mouse model of Parkinson's disease[J]. *Neurobiology of aging*, 2013, 34(3): 887-901.

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