

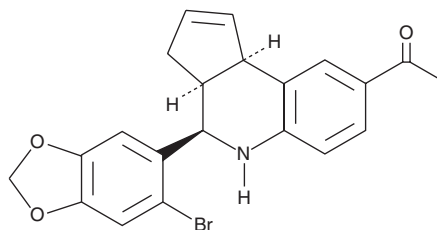
Product Information



G-1

Item No. 10008933

CAS Registry No.: 881639-98-1
Formal Name: rel-1-[4-(6-bromo-1,3-benzodioxol-5-yl)-3aR,4S,5,9bS-tetrahydro-3H-cyclopenta[c]quinolin-8-yl]-ethanone
MF: C₂₁H₁₈BrNO₃
FW: 412.3
Purity: ≥98%
Stability: ≥2 year at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that G-1 be stored as supplied at -20°C. It should be stable for at least two years.

G-1 is supplied as a crystalline solid. A stock solution may be made by dissolving the G-1 in an organic solvent purged with an inert gas. G-1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of G-1 in these solvents is approximately 1, 20, and 30 mg/ml, respectively.

G-1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, G-1 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. G-1 has a solubility of approximately 0.15 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

GPR30 is a transmembrane G protein-coupled receptor (GPCR) localized to endoplasmic reticulum (ER) that binds estradiol with high affinity, activating multiple intracellular signaling pathways.¹ G-1 is a nonsteroidal, high-affinity, selective agonist of GPR30 that binds with a K_i value of 11 nM. Competitive binding studies in ERα- and ERβ-expressing cells yielded K_i values for estradiol of 0.30 and 0.38 nM, respectively, with no substantial binding of G-1 at 1 μM.² The discovery of G-1, a compound that does not bind classical ERs, should facilitate further physiological experiments to define the role of GPR30 *in vivo*.

References

1. Revandar, C.M., Cimino, D.F., Sklar, L.A., *et al.* A transmembrane intracellular estrogen receptor mediates rapid cell signaling. *Science* **307**, 1625-1630 (2005).
2. Bologa, C.G., Revankar, C.M., Young, S.M., *et al.* Virtual and biomolecular screening converge on a selective agonist for GPR30. *Nature Chemical Biology* **2(4)**, 207-212 (2006).

Related Products

Apelin-36 - Item No. 13524 • Estradiol EIA Kit - Item No. 582251 • Daidzein - Item No. 10005166 • Estradiol - Item No. 10006315 • Estriol - Item No. 10006484 • Ethynyl Estradiol - Item No. 10006486 • Estradiol Benzoate - Item No. 10006487

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent *via* email to your institution.

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Said refund or replacement is conditioned on Buyer giving written notice to Cayman within thirty (30) days after arrival of the material at its destination. Failure of Buyer to give said notice within thirty (30) days shall constitute a waiver by Buyer of all claims hereunder with respect to said material.

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