

PRODUCT INFORMATION

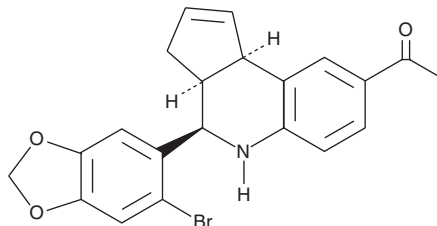


G-1

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%
UV/Vis.: λ_{max}: 210, 242, 329 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

G-1 is supplied as a crystalline solid. A stock solution may be made by dissolving the G-1 in the solvent of choice, which should be 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.

Description

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 estrogen receptor α- (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. Revankar, C.M., Cimino, D.F., Sklar, L.A., *et al.* A transmembrane intracellular estrogen receptor mediates rapid cell signaling. *Science* **307**(5715), 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. *Nat. Chem. Biol.* **2**(4), 207-212 (2006).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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