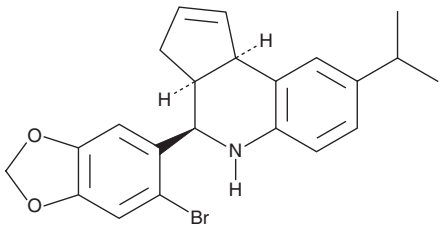
PRODUCT INFORMATION

G-36

Item No. 14397

CAS Registry No.:	1392487-51-2
Formal Name:	(4S)-*rel*-4-(6-bromo-1,3-benzodioxol-5-yl)-3aR,4,5,9bS-tetrahydro-8-(1-methylethyl)-3H-cyclopenta[c]quinoline
MF:	$C_{22}H_{22}BrNO_2$
FW:	412.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 206, 243, 293 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-36 is supplied as a crystalline solid. A stock solution may be made by dissolving the G-36 in the solvent of choice, which should be purged with an inert gas. G-36 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of G-36 in these solvents is approximately 1, 20, and 30 mg/ml, respectively.

G-36 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, G-36 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. G-36 has a solubility of approximately 0.5 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

G protein-coupled estrogen receptor (GPER), or GPR30, specifically binds natural and man-made estrogens.[1] It is thought to be involved in estrogen-sensitive cancers.[1,2] GPER knockout mice are fertile, although they exhibit thymic atrophy, impaired glucose tolerance, and altered bone growth.[1] G-36 is a cell-permeable non-steroidal antagonist of GPER, inhibiting activation by either 17β-estradiol (Item No. 10006315) or the GPER-selective agonist G-1 (Item No. 10008933) (IC_{50} = 112 and 165 nM, respectively).[3] It has no detectable binding activity to either ERα or ERβ.[3] G-36 blocks the activation of PI3K or calcium mobilization triggered by estrogen through GPER and it suppresses ERK activation by estrogen or G-1 but not by EGF.[3] G-36 can be used in combination with GPER-selective agonists, like G-1, to distinguish the roles of GPER from those of ERα and ERβ in complex biological systems.[3,4]

References

1. Filardo, E.J. and Thomas, P. Minireview: G protein-coupled estrogen receptor-1, GPER-1: Its mechanism of action and role in female reproductive cancer, renal and vascular physiology. *Endocrinology* **153(7)**, 2953-2962 (2012).
2. Chevalier, N., Vega, A., Bouskine, A., *et al.* GPR30, the non-classical membrane G protein related estrogen receptor, is overexpressed in human seminoma and promotes seminoma cell proliferation. *PLoS One* **7(4)**, 34672 (2012).
3. Dennis, M.K., Field, A.S., Burai, R., *et al.* Identification of a GPER/GPR30 antagonist with improved estrogen receptor counterselectivity. *J. Steroid Biochem. Mol. Biol.* **127(3-5)**, 358-366 (2011).
4. Brailoiu, G.C., Arterburn, J.B., Oprea, T.I., *et al.* Bradycardic effects mediated by activation of G protein-coupled estrogen receptor in rat nucleus ambiguus. *Exp. Physiol.* **98(3)**, 679-691 (2013).

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