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)-	/ — \ н
	3H-cyclopenta[c]quinoline	
MF:	$C_{22}H_{22}BrNO_2$	H Y Y
FW:	412.3	
Purity:	≥98%	
UV/Vis.:	λ _{max} : 206, 243, 293 nm	
Supplied as:	A crystalline solid	O Br
Storage:	-20°C	2.
Stability:	≥4 years	
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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) (IC₅₀ = 112 and 165 nM, respectively).³ It has no detectable binding activity to either ERa or ERB.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 ER α and ER β 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).

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

SAFFTY 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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