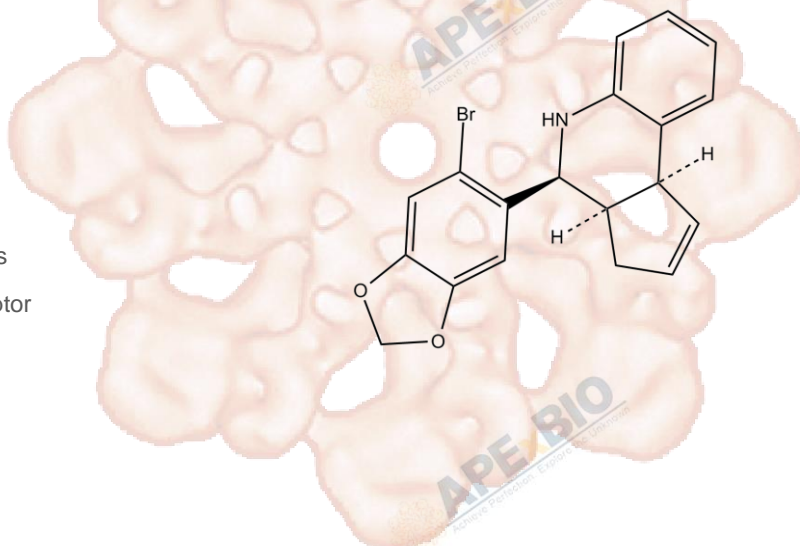


# Product Data Sheet

## G-15

<b>Cat. No.:</b>	B5469
<b>CAS No.:</b>	1161002-05-6
<b>Formula:</b>	C <sub>19</sub> H <sub>16</sub> BrNO <sub>2</sub>
<b>M.Wt:</b>	370.24
<b>Synonyms:</b>	
<b>Target:</b>	Endocrinology and Hormones
<b>Pathway:</b>	Estrogen/progesterone Receptor
<b>Storage:</b>	Store at -20°C



## Solvent & Solubility

insoluble in H<sub>2</sub>O; insoluble in EtOH; ≥37 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	<b>Concentration</b>			
	<b>1 mM</b>	2.7010 mL	13.5048 mL	27.0095 mL
	<b>5 mM</b>	0.5402 mL	2.7010 mL	5.4019 mL
	<b>10 mM</b>	0.2701 mL	1.3505 mL	2.7010 mL

Please refer to the solubility information to select the appropriate solvent.

## Biological Activity

Shortsummary

GPER receptor antagonist

IC<sub>50</sub> & Target

In Vitro

### Cell Viability Assay

Cell Line:	Immortalized epithelial endometriotic cell line (11z)
Preparation method:	The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	10, 30, or 60 μM for 24, 48, or 72 hours

	Applications:	G-1 (30 $\mu$ M) led to Akt phosphorylation at serine 473 within 2 hours. Pretreatment with G-15 (60 $\mu$ M) prevented this phosphorylation by G-1. Treatment with 10 $\mu$ M G-1 for 72 hours significantly stimulated the cells with an increase of the relative proliferation. A subsequent 72-hour treatment with 30 $\mu$ M G-15 significantly reversed this stimulation. Treatment with G-15 alone led to a decrease of the relative proliferation. G-15 dose-dependently inhibited G-1-mediated calcium mobilization in SKBr3 cells with IC50 of $\sim$ 185 nM. G15 inhibited the G-1-mediated activation of PI(3)K in GPR30-transfected COS7 cells.
In Vivo	<b>Animal experiment</b>	
	Animal models:	Ovariectomized female rats
	Dosage form:	Subcutaneous injection, 5 $\mu$ g/day, 10 $\mu$ g/day
	Applications:	G-15 dose-dependently impaired DMP acquisition. G-15 specifically reduced the rate of acquisition.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

## Product Citations

1. Zhong J, Ge HF, et al. "G Protein-Coupled Estrogen Receptor 1 Negatively Regulates the Proliferation of Mouse-Derived Neural Stem/Progenitor Cells via Extracellular Signal-regulated Kinase Pathway." Brain Res. 2019 Feb 21. pii:S0006-8993(19)30108-8.PMID:30797747
2. Chang Y, Han Z, et al. "G protein-coupled estrogen receptor activation improves contractile and diastolic functions in rat renal interlobular artery to protect against renal ischemia reperfusion injury." Biomed Pharmacother. 2019 Apr;112:108666.PMID:30784936
3. Wu Y, Feng D, et al. "Downregulation of G protein coupled receptor 30 in the hippocampus attenuates the neuroprotection of estrogen in the critical period hypothesis." Mol Med Rep. 2018 Apr;17(4):5716-5725.PMID:29484405

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## References

- [1]. Imesch P, Samartzis E P, Dedes K J, et al. Histone deacetylase inhibitors down-regulate G-protein-coupled estrogen receptor and the GPER-antagonist G-15 inhibits proliferation in endometriotic cells[J]. Fertility and sterility, 2013, 100(3): 770-776.
- [2]. Dennis M K, Burai R, Ramesh C, et al. In vivo effects of a GPR30 antagonist[J]. Nature chemical biology, 2009, 5(6): 421-427.
- [3]. Hammond R, Nelson D, Kline E, et al. Chronic treatment with a GPR30 antagonist impairs acquisition of a spatial learning task in young female rats[J]. Hormones and behavior, 2012, 62(4): 367-374.

## Caution

**FOR RESEARCH PURPOSES ONLY.**



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**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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