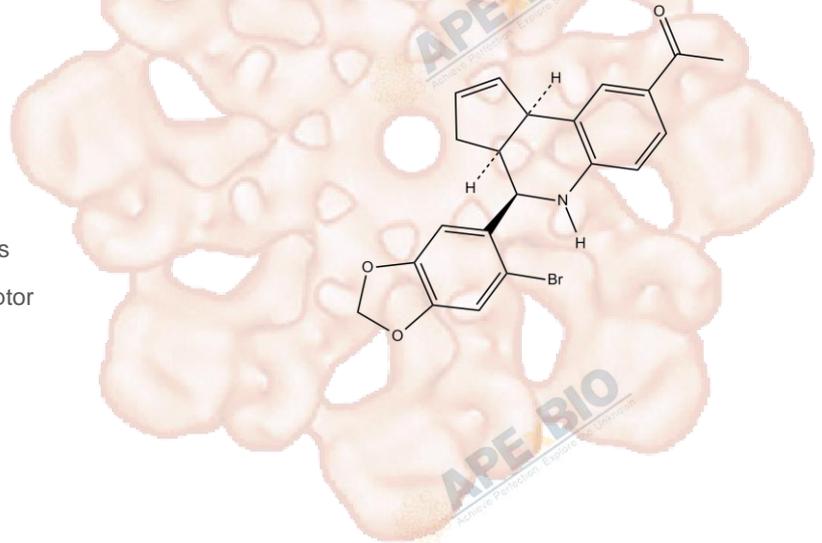


Product Data Sheet

G-1

Cat. No.:	B5455
CAS No.:	881639-98-1
Formula:	C ₂₁ H ₁₈ BrNO ₃
M.Wt:	412.28
Synonyms:	
Target:	Endocrinology and Hormones
Pathway:	Estrogen/progestogen Receptor
Storage:	Store at -20°C



Solvent & Solubility

≥41.2 mg/mL in DMSO; insoluble in H₂O; insoluble in EtOH

In Vitro

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	1 mM		2.4255 mL	12.1277 mL	24.2554 mL
	5 mM		0.4851 mL	2.4255 mL	4.8511 mL
	10 mM		0.2426 mL	1.2128 mL	2.4255 mL

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

Biological Activity

Shortsummary

GPR30 agonist, potent and selective

IC₅₀ & Target

In Vitro

Cell Viability Assay

Cell Line:	SKBr3 breast cancer cells and MCF7 cells
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 nM

	Applications:	In both SKBr3 cells expressing only GPR30 and MCF7 cells expressing GPR30 and ER α / β , G-1 induced nuclear accumulation of PIP3. Besides, G-1 inhibited migration of SKBr3 and MCF7 cells with IC50 values of 0.7 nM and 1.6 nM, respectively. Therefore, G-1 could selectively bind to GPR30 in the same cell where ERs were present, activating endogenously expressed GPR30 and resulting in various physiologic responses, such as inhibition of cell migration.
In Vivo	Animal experiment	
	Animal models:	Female Sprague–Dawley rats with bilateral ovariectomy and heart failure
	Dosage form:	120 μ g/kg; for 14 days
	Applications:	In female Sprague-Dawley rats with bilateral ovariectomy and heart failure, G-1 treatment reduced concentration of brain natriuretic peptide, inhibited cardiac fibrosis and promoted heart contraction. G-1 attenuated heart failure through chronic activation of the GPR30 which was mediated by normalizing the expression of β 1-AR and up-regulating the expression of β 2-AR.
	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

- 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
- 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
- Chai S, Liu K, et al. "Activation of G protein-coupled estrogen receptor protects intestine from ischemia/reperfusion injury in mice by protecting the crypt cell proliferation." Clin Sci (Lond). 2019 Feb 8;133(3):449-464.PMID:30705108
- 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]. Bologna CG, Revankar CM, Young SM, et al. Virtual and biomolecular screening converge on a selective agonist for GPR30. Nat Chem Biol, 2006, 2(4): 207-212.
- [2]. Kang S, Liu Y, Sun D, et al. Chronic activation of the G protein-coupled receptor 30 with agonist G-1 attenuates heart failure. PLoS One, 2012, 7(10): e48185.

Caution

FOR RESEARCH PURPOSES ONLY.

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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