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# Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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## Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

## Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand


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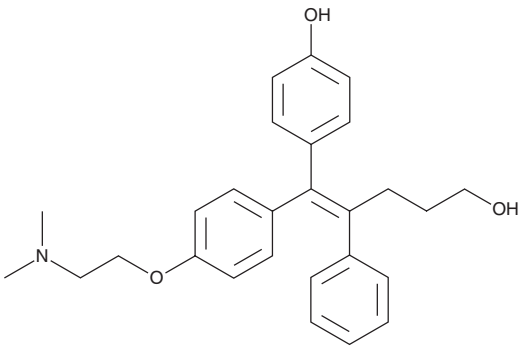
# PRODUCT INFORMATION

## GSK5182

*Item No. 19097*




| | |
|---|---|
| **CAS Registry No.:** | 877387-37-6 |
| **Formal Name:** | δZ-[[4-[2-(dimethylamino) ethoxy]phenyl](4-hydroxyphenyl) methylene]-benzenebutanol |
| **MF:** | $C_{27}H_{31}NO_3$ |
| **FW:** | 417.5 |
| **Purity:** | ≥95% |
| **Supplied as:** | A 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

GSK5182 is supplied as a solid. A stock solution may be made by dissolving the GSK5182 in the solvent of choice, which should be purged with an inert gas. GSK5182 is slightly soluble (0.1-1 mg/ml) in ethanol and sparingly soluble (1-10 mg/ml) in DMSO.

### Description

GSK5182 is an inverse agonist of estrogen-related receptor γ (ERRγ; $IC_{50}$ = 77 nM in a reporter assay) and a derivative of (Z)-4-hydroxy tamoxifen (Item No. 14854).[1] It selectively binds to ERRγ over ERα, ERRα, and ERRβ ($IC_{50}$s = 0.11, 2, >10, and >10 µM, respectively). GSK5182 enhances radioiodine uptake and membrane localization of the sodium/iodide symporter (NIS) in BHT-101 and CAL-62 anaplastic thyroid cancer cells.[2] It inhibits osteoclastogenesis induced by RANKL and macrophage colony-stimulating factor (M-CSF) in primary mouse bone marrow-derived macrophages (BMDMs) and induces apoptosis in osteoclasts when used at a concentration of 10 µM.[3] GSK5182 (10 µM) inhibits fibrinogen secretion induced by the cannabinoid 1 ($CB_1$) receptor agonist ACEA (arachidonoyl 2'-chloroethylamide; Item No. 91054) in AML12 hepatocytes.[4] *In vivo*, GSK5182 (40 mg/kg) decreases blood glucose levels in a pyruvate tolerance test in a mouse model of high-fat diet-induced obesity.[5]

### References


1. Kim, J., Song, J., Ji, H.D., *et al.* Discovery of potent, selective, and orally bioavailable estrogen-related receptor-γ inverse agonists to restore the sodium iodide symporter function in anaplastic thyroid cancer. *J. Med. Chem.* **62(4)**, 1837-1858 (2019).
2. Singh, T.D., Jeong, S.Y., Lee, S.W., *et al.* Inverse agonist of estrogen-related receptor γ enhances sodium iodide symporter function through mitogen-activated protein kinase signaling in anaplastic thyroid cancer cells. *J. Nucl. Med.* **56(11)**, 1690-1696 (2015).
3. Kim, H.J., Yoon, H.J., Lee, D.K., *et al.* The estrogen-related receptor γ modulator, GSK5182, inhibits osteoclast differentiation and accelerates osteoclast apoptosis. *BMB Rep.* **54(5)**, 266-271 (2021).
4. Zhang, Y., Kim, D.K., Jung, Y.S., *et al.* Inverse agonist of ERRγ reduces cannabinoid receptor type 1-mediated induction of fibrinogen synthesis in mice with a high-fat diet-intoxicated liver. *Arch. Toxicol.* **92(9)**, 2885-2896 (2018).
5. Kim, D.K., Gang, G.T., Ryu, D., *et al.* Inverse agonist of nuclear receptor ERRγ mediates antidiabetic effect through inhibition of hepatic gluconeogenesis. *Diabetes* **62(9)**, 3093-3102 (2013).





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