

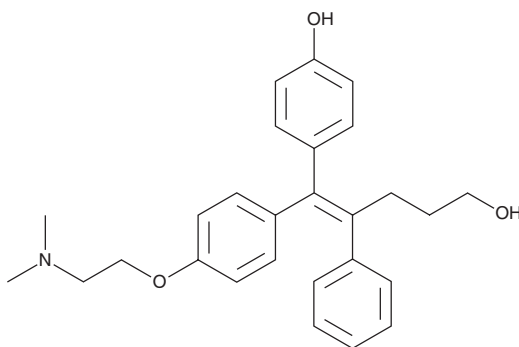
PRODUCT INFORMATION



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: $\geq 95\%$
Supplied as: A solid
Storage: $-20^{\circ}C$
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 (ERR γ ; $IC_{50} = 77$ nM in a reporter assay) and a derivative of (Z)-4-hydroxy tamoxifen (Item No. 14854).¹ It selectively binds to ERR γ over ER α , ERR α , and ERR β (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 ERR γ 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 ERR γ mediates antidiabetic effect through inhibition of hepatic gluconeogenesis. *Diabetes* **62(9)**, 3093-3102 (2013).

WARNING

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

SAFETY 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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