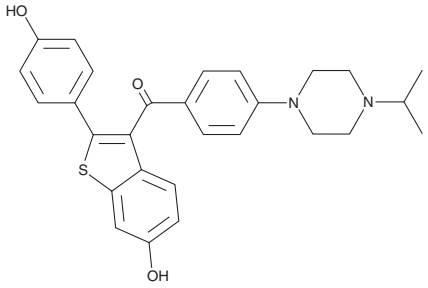
PRODUCT INFORMATION

Y134

Item No. 42393

CAS Registry No.:	849662-80-2
Formal Name:	[6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][4-[4-(1-methylethyl)-1-piperazinyl]phenyl]-methanone
MF:	$C_{28}H_{28}N_2O_3S$
FW:	472.6
Purity:	≥98%
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

Y134 is supplied as a solid. A stock solution may be made by dissolving the Y134 in the solvent of choice, which should be purged with an inert gas. Y134 is soluble (≥10 mg/ml) in DMSO and sparingly soluble (1-10 mg/ml) in ethanol.

Description

Y134 is a selective estrogen receptor modulator (SERM) and derivative of raloxifene (Item No. 10011620).[1] It selectively binds to estrogen receptor α (ERα) over ERβ (K_is = 0.09 and 11.31 nM, respectively) and does not bind to the androgen, progesterone, glucocorticoid, or mineralocorticoid receptors. Y134 also selectively inhibits estradiol-induced activation of ERα over ERβ in reporter assays using CV-1 cells (IC_{50}s = 0.52 and 2.94 nM, respectively). Y134 (1 µM) binds to cannabinoid 1 (CB_1) and CB_2 receptors in CHO cells expressing the human receptors and reduces basal activity in the same cells when used at a concentration of 10 µM.[2] It also activates the aryl hydrocarbon receptor (AhR) in a reporter assay using Hepa1 cells when used at a concentration of 10 µM.[3] Y134 inhibits estradiol-induced proliferation of MCF-7 and T47D breast cancer cells (IC_{50}s = 3.95 and 41.1 nM, respectively).[1] *In vivo*, Y134 increases bone mineral density and uterine weight in ovariectomized mice.[4]

References

1. Ning, M., Zhou, C., Weng, J., *et al.* Biological activities of a novel selective oestrogen receptor modulator derived from raloxifene (Y134). *Br. J. Pharmacol.* **150(1)**, 19-28 (2007).
2. Franks, L.N., Ford, B.M., and Prather, P.L. Selective estrogen receptor modulators: Cannabinoid receptor inverse agonists with differential CB1 and CB2 selectivity. *Front. Pharmacol.* **7**, 503 (2016).
3. Jang, H.S., Pearce, M., O'Donnell, E.F., *et al.* Identification of a raloxifene analog that promotes AhR-mediated apoptosis in cancer cells. *Biology (Basel)* **6(4)**, 41 (2017).
4. Yang, C., Xu, G., Li, J., *et al.* Benzothiophenes containing a piperazine side chain as selective ligands for the estrogen receptor α and their bioactivities in vivo. *Bioorg. Med. Chem. Lett.* **15(5)**, 1505-1507 (2005).

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