

Product Data Sheet

Product Name: Bazedoxifene acetate

Cat. No.: GC10852

Chemical Properties

Cas. No. 198481-33-3

Chemical Name acetic acid;1-[[4-[2-(azepan-1-yl)ethoxy]phenyl]methyl]-2-(4-hydroxyphenyl)-3-methylindol-5-ol

SMILES CC1=C(N(C2=C1C=C(C=C2)O)CC3=CC=C(C=C3)OCCN4CCCCC4)C5=CC=C(C=C5)O.CC(=O)O

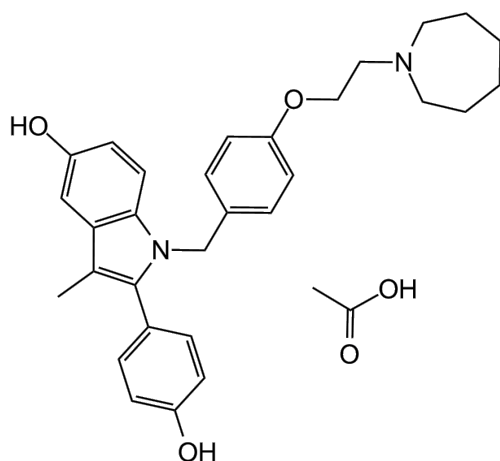
Formula $C_{32}H_{38}N_2O_5$ M.Wt 530.65

Solubility ≥ 182 mg/mL in DMSO, ≥ 8.483 mg/mL in EtOH with ultrasonic and warming Storage 4°C , protect from light

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Caution: Product has not been fully validated for medical applications. For research use only.

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Cell lines MCF-7 human mammary carcinoma cells

Soluble in DMSO > 10 mM. General tips for obtaining a higher concentration:
Preparation method 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 condition 10⁻⁸ to 10⁻⁶ M Bazedoxifene acetate for 24 hours.

Applications Bazedoxifene acetate down-regulates ER α protein in MCF-7:5C and MCF-7:2A Cells. It also inhibits ER α transcriptional activity, blocks cell cycle progression in MCF-7:5C cells and down-regulates cyclin D1.

Animal experiment [2]:

Animal models Sprague Dawley rats

Dosage form 0.1, 0.3, 1.0, and 3.0 mg/kg per day for 6 weeks

Preparation method Bazedoxifene was administered orally in a saline, Tween-80, methylcellulose vehicle.

Application Dose response data for Bazedoxifene acetate demonstrate consistent, significant increased bone mass, compared with control animals via pQCT evaluation is achieved at 0.1 mg/kg per day with increases at 0.3, 1.0, and 3.0 mg/kg per day.

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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.

References:

1. Lewis-Wambi JS, Kim H, Curpan R, Grigg R, Sarker MA, Jordan VC. The selective estrogen receptor modulator bazedoxifene inhibits hormone-independent breast cancer cell growth and down-regulates estrogen receptor α and cyclin D1. Mol Pharmacol. 2011 Oct;80(4):610-20.
2. Komm BS, Kharode YP, Bodine PV, Harris HA, Miller CP, Lyttle CR. Bazedoxifene acetate: a selective estrogen receptor modulator with improved selectivity. Endocrinology. 2005 Sep;146(9):3999-4008.

Background

Bazedoxifene acetate is a third generation selective estrogen receptor modulator (SERM) with IC₅₀s of 26 and 99 nM for ER α and ER β , respectively.

References:

- [1]. Jaime Kulak, et al. Treatment with Bazedoxifene, a Selective Estrogen Receptor Modulator, Causes Regression of Endometriosis in a Mouse Model. Endocrinology. 2011; 152(8): 3226-3232.
- [2]. Hisaya Kawate, Ryoichi Takayanagi. Efficacy and safety of bazedoxifene for postmenopausal osteoporosis. Clin Interv Aging. 2011; 6: 151-160.
- [3]. Stefano Lello, et al. Bazedoxifene: literature data and clinical evidence. Clin Cases Miner Bone Metab. 2011; 8(3): 29-32.
- [4]. Joan S. Lewis-Wambi, Helen Kim, Ramona Curpan, et al. The Selective Estrogen Receptor Modulator Bazedoxifene Inhibits Hormone-Independent Breast Cancer Cell Growth and Down-Regulates Estrogen Receptor α and Cyclin D1. Mol Pharmacol. 2011 ; 80(4): 610-620.
- [5]. Diane M Biskobing. Update on bazedoxifene: A novel selective estrogen receptor modulator. Clin Interv Aging. 2007; 2(3): 299-303.

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