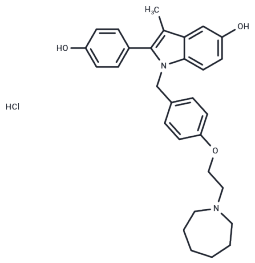
Data Sheet (Cat.No.T6404)

TargetMol

Bazedoxifene hydrochloride

Chemical Properties



CAS No. : 198480-56-7

Formula: C30H35ClN2O3

Molecular Weight: 507.06

Storage: Store at low temperature,Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Bazedoxifene hydrochloride (TSE 424 hydrochloride) is an orally active, selective, and potent estrogen receptor modulator (SERM) that crosses the blood-brain barrier and is an inhibitor of IL-6/GP130 protein interactions with high affinity for ERα and ERβ. It has a high affinity for ERα and ERβ and can be used to study postmenopausal osteoporosis and vasodilator-related diseases.
Targets(IC50)	Estrogen Receptor/ERR,Estrogen/progestogen Receptor,IL Receptor,Interleukin
In vitro	In AsPC-1 cells, Bazedoxifene hydrochloride (at concentrations of 10 μM and 20 μM; treated for 2 hours) is able to inhibit STAT3 phosphorylation induced by IL-6, IL-11, or OSM (each at 50 ng/mL)[2].
In vivo	In 6-week-old female athymic nude mice, Bazedoxifene hydrochloride (5 mg/kg ; oral gavage, daily, for 18 days) was used to Suppressed pancreatic cancer xenograft tumor growth and induced apoptosis in tumor cells[2].
Kinase Assay	Ligand binding competition experiments: Test compounds are initially solubilized in DMSO and the final concentration of DMSO in the binding assay is ≤ 1%. Eight dilutions of each test compound are used as an unlabelled competitor for [3H]17β-estradiol. Typically, a set of compound dilutions would be tested simultaneously on human, rat and mouse ER-α and ER-β. The results are plotted as measured DPM vs. concentration of test compound. For dose-response curve fitting, a four parameter logistic model on the transformed, weighted data are fit and the IC50 is defined as the concentration of compound decreasing maximum [3H]estradiol binding by 50%. For active compounds, the IC50 is determined at least three times. It should be noted that IC50 values are not direct measures of a ligand's affinity for the receptor. Rather, they can only be compared as relative values, in this case to 17β-estradiol.
Cell Research	For the proliferation assay, cells are plated at 20,000 cells/well in a 24-well plate in DMEM/F12 (50:50) (phenol red-free) with 10% charcoal/dextran-treated FBS and 1 × GlutaMAX-1. After overnight incubation, the medium is aspirated and treatments in DMEM/F12 (50:50) (phenol red-free) with 2% charcoal/dextran-treated FBS and 1 × GlutaMAX-1 are added to the wells. Each plate has a vehicle (baseline proliferation) and treatments. Treatments included 10 pM 17β-estradiol determined to be the EC80 for 17β-estradiol and 17β-estradiol in combination with six concentrations of BZA. Treatments from d 1 are renewed on d 3 and d 6 by aspirating medium from wells and

Cell Research	replacing with fresh medium and treatments. On d 7, cells are detached from the plate using trypsin-EDTA and counted using a Multisizer II.(Only for Reference)

Solubility Information

Solubility	H2O: <1 mg/mL, Ethanol: <1 mg/mL, DMSO: 125 mg/mL (246.52 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (19.72 mM),Solution. 10% DMSO+90% Saline: < 10 mg/mL (19.72 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9722 mL	9.8608 mL	19.7215 mL
5 mM	0.3944 mL	1.9722 mL	3.9443 mL
10 mM	0.1972 mL	0.9861 mL	1.9722 mL
50 mM	0.0394 mL	0.1972 mL	0.3944 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Barry S Komm, et al. Bazedoxifene acetate: a selective estrogen receptor modulator with improved selectivity. Endocrinology. 2005 Sep;146(9):3999-4008.
Li J, Yu F, Guo H, et al. Crystal structure of plant PLDα1 reveals catalytic and regulatory mechanisms of eukaryotic phospholipase D. Cell Research. 2020, 30(1): 61-69.
Xiaojuan Wu, et al. Bazedoxifene as a Novel GP130 Inhibitor for Pancreatic Cancer Therapy. Mol Cancer Ther. 2016 Nov; 15(11): 2609-2619.
Komm BS, et al. Endocrinology, 2005, 146(9), 31999-42008.
Li J, Yu F, Guo H, et al. Crystal structure of plant PLDα1 reveals catalytic and regulatory mechanisms of eukaryotic phospholipase D[J]. Cell Research. 2020, 30(1): 61-69.

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