Bazedoxifene

Cat. No.: HY-A0031

CAS No.: 198481-32-2

Molecular Formula: $C_{30}H_{34}N_2O_3$

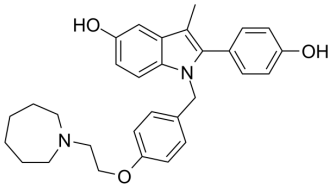
Molecular Weight: 470.6

Target: Estrogen Receptor/ERR

Pathway: Vitamin D Related/Nuclear Receptor

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (212.49 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1249 mL	10.6247 mL	21.2495 mL
5 mM	0.4250 mL	2.1249 mL	4.2499 mL
10 mM	0.2125 mL	1.0625 mL	2.1249 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.31 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.31 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bazedoxifene (TSE-424) is an oral, BBB-penetrant nonsteroidal selective estrogen receptor modulator (SERM), with IC_{50}s of 23 nM and 99 nM for ERα and ERβ, respectively. Bazedoxifene can be used for the research of osteoporosis. Bazedoxifene also acts as an inhibitor of IL-6/GP130 protein-protein interactions and can be used for the research of pancreatic cancer[1][2].

IC_{50} & Target

IC50: 26 nM (ERα), 99 nM (ERβ)[1]

In Vitro

Bazedoxifene is a small molecular GP130 inhibitor, which binds to GP130 D1 domain[1].
Bazedoxifene inhibits STAT3 phosphorylation induced by Il-6 and IL-11 in GP130/STAT3 pathway signaling[1].
Bazedoxifene (10 μM-20 μM; 2 hours) inhibits STAT3 Phosphorylation Induced by cytokines in human pancreatic cancer cells [2].
Bazedoxifene (5-20 μM; overnight) induces apoptosis in human pancreatic cancer cells[2].
Bazedoxifene inhibits STAT3 nuclear translocation induced by IL-6[2].
Bazedoxifene blocks the cells migration in pancreatic cancer cells by inhibition of GP130[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	AsPC-1 cells
Concentration:	10 μM, 20 μM
Incubation Time:	2 hours
Result:	Inhibited IL-6, IL-11 or OSM (50 ng/mL) induced STAT3 phosphorylation.

Apoptosis Analysis[2]

Cell Line:	Capan-1 cells, BxPC-3 cells, HPAF-II cells, HPAC cells
Concentration:	10 μM, 20 μM (Capan-1); 5 μM, 10 μM (BxPC-3); 10 μM, 20 μM (HPAF-II); 10 μM, 15 μM (HPAC)
Incubation Time:	Overnight
Result:	Induced apoptosis.

In Vivo

Bazedoxifene (5 mg/kg; i.g.; daily, for 18 days) inhibits Capan-1 tumor growth in mouse model in vivo[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-week-old female athymic nude mice[2]
Dosage:	5 mg/kg
Administration:	Oral gavage, daily, for 18 days
Result:	Suppressed pancreatic cancer xenograft tumor growth and induced apoptosis in tumor cells.

CUSTOMER VALIDATION

- Free Radic Biol Med. 2023 Aug, 139, 108897.
- J Med Chem. 2020 Oct 8;63(19):11085-11099.
- Glia. 2022 Sep 12.
- Eur J Pharmacol. 2023 Mar 24;947:175681.
- mSphere. 2020 Apr 8;5(2):e00124-20.

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REFERENCES

[1]. Barry S Komm, et al. Bazedoxifene acetate: a selective estrogen receptor modulator with improved selectivity. Endocrinology. 2005 Sep;146(9):3999-4008.

[2]. Xiaojuan Wu, et al. Bazedoxifene as a Novel GP130 Inhibitor for Pancreatic Cancer Therapy. Mol Cancer Ther. 2016 Nov; 15(11): 2609–2619.

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