Bazedoxifene acetate

Cat. No.: HY-A0036

CAS No.: 198481-33-3

Molecular Formula: $C_{32}H_{38}N_2O_5$

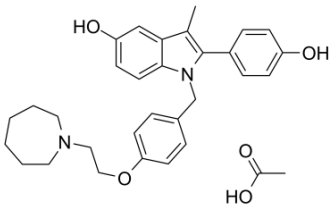
Molecular Weight: 530.65

Target: Estrogen Receptor/ERR

Pathway: Others

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (188.45 mM)

H_2O : 1 mg/mL (1.88 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8845 mL	9.4224 mL	18.8448 mL
5 mM	0.3769 mL	1.8845 mL	3.7690 mL
10 mM	0.1884 mL	0.9422 mL	1.8845 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 (4.71 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.71 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bazedoxifene acetate (TSE-424 acetate) is an oral, nonsteroidal selective estrogen receptor modulator (SERM), with IC_{50}s of 23 nM and 99 nM for ERα and ERβ, respectively. Bazedoxifene acetate can be used for the research of osteoporosis. Bazedoxifene acetate 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 acetate is a small molecular GP130 inhibitor, which binds to GP130 D1 domain[1].
Bazedoxifene acetate inhibits STAT3 phosphorylation induced by Il-6 and IL-11 in GP130/STAT3 pathway signaling[1].
Bazedoxifene acetate (10 μM-20 μM; 2 hours) inhibits STAT3 Phosphorylation Induced by cytokines in human pancreatic cancer cells[2].
Bazedoxifene acetate (5-20 μM; overnight) induces apoptosis in human pancreatic cancer cells[2].
Bazedoxifene acetate inhibits STAT3 nuclear translocation induced by IL-6[2].
Bazedoxifene acetate 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 acetate (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

- J Med Chem. 2020 Oct 8;63(19):11085-11099.
- Gynecol Oncol. 2019 Jul;154(1):199-206.
- mSphere. 2020 Apr 8;5(2):e00124-20.
- Breast Cancer Res Treat. 2020 Jan;179(1):67-77.
- Research Square Preprint. 2020 Nov.

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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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