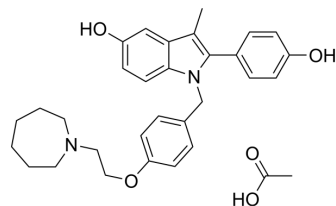


## Bazedoxifene acetate

<b>Cat. No.:</b>	HY-A0036
<b>CAS No.:</b>	198481-33-3
<b>Molecular Formula:</b>	C <sub>32</sub> H <sub>38</sub> N <sub>2</sub> O <sub>5</sub>
<b>Molecular Weight:</b>	530.65
<b>Target:</b>	Estrogen Receptor/ERR
<b>Pathway:</b>	Vitamin D Related/Nuclear Receptor
<b>Storage:</b>	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 100 mg/mL (188.45 mM)  
 H<sub>2</sub>O : < 0.1 mg/mL (insoluble)  
 \* "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline  
Solubility: ≥ 2.75 mg/mL (5.18 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.75 mg/mL (5.18 mM); Clear solution
- 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
- 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
- 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<sub>50</sub>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 <sup>[1][2]</sup> .																
<b>IC<sub>50</sub> &amp; Target</b>	IC50: 26 nM (ER $\alpha$ ), 99 nM (ER $\beta$ ) <sup>[1]</sup>																
<b>In Vitro</b>	<p>Bazedoxifene acetate is a small molecular GP130 inhibitor, which binds to GP130 D1 domain<sup>[1]</sup>.</p> <p>?Bazedoxifene acetate inhibits STAT3 phosphorylation induced by IL-6 and IL-11 in GP130/STAT3 pathway signaling<sup>[1]</sup>.</p> <p>?Bazedoxifene acetate (10 <math>\mu</math>M-20 <math>\mu</math>M; 2 hours) inhibits STAT3 Phosphorylation Induced by cytokines in human pancreatic cancer cells<sup>[2]</sup>.</p> <p>?Bazedoxifene acetate (5-20 <math>\mu</math>M; overnight) induces apoptosis in human pancreatic cancer cells<sup>[2]</sup>.</p> <p>?Bazedoxifene acetate inhibits STAT3 nuclear translocation induced by IL-6<sup>[2]</sup>.</p> <p>?Bazedoxifene acetate blocks the cells migration in pancreatic cancer cells by inhibition of GP130<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis<sup>[2]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>AsPC-1 cells</td> </tr> <tr> <td>Concentration:</td> <td>10 <math>\mu</math>M, 20 <math>\mu</math>M</td> </tr> <tr> <td>Incubation Time:</td> <td>2 hours</td> </tr> <tr> <td>Result:</td> <td>Inhibited IL-6, IL-11 or OSM (50 ng/mL) induced STAT3 phosphorylation.</td> </tr> </table> <p>Apoptosis Analysis<sup>[2]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>Capan-1 cells, BxPC-3 cells, HPAF-II cells, HPAC cells</td> </tr> <tr> <td>Concentration:</td> <td>10 <math>\mu</math>M, 20 <math>\mu</math>M (Capan-1); 5 <math>\mu</math>M, 10 <math>\mu</math>M (BxPC-3); 10 <math>\mu</math>M, 20 <math>\mu</math>M (HPAF-II); 10 <math>\mu</math>M, 15 <math>\mu</math>M (HPAC)</td> </tr> <tr> <td>Incubation Time:</td> <td>Overnight</td> </tr> <tr> <td>Result:</td> <td>Induced apoptosis.</td> </tr> </table>	Cell Line:	AsPC-1 cells	Concentration:	10 $\mu$ M, 20 $\mu$ M	Incubation Time:	2 hours	Result:	Inhibited IL-6, IL-11 or OSM (50 ng/mL) induced STAT3 phosphorylation.	Cell Line:	Capan-1 cells, BxPC-3 cells, HPAF-II cells, HPAC cells	Concentration:	10 $\mu$ M, 20 $\mu$ M (Capan-1); 5 $\mu$ M, 10 $\mu$ M (BxPC-3); 10 $\mu$ M, 20 $\mu$ M (HPAF-II); 10 $\mu$ M, 15 $\mu$ M (HPAC)	Incubation Time:	Overnight	Result:	Induced apoptosis.
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Result:	Induced apoptosis.																
<b>In Vivo</b>	<p>Bazedoxifene acetate (5 mg/kg; i.g.; daily, for 18 days) inhibits Capan-1 tumor growth in mouse model in vivo<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>6-week-old female athymic nude mice<sup>[2]</sup></td> </tr> <tr> <td>Dosage:</td> <td>5 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Oral gavage, daily, for 18 days</td> </tr> <tr> <td>Result:</td> <td>Suppressed pancreatic cancer xenograft tumor growth and induced apoptosis in tumor cells.</td> </tr> </table>	Animal Model:	6-week-old female athymic nude mice <sup>[2]</sup>	Dosage:	5 mg/kg	Administration:	Oral gavage, daily, for 18 days	Result:	Suppressed pancreatic cancer xenograft tumor growth and induced apoptosis in tumor cells.								
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## 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

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

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