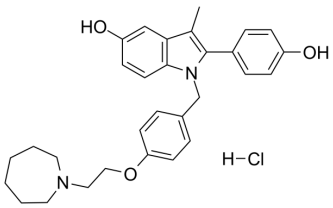
Bazedoxifene hydrochloride

Cat. No.:	HY-A0031A
CAS No.:	198480-56-7
Molecular Formula:	$C_{30}H_{35}ClN_2O_3$
Molecular Weight:	507.06
Target:	Estrogen Receptor/ERR
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Bazedoxifene hydrochloride (TSE-424 hydrochloride) is an oral active, BBB-penetrant nonsteroidal selective estrogen receptor modulator (SERM), with IC_{50}s of 23 nM and 99 nM for ERα and ERβ, respectively. Bazedoxifene hydrochloride can be used for the research of osteoporosis. Bazedoxifene hydrochloride acts as an inhibitor of IL-6/GP130 protein-protein interactions. Bazedoxifene hydrochloride 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 hydrochloride is a small molecular GP130 inhibitor, which binds to GP130 D1 domain[1].
Bazedoxifene hydrochloride inhibits STAT3 phosphorylation induced by IL-6 and IL-11 in GP130/STAT3 pathway signaling[1].
Bazedoxifene hydrochloride (10 μM-20 μM; 2 hours) inhibits STAT3 phosphorylation induced by cytokines in human pancreatic cancer cells[2].
Bazedoxifene hydrochloride (5-20 μM; overnight) induces apoptosis in human pancreatic cancer cells[2].
Bazedoxifene hydrochloride inhibits STAT3 nuclear translocation induced by IL-6[2].
Bazedoxifene hydrochloride 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 hydrochloride (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 4;rs.3.rs-100914.

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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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