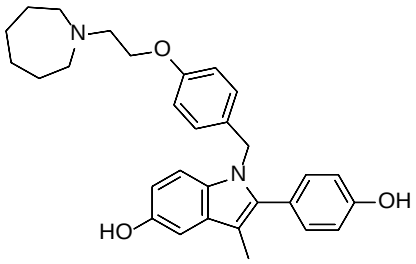
Product data sheet

MedKoo Cat#: 120203 Name: Bazedoxifene free base CAS#: 198481-32-2 (free base) Chemical Formula: $C_{30}H_{34}N_2O_3$ Exact Mass: 470.2569 Molecular Weight: 470.60	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.



1. Product description:
Bazedoxifene is a third generation selective estrogen receptor modulator (SERM), developed by Pfizer following the completion of their takeover of Wyeth Pharmaceuticals. In late 2013, Pfizer received approval for bazedoxifene as part of the combination drug DUAVEE in the prevention (not treatment) of postmenopausal osteoporosis. Bazedoxifene is an indole-based ER ligand that binds to both ERα (IC50 = 26 nM) and ERβ (IC50 = 99 nM).

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	90.0	191.25

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.12 mL	10.62 mL	21.25 mL
5 mM	0.42 mL	2.12 mL	4.25 mL
10 mM	0.21 mL	1.06 mL	2.12 mL
50 mM	0.04 mL	0.21 mL	0.42 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Song W, Gao K, Huang P, Tang Z, Nie F, Jia S, Guo R. Bazedoxifene inhibits PDGF-BB induced VSMC phenotypic switch via regulating the autophagy level. Life Sci. 2020 Oct 15;259:118397. doi: 10.1016/j.lfs.2020.118397. Epub 2020 Sep 5. PMID: 32896557.

In vivo study

1. Luo P, Wang Y, Zhao C, Guo J, Shi W, Ma H, Liu T, Yan D, Huo S, Wang M, Li C, Lin J, Li S, Lv J, Zhang C, Lin L. Bazedoxifene exhibits anti-inflammation and anti-atherosclerotic effects via inhibition of IL-6/IL-6R/STAT3 signaling. Eur J Pharmacol. 2021 Feb 15;893:173822. doi: 10.1016/j.ejphar.2020.173822. Epub 2020 Dec 23. PMID: 33347820.

7. Bioactivity
Biological target: Bazedoxifene (TSE-424) is a selective estrogen receptor modulator (SERM) with IC50s of 23 nM and 99 nM for ERα and ERβ, respectively.

In vitro activity

Product data sheet

The purpose of this study was to investigate the effects of Bazedoxifene on the functional changes of vascular smooth muscle cells (VSMCs) after PDGF-BB stimulation. PDGF-BB treatment significantly enhanced the viability and proliferation of VSMCs as indicated by CCK-8 and EdU assays ($P < 0.01$), while Bazedoxifene pretreatment could reduce the increased viability and proliferation of VSMCs caused by PDGF-BB ($P < 0.05$). Wound healing test also showed Bazedoxifene significantly attenuated the migration in the PDGF-BB stimulated VSMCs ($P < 0.01$). PDGF-BB also induced the phenotypic switch and decreased the autophagy level in VSMCs, manifested as a reduction in vimentin, SMA, and LC3 II ($P < 0.01$). These effects of PDGF-BB were partially reversed by Bazedoxifene ($P < 0.05$). These results indicated that Bazedoxifene may inhibit the proliferation and migration of VSMCs through up-regulate the autophagy level after PDGF-BB stimulation.

Reference: Life Sci. 2020 Oct 15;259:118397.
https://www.sciencedirect.com/science/article/abs/pii/S0024320520311504?via%3Dihub

In vivo activity

The effect of Bazedoxifene in the progression of atherosclerosis was evaluated in apolipoprotein E-deficient (ApoE-/-) mice. Five-week-old male ApoE-/- mice were fed with High-fat diet (HFD) containing 5 mg/kg Bazedoxifene or a matching control for 12 weeks. Oil red O (ORO) staining was used to detect plaque size; immunohistochemical staining was used to detect the presence of endothelial cells, vascular muscle cells and phosphorylated STAT3 (P-STAT3) in localized plaques. The potential underlying mechanisms in human umbilical vein endothelial cells (HUVECs) and vascular muscle cells (VSMCs) was detected by Western blot analysis, Wound healing assay and Elisa assay. In the ApoE-/- mice fed with HFD, daily Bazedoxifene administration effectively attenuated atherosclerotic plaque area ($P < 0.01$), down-regulated IL-6 levels ($P < 0.01$), decreased STAT3 phosphorylation, reduced VSMCs proliferation and increased endothelial coverage in aortic vessels. Bazedoxifene did not inhibit the growth of HUVECs while suppressing the proliferation of VSMCs.

Reference: Eur J Pharmacol. 2021 Feb 15;893:173822.
https://www.sciencedirect.com/science/article/abs/pii/S0014299920309274?via%3Dihub