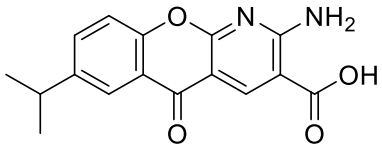
Product data sheet

MedKoo Cat#: 317183 Name: Amlexanox CAS#: 68302-57-8 Chemical Formula: $C_{16}H_{14}N_2O_4$ Exact Mass: 298.09536 Molecular Weight: 298.29	
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:

Amlexanox, also known as AA-673 and CHX 3673, is an anti-inflammatory antiallergic immunomodulator used to treat recurrent aphthous ulcers (canker sores), and (in Japan) several inflammatory conditions. Amlexanox inhibits the synthesis and release of inflammatory mediators, including leukotrienes and histamine, from mast cells, neutrophils, and mononuclear cells. Amlexanox also acts as a leukotriene D4 antagonist and a phosphodiesterase inhibitor. Amlexanox decreases the time ulcers take to heal as well as the pain associated with the ulcers.

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	49.96	167.49
DMF	14.0	46.93
DMF:PBS (pH 7.2) (1:1)	0.5	1.68

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.35 mL	16.76 mL	33.52 mL
5 mM	0.67 mL	3.35 mL	6.70 mL
10 mM	0.34 mL	1.68 mL	3.35 mL
50 mM	0.07 mL	0.34 mL	0.67 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. Han Y, Hou R, Zhang X, Liu H, Gao Y, Li X, Qi R, Cai R, Qi Y. Amlexanox exerts anti-inflammatory actions by targeting phosphodiesterase 4B in lipopolysaccharide-activated macrophages. Biochim Biophys Acta Mol Cell Res. 2020 Oct;1867(10):118766. doi: 10.1016/j.bbamcr.2020.118766. Epub 2020 Jun 3. PMID: 32504661.
2. Möller M, Wasel J, Schmetzer J, Weiß U, Meissner M, Schiffmann S, Weigert A, Möser CV, Niederberger E. The Specific IKKε/TBK1 Inhibitor Amlexanox Suppresses Human Melanoma by the Inhibition of Autophagy, NF-κB and MAP Kinase Pathways. Int J Mol Sci. 2020 Jul 2;21(13):4721. doi: 10.3390/ijms21134721. PMID: 32630674; PMCID: PMC7369692.

In vivo study

1. Zhou Z, Qi J, Zhao J, Lim CW, Kim JW, Kim B. Dual TBK1/IKKε inhibitor amlexanox attenuates the severity of hepatotoxin-induced liver fibrosis and biliary fibrosis in mice. J Cell Mol Med. 2020 Jan;24(2):1383-1398. doi: 10.1111/jcmm.14817. Epub 2019 Dec 10. PMID: 31821710; PMCID: PMC6991653.

2. Quan MY, Song XJ, Liu HJ, Deng XH, Hou HQ, Chen LP, Ma TZ, Han X, He XX, Jia Z, Guo L. Amlexanox attenuates experimental autoimmune encephalomyelitis by inhibiting dendritic cell maturation and reprogramming effector and regulatory T cell responses. J Neuroinflammation. 2019 Mar 1;16(1):52. doi: 10.1186/s12974-019-1438-z. PMID: 30823934; PMCID: PMC6396467.

7. Bioactivity

Biological target:

Amlexanox (AA673; Amoxanox; CHX3673) is a specific inhibitor of IKKε and TBK1 with an IC50 of approximately 1-2 μM.

In vitro activity

Based on the anti-inflammatory effects of amlexanox in vitro, this study next evaluated its efficacy in LPS-induced endotoxemia mice. As shown in Fig. 7, an intravenous injection of LPS led to marked increase in TNF-α and IL-6 levels in serum. Single-dose oral administration of amlexanox significantly decreased both TNF-α and IL-6 production, which indicated that amlexanox was able to alleviate systemic inflammation.

Reference: Biochim Biophys Acta Mol Cell Res. 2020 Oct;1867(10):118766. https://pubmed.ncbi.nlm.nih.gov/32504661/

In vivo activity

Based on histopathologic analysis using H&E and Sirius-red staining, inhibition of TBK1 and IKKε by amlexanox markedly reduced biliary hyperplasia and collagen deposition in the livers of mice fed with DDC diet (Figure 2B,C). Consistent with these findings, this study observed significantly lower serum levels of ALT and AST in amlexanox-treated mice with fibrosis than those in vehicle-treated mice with fibrosis (Figure 2D). Additionally, amlexanox-administered mice showed dose-dependently reduced IL-1β and TNFα levels together with reduced NF-κB activation in fibrotic livers. (Figure 2E,F). In line with histopathologic observation (Figure 2B,C), this study found lower expression levels of pro-fibrogenic genes such as TGFβ, alpha-1 type I collagen (Col1α1) and tissue inhibitors of metalloproteinase-1 (TIMP1) in fibrotic livers of mice treated with amlexanox than those of vehicle-treated mice (Figure 2G). These findings suggest that suppression of TBK1 and IKKε by using amlexanox can attenuate cholestasis-induced chronic liver injury and its associated fibro-inflammatory responses in mice through modulating NF-κB activation.

Reference: J Cell Mol Med. 2020 Jan; 24(2): 1383–1398. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6991653/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.