Amlexanox
TBK1 & IKKe inhibitor
Catalog # inh-amx

For research use only
Version # 15129-MM

PRODUCT INFORMATION
Contents:
- 50 mg Amlexanox

Storage and stability:
- Amlexanox is provided lyophilized and shipped at room temperature.
  Store at -20 °C. Lyophilized Amlexanox is stable for at least 2 years when properly stored.
- Upon resuspension, prepare aliquots of Amlexanox and store at -20 °C. Resuspended Amlexanox is stable for 6 months when properly stored.

Quality control:
- Purity ≥97% (UHPLC)
- The inhibitory activity of this product has been validated using cellular assays.
- The absence of bacterial contamination (e.g. lipoproteins and endotoxins) has been confirmed using HEK-Blue™ TLR2 and HEK-Blue™ TLR4 cells.

DESCRIPTION
Amlexanox is a specific inhibitor of the noncanonical IkB kinases IKKe and TANK-binding kinase 1 (TBK1). At the concentrations that block IKKe and TBK1, it has no effect on the canonical IkB kinases IKKα and IKKβ, or a large panel of other kinases. Amlexanox inhibits IKK-ε and TBK1 by competing for ATP-binding to the enzyme. IKKε and TBK1 are essential players in the coordination of interferon regulatory factor 3 (IRF3)- and NF-κB-mediated inflammatory signaling pathways. Indeed, elevated IKKε and TBK1 activity has been associated with several inflammatory diseases. Presently, Amlexanox is approved for the treatment of a variety of conditions, including asthma, allergic rhinitis and aphthous ulcers, due to its anti-inflammatory and anti-allergic properties. In addition, Amlexanox is being investigated as a novel therapeutic for type II diabetes and obesity, as increased IKKε and TBK1 activity has been linked to low-grade chronic inflammation associated with insulin resistance and metabolic disorders.

CHEMICAL PROPERTIES
Solubility: 10 mg/ml (33.5 mM) in DMSO
CAS number: 68302-57-8
Formula: C16H14N2O4
Molecular weight: 298.3

Method: preparation of 10 mg/ml (33.5 mM) stock solution
1- Weigh 10 mg of Amlexanox
2- Add 1 ml of DMSO to 10 mg Amlexanox. Mix by vortexing.
3- Prepare further dilutions using endotoxin-free water.

METHODS
Preparation of 10 mg/ml (33.5 mM) stock solution
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WORKING CONCENTRATION: 1-300 μg/ml for cell culture assays

TBK1/IKKε inhibition:
Amlexanox can be used to assess the role of TBK1/IKKε using cellular assays, as described below in B16-Blue™ ISG cells.
1- Prepare a B16-Blue™ ISG cell suspension at ~500,000 cells/ml.
2- Add 160 μl of cell suspension (~75,000 cells) per well.
3- Add 20 μl of Amlexanox 30-300 μg/ml (final concentration) and incubate at 37 °C for 1 hour.
4- Add 20 μl of sample per well of a flat-bottom 96-well plate.

NOTE: We recommend using a positive control such as 5’ppp-dsRNA delivered intracellularly with LyoVec™ for reference only; as described in the indicated publications.

Cell Culture Assays (supplementary data)
Cells: 3T3-L1 adipocytes and RAW 264.7 macrophages
Working concentration: 10–50 μM (3-15 μg/ml)
Incubation time: 24 hours
Method: promoter reporter assay of NF-κB activity and in vitro wound-healing assay

Animal Study
Animal model: C57Bl/6 mice
Dose: 25-100 mg/kg daily for 12 weeks
Administration: Oral gavage

Animal Study
Animal model: B6.129 mice
Dose: 25 mg/kg daily for 14 days
Administration: Intraperitoneal injection

RELATED PRODUCTS

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<thead>
<tr>
<th>Product</th>
<th>Description</th>
<th>Catalog Code</th>
</tr>
</thead>
<tbody>
<tr>
<td>B16-Blue™ ISG cells</td>
<td>IRF reporter cells</td>
<td>bb-ifnabg</td>
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<tr>
<td>BX795</td>
<td>TBK1/IKKε inhibitor</td>
<td>tlr-bx7</td>
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<tr>
<td>QUANTI-Blue™</td>
<td>SEAP detection reagent</td>
<td>rep-qb1</td>
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<tr>
<td>RAW-Lucia™ ISG-KO-TBK1 cells</td>
<td>TBK1-KO macrophages</td>
<td>rawl-kotbk</td>
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<tr>
<td>THP1-Blue™ ISG cells</td>
<td>IRF reporter cells</td>
<td>thpl-isg</td>
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</tbody>
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Notes:

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