TOCRIS
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Print Date: Jan 14th 2016

Certificate of Analysis

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Product Name: **Amlexanox** **Catalog No.: 4857** **Batch No.: 1**

CAS Number: 68302-57-8

IUPAC Name: 2-Amino-7-(1-methylethyl)-5-oxo-5*H*-[1]benzopyrano[2,3-*b*]pyridine-3-carboxylic acid

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{16}H_{14}N_2O_4$

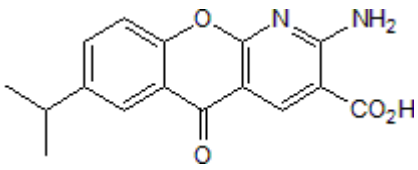
Batch Molecular Weight: 298.29

Physical Appearance: White solid

Solubility: DMSO to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.8% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	64.43	4.73	9.39
Found	64.53	4.95	9.12

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Description:

Selective inhibitor of TANK-binding kinase 1 (TBK1) and IKKε (IC_{50} values are ~1-2 μM). Displays no effect on IKKα or IKKβ at these concentrations. Reversibly lowers weight, increases insulin sensitivity, and reduces inflammation and steatosis in three mouse models of obesity. Exhibits antiallergic activity; inhibits the release of histamine from rat mast cells. Also binds to Hsp90 and inhibits C-terminal chaperone activity in vitro.

Physical and Chemical Properties:

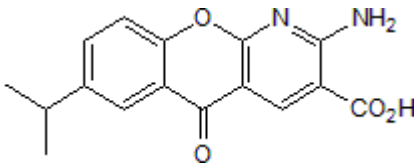
Batch Molecular Formula: $C_{16}H_{14}N_2O_4$

Batch Molecular Weight: 298.29

Physical Appearance: White solid

Minimum Purity: >99%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Makino *et al* (1987) Mechanism of action of an antiallergic agent, amlexanox (AA-673), in inhibiting histamine release from mast cells. Acceleration of cAMP generation and inhibition of phosphodiesterase. Int.Arch.Allergy Appl.Immunol. ***82*** 66. PMID: 2433225.

Okada *et al* (2003) Hsp90 is a direct target of the anti-allergic drugs disodium cromoglycate and amlexanox. Biochem.J. ***374*** 433. PMID: 12803546.

Reilly *et al* (2012) An inhibitor of the protein kinases TBK1 and IKK-ε improves obesity-related metabolic dysfunctions in mice. Nat.Med. ***19*** 313. PMID: 23396211.