TOCRIS bioscience

Print Date: Oct 9th 2014

Certificate of Analysis

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Product Name: **U0126** **Catalog No.: 1144** **Batch No.: 5**

CAS Number: 109511-58-2

IUPAC Name: 1,4-Diamino-2,3-dicyano-1,4-*bis*[2-aminophenylthio]butadiene

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{18}H_{16}N_6S_2.0.75C_2H_5OH$

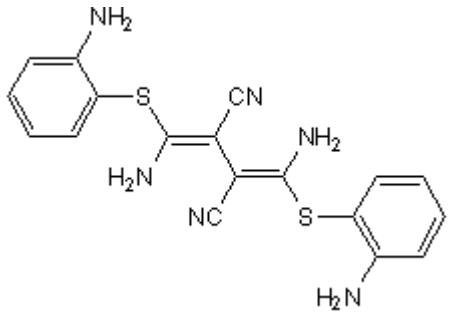
Batch Molecular Weight: 415.04

Physical Appearance: White solid

Solubility: DMSO to 100 mM

Storage: Desiccate at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.0% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	56.43	4.98	20.25
Found	56.23	4.82	19.95

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Description:

Potent and selective non-competitive inhibitor of MAP kinase kinase. Inhibits MEK-1 and MEK-2 (IC_{50} values of 0.07 and 0.06 µM respectively) with little or no effect on the activities of PKC, Abl, Raf, MEKK, ERK, JNK, MKK-3, MKK-4/SEK, MKK-6, Cdk2 or Cdk4. Centrally active following systemic administration in vivo. Also available as part of the MAPK Cascade Inhibitor Tocriset™ and MAPK Inhibitor Tocriset™. Negative control U0124 (Cat. No. 1868) also available.

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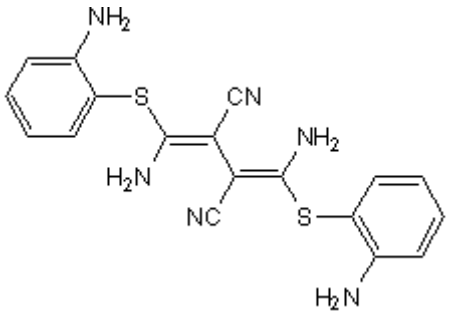

Storage: Desiccate at +4°C

Solubility & Usage Info:

DMSO to 100 mM

CAUTION - This product has been shown* to isomerise relatively rapidly once in solution, affecting its activity. Therefore, we recommend that, as far as possible, solutions should be made up and used within 24 hours. This product contains 1 molar equivalent of ethanol *Duncia *et al* (1998) MEK inhibitors: the chemistry and biological activity of U0126, its analogs, and cyclization products. Bioorg.Med.Chem.Lett. *8* 2839.

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:

Duncia *et al* (1998) MEK inhibitors: the chemistry and biological activity of U0126, its analogs, and cyclization products. Bioorg.Med.Chem.Lett. ***8*** 2839. PMID: 9873633.

Favata *et al* (1998) Identification of a novel inhibitor of mitogen-activated protein kinase kinase. J.Biol.Chem. ***273*** 18623. PMID: 9660836.

Davies *et al* (2000) Specificity and mechanism of action of some commonly used protein kinase inhibitors. Biochem.J. ***351*** 95. PMID: 10998351.

Namura *et al* (2001) Intravenous administraton of MEK inhibitor U0126 affords brain protection against forebrain ischemia and focal cerebral ischemia. Proc.Natl.Acad.Sci.U.S.A. ***98*** 11569. PMID: 11504919.