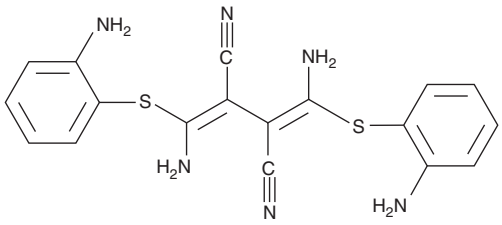
PRODUCT INFORMATION

U-0126

Item No. 70970

CAS Registry No.:	109511-58-2
Formal Name:	2,3-bis[amino[(2-aminophenyl) thio]methylene]-butanedinitrile
MF:	$C_{18}H_{16}N_6S_2$
FW:	380.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 247, 308 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

U-0126 is supplied as a crystalline solid. A stock solution may be made by dissolving the U-0126 in the solvent of choice, which should be purged with an inert gas. U-0126 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of U-0126 in these solvents is approximately 0.5, 25, and 30 mg/ml, respectively.

U-0126 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, U-0126 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. U-0126 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

U-0126 is a MEK inhibitor with IC_{50} values of 72 nM and 58 nM for MEK1 and MEK2, respectively.[1] It is noncompetitive with respect adenosine triphosphate (ATP) and its phosphorylation target ERK and it shows little to no inhibition against a number of other kinases including PKC, Ab1, Raf, MEKK, ERK, JNK, MKK-3, MKK-4, MKK-6, Cdk2, and Cdk4. However, U-0126 does phosphorylate and activate AMP-activated protein kinase (AMPK) in a dose-dependent manner (EC_{50} = 15 µM in HEK293 cells).[2] It increases the ratios of ADP to ATP and AMP to ATP and increases phosphorylation of the AMPK target acetyl-CoA carboxylase (ACC).

References

1. Favata, M.F., Horiuchi, K.Y., Manos, E.J., *et al.* Identification of a novel inhibitor of mitogen-activated protein kinase kinase. *J. Biol. Chem.* **273(29)**, 18623-18632 (1998).
2. Dokladda, K., Green, K.A., Pan, D.A., *et al.* PD98059 and U0126 activate AMP-activated protein kinase by increasing the cellular AMP:ATP ratio and not *via* inhibition of the MAP kinase pathway. *FEBS Lett.* **579(1)**, 236-240 (2005).

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