

U0126

Autophagy Inhibitor; MEK1/2 Inhibitor

Catalog code: tlr1-u0126-5

<https://www.invivogen.com/u0126>

For research use only

Version 24A02-MM

PRODUCT INFORMATION

Contents

- 5 x 5 mg U0126

Storage and stability:

- U0126 is shipped at room temperature. Upon receipt, store at -20°C.
- Upon resuspension in DMSO, prepare aliquots of U0126 and store at -20°C. U0126 resuspended in DMSO is stable for 3 months when properly stored. Avoid repeated freeze-thaw cycles.

Quality control:

- Purity: ≥97% (UHPLC).
- The absence of bacterial contamination (e.g. lipoproteins and endotoxins) has been confirmed using HEK-Blue™ TLR2 and HEK-Blue™ TLR4 cells.

DESCRIPTION

U0126 is a potent and selective inhibitor of MAP kinase kinases, MEK1 and MEK2¹. It is widely used as an inhibitor for the Raf/MEK/ERK signaling pathway. This signaling pathway plays a critical role in the regulation of diverse cellular activities, including cell proliferation, differentiation, and survival.

U0126 acts by inhibiting the kinase activity of MEK1/2 thus preventing the activation of extracellular signal-regulated kinases 1 and 2 (ERK1/2; also called MAP kinases p44 and p42). ERK1/2 activation is central to different cell death programs, such as autophagy and apoptosis².

Studies have shown that treatment with U0126 suppresses autophagy^{3,4}, as evidenced by reduced levels of Beclin-1 and LC3 and increased p62 expression³. Additionally, U0126 has been shown to inhibit apoptosis^{3,4}, indicated by reduced caspase-3 activity and the number of TUNEL-positive cells³.

1. Favata M.F. *et al.*, 1998. Identification of a novel inhibitor of mitogen-activated protein kinase kinase. *J Biol Chem.* 273:18623-32. 2. Cagnol S. & Chambard J.C., 2010. ERK and cell death: mechanisms of ERK-induced cell death - apoptosis, autophagy and senescence. *FEBS J.* 277(1):2-21. 3. Wang A. *et al.*, 2016. U0126 attenuates ischemia/reperfusion-induced apoptosis and autophagy in myocardium through MEK/ERK/EGR-1 pathway. *Eur J Pharmacol.* 788:280-285. 4. Wang D. *et al.*, 2021. U0126 pretreatment inhibits cisplatin-induced apoptosis and autophagy in HEI-OC1 cells and cochlear hair cells. *Toxicol Appl Pharmacol.* 415:115447. 5. Matsuzaki S. *et al.*, 2017. Effects of U0126 and MK2206 on cell growth and re-growth of endometriotic stromal cells grown on substrates of varying stiffness. *Sci Rep.* 7:42939. 6. Jiao Y.N. *et al.*, 2018. Marsdenia tenacissima extract induces apoptosis and suppresses autophagy through ERK activation in lung cancer cells. *Cancer Cell Int.* 18:149. 7. Lan C.N. *et al.*, 2021. MAPK inhibitors protect against early-stage osteoarthritis by activating autophagy. *Mol Med Rep.* 4(6):829.

CHEMICAL PROPERTIES

CAS number: 109511-58-2

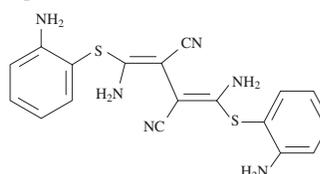
Synonym: 1,4-Diamino-2,3-dicyano-1,4-bis(2-aminophenylthio)-butadiene

Formula: C₁₈H₁₆N₆S₂

Molecular weight: 380.5 g/mol

Solubility: 10 mg/ml (26.3 mM) in DMSO

Structure:



METHODS

Preparation of stock solution at 25 mM

1. Add 525 µl of DMSO to 5 mg of U0126.
3. Vortex until completely dissolved.
4. Once U0126 has been dissolved in DMSO, it can be used immediately or stored at -20°C for 3 months.
5. Prepare further dilutions using sterile water or culture medium. We do not recommend storing aqueous solutions for more than one day.

Working concentration: 10-50 µM (for cell culture)

PROTOCOLS

For reference only; as described in the indicated publications.

Cell Culture Assay⁵

Cell type: Endometrial stromal cells

Working concentration: 15-30 µM

Method: Cell proliferation

Cell Culture Assay⁶

Cell type: Human lung carcinoma cell lines A549 and H1975

Working concentration: 20-50 µM

Method: Autophagy and apoptosis

Animal Study⁷

Animal model: Rabbits

Dose: 0.1 ml (100 µmol/l)

Administration: Intra-articular injection

RELATED PRODUCTS

Product	Description	Cat. Code
Rapamycin	mTOR inhibitor	tlr1-rap-5
Bafilomycin A1	Autophagy inhibitor	tlr1-baf

TECHNICAL SUPPORT

InvivoGen USA (Toll-Free): 888-457-5873

InvivoGen USA (International): +1 (858) 457-5873

InvivoGen Europe: +33 (0) 5-62-71-69-39

InvivoGen Asia: +852 3622-34-80

E-mail: info@invivogen.com

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