

Certificate of Analysis

Print Date: Dec 12th 2017

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U 73122 **Product Name:** Catalog No.: 1268 Batch No.: 12

CAS Number: 112648-68-7

IUPAC Name: 1-[6-[[(17β)-3-Methoxyestra-1,3,5(10)-trien-17-yl]amino]hexyl]-1*H*-pyrrole-2,5-dione

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{29}H_{40}N_2O_3$ **Batch Molecular Weight:** 464.65

Physical Appearance: Pale yellow solid

Solubility: Please refer to Solubility & Usage Information on Product Information sheet.

Storage: Store at RT

Batch Molecular Structure:

2. ANALYTICAL DATA

TLC: $R_f = 0.35$ (Chloroform:Methanol [9:1])

HPLC: Shows >99.7% purity ¹H NMR: Consistent with structure Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

> Theoretical 74.96 8.68 6.03 Found 74.79 8.78 6.03

Tel: +44 (0)1235 529449

www.tocris.com/distributors Tel:+1 612 379 2956



Product Information

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IUPAC Name: $1-[6-[[(17\beta)-3-Methoxyestra-1,3,5(10)-trien-17-yl]amino]hexyl]-1H-pyrrole-2,5-dione$

Description:

Phospholipase C inhibitor. Inhibits agonist-induced platelet aggregation with IC $_{50}$ values of 1-5 μ M. Potently inhibits human polymorphonuclear neutrophil adhesion on biological surfaces (IC $_{50}$ < 50 nM) and exhibits antinociceptive activity in vivo. Also activates TRPM4 and inhibits TRPM3 channels. Negative Control also available.

Physical and Chemical Properties:

Batch Molecular Formula: $C_{29}H_{40}N_2O_3$ Batch Molecular Weight: 464.65 Physical Appearance: Pale yellow solid

Minimum Purity: >98%

Batch Molecular Structure:

Solubility & Usage Info:

Solubility: U 73122 is only sparingly soluble in ag. media and solvents such as DMSO and EtOH. Hence U 73122 can be delivered to cells either after dissolving in organic solvents or complexing with serum proteins. We recommend that a weighed amount of U 73122 is dissolved in DCM or CHCl₃, in which the product is soluble to 100mM. Dispense this solution into aliquots sufficient for one experiment and evaporate the solvent with a stream of nitrogen to leave a thin, dry film which may be more easily dissolved in DMSO or EtOH. U 73122 is soluble to at least 5 mM in DMSO and at least 2 mM in 100% EtOH using this approach. Alternatively dissolve the thin film in an aq. medium of serum or serum albumin (1-10 mg/mL). U 73122 will form a soluble complex with serum albumin, thus avoiding the use of organic solvents. Stability & Storage: It is best to dissolve U 73122 in DMSO or EtOH immediately before use. Use caution in reusing stored DMSO solutions of U 73122 and discard solutions that have turned a pink color as this indicates a loss of inhibitory activity. In general, store U 73122 solutions at -20° to -80°C and discard after two months. Solid U 73122 as supplied by Tocris should be stable for at least six months. Dried aliquots prepared from CHCl₃ solutions should be stored at -20° or -80°C for no more than one month.

Licensing Information:

Sold with the permission of Pharmacia

References:

Leitner et al (2016) Direct modulation of TRPM4 and TRPM3 channels by the phospholipase C inhibitor U73122. Br.J.Pharmacol. 173 2555. PMID: 27328745.

Shi et al (2008) Phospholipase $C\beta3$ in mouse and human dorsal root ganglia and spinal cord is a possible target for treatment of neuropathic pain. Proc.Natl.Acad.Sci.U.S.A. 105 20004. PMID: 19066214.

Smith et al (1996) U-73122: a potent inhibitor of human polymorphonuclear neutrophil adhesion on biological surfaces and adhesion-related effector functions. J.Pharmacol.Exp.Ther. 278 320. PMID: 8764366.

Bleasdale *et al* (1990) Selective inhibition of receptor-coupled phospholipase C-dependent processes in human platelets and polymorphonuclear neutrophils. J.Pharmacol.Exp.Ther. **255** 756. PMID: 2147038.

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use