

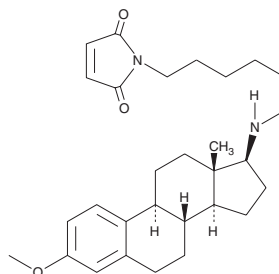
# PRODUCT INFORMATION



**U-73122**

Item No. 70740

**CAS Registry No.:** 112648-68-7  
**Formal Name:** 1-[6-[[[(17 $\beta$ )-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]hexyl]-1H-pyrrole-2,5-dione  
**MF:** C<sub>29</sub>H<sub>40</sub>N<sub>2</sub>O<sub>3</sub>  
**FW:** 464.6  
**Purity:**  $\geq$ 95%  
**Supplied as:** A crystalline solid  
**Storage:** Room temperature  
**Stability:**  $\geq$ 1 year



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

## Laboratory Procedures

U-73122 is supplied as a crystalline solid. A stock solution may be made by dissolving the U-73122 in an organic solvent. U-73122 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of U-73122 in these solvents is approximately 0.5 and 3.3 mg/ml, respectively. U-73122 can also be dissolved in ethanol, but the solubility is less than 0.5 mg/ml and it requires 24 hours to go completely into solution.

U-73122 is sparingly soluble in aqueous buffers. Therefore, further dilutions of the organic solvent solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Store aqueous solutions of U-73122 on ice and use within 12 hours of preparation. Although the aqueous solutions of U-73122 may be stable for more than 12 hours, we strongly recommend using a fresh preparation each day.

## Description

U-73122 is an inhibitor of PLC-dependent processes, however, the mechanism of action remains unclear.<sup>1-3</sup> The IC<sub>50</sub> values for inhibition of platelet aggregation induced by collagen or thrombin are 0.6 and 5  $\mu$ M, respectively.<sup>2</sup> It also exhibits inhibitory activity against HIV-1 integrase with an IC<sub>50</sub> value of 7  $\mu$ M.<sup>4</sup>

## References

1. Smith, R.J., Sam, L.M., Justen, J.M., *et al.* Receptor-coupled signal transduction in human polymorphonuclear neutrophils: Effects of a novel inhibitor of phospholipase C-dependent processes on cell responsiveness. *J. Pharmacol. Exp. Ther.* **253**, 688-697 (1990).
2. Bleasdale, J.E., Thakur, N.R., Gremban, R.S., *et al.* Selective inhibition of receptor-coupled phospholipase C-dependent processes in human platelets and polymorphonuclear neutrophils. *J. Pharmacol. Exp. Ther.* **255**, 756-768 (1990).
3. Hildebrandt, J.-P., Plant, T.D., and Meves, H. The effects of bradykinin on K<sup>+</sup> currents in NG108-15 cells treated with U73122, a phospholipase C inhibitor, or neomycin. *Br. J. Pharmacol.* **120**, 841-850 (1997).
4. Burke, T.R., Jr., Fesen, M.R., Mazumder, A., *et al.* Hydroxylated aromatic inhibitors of HIV-1 integrase. *J. Med. Chem.* **38**, 4171-4178 (1995).

### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

### WARRANTY AND LIMITATION OF REMEDY

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