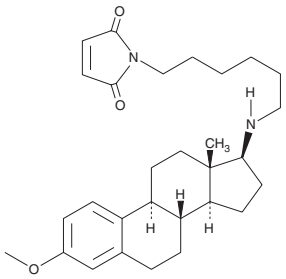
PRODUCT INFORMATION

U-73122

Item No. 70740

CAS Registry No.: 112648-68-7
Formal Name: 1-[6-[[(17β)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino] hexyl]-1H-pyrrole-2,5-dione
MF: $C_{29}H_{40}N_2O_3$
FW: 464.6
Purity: ≥95%
Supplied as: A crystalline solid
Storage: Room temperature
Stability: ≥4 years



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.[1-3] The IC_{50} values for inhibition of platelet aggregation induced by collagen or thrombin are 0.6 and 5 µM, respectively.[2] It also exhibits inhibitory activity against HIV-1 integrase with an IC_{50} value of 7 µM.[4]

References

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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^+ 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).

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