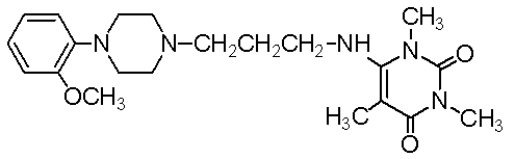
3050 Spruce Street, St. Louis, MO 63103 USA
Tel: (800) 521-8956 (314) 771-5765 Fax: (800) 325-5052 (314) 771-5757
email: techservice@sial.com sigma-aldrich.com

Product Information

5-Methylurapidil

Catalog Number **U101**
Store at Room Temperature

CAS RN 34661-85-3
Synonym: 5-Methyl-6-[(3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl)amino]-1,3-dimethyluracil



Product Description

Molecular Formula: $C_{21}H_{31}N_5O_3$
Molecular Weight: 401.50

5-Methylurapidil is a selective α_{1A}-adrenoceptor antagonist and has antihypertensive activity.
It has the following binding properties:
K_D at α_{1A}-adrenoceptors = 0.89 nM (rat brain cortex), with B_{max} = 116 fmole/mg protein;
K_D at 5-HT_{1A} serotonin receptors = 0.84 nM (rat brain cortex), with B_{max} = 235 fmole/mg protein.
It does not bind to purified liver cell membranes (α_{1B}-adrenoceptors).[3]

Precautions and Disclaimer

This product is for R&D use only, not for drug, household, or other uses. Please consult the Material Safety Data Sheet for information regarding hazards and safe handling practices.

Preparation Instructions

The product is soluble in 0.1 N HCl (3.8 mg/ml).

Storage/Stability

It is recommended to store the product tightly sealed at room temperature.

References

1. Gross, G., and Hanft, G., 5-Methyl-urapidil – an antagonist which discriminates between α_1-adrenoceptor subtypes. Brit. J. Pharmacol., **95**, 568P (1988).
2. Gross, G., et al., 5-Methyl-urapidil discriminates between subtypes of the α_1-adrenoceptor. Eur. J. Pharmacol., **151**, 333-335 (1988).
3. Graziadai, I., et al., Stereoselective binding of niguldipine enantiomers to α_{1A}-adrenoceptors labeled with [^{3}H]5-methyl-urapidil. Eur. J. Pharmacol. Mol. Pharmacol. Sect., **172**, 329-337 (1989).
4. Gross, G., et al., Demonstration of α_{1A}- and α_{1B}-adrenoceptor binding sites in human brain tissue. Eur. J. Pharmacol., **169**, 325-328 (1989).
5. Valenta, B., and Singer, E.A., Hypotensive effects of 8-hydroxy-2-(di-n-propylamino)tetralin and 5-methylurapidil following stereotaxic microinjection into the ventral medulla of the rat. Br. J. Pharmacol., **99**, 713-716 (1990).
6. Mandal, A.K., et al., The role of serotonin-1A receptor activation and α-1 adrenoceptor blockade in the hypotensive effect of 5-methyl-urapidil. J. Pharmacol. Exp. Therap., **257**, 861-869 (1991).

MAM 08/10-1