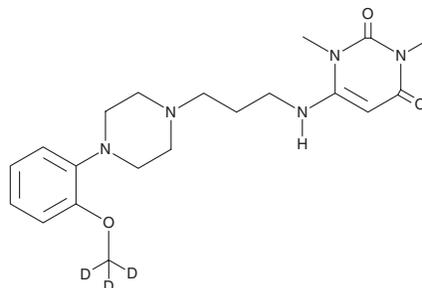


PRODUCT INFORMATION



Urapidil-d₃ Item No. 43811

CAS Registry No.: 1398066-08-4
Formal Name: 6-((3-(4-(2-(methoxy-d₃)phenyl)piperazin-1-yl)propyl)amino)-1,3-dimethylpyrimidine-2,4(1H,3H)-dione
MF: C₂₀H₂₆D₃N₅O₃
FW: 390.5
Chemical Purity: ≥98% (Urapidil)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₃); ≤1% d₀
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Urapidil-d₃ is intended for use as an internal standard for the quantification of urapidil (Item No. 29004) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Urapidil-d₃ is supplied as a solid. A stock solution may be made by dissolving the urapidil-d₃ in the solvent of choice, which should be purged with an inert gas. Urapidil-d₃ is slightly soluble in DMSO.

Description

Urapidil is an antagonist of α_1 -adrenergic receptors (α_1 -ARs) and a partial agonist of the serotonin (5-HT) receptor subtype 5-HT_{1A}.^{1,2} It selectively binds to α_1 - over α_2 -ARs (IC₅₀s = 0.74 and 42 μ M, respectively) and to 5-HT_{1A} over 5-HT_{1B} and 5-HT₂ receptors (IC₅₀s = 0.4, 20.4, and >10 μ M, respectively) in rat cortex.¹ Urapidil inhibits cAMP accumulation induced by forskolin in calf hippocampus as a functional model for 5-HT_{1A} receptors (EC₅₀ = 390 nM).³ It is also a β_1 -AR antagonist that inhibits the positive chronotropic response induced by isoproterenol (Item No. 15592) in isolated rat atria (pA₂ = 6.05).⁴ Urapidil (1 μ mol/kg, i.v.) lowers mean arterial pressure (MAP) in anesthetized cats, an effect that is reduced by central administration of the 5-HT_{1A} receptor antagonist spiroxatrine.⁵

References

1. Gross, G., Hanft, G., and Kolassa, N. Urapidil and some analogues with hypotensive properties show high affinities for 5-hydroxytryptamine (5-HT) binding sites of the 5-HT_{1A} subtype and for α_1 -adrenoceptor binding sites. *Naunyn Schmiedebergs Arch Pharmacol.* **336(6)**, 597-601 (1987).
2. van Zwieten, P.A. Pharmacologic profile of urapidil. *Am. J. Cardiol.* **64(7)**, 1D-6D (1989).
3. Schoeffter, P. and Hoyer, D. Centrally acting hypotensive agents with affinity for 5-HT_{1A} binding sites inhibit forskolin-stimulated adenylate cyclase activity in calf hippocampus. *Br. J. Pharmacol.* **95(3)**, 975-985 (1988).
4. Verberne, A.J. and Rand, M.J. Effect of urapidil on β -adrenoceptors of rat atria. *Eur. J. Pharmacol.* **108(2)**, 193-196 (1985).
5. Kolassa, N., Beller, K.D., and Sanders, K.H. Involvement of brain 5-HT_{1A} receptors in the hypotensive response to urapidil. *Am. J. Cardiol.* **64(7)**, 7D-10D (1989).

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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