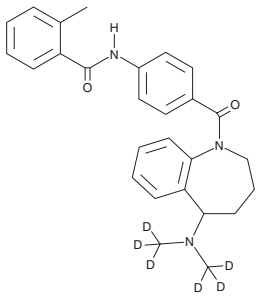
PRODUCT INFORMATION

Mozavaptan-d_6

Item No. 31321

CAS Registry No.: 2750534-83-7
Formal Name: N-[4-[[5-(dimethyl-d_6-amino)-2,3,4,5-tetrahydro-1H-1-benzazepin-1-yl]carbonyl] phenyl]-2-methyl-benzamide
MF: $C_{27}H_{23}D_6N_3O_2$
FW: 433.6
Chemical Purity: ≥98% (Mozavaptan)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_6); ≤1% d_0
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

Mozavaptan-d_6 is intended for use as an internal standard for the quantification of mozavaptan (Item No. 16664) 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).

Mozavaptan-d_6 is supplied as a solid. A stock solution may be made by dissolving the mozavaptan-d_6 in the solvent of choice, which should be purged with an inert gas. Mozavaptan-d_6 is soluble in DMSO and dimethyl formamide. Mozavaptan-d_6 is soluble in a 1:1 solution of acetonitrile:methanol.

Description

Mozavaptan is an orally bioavailable antagonist of vasopressin V_2 receptors (K_i = 9.42 nM in HeLa cells expressing the human receptor).[1,2] It is selective for vasopressin V_2 over V_1 receptors (IC_{50}s = 14 and 1,200 nM, respectively) in radioligand binding assays using rat kidney and rat liver membranes that endogenously express high levels of vasopressin V_2 and V_1 receptors, respectively.[1] Mozavaptan (10-30 mg/kg, p.o.) increases urine volume and decreases urine osmolality, indicating aquaresis, in conscious rats. It reduces decreases in urine flow and increases in urine osmolality induced by arginine vasopressin (AVP; Item No. 24154) in anesthetized rats when administered intravenously at doses ranging from 10 to 100 µg/kg.

References

1. Yamamura, Y., Ogawa, H., Yamashita, H., *et al.* Characterization of a novel aquaretic agent, OPC-31260, as an orally effective, nonpeptide vasopressin V_2 receptor antagonist. *Br. J. Pharmacol.* **105(4)**, 787-791 (1992).
2. Nakamura, S., Itoh, S., Fujiki, H., *et al.* Binding affinities of mozavaptan hydrochloride (OPC-31260) for vasopressin receptors. *Jap. Pharmacol. Ther.* **34(7)**, 827-834 (2006).

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