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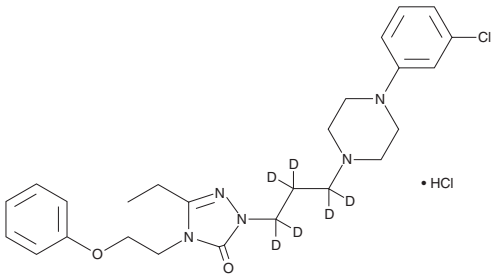
PRODUCT INFORMATION

Nefazodone-d_6 (hydrochloride)

Item No. 34264

CAS Registry No.: 1330236-06-0
Formal Name: 2-[3-[4-(3-chlorophenyl)-1-piperazinyl] propyl-1,1,2,2,3,3-d_6]-5-ethyl-2,4-dihydro-4-(2-phenoxyethyl)-3H-1,2,4-triazol-3-one, monohydrochloride
MF: $C_{25}H_{26}ClD_6N_5O_2 \bullet HCl$
FW: 512.5
Chemical Purity: ≥95% (Nefazodone)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_6); ≤1% d_0
Supplied as: A solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Nefazodone-d_6 (hydrochloride) is intended for use as an internal standard for the quantification of nefazodone (Item No. 10012642) 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).

Nefazodone-d_6 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the nefazodone-d_6 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Nefazodone-d_6 (hydrochloride) is soluble in methanol and DMSO.

Description

Nefazodone is a serotonin (5-HT), norepinephrine, and dopamine reuptake inhibitor (SNDRI; K_is = 137, 570, 2,380 nM, respectively, in rat brain synaptosomes).[1] It is also an antagonist of 5-HT_{2A}, 5-HT_{1A}, and histamine H_1 receptors, as well as α_1- and α_2-adrenergic receptors (α_2-ARs; K_is = 7.1, 52, 30, 5.5, and 84 nM, respectively).[2] Nefazodone (100 mg/kg) reduces immobility time in the tail suspension test in gerbils.[3] However, it is toxic to isolated human hepatocytes in a cultured sandwich hepatocyte preparation when used at a concentration of 30 µM.[4] Formulations containing nefazodone have previously been used in the treatment of depression.

References

1. Carlier, P.R., Lo, M.M.-C., Lo, P.C.-K., *et al.* Synthesis of a potent wide-spectrum serotonin-, norepinephrine-, dopamine-reuptake inhibitor (SNDRI) and a species-selective dopamine-reuptake inhibitor based on the gamma-amino alcohol functional group. *Bioorg. Med. Chem. Lett.* **8(5)**, 487-492 (1998).
2. Owens, M.J., Neal, W., Plott, S.J., *et al.* Neurotransmitter receptor and transporter binding profile of antidepressants and their metabolites. *J. Pharmacol. Exp. Ther.* **283(3)**, 1305-1322 (1997).
3. Varty, G.B., Cohen-Williams, M.E., and Hunter, J.C. The antidepressant-like effects of neurokinin NK1 receptor antagonists in a gerbil tail suspension test. *Behav. Pharmacol.* **14(1)**, 87-95 (2003).
4. Kostrubsky, S.E., Strom, S.C., Kalgutkar, A.S., *et al.* Inhibition of hepatobiliary transport as a predictive method for clinical hepatotoxicity of nefazodone. *Toxicol. Sci.* **90(2)**, 451-459 (2006).

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