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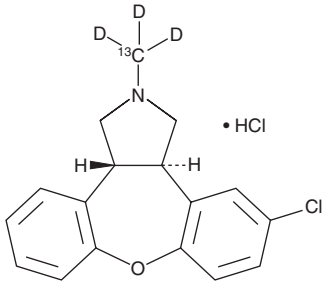
PRODUCT INFORMATION

(±)-Asenapine-^{13}C-d$_3$ (hydrochloride)

Item No. 31980

CAS Registry No.: 1261392-55-5
Formal Name: (3aR,12bR)-*rel*-5-chloro-2,3,3a,12b-tetrahydro-2-(methyl-^{13}C-d$_3$)-1H-dibenz[2,3:6,7]oxepino[4,5-c]pyrrole, monohydrochloride
MF: $C_{16}[^{13}C]H_{13}ClD_3NO \bullet HCl$
FW: 326.2
Chemical Purity: ≥98% ((±)-Asenapine)
Deuterium Incorporation: ≥99% deuterated forms (d$_1$-d$_3$); ≤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

(±)-Asenapine-^{13}C-d$_3$ (hydrochloride) is intended for use as an internal standard for the quantification of (±)-asenapine (Item No. 9000496) 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).

(±)-Asenapine-^{13}C-d$_3$ (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the (±)-asenapine-^{13}C-d$_3$ (hydrochloride) in the solvent of choice, which should be purged with an inert gas. (±)-Asenapine-^{13}C-d$_3$ (hydrochloride) is soluble in DMSO and methanol.

Description

(±)-Asenapine is an atypical antipsychotic.[1,2] It binds to dopamine D$_{1-4}$, α-adrenergic, and histamine receptors (K$_i$s = 0.42-1.45, 0.32-1.26, and 1-6.17 nM, respectively), as well as the serotonin (5-HT) receptor subtypes 5-HT$_{1A}$, 5-HT$_{1B}$, 5-HT$_{2A}$, 5-HT$_{2B}$, 5-HT$_{2C}$, 5-HT$_{5A}$, 5-HT$_6$, and 5-HT$_7$ (K$_i$s = 0.03-3.98 nM).[2] (±)-Asenapine inhibits the suppression of neuron firing induced by the 5-HT$_{2A}$, dopamine D$_2$, and α$_2$-adrenergic receptor agonists 2,5-dimethoxy-4-iodoamphetamine (DOI), apomorphine, and clonidine (Item No. 15949), respectively, in rat brain (ED$_{50}$s = 75, 40, and 85 µg/kg, respectively).[1] *In vivo*, (±)-asenapine (0.05-0.2 mg/kg, s.c.) increases extracellular dopamine levels in the medial prefrontal cortex (mPFC), nucleus accumbens (NAc), and lateral striatum and suppresses the conditioned avoidance response in rats.[3] It prevents acute and chronic phencyclidine-induced deficits in cued reversal learning in rats when administered at a dose of 0.075 mg/kg.[4] Formulations containing asenapine have been used in the treatment of schizophrenia and bipolar I disorder.

References

1. Ghanbari, R., El Mansari, M., Shahid, M., *et al. Eur. Neuropsychopharmacol.* **19(3)**, 177-187 (2009).
2. Shahid, M., Walker, G.B., Zorn, S.H., *et al. J. Psychopharmacol.* **23(1)**, 65-73 (2009).
3. Frånberg, O., Wiker, C., Marcus, M.M., *et al. Psychoparmacol.(Berl).* **196(3)**, 417-429 (2008).
4. McLean, S.L., Neill, J.C., Idris, N.F., *et al. Behav. Brain Res.* **214(2)**, 240-247 (2010).

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.

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