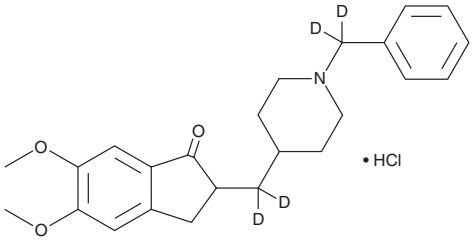
PRODUCT INFORMATION

Donepezil-d_4 (hydrochloride)

Item No. 18251

CAS Registry No.: 1219798-88-5
Formal Name: 2,3-dihydro-5,6-dimethoxy-2[[1-(phenylmethyl-d_2)-4-piperidinyl]methyl-d_2]-1H-inden-1-one, monohydrochloride
MF: $C_{24}H_{25}D_4NO_3 \bullet HCl$
FW: 420.0
Chemical Purity: ≥95% (Donepezil)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_4); ≤1% d_0
UV/Vis.: λ_{max}: 231, 269, 313 nm
Supplied as: A crystalline 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

Donepezil-d_4 (hydrochloride) is intended for use as an internal standard for the quantification of donepezil (Item No. 13245) 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).

Donepezil-d_4 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the donepezil-d_4 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Donepezil-d_4 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of donepezil-d_4 (hydrochloride) in these solvents is approximately 1 mg/ml.

Description

Donepezil is an inhibitor of acetylcholinesterase (AChE; IC_{50} = 6.7 nM).[1] It is selective for AChE over butyrylcholinesterase (BChE; IC_{50} = 988 nM). Donepezil (0.1 and 1 µM) inhibits the production of nitric oxide (NO) and TNF-α induced by oligomeric amyloid-β (1-42) ($A\beta O_{1-42}$) in primary rat microglial cells.[2] It increases ACh levels in the cortex and hippocampus of aged rats when administered at a dose of 1.5 mg/kg.[3] Donepezil (2 mg/kg) reduces Mac-1 and GFAP protein expression, markers of microglia and astrocyte activation, respectively, in the hippocampal dentate gyrus of a mouse model of Alzheimer's disease induced by intrahippocampal injection of $A\beta O_{1-42}$.[2] It increases step-through latency in a passive avoidance test in the same model. Formulations containing donepezil have been used in the treatment of Alzheimer's disease.

References

1. Cacabelos, R. Donepezil in Alzheimer's disease: From conventional trials to pharmacogenetics. *Neuropsychiatr. Dis. Treat.* **3(3)**, 303-333 (2007).
2. Kim, H.G., Moon, M., Choi, J.G., *et al.* Donepezil inhibits the amyloid-beta oligomer-induced microglial activation *in vitro* and *in vivo*. *Neurotoxicology* **40**, 23-32 (2014).
3. Scali, C., Casamenti, F., Bellucci, A., *et al.* Effect of subchronic administration of metrifonate, rivastigmine and donepezil on brain acetylcholine in aged F344 rats. *J. Neural Transm. (Vienna)* **109(7-8)**, 1067-1080 (2002).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM