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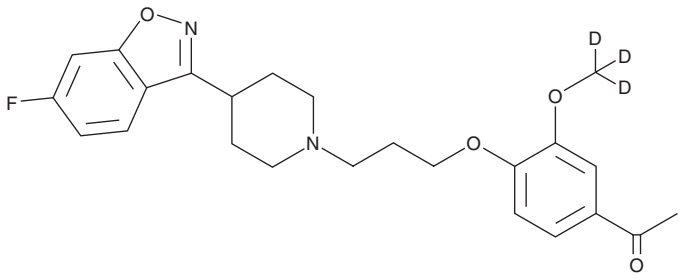
PRODUCT INFORMATION

Iloperidone-d_3

Item No. 35194

CAS Registry No.:	1071167-49-1
Formal Name:	1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(methoxy-d_3)phenyl]-ethanone
MF:	$C_{24}H_{24}D_3FN_2O_4$
FW:	429.5
Chemical Purity:	≥95% (Iloperidone)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_3); ≤1% d_0
UV/Vis.:	λ_{max}: 210, 230, 275 nm
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

Iloperidone-d_3 is intended for use as an internal standard for the quantification of iloperidone (Item No. 22957) 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).

Iloperidone-d_3 is supplied as a solid. A stock solution may be made by dissolving the iloperidone-d_3 in the solvent of choice, which should be purged with an inert gas. Iloperidone-d_3 is soluble in DMSO.

Description

Iloperidone is an atypical antipsychotic and adrenergic, dopamine, and serotonin (5-HT) receptor antagonist.[1] It binds to several receptors, including the α_1-adrenergic receptor (α_1-AR), α_2-AR, and dopamine D_2 receptor (K_is = 0.31, 3, and 3.3 nM, respectively), as well as the 5-HT_{1A}, 5-HT_{1D}, 5-HT_{2A}, and 5-HT_{2C} receptors (K_is = 33, 15, 0.2, and 14 nM, respectively) in radioligand binding assays using human post-mortem brain tissue.[2] Iloperidone also binds to human D_1, D_3, D_4, D_5, and rat 5-HT_2 receptors (K_is = 216, 7.1, 25, 319, and 3.1 nM, respectively, in CHO cells) and the histamine H_1 receptor (K_i = 12.3 nM in human post-mortem brain tissue).[2,3] Iloperidone (1-3 mg/kg) prevents the reduction in prepulse inhibition induced by apomorphine (Item No. 16094), phencyclidine (PCP), and cirazoline (Item No. 21791) in rats.[1] It also increases the time rats spend in the open arms of the elevated plus maze and the number of social interactions when administered at a dose of 0.5 mg/kg.[4] Formulations containing iloperidone have been used in the treatment of schizophrenia.

References

1. Barr, A.M., Powell, S.B., Markou, A., *et al. Neuropharmacology* **51(3),** 457-465 (2006).
2. Richelson, E. and Souder, T. *Life Sci.* **68(1),** 29-39 (2000).
3. Kongsamut, S., Roehr, J.E., Cai, J., *et al. Eur. J. Pharmacol.* **317(2-3),** 417-423 (1996).
4. Szewczak, M.R., Corbett, R., Rush, D.K., *et al. J. Pharmacol. Exp. Ther.* **274(3),** 1404-1413 (1995).

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