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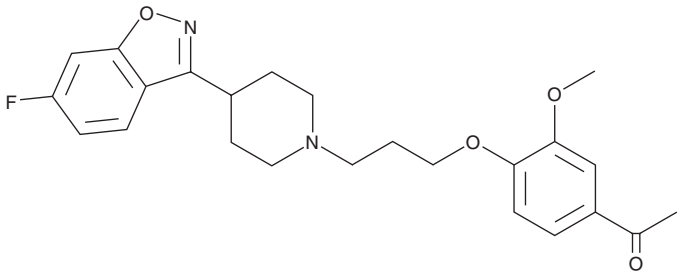
PRODUCT INFORMATION

Iloperidone

Item No. 22957

CAS Registry No.:	133454-47-4
Formal Name:	1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-ethanone
MF:	$C_{24}H_{27}FN_2O_4$
FW:	426.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 229, 275, 304 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

Iloperidone is supplied as a crystalline solid. A stock solution may be made by dissolving the iloperidone in the solvent of choice. Iloperidone is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of iloperidone in these solvents is approximately 0.1 and 0.2 mg/ml, respectively.

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.* Iloperidone reduces sensorimotor gating deficits in pharmacological models, but not a developmental model, of disrupted prepulse inhibition in rats. *Neuropharmacology* **51(3)**, 457-465 (2006).
2. Richelson, E. and Souder, T. Binding of antipsychotic drugs to human brain receptors focus on newer generation compounds. *Life Sciences* **68(1)**, 29-39 (2000).
3. Kongsamut, S., Roehr, J.E., Cai, J., *et al.* Iloperidone binding to human and rat dopamine and 5-HT receptors. *Eur. J. Pharmacol.* **317(2-3)**, 417-423 (1996).
4. Szewczak, M.R., Corbett, R., Rush, D.K., *et al.* The pharmacological profile of iloperidone, a novel atypical antipsychotic agent. *J. Pharmacol. Exp. Ther.* **274(3)**, 1404-1413 (1995).

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