

PRODUCT INFORMATION

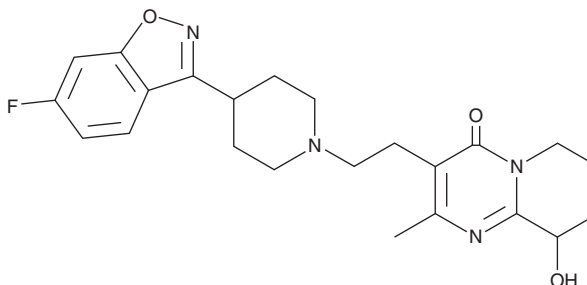


Paliperidone

Item No. 15556

CAS Registry No.: 144598-75-4
Formal Name: 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-9-hydroxy-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one
Synonyms: 9-hydroxy Risperidone, RO76477

MF: C₂₃H₂₇FN₄O₃
FW: 426.5
Purity: ≥98%
UV/Vis.: λ_{max}: 237, 279 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

Paliperidone is supplied as a crystalline solid. A stock solution may be made by dissolving the paliperidone in the solvent of choice, which should be purged with an inert gas. Paliperidone is soluble in the organic solvent DMSO at a concentration of approximately 5 mg/ml.

Description

Paliperidone is an atypical antipsychotic.¹ It is an inverse agonist of dopamine D₂ and D₃ receptors (IC₅₀s = 1 and 0.9 nM, respectively), as well as an antagonist at these receptors (K_s = 0.4 and 0.1 nM, respectively).² Paliperidone also binds to α₁- and α₂-adrenergic receptors, histamine H₁ receptors, and the serotonin (5-HT) receptor subtypes 5-HT_{1A}, 5-HT_{1D}, 5-HT_{2A}, and 5-HT_{2C} (K_ds = 10.1, 80, 3.4, 480, 19, 1.21, and 48 nM, respectively).³ It improves spatial working memory in a T-maze alternation task in a maternal immune activation mouse model of schizophrenia when administered at a dose of 0.05 mg/kg per day.¹ Paliperidone is also an active metabolite of the atypical antipsychotic risperidone (Item No. 13629).³ Formulations containing paliperidone have been used in the treatment of schizophrenia and schizoaffective disorder.

References

1. MacDowell, K.S., Munarriz-Cuevas, E., Caso, J.R., *et al.* Paliperidone reverts Toll-like receptor 3 signaling pathway activation and cognitive deficits in a maternal immune activation mouse model of schizophrenia. *Neuropharmacology* **116**, 196-207 (2017).
2. Burstein, E.S., Ma, J., Wong, S., *et al.* Intrinsic efficacy of antipsychotics at human D₂, D₃, and D₄ dopamine receptors: Identification of the clozapine metabolite N-desmethylclozapine as a D₂/D₃ partial agonist. *J. Pharmacol. Exp. Ther.* **315**(3), 1278-1287 (2005).
3. Richelson, E. and Souder, T. Binding of antipsychotic drugs to human brain receptors focus on newer generation compounds. *Life Sci.* **68**(1), 29-39 (2000).

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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