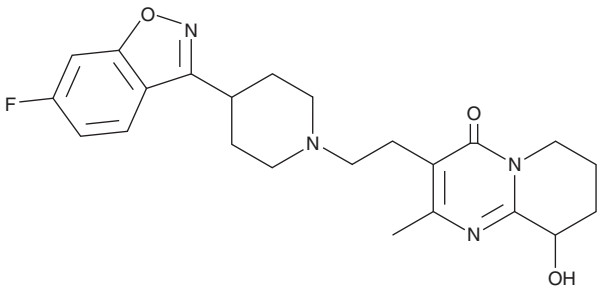
PRODUCT INFORMATION

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:	$C_{23}H_{27}FN_4O_3$
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 D_2 and D_3 receptors (IC_{50}s = 1 and 0.9 nM, respectively), as well as an antagonist at these receptors (K_is = 0.4 and 0.1 nM, respectively).[2] Paliperidone also binds to α_1- and α_2-adrenergic receptors, histamine H_1 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-Cuezva, 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 D_2, D_3, and D_4 dopamine receptors: Identification of the clozapine metabolite N-desmethylclozapine as a D_2/D_3 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).

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