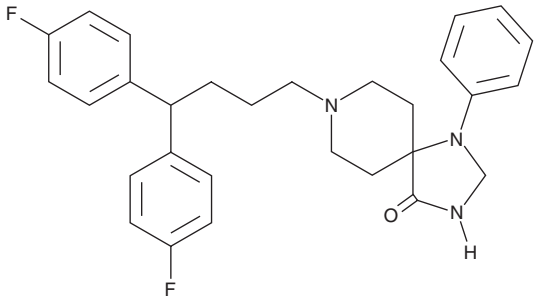
PRODUCT INFORMATION

Fluspirilene

Item No. 19530

CAS Registry No.:	1841-19-6
Formal Name:	8-[4,4-*bis*(4-fluorophenyl)butyl]-1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one
Synonyms:	McN-JR 6218, R 6218, Redeptin
MF:	$C_{29}H_{31}F_2N_3O$
FW:	475.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 252, 272, 293 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

Fluspirilene is supplied as a crystalline solid. A stock solution may be made by dissolving the fluspirilene in the solvent of choice, which should be purged with an inert gas. Fluspirilene is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of fluspirilene in these solvents is approximately 0.3, 10, and 15 mg/ml, respectively.

Fluspirilene is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, fluspirilene should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Fluspirilene has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Fluspirilene is a dopamine D_2 and D_3 receptor antagonist (K_is = 1.5 and 1.1 nM, respectively).[1] It is selective for dopamine D_2 and D_3 receptors over dopamine D_1, α_1- and α_2-adrenergic, H_1 histamine, and sigma-1 (σ_1) receptors (K_is = 450, 102, >5,000, 540, and 150 nM, respectively), muscarinic acetylcholine receptors (mAChRs; K_i = >5,000 nM), and the serotonin (5-HT) receptor subtypes 5-HT_{1A}, 5-HT_{1B}, 5-HT_{2C}, and 5-HT_3 (K_is = 110, >5,000, 1,830, and >5,000 nM, respectively). Fluspirilene is also a noncompetitive inhibitor of L-type calcium (Ca_v1) channels with an IC_{50} value of 30 nM.[2] It inhibits apomorphine-induced vomiting in dogs (ED_{50} = 0.011 mg/kg).[3] Formulations containing fluspirilene have been used in the treatment of schizophrenia.

References

1. Schotte, A., Janssen, P.F., Gommeren, W., *et al.* Risperidone compared with new and reference antipsychotic drugs: In vitro and in vivo receptor binding. *Psychopharmacology (Berl)* **124(1-2),** 57-73 (1996).
2. Kenny, B.A., Fraser, S., Kilpatrick, A.T., *et al.* Selective antagonism of calcium channel activators by fluspirilene. *Br. J. Pharmacol.* **100(2),** 211-216 (1990).
3. Janssen, P.A.J., Niemegeers, C.J.E., Schellekens, K.H.L., *et al.* Pharmacology of fluspirilene (R 6218) [8-[4,4-*bis*(p-fluorophenyl)butyl]-1-phenyl-1,3,8-triazaspiro[4,5]decan-4-one] a potent, long-acting, and injectable neuroleptic drug. *Arzneimittelforschung* **20(11),** 1689-1698

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