

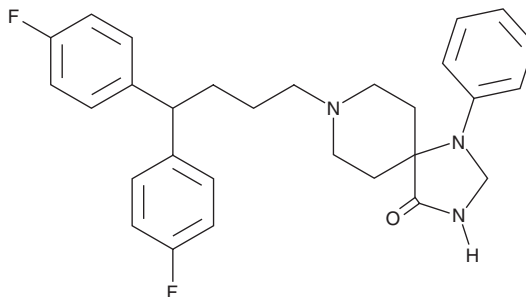
PRODUCT INFORMATION



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: C₂₉H₃₁F₂N₃O
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 D₂ and D₃ receptor antagonist (K_is = 1.5 and 1.1 nM, respectively).¹ It is selective for dopamine D₂ and D₃ receptors over dopamine D₁, α₁- and α₂-adrenergic, H₁ histamine, and sigma-1 (σ₁) 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-HT₃ (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 IC₅₀ value of 30 nM.² It inhibits apomorphine-induced vomiting in dogs (ED₅₀ = 0.011 mg/kg).³ Formulations containing fluspirilene have been used in the treatment of schizophrenia.

References

- 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).
- 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).
- 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

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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