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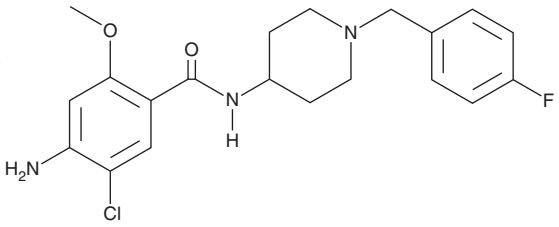
PRODUCT INFORMATION

Fluoroclebopride

Item No. 23447

CAS Registry No.: 154540-49-5
Formal Name: 4-amino-5-chloro-N-[1-[(4-fluorophenyl)methyl]-4-piperidinyl]-2-methoxy-benzamide
MF: $C_{20}H_{23}ClFN_3O_2$
FW: 391.9
Purity: ≥98%
UV/Vis.: λ_{max}: 212, 274, 309 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Fluoroclebopride is supplied as a crystalline solid. A stock solution may be made by dissolving the fluoroclebopride in the solvent of choice. Fluoroclebopride is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of fluoroclebopride in ethanol and DMSO is approximately 10 mg/ml and approximately 20 mg/ml in DMF.

Fluoroclebopride is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, fluoroclebopride should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Fluoroclebopride has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Fluoroclebopride is a benzamide analog that is used in positron emission tomography (PET) applications.[1,2] It binds reversibly to dopamine receptors (K_is = 0.95, 5.7, 5.46, and 144 nM for D_2-like, $D_{2(long)}$, D_3, and D_4 receptors, respectively, in radioligand binding assays).[3] It is selective for these receptors over D_1, serotonin 5-HT_2, and α_2-adrenergic receptors (K_is = >10,000, 283, and 1,300 nM, respectively).[4,5] A fluorine-18 moiety has been used to label this compound for use as a probe for studying D_2/D_3 receptor availability *via* PET in various monkey models.[1,2]

References

1. Nader, M.A. and Czoty, P.W. PET imaging of dopamine D2 receptors in monkey models of cocaine abuse: Genetic predispostion versus environmental modulation. *Am. J. Psychiatry* **162(8)**, 1473-1482 (2005).
2. Czoty, P.W., Gage, H.D., Garg, P.K., *et al.* Effects of repeated treatment with the dopamine D2/D3 receptor partial agonist aripiprazole on striatal D2/D3 receptor availability in monkeys. *Psychoparmacol. (Berl).* **231(3)**, 613-619 (2013).
3. Mach, R.H., Nader, M.A., Ehrenkaufer, R.L., *et al.* Comparison of two fluorine-18 labeled benzamide derivatives that bind reversibly to dopamine D_2 receptors: In vitro binding studies and positron emission tomography. *Synapse* **24(4)**, 322-333 (1996).
4. Mach, R.H., Elder, S.T., Morton, T.E., *et al.* The use of [^{18}F]4-fluorobenzyl iodide (FBI) in PET radiotracer synthesis: Model alkylation studies and its application in the design of dopamine D_1 and D_2 receptor-based imaging agents. *Nucl. Med. Biol.* **20(6)**, 777-794 (1993).
5. Mach, R.H., Luedtke, R.R., Unsworth, C.D., *et al.* ^{18}F-labeled benzamides for studying the dopamine D_2 receptor with positron emission tomography. *J. Med Chem.* **36(23)**, 3707-3720 (1993).

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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