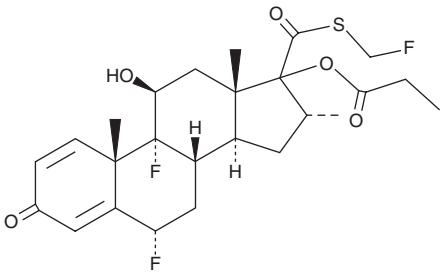
PRODUCT INFORMATION

Fluticasone Propionate

Item No. 20703

CAS Registry No.:	80474-14-2
Formal Name:	(6α,11β,16α,17α)-6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)androsta-1,4-diene-17-carbothioic acid, S-(fluoromethyl) ester
Synonym:	Fluticasone 17-Propionate
MF:	$C_{25}H_{31}F_3O_5S$
FW:	500.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 235 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

Fluticasone propionate is supplied as a crystalline solid. A stock solution may be made by dissolving the fluticasone propionate in the solvent of choice, which should be purged with an inert gas. Fluticasone propionate is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of fluticasone propionate in ethanol is approximately 1 mg/ml and approximately 25 mg/ml in DMSO and DMF.

Fluticasone propionate is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, fluticasone propionate should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Fluticasone propionate has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Fluticasone propionate is a synthetic corticosteroid and glucocorticoid receptor agonist.[1] It inhibits AP-1-mediated transcription in a transrepression assay using ChaGo-K-1 cells (IC_{50} = 0.04 nM).[1] Fluticasone propionate selectively binds to the glucocorticoid receptor (IC_{50} = 0.0009 µM) over the progesterone, mineralocorticoid, and androgen receptors (IC_{50}s = 0.021, 0.149, and >10 µM, respectively), as well as estrogen receptor α (ERα) and ERβ (IC_{50}s = >10 µM for both). It increases apoptosis of T cells isolated from human peripheral blood in a concentration-dependent manner and induces spontaneous apoptosis of primary human eosinophils (EC_{50} = 3.7 nM).[2,3] Fluticasone propionate completely inhibits congestion in a guinea pig model of allergic rhinitis induced by ovalbumin when administered as a 0.5 mg/ml solution at 20 µl/nostril.[4] It reduces ovalbumin-induced increases in IL-4 in nasal lavage fluid in the same model. Fluticasone propionate also potentiates voltage-dependent potassium channel 1 (K_V1) currents in an inside-out patch-clamp assay (EC_{50} = 37 nM).[5] Formulations containing fluticasone propionate have been used in the treatment of allergic and non-allergic rhinitis.

References

1. Hemmerling, M., Nilsson, S., Edman, K., *et al. J. Med. Chem.* **60(20)**, 8591-8605 (2017).
2. Pace, E., Gagliardo, R., Melis, M., *et al. J. Allergy. Clin. Immunol.* **114(5)**, 1216-1223 (2004).
3. Zhang, X., Moilanen, E., and Kankaanranta, H. *Eur. J. Pharmacol.* **406(3)**, 325-332 (2000).
4. Bahekar, P.C., Shah, J.H., Ayer, U.B., *et al. Int. Immunopharmacol.* **8(11)**, 1540-1551 (2008).
5. Pan, Y., Levin, E.J., Quick, M., *et al. ACS Chem. Biol.* **7(10)**, 1641-1646 (2012).

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