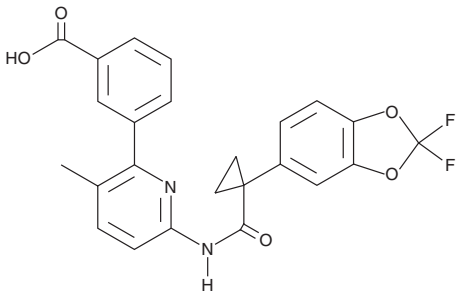
PRODUCT INFORMATION

VX-809

Item No. 22196

CAS Registry No.:	936727-05-8
Formal Name:	3-[6-[[[1-(2,2-difluoro-1,3-benzodioxol-5-yl) cyclopropyl]carbonyl]amino]-3-methyl-2-pyridinyl]-benzoic acid
Synonyms:	Lumacaftor, VRT-826809
MF:	$C_{24}H_{18}F_2N_2O_5$
FW:	452.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 217, 291 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

VX-809 is supplied as a crystalline solid. A stock solution may be made by dissolving the VX-809 in the solvent of choice, which should be purged with an inert gas. VX-809 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of VX-809 in ethanol is approximately 25 mg/ml and approximately 30 mg/ml in DMSO and DMF.

VX-809 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, VX-809 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. VX-809 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

VX-809 is a small molecule that corrects the processing of cystic fibrosis transmembrane conductance regulator (CFTR) proteins bearing the F508 deletion (F508del) mutation carried by 90% of cystic fibrosis patients.[1] It enhances F508del-CFTR protein maturation and restores chloride transport function in FRT cells (EC_{50}s = 100 and 500 nM, respectively). VX-809 increases the amount of F508del-CFTR protein exiting the endoplasmic reticulum in HEK293 cells expressing the mutant receptor. It also increases chloride and fluid transport in cultured human bronchial epithelial cells isolated from cystic fibrosis patients carrying the F508del-CFTR mutation. Formulations containing VX-809 are being investigated clinically for the treatment of cystic fibrosis.

Reference

1. Van Goor, F., Hadida, S., Grootenhuis, P.D., *et al.* Correction of the F508del-CFTR protein processing defect in vitro by the investigational drug VX-809. *Proc. Natl. Acad. Sci. USA* **108(46)**, 18843-18848 (2011).

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