

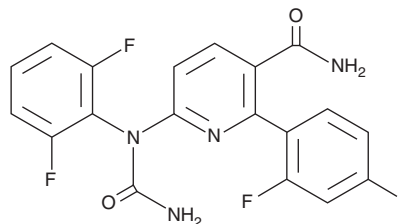
PRODUCT INFORMATION



VX-702

Item No. 13108

CAS Registry No.: 745833-23-2
Formal Name: 6-[(aminocarbonyl)(2,6-difluorophenyl)amino]-2-(2,4-difluorophenyl)-3-pyridinecarboxamide
MF: C₁₉H₁₂F₄N₄O₂
FW: 404.3
Purity: ≥95%
UV/Vis.: λ_{max}: 203, 240, 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-702 is supplied as a crystalline solid. A stock solution may be made by dissolving the VX-702 in the solvent of choice. VX-702 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of VX-702 in these solvents is approximately 14 and 3 mg/ml, respectively.

VX-702 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, VX-702 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. VX-702 has a solubility of approximately 0.5 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-702 is a third generation inhibitor of p38 mitogen-activated protein (MAP) kinases, binding to both p38α and p38β (K_d = 3.7 and 17 nM, respectively) in an ATP-competitive fashion.¹ It inhibits IL-6, IL-1β, and TNF-α production in LPS-primed blood with IC₅₀ values of 59, 122, and 99 ng/ml, respectively.¹ VX-702, at 1 μM, inhibits activation of p38 in platelets by thrombin, U-46619 (Item No. 16450), or collagen but does not block platelet aggregation in response to collagen.² Although orally active, VX-702 provides only transient suppression of biomarkers of inflammation in ongoing rheumatoid arthritis.³

References

1. Goldstein, D.M., Kuglstatler, A., Lou, Y., *et al.* Selective p38α inhibitors clinically evaluated for the treatment of chronic inflammatory disorders. *J. Med. Chem.* **53(6)**, 2345-2353 (2010).
2. Kuliopulos, A., Mohanlal, R., and Covic, L. Effect of selective inhibition of the p38 MAP kinase pathway on platelet aggregation. *Thromb. Haemost.* **92(6)**, 1387-1393 (2004).
3. Damjanov, N., Kauffman, R.S., and Spencer-Green, G.T. Efficacy, pharmacodynamics, and safety of VX-702, a novel p38 MAPK inhibitor, in rheumatoid arthritis: Results of two randomized, double-blind, placebo-controlled clinical studies. *Arthritis Rheum.* **60(5)**, 1232-1241 (2009).

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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