

Catalog # 10-2181 VX-702

CAS# 745833-23-2

6-[(Aminocarbonyl)(2,6-difluorophenyl)amino]-2-(2,4-difluorophenyl)-3-pyridinecarboxamide Lot # X106735

A potent and selective inhibitor of p38 MAP kinases, p38 α MAPK and p38 β (K_D = 3.7 and 17 nM respectively). Inhibits IL-6, IL-1 β and TNF α production in LPS-primed blood. Inhibits p38 in platelets stimulated by thrombin, collagen or thromboxane agonist U-46619 at 1 μ M. Provides only modest clinical efficacy in rheumatoid arthritis. Delays platelet lesions and leads to better maintenance of stored platelets.

- 1) Goldstein et al. (2010), Selective p38alpha inhibitors clinically evaluated for the treatment of chronic inflammatory disorders; J. Med. Chem., **53** 2345
- 2) Kuliopulos et al. (2004), Effect of selective inhibition of the p38 MAP kinase pathway on platelet aggregation; Thromb. Haemostasis, **92** 1387
- 3) Damianov et al. (2009), 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; Arthrit. Rheumat., **60** 1232
- 4) Ding et al. (2006), Drug evaluation: VX-702, a MAP kinase inhibitor for rheumatoid arthritis and acute coronary syndrome; Curr. Opin. Investig. Drugs, **7** 1020
- 5) Scripchenko et al. (2013), An inhibition of p38 mitogen activated protein kinase delays the platelet storage lesion; PLoS One, **8(8)e** 70732

PHYSICAL DATA

Molecular Weight: 404.32

Molecular Formula: $C_{19}H_{12}F_4N_4O_2$ Purity: 98% by HPLC NMR: (Conforms)

DMSO (up to 40 mg/ml) or Ethanol (up to 2 mg/ml)

Physical Description: White solid

Solubility:

Storage and Stability: Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in

DMSO or ethanol may be stored at -20°C for up to 3 months.

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