

Product Specification Sheet

Product Name: VX-702

Catalog Number: C8970

Technical information:

Chemical Formula: $C_{19}H_{12}F_4N_4O_2$

CAS #: 479543-46-9

Molecular Weight: 404.32

Purity: > 98%

Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: 1-(5-carbamoyl-6-(2,4-difluorophenyl)pyridin-2-yl)-1-(2,6-difluorophenyl)urea

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.247mL of DMSO for each mg of VX-702.

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum

sample recovery.

Biological Activity: VX-702

VX-702 is an orally-available, aminopyridine-based, ATP-competitive inhibitor of p38 MAPK with a KD of 3.7 nM and 17 nM at 10 uM for p38a and p38b, respectively. [1] In an ex vivo blood assay primed with LPS< VX-702 dose-dependently inhibited the production of IL-6, IL-1b, TNFa at IC50 of 59, 122, and 99 ng/mL, respectively. [2] VX-702 was found to be equivalent to prednisolone and methotrexate in a mouse collagen-induced arthritis model.

VX-702

Clinical efficacy models plus transient suppression of inflammation biomarkers suggest that p38 MAPK inhibition by agents such as VX-702 may not be a viable approach to the treatment of chronic inflammation in RA. [3]

Reference: 1. Goldstein et al., Selective p38alpha inhibitors clinically evaluated for the treatment of chronic inflammatory disorders. J. Med. Chem. 2010, 53, 2345-2353. Pubmed ID: 19950901

2. Ding, C., Drug evaluation: VX-702, a MAP kinase inhibitor for rheumatoid arthritis and acute coronary syndrome. Curr. Opin. Invest. Drugs, 2006, 7(11), 1020-1025. Pubmed ID: 17117592

3. Damjanov et al., 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., 2009, 60(5), 1232-1241. Pubmed ID: 19404957

To reorder: http://www.cellagentech.com/VX-702/

For Technical Support: technical@cellagentech.com

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