Product Specification Sheet

Product Name: R406

Catalog Number: C7406-2 (powder)

C7406-2s (10mM in DMSO)

Package Size: 2 mg

Technical information:

Chemical Formula: C₂₂H₂₃FN₆O₅

CAS #: 841290-80-0

Molecular Weight: 470.45

Purity: >98%

Formulation: gray solid

Solubility: Soluble in DMSO up to 20 mM

Chemical Name: 6-((5-fluoro-2-((3,4,5-trimethoxyphenyl)amino)pyrimidin-4-yl)amino)-2,2-

dimethyl-2H-pyrido[3,2-b][1,4]oxazin-3(4H)-one

Storage: Store solid powder at 4°C desiccated;

Handling: • For C7406-2 (powder), add 425 uL of DMSO to make 10 mM solution.

• For C7406-2s, before open the vial, centrifuge the vial at 500rpm x 1 min

in a 50 mL conical tube to ensure full recovery of sample.

Biological Activity: R406 is an orally available small molecule targeting spleen tyrosine kinase

(SYK) inhibitor with IC50 ~40 nM. It can block Syk-dependent FcR-mediated activation of monocytes/macrophages and neutrophils and BCR-mediated activation of B lymphocytes. R406 has shown efficacy in a number of animal models of immune disorders. R406's pro-drug R788 (Fostamatinib) is

currently in phase III clinical trials to treat rheumatoid arthritis.

Reference:

1. Braselmann S., et al. R406, an orally available spleen tyrosine kinase inhibitor blocks fc receptor signaling and reduces immune complex-mediated inflammation. J Pharmacol Exp Ther. 2006; 319(3):998-1008.

2. Sweeny DJ, Li W, Grossbard E, Lau DT. Contribution of gut bacteria to the metabolism of the spleen tyrosine kinase (Syk) inhibitor R406 in

cynomolgus monkey. Xenobiotica. 2010;40(6):415-23.

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