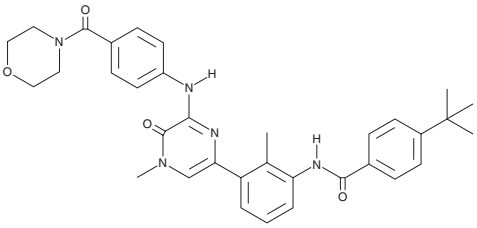
PRODUCT INFORMATION

CGI1746

Item No. 18414

CAS Registry No.:	910232-84-7
Formal Name:	N-[3-[4,5-dihydro-4-methyl-6-[[4-(4-morpholinylcarbonyl)phenyl]amino]-5-oxo-2-pyrazinyl]-2-methylphenyl]-4-(1,1-dimethylethyl)-benzamide
MF:	$C_{34}H_{37}N_5O_4$
FW:	579.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 234, 355 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

CGI1746 is supplied as a crystalline solid. A stock solution may be made by dissolving the CGI1746 in the solvent of choice, which should be purged with an inert gas. CGI1746 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CGI1746 in ethanol is approximately 0.25 mg/ml and approximately 25 mg/ml in DMSO and DMF.

CGI1746 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CGI1746 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CGI1746 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

CGI1746 is a potent, selective inhibitor of Bruton's tyrosine kinase (BTK; IC_{50} = 1.9 nM), a non-receptor tyrosine kinase that is important in B lymphocyte development.[1,2] It blocks both auto-and trans-phosphorylation of BTK by occupying an SH3 binding pocket in the un-phosphorylated enzyme. CGI1746 prevents B-cell antigen receptor-mediated B lymphocyte proliferation and suppresses FCγRIII-induced TNFα, IL-1β, and IL-6 production in macrophages.[1] It reduces cytokine levels within joints and ameliorates symptoms in a mouse model of autoantibody-induced arthritis.[1]

References

1. di Paolo, J. A., Huang, T., Balazs, M., *et al.* Specific Btk inhibition suppresses B cell- and myeloid cell-mediated arthritis. *Nat. Chem. Biol.* **7(1)**, 41-50 (2011).
2. Akinleye, A., Chen, Y., Mukhi, N., *et al.* Ibrutinib and novel BTK inhibitors in clinical development. *J. Hematol. Oncol.* **6:59**, (2013).

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