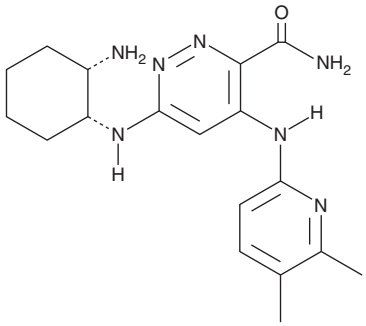
PRODUCT INFORMATION

RO9021

Item No. 37543

CAS Registry No.:	1446790-62-0
Formal Name:	6-[[(1R,2S)-2-aminocyclohexyl] amino]-4-[(5,6-dimethyl-2-pyridinyl) amino]-3-pyridazinecarboxamide
Synonym:	ChEMBL 3237561
MF:	$C_{18}H_{25}N_7O$
FW:	355.4
Purity:	≥90%
UV/Vis.:	λ_{max}: 273, 309 nm
Supplied as:	A 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

RO9021 is supplied as a solid. A stock solution may be made by dissolving the RO9021 in the solvent of choice, which should be purged with an inert gas. RO9021 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of RO9021 in these solvents is approximately 20 mg/ml.

Description

RO9021 is an inhibitor of spleen tyrosine kinase (Syk; IC_{50} = 5.6 nM).[1] It is selective for Syk over a panel of 392 other kinases at 1 µM. RO9021 also inhibits *M. tuberculosis* serine/threonine protein kinase G (PknG; IC_{50} = 4.4 µM).[2] It inhibits the proliferation of, and induces apoptosis in, primary acute myeloid leukemia (AML) cells when used at a concentration of 5 µM.[3] RO9021 prevents RANKL- and M-CSF-induced osteoclastogenesis in isolated mouse bone marrow-derived macrophages (BMDMs; IC_{50} = 145 nM).[1] It reduces disease severity in a mouse model of collagen-induced arthritis when administered at doses of 5 or 45 mg/kg.

References

1. Liao, C., Hsu, J., Kim, Y., *et al.* Selective inhibition of spleen tyrosine kinase (SYK) with a novel orally bioavailable small molecule inhibitor, RO9021, impinges on various innate and adaptive immune responses: Implications for SYK inhibitors in autoimmune disease therapy. *Arthirits Res. Ther.* **15(5)**, R146 (2013).
2. Arica-Sosa, A., Alcántara, R., Jiménez-Avalos, G., *et al.* Identifying RO9021 as a potential inhibitor of PknG from *Mycobacterium tuberculosis*: Combinative computational and in vitro studies. *ACS Omega* **7(23)**, 20204-20218 (2022).
3. Brattås, M.K., Henmsing, A.L., Rye, K.P., *et al.* Heterogeneity of patient-derived acute myeloid leukemia cells subjected to SYK in vitro inhibition. *Int. J. Mol. Sci.* **23(23)**, 14706 (2022).

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