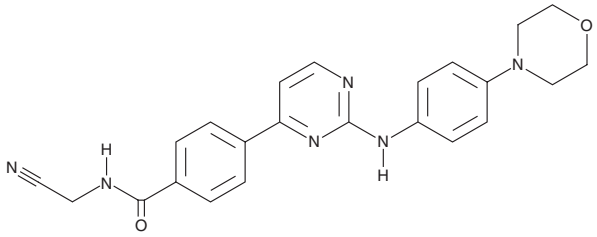
PRODUCT INFORMATION

CYT387

Item No. 16246

CAS Registry No.:	1056634-68-4
Formal Name:	N-(cyanomethyl)-4-[2-[[4-(4-morpholinyl)phenyl]amino]-4-pyrimidinyl]-benzamide
Synonym:	Momelotinib
MF:	$C_{23}H_{22}N_6O_2$
FW:	414.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 292 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

CYT387 is supplied as a crystalline solid. A stock solution may be made by dissolving the CYT387 in the solvent of choice, which should be purged with an inert gas. CYT387 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of CYT387 in these solvents is approximately 16 and 14 mg/ml, respectively.

Description

CYT387 is an ATP-competitive inhibitor of the Janus kinases JAK1 and JAK2 (IC_{50}s = 11 and 18 nM, respectively).[1,2] It displays significantly less activity against other kinases, including JAK3 (IC_{50} = 0.16 µM).[1] At 0.5 to 1.5 µM, CYT387 causes growth suppression and apoptosis in JAK2-dependent hematopoietic cell lines.[1] It is efficacious in a mouse model of $JAK2^{V617F}$-dependent myeloproliferative neoplasms, although kinase domain mutations can confer resistance.[1,3] CYT387 also blocks paclitaxel-induced JAK2 activation in ovarian cancer cells, preventing the development of a cancer stem cell-like population following chemotherapy.[4]

References

1. Tyner, J.W., Bumm, T.G., Deininger, J., *et al.* CYT387, a novel JAK2 inhibitor, induces hematologic responses and normalizes inflammatory cytokines in murine myeloproliferative neoplasms. *Blood* **115(25)**, 5232-5240 (2010).
2. Furqan, M., Mukhi, N., Lee, B., *et al.* Dysregulation of JAK-STAT pathway in hematological malignancies and JAK inhibitors for clinical application. *Biomark. Res.* **1(1)**, 1-10 (2013).
3. Deshpande, A., Reddy, M.M., Schade, G.O.M., *et al.* Kinase domain mutations confer resistance to novel inhibitors targeting $JAK2^{V617F}$ in myeloproliferative neoplasms. *Leukemia* **26(4)**, 708-715 (2012).
4. Abubaker, K., Luwor, R.B., Zhu, H., *et al.* Inhibition of the JAK2/STAT3 pathway in ovarian cancer results in the loss of cancer stem cell-like characteristics and a reduced tumor burden. *BMC Cancer* **14**, 317 (2014).

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