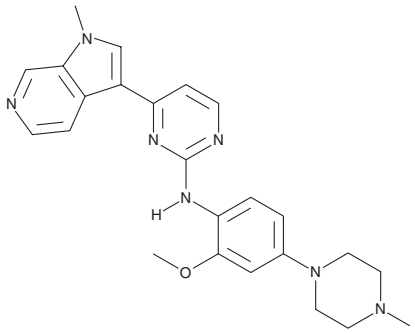
PRODUCT INFORMATION

AZ191

Item No. 17693

CAS Registry No.:	1594092-37-1
Formal Name:	N-[2-methoxy-4-(4-methyl-1-piperazinyl) phenyl]-4-(1-methyl-1H-pyrrolo[2,3-c] pyridin-3-yl)-2-pyrimidinamine
MF:	$C_{24}H_{27}N_7O$
FW:	429.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 219, 264, 303 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

AZ191 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZ191 in the solvent of choice, which should be purged with an inert gas. AZ191 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AZ191 in these solvents is approximately 2 mg/ml.

AZ191 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZ191 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. AZ191 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Dual-specificity tyrosine-(Y)-phosphorylation regulated kinase 1B (DYRK1B) belongs to a family of nuclear-localized protein kinases and participates in the regulation of the cell cycle with key roles in proliferation and differentiation.[1] It is upregulated in solid tumors and gain-of-function mutations in the gene encoding this kinase have been linked to metabolic syndrome.[2,3] AZ191 is a cell-permeable azaindole that inhibits the serine/threonine kinase activity of DYRK1B (IC_{50} = 17 nM) with 5-fold and 110-fold selectivity against the related family members DYRK1A and DYRK2, respectively.[4] This compound has been used as a probe to elucidate the mechanism of DYRK1B regulation of cell cycle progression.[4]

References

1. Becker, W. Emerging role of DYRK family protein kinases as regulators of protein stability in cell cycle control. *Cell Cycle* **11(18)**, 3389-3394 (2012).
2. Gao, J., Zheng, Z., Rawal, B., *et al.* Mirk/Dyrk1B, a novel therapeutic target, mediates cell survival in non-small cell lung cancer cells. *Cancer Biol. Ther.* **8(17)**, 1671-1679 (2009).
3. Keramati, A.R., Fathzadeh, M., Go, G.-W., *et al.* A form of the metabolic syndrome associated with mutations in DYRK1B. *N. Engl. J. Med.* **370(20)**, 1909-1919 (2014).
4. Ashford, A.L., Oxley, D., Kettle, J., *et al.* A novel DYRK1B inhibitor AZ191 demonstrates that DYRK1B acts independently of GSK3b to phosphorylate cyclin D1 at Thr^{286}, not Thr^{288}. *Biochem. J.* **457(1)**, 43-56 (2014).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM