

Product Data Sheet

Product Name: AZ191
Cat. No.: GC17654

Chemical Properties

Cas. No. 1594092-37-1

Chemical Name N-[2-methoxy-4-(4-methylpiperazin-1-yl)phenyl]-4-(1-methylpyrrolo[2,3-c]pyridin-3-yl)pyrimidin-2-amine

SMILES CN1CCN(CC1)C2=CC(=C(C=C2)NC3=NC=CC(=N3)C4=CN(C5=C4C=CN=C5)C)OC

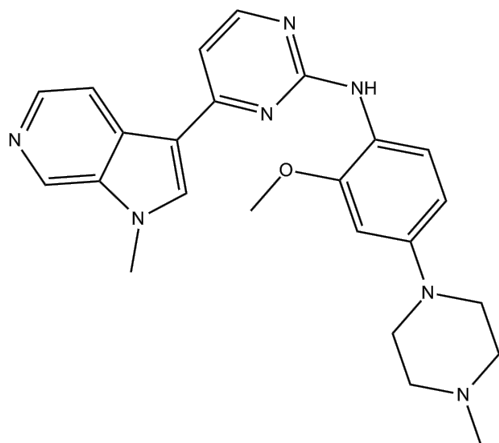
Formula $C_{24}H_{27}N_7O$ M.Wt 429.52

Solubility $\geq 13.15\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

AZ191 is a potent and selective DYRK1B inhibitor with IC_{50} value of 17 nM [1]. DYRK1B (dual-specificity tyrosine phosphorylation-regulated kinase 1B) is particularly expressed in the testis and muscle where it plays an important role in myoblast differentiation. DYRK1B is overexpressed in certain cancers suggesting that it may be an oncogene [1]. In HEK-293 cells, AZ191 at 1 μM could not inhibit DYRK1B autophospho-Tyr273 and selectively

Caution: Product has not been fully validated for medical applications. For research use only.

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inhibited DYRK1B serine/threonine kinase activity. In HEK-293 cells co-expressing CCND1 with either DYRK1A or DYRK1B, AZ191 inhibited phosphorylation of CCND1 with greater potency for DYRK1B over DYRK1A at doses as low as 30–100 nM. AZ191 is a novel DYRK1B-selective inhibitor with ~100-fold selectivity over DYRK2 and 5–10-fold selectivity over DYRK1A. AZ191 will be used as a useful probe for defining DYRK1B functions and DYRK1B substrates [1].

References:

[1]. Ashford AL, Oxley D, Kettle J, et al. A novel DYRK1B inhibitor AZ191 demonstrates that DYRK1B acts independently of GSK3 β to phosphorylate cyclin D1 at Thr(286), not Thr(288). *Biochem J*, 2014, 457(1): 43-56.

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