

Product Data Sheet

Product Name: AZM475271 (M475271)

Cat. No.: GC32875

Chemical Properties

Cas. No. 476159-98-5

SMILES CN1CCC(COC2=CC3=NC=NC(NC4=CC(OC)=CC=C4Cl)=C3C=C2OC)CC1

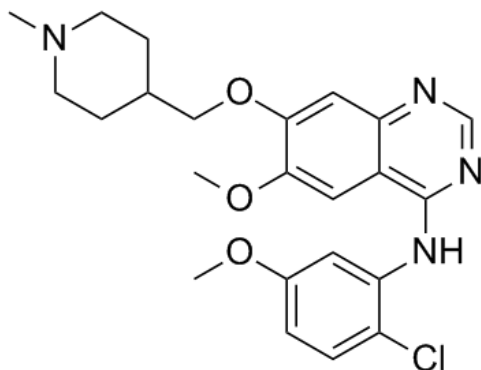
Formula $C_{23}H_{27}ClN_4O_3$ M.Wt 442.94

Solubility DMSO : ≥ 42 mg/mL (94.82 mM) 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

AZM475271 is a potent and selective Src kinase inhibitor with IC_{50} of 5 nM; no inhibitory activity on Flt3, KDR, Tie-2. IC_{50} value: 5 nM [1] Target: Src inhibitor in vitro: AZM475271 demonstrated strong dose-dependent inhibition of Src tyrosine kinase activity in the L3.6pl human pancreatic carcinoma cell line. Maximum reduction of Src kinase activity was observed after incubation for 4 hours with ≥ 5 $\mu\text{mol/L}$. The IC_{50} concentration of AZM475271 to inhibit the phosphorylation of c-src, lck, and c-yes was 0.01, 0.03, and 0.08 $\mu\text{mol/L}$, respectively, in comparison with an IC_{50} of 0.7 $\mu\text{mol/L}$ AZM475271

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

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to inhibit KDR [2].in vivo: Tumors appeared to be palpable at day 14 after tumor cell injection in all animals except mice treated with both AZM475271 and gemcitabine, in which the earliest possible palpation of the tumors was at day 17 after tumor cell injection. Treatment with gemcitabine or AZM475271 alone did not significantly change animal weight [2].

[1]. Plé PA, et al. Discovery of a new class of anilinoquinazoline inhibitors with high affinity and specificity for the tyrosine kinase domain of c-Src. J Med Chem. 2004 Feb 12;47(4):871-87. [2]. Yezhelyev MV, et al. Inhibition of SRC tyrosine kinase as treatment for human pancreatic cancer growing orthotopically in nude mice. Clin Cancer Res. 2004 Dec 1;10(23):8028-36.

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