# AZM475271

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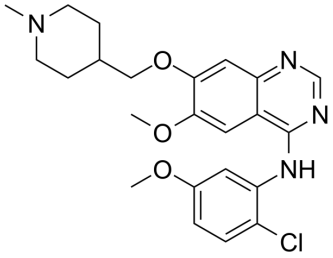
|---|---|
| **Cat. No.:** | HY-13561 |
| **CAS No.:** | 476159-98-5 |
| **Molecular Formula:** | $C_{23}H_{27}ClN_4O_3$ |
| **Molecular Weight:** | 442.94 |
| **Target:** | Src |
| **Pathway:** | Protein Tyrosine Kinase/RTK |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 42 mg/mL (94.82 mM)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.2576 mL | 11.2882 mL | 22.5764 mL |
| 5 mM | 0.4515 mL | 2.2576 mL | 4.5153 mL |
| 10 mM | 0.2258 mL | 1.1288 mL | 2.2576 mL |

Please refer to the solubility information to select the appropriate solvent.

## BIOLOGICAL ACTIVITY

**Description**

AZM475271 is a potent and selective Src kinase inhibitor with IC50 of 5 nM; no inhibitory activity on Flt3, KDR, Tie-2.IC50 value: 5 nM [1]Target: Src inhibitorin 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 μmol/L. The IC50 concentration of AZM475271 to inhibit the phosphorylation of c-src, lck, and c-yes was 0.01, 0.03, and 0.08 μmol/L, respectively, in comparison with an IC50 of 0.7 μmol/L AZM475271 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].

## REFERENCES

[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.



Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA