

Product Data Sheet

Product Name: Src I1
Cat. No.: GC16957

Chemical Properties

Cas. No. 179248-59-0

Chemical Name 6,7-dimethoxy-N-(4-phenoxyphenyl)quinazolin-4-amine

SMILES COC(C=C1C(N=CN=C1NC(C=C2)=CC=C2OC3=CC=CC=C3)=C4)=C4OC

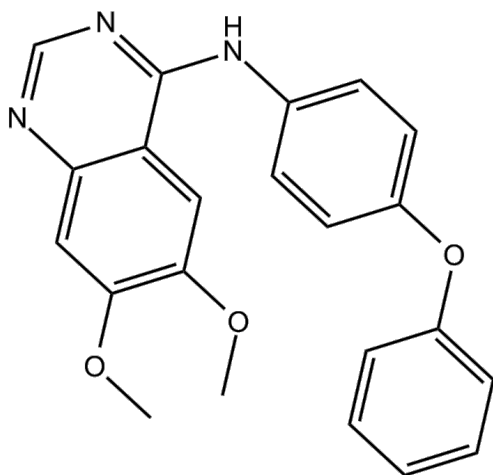
Formula $C_{22}H_{19}N_3O_3$ M.Wt 373.4

Solubility DMF: 0.25 mg/ml, DMF:PBS(pH7.2) (1:2): 0.33 mg/ml, DMSO: 2.5 mg/ml
Storage Store at 2-8°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



Protocol

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

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**Kinase
experiment:**

Assays (25.5 μ L volume) are carried out robotically at room temperature (21°C) and are linear with respect to time and enzyme concentration under the conditions used. Assays are performed for 30 min using Multidrop Micro reagent dispensers in a 96-well format. The concentration of magnesium acetate in the assays is 10 mM and [γ -33P]ATP (800 c.p.m./pmol) is used at 5, 20 or 50 μ M as indicated, in order to be at or below the K_m for ATP for each enzyme. The assays are initiated with MgATP, stopped by the addition of 5 μ L of 0.5 M orthophosphoric acid and spotted on to P81 filter plates using a unifilter harvester. The IC₅₀ values of inhibitors are determined after carrying out assays at ten different concentrations of each compound[2].

References:

- [1]. Tian G, et al. Structural determinants for potent, selective dual site inhibition of human pp60c-src by 4-anilinoquinazolines. Biochemistry. 2001 Jun 19;40(24):7084-91.
[2]. Bain J, et al. The selectivity of protein kinase inhibitors: a further update. Biochem J. 2007 Dec 15;408(3):297-315.

Background

Src Inhibitor 1 is a potent and selective dual site Src tyrosine kinase inhibitor with IC₅₀ values of 44 nM for Src and 88 nM for Lck.

Src-I1 is competitive with both ATP and peptide binding sites of the kinase. The IC₅₀ values are 44 and 88 nM for Src and Lck, respectively[1]. Src-I1, is found to be a potent inhibitor of Src (IC₅₀=0.18 μ M), but also inhibited other Src family members, such as Lck, Csk and Yes with similar potency to Src, and RIP2 (IC₅₀=0.026 μ M) with even greater potency. In addition, it inhibited CHK2 with similar potency to Src, and Aurora B with slightly lower potency[2].

References:

- [1]. Tian G, et al. Structural determinants for potent, selective dual site inhibition of human pp60c-src by 4-anilinoquinazolines. Biochemistry. 2001 Jun 19;40(24):7084-91.
[2]. Bain J, et al. The selectivity of protein kinase inhibitors: a further update. Biochem J. 2007 Dec

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