

Src Inhibitor 1

Chemical Properties

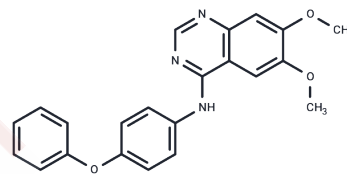
CAS No. : 179248-59-0

Formula: C₂₂H₁₉N₃O₃

Molecular Weight: 373.4

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	Src Inhibitor 1 (Src Kinase Inhibitor 1) is a potent and selective dual site Src tyrosine kinase inhibitor.
Targets(IC ₅₀)	Src
In vitro	Src-I1 is competitive with both ATP and peptide binding sites of the kinase. The IC ₅₀ values are 44 and 88 nM for Src and Lck, respectively[1]. Src-I1, is found to be a potent inhibitor of Src (IC ₅₀ =0.18 μM), but also inhibited other Src family members, such as Lck, Csk and Yes with similar potency to Src, and RIP2 (IC ₅₀ =0.026 μM) with even greater potency. In addition, it inhibited CHK2 with similar potency to Src, and Aurora B with slightly lower potency[2].
Kinase Assay	Assays (25.5 μL 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- ³³ P]ATP (800 c. p.m./pmol) is used at 5, 20 or 50 μM 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 μL of 0.5 M orthophosphoric acid and spotted on to P81 filter plates using a unifilter harvester. The IC ₅₀ values of inhibitors are determined after carrying out assays at ten different concentrations of each compound[2].

Solubility Information

Solubility	DMSO: 3.73 mg/mL (10 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6781 mL	13.3905 mL	26.7809 mL
5 mM	0.5356 mL	2.6781 mL	5.3562 mL
10 mM	0.2678 mL	1.339 mL	2.6781 mL
50 mM	0.0536 mL	0.2678 mL	0.5356 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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.

Bain J, et al. The selectivity of protein kinase inhibitors: a further update. *Biochem J*. 2007 Dec 15;408(3):297-315.

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