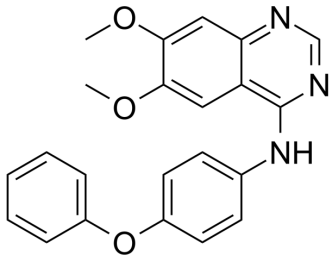
Src Inhibitor 1

Cat. No.:	HY-101053
CAS No.:	179248-59-0
Molecular Formula:	$C_{22}H_{19}N_3O_3$
Molecular Weight:	373.4
Target:	Src
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 9.09 mg/mL (24.34 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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	1.3390 mL	2.6781 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.91 mg/mL (2.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.91 mg/mL (2.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Src Inhibitor 1 is a potent, ATP-competitive and selective dual site Src tyrosine kinase inhibitor with IC_{50} values of 44 nM for Src and 88nM for Lck.

IC_{50} & Target

IC50: 44 nM (Src), 88 nM (Lck)[1]

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [2]

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Bioact Mater. 2021 Jun 1.
- Theranostics. 2021 Jan 1;11(3):1473-1492.
- FASEB J. 2019 May;33(5):6254-6268.
- Front Oncol. 2021 Jun 17;11:643669.
- Kardiol Pol. 2021 Jun 27.

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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.

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