A-770041

Cat. No.: HY-11011

CAS No.: 869748-10-7

Molecular Formula: $C_{34}H_{39}N_9O_3$

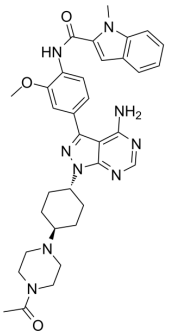
Molecular Weight: 621.73

Target: Src

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (40.21 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6084 mL	8.0421 mL	16.0842 mL
	5 mM	0.3217 mL	1.6084 mL	3.2168 mL
	10 mM	0.1608 mL	0.8042 mL	1.6084 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.08 mg/mL (3.35 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.35 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-770041 is a selective and orally active Src-family Lck inhibitor. A-770041 inhibits Lck with an IC_{50} value of 147 nM with the presence of 1 mM ATP. A-770041 shows 300-fold selective to Lck over Fyn, the other Src family kinase involved in T-cell signaling. A-770041 can be used for the research of acute rejection[1][2].

IC_{50} & Target

IC50: 0.147 μM (Lck), 9.1 μM (Src), 14.1 μM (Fgr), 44.1 μM (Fyn)[1]

In Vitro

A-770041 selective inhibits Lck with an IC_{50} value of 0.147 μM, and inhibits other Src family kinase Src, Fgr, Fyn with IC_{50}s of

	9.1, 14.1 and 44.1 μM, respectively[1]. A-770041 (0-30 μM; 2 h) dose-dependently inhibits anti-CD3 induced IL-2 production with an EC_{50} value of 80 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	A-770041 (2.5 mg/kg; i.g. once) inhibits concanavalin A-induced IL-2 in vivo[1]. A-770041 (2.5-20 mg/kg/day; for 14 days) dose-dependently increases the survival rate with doses of 5 and 10 mg/kg/day, and survives 100% of transplanted grafts until 14 days with doses of 10 and 20 mg/kg/day[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Lewis rats[1]
Dosage:	2.5 mg/kg
Administration:	Intragastric administration; 2.5 mg/kg once
Result:	Showed an inhibition of concanavalin A-induced IL-2 with an in vivo EC_{50} value of 78 nM.

CUSTOMER VALIDATION

- Cell Rep Med. 2023 Jan 13;100917.
- Acta Pharmacol Sin. 2021 Apr 16.
- Cell Immunol. 2022 Jun;376:104531.
- Metabolites. 2022, 12(9), 793.

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REFERENCES

[1]. Stachlewitz RF, et al.A-770041, a novel and selective small-molecule inhibitor of Lck, prevents heart allograft rejection.J Pharmacol Exp Ther. 2005 Oct;315(1):36-41.

[2]. Andrew Burchat, et al. Discovery of A-770041, a src-family selective orally active lck inhibitor that prevents organ allograft rejection Bioorganic & Medicinal Chemistry Letters Volume 16, Issue 1, 1 January 2006, Pages 118-122

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