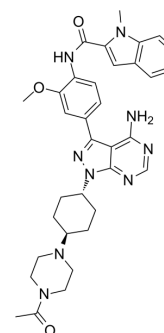


## A-770041

<b>Cat. No.:</b>	HY-11011		
<b>CAS No.:</b>	869748-10-7		
<b>Molecular Formula:</b>	C <sub>34</sub> H <sub>39</sub> N <sub>9</sub> O <sub>3</sub>		
<b>Molecular Weight:</b>	621.73		
<b>Target:</b>	Src		
<b>Pathway:</b>	Protein Tyrosine Kinase/RTK		
<b>Storage:</b>	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)

Concentration	Mass			
	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

- 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
- 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
- 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<sub>50</sub> 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<sup>[1][2]</sup>.

#### IC<sub>50</sub> & Target

IC<sub>50</sub>: 0.147 μM (Lck), 9.1 μM (Src), 14.1 μM (Fgr), 44.1 μM (Fyn)<sup>[1]</sup>

#### In Vitro

A-770041 selective inhibits Lck with an IC<sub>50</sub> value of 0.147 μM, and inhibits other Src family kinase Src, Fgr, Fyn with IC<sub>50</sub>s of

9.1, 14.1 and 44.1  $\mu\text{M}$ , respectively<sup>[1]</sup>.

A-770041 (0-30  $\mu\text{M}$ ; 2 h) dose-dependently inhibits anti-CD3 induced IL-2 production with an  $\text{EC}_{50}$  value of 80 nM<sup>[1]</sup>.

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<sup>[1]</sup>.

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<sup>[1]</sup>.

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

Animal Model:	Male Lewis rats <sup>[1]</sup>
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 $\text{EC}_{50}$ 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

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

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