LYP-IN-4

Cat. No.: HY-155848

Molecular Formula: $C_{29}H_{21}ClN_2O_8S$

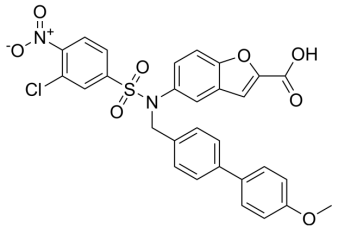
Molecular Weight: 593

Target: Phosphatase

Pathway: Metabolic Enzyme/Protease

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 : 100 mg/mL (168.63 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6863 mL	8.4317 mL	16.8634 mL
5 mM	0.3373 mL	1.6863 mL	3.3727 mL
10 mM	0.1686 mL	0.8432 mL	1.6863 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: 2.5 mg/mL (4.22 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (4.22 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

LYP-IN-4 (compound D14) is a reversible and selective inhibitor of lymphotyrosine phosphatase (LYP) (Ki=1.34 μM, IC50=3.52 μM). LYP-IN-4 inhibits LYP to regulate TCR signaling, up-regulates PD-1/PD-L1 expression, and enhance anti-tumor immunity. LYP-IN-4 activates T cells and inhibits M2 macrophage polarization, inhibits tumor growth in MC38 isogenic mouse models.

IC_{50} & Target

Ki: 1.34 μM (lymphotyrosine phosphatase, LYP)
IC50: 3.52μM (lymphotyrosine phosphatase, LYP), 13.7 μM (PTP1B), 12.3 μM (PTPN1B)

In Vitro

LYP-IN-4 (compound D14) inhibits other protein tyrosine phosphatasess (PTPs) with IC50s of 13.7 μM (PTP1B), 12.3 μM (PTPN1B), respectively[1].

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

In Vivo	LYP-IN-4 (compound D14) (25 mg/kg; ig; twice daily for 14 days) significantly inhibits tumor growth, decreases the tumor volume without dcreasing the body weight of mouse in MC38 isogenic models[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Liang X, Zhao H, Du J, Li X, Li K, Zhao Z, Bi W, Zhang X, Yu D, Zhang J, Fang H, Hou X. Discovery of benzofuran-2-carboxylic acid derivatives as lymphoid tyrosine phosphatase (LYP) inhibitors for cancer immunotherapy. Eur J Med Chem. 2023 Oct 5;258:115599.

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