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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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PTP1B-IN-2

Cat. No.: HY-100462

CAS No.: 1919853-46-5

Molecular Formula: $C_{34}H_{36}N_2O_9S_2$

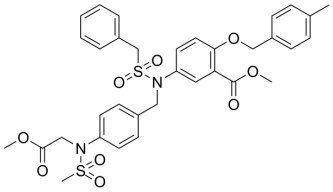
Molecular Weight: 680.79

Target: Phosphatase

Pathway: Metabolic Enzyme/Protease

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 : ≥ 100 mg/mL (146.89 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.4689 mL	7.3444 mL	14.6888 mL
5 mM	0.2938 mL	1.4689 mL	2.9378 mL
10 mM	0.1469 mL	0.7344 mL	1.4689 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 (3.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PTP1B-IN-2 is a potent protein tyrosine phosphatase 1B (PTP1B) inhibitor with an IC_{50} of 50 nM.

IC_{50} & Target

IC50: 50 nM (PTP1B)[1]

In Vitro

PTP1B-IN-2 displays more than 40-fold selectivity for PTP1B over SHP-2 and LAR and 15-fold higher selectivity for PTP1B over the highly homologous TCPTP. PTP1B-IN-2 extends deep into the active site pocket, forming several hydrogen bonds and hydrophobic interactions with key residues of the catalytic site. The binding characteristics between PTP1B domain and ligand shows that PTP1B-IN-2 is an ABC type inhibitor which not only interacted with catalytic site but also B site and C site. PTP1B-IN-2 greatly enhances insulin-mediated IRβ phosphorylation at concentrations of 15 μM and 30 μM. Insulin-

stimulated glucose uptake is also significantly increased in L6 myotubes treated with PTP1B-IN-2, and this increase is 16.0%, 19.0% and 38.1% at 5, 10 and 20 μM, respectively [1].

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

PROTOCOL

Kinase Assay [1]

PTP1B-IN-2 is predispensed in 96-well microplates as 1.0 μL aliquots per well in 100% DMSO. The PTP1B enzymatic assay is carried out in a total volume of 100 μL per well in assay plates with 15 nM recombinant PTP1B protein, 2 mM p-nitrophenylphosphonic acid (pNPP), 1 mM dithiothreitol and 1 mM EDTA (pH 6.5). After 30 min incubation at 37oC, the reaction is terminated by addition of 2.5 M NaOH. The amount of hydrolysis product, pNP, is monitored by detection of the absorbance at 405 nm[1].

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

CUSTOMER VALIDATION

- Cell Rep. 2023 Mar 30;42(4):112314.
- Acta Pharmacol Sin. 2020 Jul 21.
- Sci Rep. 2021 May 24;11(1):10790.

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REFERENCES

[1]. Liu P, et al. Discovery of novel, high potent, ABC type PTP1B inhibitors with TCPTP selectivity and cellular activity. Eur J Med Chem. 2016 Aug 8;118:27-33.

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