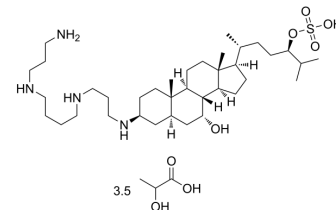


## MSI-1436 lactate

<b>Cat. No.:</b>	HY-12219A
<b>CAS No.:</b>	1309370-86-2
<b>Molecular Formula:</b>	C <sub>40</sub> H <sub>76</sub> N <sub>4</sub> O <sub>8</sub> S
<b>Molecular Weight:</b>	1000.17
<b>Target:</b>	Phosphatase
<b>Pathway:</b>	Metabolic Enzyme/Protease
<b>Storage:</b>	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 54 mg/mL (53.99 mM; Need ultrasonic and warming)					
	0.1 M HCL : 50 mg/mL (49.99 mM; ultrasonic and adjust pH to 4 with HCl)					
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
		<b>Concentration</b>				
		<b>1 mM</b>		0.9998 mL	4.9992 mL	9.9983 mL
<b>5 mM</b>			0.2000 mL	0.9998 mL	1.9997 mL	
	<b>10 mM</b>		0.1000 mL	0.4999 mL	0.9998 mL	
Please refer to the solubility information to select the appropriate solvent.						
<b>In Vivo</b>	1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline Solubility: ≥ 3 mg/mL (3.00 mM); Clear solution					

### BIOLOGICAL ACTIVITY

<b>Description</b>	MSI-1436 lactate is a selective, non-competitive inhibitor of the enzyme protein-tyrosine phosphatase 1B (PTP1B), with an IC <sub>50</sub> of 1 μM, 200-fold preference over TCPTP (IC <sub>50</sub> of 224 μM).
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 1 μM (PTB1B), 224 μM (TCPTP) <sup>[1]</sup>
<b>In Vitro</b>	MSI-1436's inhibition of TCPTP is less than the effect on PTP1B activity, with a resulting IC <sub>50</sub> value of 224 μM <sup>[1]</sup> . MSI-1436 (Trodoquimine, 10 μM) restores ERK phosphorylation in response to mGluR1/5 agonist DHPG in F11 neuronal cells. MSI-1436 (10 μM) rescues DHPG-induced holding currents and restores DSI in LMO4KO BLA neurons <sup>[2]</sup> . MSI-1436 (0.1-100 μM) blocks PTP1B activity <sup>[3]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>In Vivo</b>	MSI-1436 (10 mg/kg, i.p.) causes obesity-dependent body weight, reduces total body fat content and adipocyte size and lipid content of white adipose tissue of mice <sup>[1]</sup> .

MSI-1436 (Trodesquimine) exhibits anxiolytic effect through a restoration of endocannabinoid (eCB) signaling within the amygdala<sup>[2]</sup>.  
MSI-1436 (5 mg/kg, i.p.) has an anti-diabetic effect on diabetic mice, and is sufficient to suppress food intake and cause weight loss in CD1 mice<sup>[3]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

### Cell Assay <sup>[1]</sup>

Quantitation of phosphatase activity is measured using an intact cell assay. Hep G2 cells are pretreated with 10  $\mu$ M MSI-1436 lactate or sodium orthovanadate (100  $\mu$ M, positive control) for 10 min at 37 °C, then incubated with 10  $\mu$ M pNPP (a cell permeable hydrolysable substrate) for 30 min at 37 °C. Samples of the supernatants are spectrophotometrically analyzed at OD405 for hydrolyzed pNP, a direct end product of phosphatase activity<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### Animal Administration <sup>[1]</sup>

Male AKR/J mice are randomly placed on ad libitum 10, 45, or 60% fat kcal diets. After 14 weeks, mice are randomly assigned to three treatment groups (n=5 to 8 mice/group); MSI-1436 lactate (initial dose of 10 mg/kg with three subsequent weekly doses of 5 mg/kg, intraperitoneally), vehicle (saline, 10 mL/kg, weekly 4 $\times$ ), or pair-fed (PF). PF animals are injected with saline (weekly 4 $\times$ ) and allotted the amount of food consumed daily by MSI-1436 lactate -treated animals. On day 23, mice are anesthetized and euthanized for blood and tissue collection, respectively. Plasma is obtained following centrifugation of blood 14,000 rpm for 10 min at 4°C<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Commun Biol. 2021 Feb 24;4(1):248.

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## REFERENCES

- [1]. Lantz KA, et al. Inhibition of PTP1B by trodesquimine (MSI-1436) causes fat-specific weight loss in diet-induced obese mice. Obesity (Silver Spring). 2010 Aug;18(8):1516-1523.
- [2]. Qin Z, et al. Chronic stress induces anxiety via an amygdalar intracellular cascade that impairs endocannabinoid signaling. Neuron. 2015 Mar 18;85(6):1319-31.
- [3]. Qin Z, et al. Functional properties of Claramine: a novel PTP1B inhibitor and mimetic compound. Biochem Biophys Res Commun. 2015 Feb 27;458(1):21-7.

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

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