Inhibitors

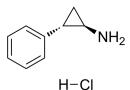
Tranylcypromine hydrochloride

Cat. No.: HY-17447A CAS No.: 1986-47-6 Molecular Formula: $C_9H_{12}CIN$ Molecular Weight: 169.65

Target: Monoamine Oxidase Pathway: **Neuronal Signaling**

Storage: 4°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



Product Data Sheet

Relative stereochemistry

SOLVENT & SOLUBILITY

In Vitro

H₂O: 100 mg/mL (589.45 mM; Need ultrasonic) DMSO: 100 mg/mL (589.45 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	5.8945 mL	29.4724 mL	58.9449 mL
	5 mM	1.1789 mL	5.8945 mL	11.7890 mL
	10 mM	0.5894 mL	2.9472 mL	5.8945 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 (14.74 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- β -CD in saline) Solubility: ≥ 2.5 mg/mL (14.74 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (14.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Tranylcypromine hydrochloride (SKF 385 hydrochloride) is an irreversible inhibitor of lysine-specific demethylase 1 (LSD1/BHC110) and monoamine oxidase (MAO). Tranylcypromine hydrochloride inhibits LSD1, MAO A and MAO B with IC $_{50}$ s of 20.7, 2.3 and 0.95 μ M, respectively. Tranylcypromine hydrochloride can be used for the research of depression [1][2][3].		
IC ₅₀ & Target	MAO-A 2.3 μM (IC ₅₀)	MAO-B 0.95 μM (IC ₅₀)	
In Vitro	Tranylcypromine hydrochloi	rid (50 μ M-5 mM; 1 h or 12-14 h) inhibits histone and nucleosomal demethylation [1].	

Tranylcypromine hydrochlorid (2 μ M; 3 h) shows a specific derepression of OCT4 transcription^[1].

Tranylcypromine hydrochlorid (0-100 μ M; 15 min) shows IC₅₀ values of 20.7, 2.3 and 0.95 μ M for LSD1, MAO A and MAO B, respectively^[2].

Tranylcypromine hydrochlorid (0-800 μ M) shows K_i values of 242.7, 101.9 and 16 μ M for LSD1, MAO A and MAO B, respectively [2]

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

Western Blot Analysis $^{[1]}$

Cell Line:	Sf21 insect cell line	
Concentration:	50 μM, 200 μM, 1 mM and 5 mM	
Incubation Time:	12-14 hours or 1 hour	
Result:	Showed inhibitory activities of histone H3K4 demethylation and nucleosomal demethylation.	
RT-PCR ^[1]		
Cell Line:	P19 EC cell line	
Concentration:	2 μΜ	
Incubation Time:	3 hours	
Result:	Decreased Oct4 mRNA levels.	

In Vivo

Tranylcypromine hydrochlorid (3 mg/kg; i.p. once daily for 3 days) decreases LPS-mediated microglial activation and proinflammatory cytokine COX-2 and IL-6 levels in wild-type mice $^{[3]}$.

Tranylcypromine hydrochlorid (3 mg/kg; i.p. once daily for 7 days) down-regulates A β -mediate microglial activation in 5xFAD mice^[3].

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

Animal Model:	Wild-type $mice^{[3]}$	
Dosage:	3 mg/kg	
Administration:	Intraperitoneal injection; 3 mg/kg once daily for 3 days	
Result:	Significantly down-regulated LPS-stimulated microglial activation in the cortex and Hippocampus, and LPS-induced astrocyte activation only in the cortex. Reduced LPS-induced COX-2 levels in hippocampus CA1, decreased LPS-evoked IL-6 levels in the cortex and hippocampus CA1 and suppressed LPS-mediated IL-1 β levels in the cortex.	
Animal Model:	5xFAD mice ^[3]	
Dosage:	3 mg/kg	
Administration:	Intraperitoneal injection; 3 mg/kg once daily for 7 days	
Result:	Differentially regulated microglial and astrocyte activation in this mouse model of AD.	

CUSTOMER VALIDATION

- Biomaterials. 2018 Dec 6;193:30-46.
- Biol Reprod. 2020 Dec 1;103(6):1229-1237.
- Biochem Biophys Res Commun. 2019 May 14;512(4):852-858.
- Patent. US20180263995A1.

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REFERENCES

- [1]. Lee MG, et al. Histone H3 lysine 4 demethylation is a target of nonselective antidepressive medications. Chem Biol. 2006 Jun;13(6):563-7.
- [2]. Schmidt DM, McCafferty DG. trans-2-Phenylcyclopropylamine is a mechanism-based inactivator of the histone demethylase LSD1. Biochemistry. 2007 Apr 10;46(14):4408-16.
- [3]. Park H, et al. The MAO Inhibitor Tranylcypromine Alters LPS- and A β -Mediated Neuroinflammatory Responses in Wild-type Mice and a Mouse Model of AD. Cells. 2020 Aug 28;9(9):1982.

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

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