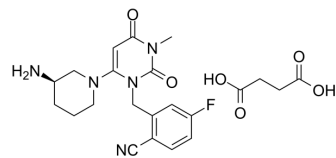


Trelagliptin succinate

Cat. No.:	HY-15408A
CAS No.:	1029877-94-8
Molecular Formula:	C ₂₂ H ₂₆ FN ₃ O ₆
Molecular Weight:	475.47
Target:	Dipeptidyl Peptidase
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H₂O : 100 mg/mL (210.32 mM; Need ultrasonic)
 DMSO : ≥ 50 mg/mL (105.16 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.1032 mL	10.5159 mL	21.0318 mL
	5 mM	0.4206 mL	2.1032 mL	4.2064 mL
	10 mM	0.2103 mL	1.0516 mL	2.1032 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: PBS
Solubility: 100 mg/mL (210.32 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Trelagliptin (SYR-472) succinate is a potent, orally active and highly selective DPP-4 inhibitor with an IC₅₀ of 4 nM. Trelagliptin succinate improves glycemic control in vivo and can be used for the study of type 2 diabetes mellitus (T2DM)^[1].

IC₅₀ & Target

IC₅₀: 4 nM (DPP-4)^[1]

In Vitro

Dipeptidyl peptidase-4 (DPP-4) is one of the widely explored novel targets for type 2 diabetes mellitus (T2DM) strategy to preserve the endogenous glucagon like peptide (GLP)-1 activity by inhibiting the DPP-4 action^[1].

Trelagliptin exhibits potent inhibitory activity toward DPP-4 prepared from Caco-2 cells with an IC₅₀ value of 5.4 nM.

Trelagliptin also inhibits human, dog, and rat plasma DPP-4 activity with IC₅₀ values of 4.2 nM, 6.2 nM, and 9.7 nM, respectively^[2].

Trelagliptin is highly selective for DPP-4 and displays IC₅₀ values >100,000 nM corresponding to >10,000-fold selectivity over DPP-2, DPP-8, DPP-9, PEP and FAP α activities. Trelagliptin shows DPP4 selective about 4- and 12-fold more potent than alogliptin (HY-A0023) and sitagliptin (HY-13749), respectively^[2].

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

In Vivo

Trelagliptin (oral gavage; 7 mg/kg; single dose) shows sustained PD effect in dogs and gives >80% inhibition of DPP-4 activity even after 24h^[1].

Trelagliptin (oral gavage; 3 mg/kg; single dose; 60 min prior to oral glucose) significantly improves the glucose tolerance capacity by decreasing the AUC_{0-120min} of 19.3% compared with the vehicle group in ob/ob mice^[3].

Trelagliptin (oral gavage; 10 mg/kg; once a week; 8 weeks) caused significant reductions in fasting blood glucose (FBG) levels, and the average reduction during the entire treatment period is 16.8% compared to the control. It also increases insulin level and raised it by 1.7-fold in AUC_{0-120min} in ob/ob mice^[3].

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

Animal Model:	ICR ob/ob mice ^[3]
Dosage:	10 mg/kg
Administration:	Oral gavage; 10 mg/kg; once a week; 8 weeks
Result:	Exerted chronic antidiabetic effects on type 2 diabetic db/db Mice.

REFERENCES

[1]. Bhumika D Patel, et al. Recent approaches to medicinal chemistry and therapeutic potential of dipeptidyl peptidase-4 (DPP-4) inhibitors. Eur J Med Chem. 2014 Mar 3;74:574-605.

[2]. Charles E Grimshaw, et al. Trelagliptin (SYR-472, Zafatek), Novel Once-Weekly Treatment for Type 2 Diabetes, Inhibits Dipeptidyl Peptidase-4 (DPP-4) via a Non-Covalent Mechanism. PLoS One. 2016 Jun 21;11(6):e0157509.

[3]. Shiliang Li, et al. Discovery of a Natural-Product-Derived Preclinical Candidate for Once-Weekly Treatment of Type 2 Diabetes. J Med Chem. 2019 Mar 14;62(5):2348-2361.

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

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