Trelagliptin succinate

Cat. No.: HY-15408A

CAS No.: 1029877-94-8

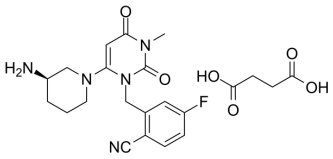
Molecular Formula: $C_{22}H_{26}FN_5O_6$

Molecular Weight: 475

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

H_2O : 100 mg/mL (210.53 mM; Need ultrasonic)
DMSO : ≥ 50 mg/mL (105.26 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1053 mL	10.5263 mL	21.0526 mL
5 mM	0.4211 mL	2.1053 mL	4.2105 mL
10 mM	0.2105 mL	1.0526 mL	2.1053 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (105.26 mM); Clear solution; Need ultrasonic
2. 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
3. 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
4. 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 IC_{50} of 4 nM.
Trelagliptin succinate improves glycemic control in vivo and can be used for the study of type 2 diabetes mellitus (T2DM)[1].

IC_{50} & 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 IC_{50} value of 5.4 nM.
Trelagliptin also inhibits human, dog, and rat plasma DPP-4 activity with IC_{50} values of 4.2 nM, 6.2 nM, and 9.7 nM, respectively[2].
Trelagliptin is highly selective for DPP-4 and displays IC_{50} values >100,000 nM corresponding to >10,000-fold selectivity over DPP-2, DPP-8, DPP-9, PEP and FAPα 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-foldin $AUC_{0-120min}$ 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.

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