Product Datasheet

SYR-472 succinate

Catalog No. **BP-01829** · CAS **1029877-94-8** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-08**

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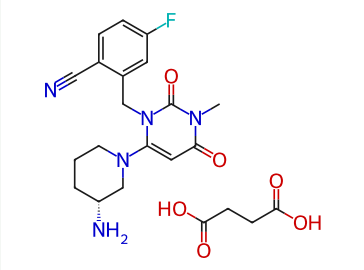
Target	Research area
Dipeptidyl Peptidase	**Metabolic Disease**

Molecular formula	$C_{22}H_{26}FN_5O_6$
Molecular weight	**475.4701**

STRUCTURE



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).

BIOLOGICAL ACTIVITY

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.
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.
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 FAPα activities. Trelagliptin shows DPP4 selective about 4- and 12-fold more potent than alogliptin (HY-A0023) and sitagliptin (HY-13749), respectively.

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.
Trelagliptin (oral gavage; 3 mg/kg; single dose; 60 min prior to oral glucose) significantly improves the glucose tolerance capacity by decreasing the AUC0−120min of 19.3% compared with the vehicle group in ob/ob mice.
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 AUC0−120min in ob/ob mice.

SOLUBILITY

Soluble in DMSO/water

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.