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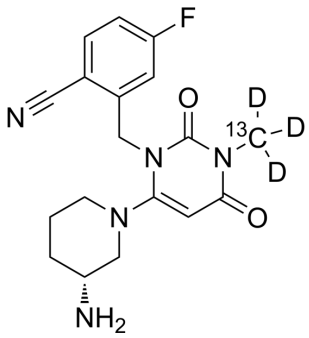
Trelagliptin-$^{13}C,d_3$

Cat. No.:	HY-15408S
CAS No.:	2707203-34-5
Molecular Formula:	$C_{17}{}^{13}CH_{17}D_3FN_5O_2$
Molecular Weight:	361.39
Target:	Dipeptidyl Peptidase; Isotope-Labeled Compounds
Pathway:	Metabolic Enzyme/Protease; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Trelagliptin-13C,d3 is a deuterated labeled Trelagliptin[1]. Trelagliptin (SYR-472) 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)[2].
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. 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[2]. 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[3]. 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[3]. 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[2]. 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[4]. 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[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

[4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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