

Product Data Sheet

Product Name: Trelagliptin

Cat. No.: GC16613

Chemical Properties

Cas. No. 865759-25-7

Chemical Name 2-[[6-[(3R)-3-aminopiperidin-1-yl]-3-methyl-2,4-dioxypyrimidin-1-yl]methyl]-4-fluorobenzonitrile

SMILES CN1C(=O)C=C(N(C1=O)CC2=C(C=CC(=C2)F)C#N)N3CCCC(C3)N

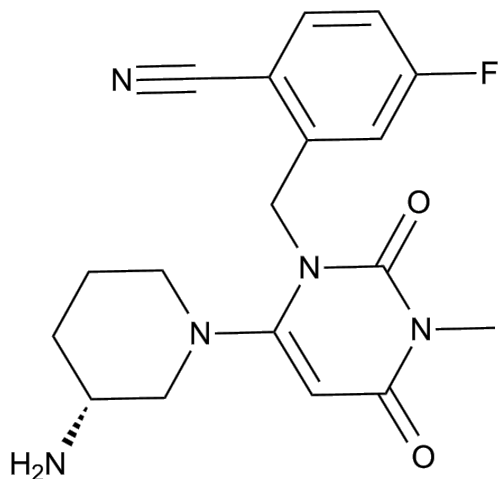
Formula $C_{18}H_{20}FN_5O_2$ M.Wt 357.38

Solubility ≥ 11.6 mg/mL in DMSO, ≥ 3.68 mg/mL in EtOH with ultrasonic and warming, ≥ 93.8 mg/mL in Water Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

Trelagliptin (SYR-472) is a selective inhibitor of DPP-4 and is developed for the treatment of type 2 diabetes mellitus (T2DM) [1].

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

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Dipeptidyl peptidase (DPP)-4 also known as adenosine deaminase complexing protein 2 or CD26 is an antigenic enzyme that expressed on the surface of most cell types and plays an important role in regulating immune system, signal transduction and apoptosis [2, 3].

Trelagliptin (SYR-472) is a potent DPP-4 inhibitor and can be administered once weekly which unlike its other class approved agents that be taken once daily [1]. In Japan, clinical trials had been conducted to detect the effectiveness of Trelagliptin by comparing with the approved medicine—alogliptin and it was shown that Trelagliptin once-weekly exhibited similar efficacy and safety to alogliptin once daily, which indicated that Trelagliptin could be a useful new antidiabetes drug that needs to be given once a week [2].

Trelagliptin (SYR-472) has been used in phase 2 and phase 3 clinical trials for the treatment of patients with T2DM showed similar efficacy and safety to alogliptin once daily and produced clinically and statistically significant improvements in glycaemic control with well tolerated in Japan [2, 3].

References:

- [1]. McKeage, K., Trelagliptin: First Global Approval. *Drugs*, 2015. 75(10): p. 1161-4.
- [2]. Inagaki, N., et al., Once-weekly trelagliptin versus daily alogliptin in Japanese patients with type 2 diabetes: a randomised, double-blind, phase 3, non-inferiority study. *Lancet Diabetes Endocrinol*, 2015. 3(3): p. 191-7.
- [3]. Inagaki, N., et al., SYR-472, a novel once-weekly dipeptidyl peptidase-4 (DPP-4) inhibitor, in type 2 diabetes mellitus: a phase 2, randomised, double-blind, placebo-controlled trial. *Lancet Diabetes Endocrinol*, 2014. 2(2): p. 125-32.

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