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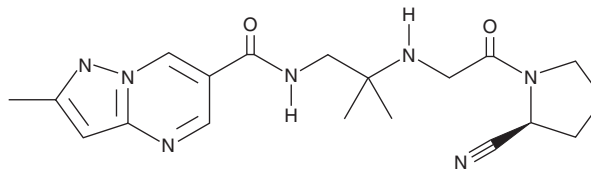
PRODUCT INFORMATION



Anagliptin

Item No. 36188

CAS Registry No.: 739366-20-2
Formal Name: N-[2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-2-methylpropyl]-2-methylpyrazolo[1,5-a]pyrimidine-6-carboxamide
MF: C₁₉H₂₅N₇O₂
FW: 383.5
Purity: ≥95%
UV/Vis.: λ_{max}: 247 nm
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Anagliptin is supplied as a solid. A stock solution may be made by dissolving the anagliptin in the solvent of choice, which should be purged with an inert gas. Anagliptin is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of anagliptin in these solvents is approximately 1 mg/ml.

Description

Anagliptin is an inhibitor of dipeptidyl peptidase 4 (DPP-4; IC₅₀ = 3.8 nM).¹ It is selective for DPP-4 over DPP-8 and DPP-9 (IC₅₀s = 68 and 60 nM, respectively). Dietary administration of anagliptin (0.05 and 0.3% w/w) decreases plasma DPP-4 activity, increases plasma GLP-1 levels, suppresses food intake and body weight gain, ameliorates insulin resistance, and improves glucose tolerance in wild-type and glucokinase knockout mouse models of high-fat diet-induced diabetes.² It decreases hepatic lipid and M1 macrophage accumulation and prevents hepatic fibrosis and steatohepatitis in mice fed a high-cholesterol high-fat diet or a choline-deficient L-amino acid-defined, high-fat (CDAHf) diet.³ Anagliptin also reduces atherosclerotic lesion size in an Apoe^{-/-} mouse model of atherosclerosis.⁴

References

1. Kato, N., Oka, M., Murase, T., *et al.* Discovery and pharmacological characterization of N-[2-[[2-[(2S)-2-cyanopyrrolidin-1-yl]-2-oxoethyl]amino]-2-methylpropyl]-2-methylpyrazolo[1,5-a]pyrimidine-6-carboxamide hydrochloride (anagliptin hydrochloride salt) as a potent and selective DPP-IV inhibitor. *Bioorg. Med. Chem.* **19(23)**, 7221-7227 (2011).
2. Nakaya, K., Kubota, N., Takamoto, I., *et al.* Dipeptidyl peptidase-4 inhibitor anagliptin ameliorates diabetes in mice with haploinsufficiency of glucokinase on a high-fat diet. *Metabolism* **62(7)**, 939-951 (2013).
3. Sakai, Y., Chen, G., Ni, Y., *et al.* DPP-4 inhibition with anagliptin reduces lipotoxicity-induced insulin resistance and steatohepatitis in male mice. *Endocrinology* **161(10)**, bqa139 (2020).
4. Ervinna, N., Mita, T., Yasunari, E., *et al.* Anagliptin, a DPP-4 inhibitor, suppresses proliferation of vascular smooth muscles and monocyte inflammatory reaction and attenuates atherosclerosis in male apo E-deficient mice. *Endocrinology* **154(3)**, 1260-1270 (2013).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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