

PRODUCT INFORMATION

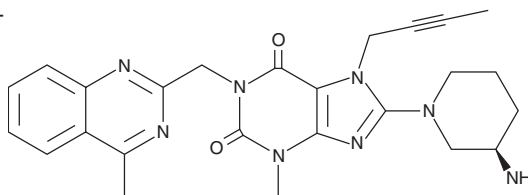


Linagliptin

Item No. 23306

CAS Registry No.: 668270-12-0
Formal Name: 8-[(3R)-3-amino-1-piperidinyl]-7-(2-butyn-1-yl)-3,7-dihydro-3-methyl-1-[(4-methyl-2-quinazoliny)methyl]-1H-purine-2,6-dione

Synonym: BI-1356
MF: C₂₅H₂₆N₈O₂
FW: 472.5
Purity: ≥98%
UV/Vis.: λ_{max}: 203, 226, 293 nm
Supplied as: A crystalline 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

Linagliptin is supplied as a crystalline solid. A stock solution may be made by dissolving the linagliptin in the solvent of choice, which should be purged with an inert gas. Linagliptin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of linagliptin in these solvents is approximately 0.2, 2, and 10 mg/ml, respectively.

Description

Linagliptin is a potent inhibitor of dipeptidyl peptidase 4 (DPP-4) with an IC₅₀ value of 1 nM for human recombinant DPP-4.¹ It is selective, with ≥10,000-fold selectivity for DPP-4 over a panel of 11 peptidases and proteases. Linagliptin (3 mg/kg) inhibits 90% of plasma DPP-4 activity in rat. It also dose-dependently decreases plasma glucose and increases plasma levels of glucagon-like peptide 1 (GLP-1) and insulin in Zucker diabetic fatty rats. Oral administration of linagliptin reduces HbA1c levels in mice with high-fat diet- and low-dose streptozotocin-induced diabetes.² Formulations containing linagliptin have been used for the treatment of type 2 diabetes mellitus.³

References

1. Thomas, L., Eckhardt, M., Langkopf, E., *et al.* (R)-8-(3-amino-piperidin-1-yl)-7-but-2-ynyl-3-methyl-1-(4-methyl-quinazolin-2-ylmethyl)-3,7-dihydro-purine-2,6-dione (BI 1356), a novel xanthine-based dipeptidyl peptidase 4 inhibitor, has a superior potency and longer duration of action compared with other dipeptidyl peptidase-4 inhibitors. *J. Pharmacol. Exp. Ther.* **325(1)**, 175-182 (2008).
2. Thomas, L., Tadayon, M., and Mark, M. Chronic treatment with the dipeptidyl peptidase-4 inhibitor BI 1356 [(R)-8-(3-amino-piperidin-1-yl)-7-but-2-ynyl-3-methyl-1-(4-methyl-quinazolin-2-ylmethyl)-3,7-dihydro-purine-2,6-dione] increases basal glucagon-like peptide-1 and improves glycemic control in diabetic rodent models. *J. Pharmacol. Exp. Ther.* **328(2)**, 556-563 (2009).
3. Ning, G., Bandgar, T., Hehnke, U., *et al.* Efficacy and safety of linagliptin in 2681 Asian patients stratified by age, obesity, and renal function: A pooled analysis of randomized clinical trials. *Adv. Ther.* **34(9)**, 2150-2162 (2017).

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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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM