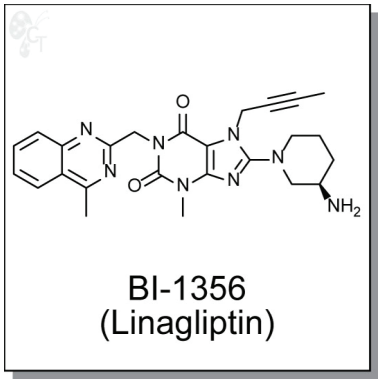
Product Specification Sheet

Product Name: BI-1356 (Linagliptin)

Catalog Number: C2135



Technical information:

Chemical Formula:	$C_{25}H_{28}N_8O_2$
CAS #:	668270-12-0
Molecular Weight:	472.54
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 25 mM
Chemical Name:	(R)-8-(3-aminopiperidin-1-yl)-7-(but-2-ynyl)-3-methyl-1-((4-methylquinazolin-2-yl)methyl)-1H-purine-2,6(3H,7H)-dione
Storage:	For longer shelf life, store solid powder or DMSO solution at -20°C desiccated.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.212mL of DMSO for each mg of BI-1356 (Linagliptin).
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: BI-1356 (Linagliptin) is a highly potent and selective dipeptidyl peptidase 4 (DPP-4) inhibitor (IC50 = 1 nM) for treatment of type II diabetes. [1] BI-1356 can increase incretin levels (GLP-1 and GIP), which increases insulin secretion and inhibits glucagon release, decreases gastric emptying, and decreases blood glucose levels. BI-1356 shows 10,000-fold more selectivity for DPP-4 against other protease/peptidases, including DPP-8, DPP-9, trypsin, plasmin, and thrombin.[2]

Reference:

1. Eckhardt M, et al. 8-(3-(R)-aminopiperidin-1-yl)-7-but-2-ynyl-3-methyl-1-(4-methyl-quinazolin-2-ylmethyl)-3,7-dihydropurine-2,6-dione (BI 1356), a highly potent, selective, long-acting, and orally bioavailable DPP-4 inhibitor for the treatment of type 2 diabetes. J Med Chem. 2007; 50(26):6450-3. Pubmed ID: 18052023

2. Thomas L, 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. 2008; 325(1):175-82. Pubmed ID: 18223196

To reorder: http://www.cellagentech.com/BI-1356-Linagliptin/

For Technical Support: technical@cellagentech.com

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