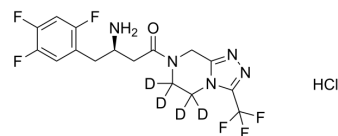


## Sitagliptin-d<sub>4</sub> hydrochloride

<b>Cat. No.:</b>	HY-13749S1
<b>Molecular Formula:</b>	C <sub>16</sub> H <sub>12</sub> D <sub>4</sub> ClF <sub>6</sub> N <sub>5</sub> O
<b>Molecular Weight:</b>	447.8
<b>Target:</b>	Dipeptidyl Peptidase; Autophagy
<b>Pathway:</b>	Metabolic Enzyme/Protease; Autophagy
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Sitagliptin-d <sub>4</sub> (hydrochloride) is the deuterium labeled Sitagliptin[1]. Sitagliptin (MK-0431) is a potent inhibitor of DPP4 with an IC50 of 19 nM in Caco-2 cell extracts[2].
<b>In Vitro</b>	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 <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### REFERENCES

- [1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. *Ann Pharmacother*. 2019 Feb;53(2):211-216.
- [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 Apr;325(1):175-82.
- [3]. Kim, S.J., et al., Dipeptidyl peptidase IV inhibition with MK0431 improves islet graft survival in diabetic NOD mice partially via T-cell modulation. *Diabetes*, 2009. 58(3): p. 641-51.
- [4]. Sangle, G.V., et al., Novel biological action of the dipeptidylpeptidase-IV inhibitor, sitagliptin, as a GLP-1 secretagogue. *Endocrinology*, 2012. 153(2): p. 564-73.
- [5]. Kim, S.J., et al., Inhibition of dipeptidyl peptidase IV with sitagliptin (MK0431) prolongs islet graft survival in streptozotocin-induced diabetic mice. *Diabetes*, 2008. 57(5): p. 1331-9.
- [6]. Beconi, M.G., et al. Disposition of the dipeptidyl peptidase 4 inhibitor sitagliptin in rats and dogs. *Drug Metab Dispos*, 2007. 35(4): p. 525-32.

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

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