

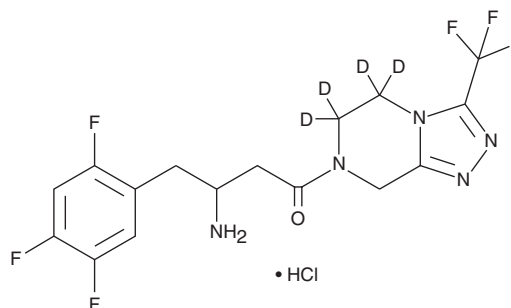
# PRODUCT INFORMATION



## (±)-Sitagliptin-d<sub>4</sub> (hydrochloride)

Item No. 25042

**CAS Registry No.:** 2749855-96-5  
**Formal Name:** 3-amino-1-[5,6-dihydro-5,6-d<sub>2</sub>-3-(trifluoromethyl)-1,2,4-triazolo[4,3- $\alpha$ ]pyrazin-7(8H)-yl-5,6-d<sub>2</sub>]-4-(2,4,5-trifluorophenyl)-1-butanone, monohydrochloride  
**MF:** C<sub>16</sub>H<sub>11</sub>D<sub>4</sub>F<sub>6</sub>N<sub>5</sub>O • HCl  
**FW:** 447.8  
**Chemical Purity:** ≥98% Sitagliptin  
**Deuterium Incorporation:** ≥99% deuterated forms (d<sub>1</sub>-d<sub>4</sub>); ≤1% d<sub>0</sub>  
**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

(±)-Sitagliptin-d<sub>4</sub> (hydrochloride) is intended for use as an internal standard for the quantification of sitagliptin by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

(±)-Sitagliptin-d<sub>4</sub> (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the (±)-sitagliptin-d<sub>4</sub> (hydrochloride) in water. We do not recommend storing the aqueous solution for more than one day.

### Description

Sitagliptin is a mixture of the dipeptidyl peptidase 4 (DPP-4) inhibitor (-)-sitagliptin (Item No. 13252) and (+)-sitagliptin, also known as sitagliptin impurity E, a potential impurity found in commercial preparations of (-)-sitagliptin.<sup>1</sup> (-)-Sitagliptin is a potent inhibitor of DPP-4 (IC<sub>50</sub> = 18 nM).<sup>2</sup> It is selective for DPP-4 over DPP-8 (IC<sub>50</sub> = 48 μM) as well as several other peptidases, including DPP-9, DPP-2, and amino peptidase P.<sup>2,3</sup> (-)-Sitagliptin improves glucose tolerance in insulin-resistant Zucker fatty and high-fat diet fed rats as well as *ob/ob* and high-fat diet fed mice.<sup>4</sup> It also reduces hyperglycemia in mice fed a high-fat diet with diabetes induced by streptozotocin (Item No. 13104). Formulations containing (-)-sitagliptin have been used in the treatment of type 2 diabetes mellitus.

### References

1. Kothari, H.M., Dave, M.G., Pandey, B., et al. *Cadila Healthcare Limited US8476437B2* (2013).
2. Biftu, T., Feng, D., Qian, X., et al. *Bioorg. Med. Chem. Lett.* **17(1)**, 49-52 (2007).
3. Kim, D., Kowalchick, J.E., Edmondson, S.D., et al. *Bioorg. Med. Chem. Lett.* **17(12)**, 3373-3377 (2007).
4. Ahrén, B. *Best Pract. Res. Clin. Endocrinol. Metab.* **21(4)**, 517-533 (2007).

#### 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