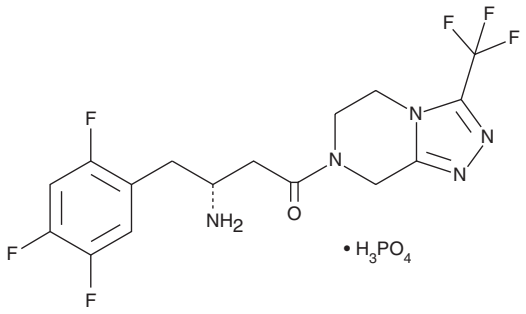
PRODUCT INFORMATION

(–)-Sitagliptin (phosphate)

Item No. 13252

CAS Registry No.: 654671-78-0
Formal Name: (3R)-3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-1-butanone, monophosphate
Synonyms: INN, MK-431, ONO-5435, (R)-Sitagliptin
MF: $C_{16}H_{15}F_6N_5O \bullet H_3PO_4$
FW: 505.3
Purity: ≥98%
UV/Vis.: λ_{max}: 267 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

(–)-Sitagliptin (phosphate) is supplied as a crystalline solid. A stock solution may be made by dissolving the (–)-sitagliptin (phosphate) in the solvent of choice, which should be purged with an inert gas. (–)-Sitagliptin (phosphate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of (–)-sitagliptin (phosphate) in these solvents is approximately 5 and 0.25 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of (–)-sitagliptin (phosphate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of (–)-sitagliptin (phosphate) in PBS (pH 7.2) is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

(–)-Sitagliptin is a potent inhibitor of dipeptidyl peptidase 4 (DPP-4; IC_{50} = 18 nM).[1] It is selective for DPP-4 over DPP-8 (IC_{50} = 48 µM) as well as several other peptidases, including DPP-9, DPP-2, and amino peptidase P.[1,2] (–)-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.[3] 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. Biftu, T., Feng, D., Qian, X., *et al.* (3R)-4-[(3R)-3-Amino-4-(2,4,5-trifluorophenyl)butanoyl]-3-(2,2,2-trifluoroethyl)-1,4-diazepan-2-one, a selective dipeptidyl peptidase IV inhibitor for the treatment of type 2 diabetes. *Bioorg. Med. Chem. Lett.* **17(1)**, 49-52 (2007).
2. Kim, D., Kowalchick, J.E., Edmondson, S.D., *et al.* Triazolopiperazine-amides as dipeptidyl peptidase IV inhibitors: Close analogs of JANUVIAT (sitagliptin phosphate). *Bioorg. Med. Chem. Lett.* **17(12)**, 3373-3377 (2007).
3. Ahrén, B. DPP-4 inhibitors. *Best Pract. Res. Clin. Endocrinol. Metab.* **21(4)**, 517-533 (2007).

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