**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-butane, monophosphate  
**Synonyms:** INN, MK-431, ONO-5435, (R)-Sitagliptin  
**MF:** C_{16}H_{15}F_{6}N_{5}O • H_{3}PO_{4}  
**FW:** 505.3  
**Purity:** ≥98%  
**UV/Vis.:** λ_{max}: 267 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥2 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. (-)-Sitagliptin (phosphate) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. 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