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
MF: C<sub>16</sub>H<sub>15</sub>F<sub>6</sub>N<sub>5</sub>O • H<sub>3</sub>PO<sub>4</sub>
FW: 505.3
Purity: ≥98%
UV/Vis.: λ<sub>max</sub>: 267 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years

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

Dipeptidyl peptidase 4 (DPP-4) is an intrinsic membrane glycoprotein that acts as an exopeptidase, cleaving oligopeptides after the second amino acid from the N-terminal end. One of its substrates is glucagon-like peptide 1, an incretin that stimulates insulin biosynthesis and secretion while inhibiting glucagon release in a glucose-dependent manner. Sitagliptin is a potent inhibitor of DPP-4 (IC<sub>50</sub> = 18 nM). It only modestly inhibits DPP-8 (IC<sub>50</sub> = 48 µM) and is without effect on several related peptidases, including DPP-9, DPP-II, and amino peptidase P. Sitagliptin is orally active, rapidly absorbed, and inhibits DPP-4 in plasma. It lowers fasting plasma glucose, glycosylated hemoglobin, and two-hour postprandial glucose levels in patients with type 2 diabetes. In addition to having use in type 2 diabetes, gliptins, including sitagliptin, have positive cardiovascular and anti-inflammatory effects.

References