# Product data sheet

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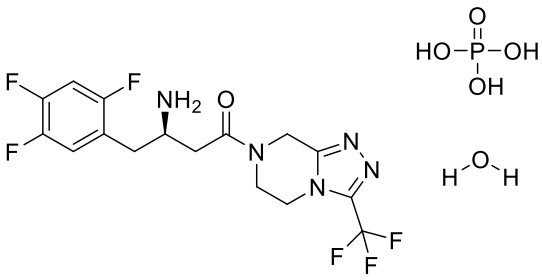
|---|---|
| MedKoo Cat#: 300146<br>Name: Sitagliptin Phosphate Monohydrate<br>CAS#: 654671-77-9 (phosphate hydrate)<br>Chemical Formula: $C_{16}H_{15}F_6N_5O$<br>Molecular Weight: 523.33 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**

Sitagliptinis a potent inhibitor of DPP4 in Caco-2 cell extracts. Sitagliptin is believed to exert its actions in patients with type 2 diabetes mellitus by slowing the inactivation of incretin hormones. By increasing and prolonging active incretin levels, sitagliptin increases insulin release and decreases glucagon levels in the circulation in a glucose-dependent manner. Sitagliptin demonstrates selectivity for DPP-4 and does not inhibit DPP-8 or DPP-9 activity in vitro at concentrations approximating those from therapeutic doses.

**2. CoA, QC data, SDS, and handling instruction**

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

**3. Solubility data**

| Solvent | Max Conc. mg/mL | Max Conc. mM |
|---|---|---|
| DMSO | 100 | 191.08 |
| Water | 47.50 | 90.76 |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.91 mL | 9.55 mL | 19.11 mL |
| 5 mM | 0.38 mL | 1.91 mL | 3.82 mL |
| 10 mM | 0.19 mL | 0.96 mL | 1.91 mL |
| 50 mM | 0.04 mL | 0.19 mL | 0.38 mL |

**5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator**

Please refer the product web page under section of "Calculator"

**6. Recommended literature which reported protocols for in vitro and in vivo study**

In vitro study


1. Drakul M, Tomić S, Bekić M, Mihajlović D, Vasiljević M, Rakočević S, Đokić J, Popović N, Bokonjić D, Čolić M. Sitagliptin Induces Tolerogenic Human Dendritic Cells. Int J Mol Sci. 2023 Nov 27;24(23):16829. doi: 10.3390/ijms242316829. PMID: 38069152; PMCID: PMC10706581.
2. Kosowska A, Garczorz W, Kłych-Ratuszny A, Aghdam MRF, Kimsa-Furdzik M, Simka-Lampa K, Francuz T. Sitagliptin Modulates the Response of Ovarian Cancer Cells to Chemotherapeutic Agents. Int J Mol Sci. 2020 Nov 26;21(23):8976. doi: 10.3390/ijms21238976. PMID: 33256016; PMCID: PMC7731375.


In vivo study


1. Hajhashemi V, Sadeghi H, Karimi Madab F. Anti-inflammatory and antinociceptive effects of sitagliptin in animal models and possible mechanisms involved in the antinociceptive activity. Korean J Pain. 2023 Dec 21. doi: 10.3344/kjp.23262. Epub ahead of print. PMID: 38123184.
2. Zong Y, Wang X, Zhang Y, Tan N, Zhang Y, Li L, Liu L. Sitagliptin Ameliorates Creb5/lncRNA ENSMUST00000213271-Mediated Vascular Endothelial Dysfunction in Obese Mice. Cardiovasc Drugs Ther. 2023 Feb 4. doi: 10.1007/s10557-023-07436-1. Epub ahead of print. PMID: 36738369.

# Product data sheet

**7. Bioactivity**

Biological target:

Sitagliptin is a potent and orally active inhibitor of DPP4 with an IC50 of 19 nM in Caco-2 cell extracts.

In vitro activity

Sitagliptin induces differentiation of tolerogenic dendritic cells, and the effect is important when considering sitagliptin for treating autoimmune diseases and allotransplant rejection. Sitagliptin impaired differentiation and maturation of human dendritic cells generated from monocytes (MoDCs), while the expression of CD26, tolerogenic DC markers, and production of immunoregulatory cytokines increased. Sitagliptin inhibited p65 expression of NF-kB and p38MAPK during the maturation of MoDCs.

Reference: Int J Mol Sci. 2023 Nov 27;24(23):16829. https://pubmed.ncbi.nlm.nih.gov/38069152/

In vivo activity

Sitagliptin showed significant antinociceptive and anti-inflammatory effects. In the carrageenan test, sitagliptin significantly reduced paw thickness in male Wistar rats. Pretreatment with yohimbine, prazosin, propranolol, naloxone, and cyproheptadine could not reverse the antinociceptive effect of sitagliptin, which indicates that adrenergic, opioid, and serotonin receptors are not involved in the antinociceptive effects. L-NAME, methylene blue, glibenclamide, ondansetron, and sulpiride were able to reverse this effect.

Reference: Korean J Pain. 2023 Dec 21. https://pubmed.ncbi.nlm.nih.gov/38123184/

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*