

Product data sheet



MedKoo Cat#: 510312 Name: Omarigliptin CAS#: 1226781-44-7 Chemical Formula: C ₁₇ H ₂₀ F ₂ N ₄ O ₃ S Exact Mass: 398.12242 Molecular Weight: 398.43		
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:

Omarigliptin, also known as MK-3102, is a potent and long-acting DPP-4 inhibitor for once-weekly treatment of type 2 diabetes. MK-3102 (omarigliptin), was identified as a potent and selective dipeptidyl peptidase 4 (DPP-4) inhibitor with an excellent pharmacokinetic profile amenable for once-weekly human dosing and selected as a clinical development candidate. Omarigliptin is currently in phase 3 clinical development.

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	31.0	77.8

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.51 mL	12.55 mL	25.10 mL
5 mM	0.50 mL	2.51 mL	5.02 mL
10 mM	0.25 mL	1.25 mL	2.51 mL
50 mM	0.05 mL	0.25 mL	0.50 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. Du H, Wang S. Omarigliptin Mitigates Lipopolysaccharide-Induced Neuroinflammation and Dysfunction of the Integrity of the Blood-Brain Barrier. ACS Chem Neurosci. 2020 Dec 16;11(24):4262-4269. doi: 10.1021/acchemneuro.0c00537. Epub 2020 Nov 25. PMID: 33237730.

In vivo study

1. Du H, Wang S. Omarigliptin Mitigates Lipopolysaccharide-Induced Neuroinflammation and Dysfunction of the Integrity of the Blood-Brain Barrier. ACS Chem Neurosci. 2020 Dec 16;11(24):4262-4269. doi: 10.1021/acchemneuro.0c00537. Epub 2020 Nov 25. PMID: 33237730.

2. Biftu T, Qian X, Chen P, Feng D, Scapin G, Gao YD, Cox J, Roy RS, Eiermann G, He H, Lyons K, Salituro G, Patel S, Petrov A, Xu F, Xu SS, Zhang B, Caldwell C, Wu JK, Lyons K, Weber AE. Novel tetrahydropyran analogs as dipeptidyl peptidase IV inhibitors: Profile of clinical candidate (2R,3S,5R)-2-((2,5-difluorophenyl)-5-(4,6-dihydropyrrolo [3,4-c]pyrazol-5-(1H)-yl)tetrahydro-2H-pyran-3-amine (23) [corrected]. Bioorg Med Chem Lett. 2013 Oct 1;23(19):5361-6. doi: 10.1016/j.bmcl.2013.07.061. Epub 2013 Aug 5. Erratum in: Bioorg Med Chem Lett. 2014 Jun 1;24(11):2590. PMID: 23972441.

7. Bioactivity

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Biological target:

Omarigliptin (MK-3102) is a long-acting DPP-4 inhibitor with IC50 of 1.6 nM.

In vitro activity

To evaluate the effects of Omarigliptin on the inflammation induced by LPS stimulation, the bEnd.3 brain endothelial cells were incubated with 10 and 20 μ M Omarigliptin following stimulation with 1 μ g/mL LPS for 24 h. As shown in Figure 5, HMGB-1 was found to be significantly up-regulated by stimulation with LPS but was greatly down-regulated by the introduction of Omarigliptin in a dose-dependent manner.

Reference: ACS Chem Neurosci. 2020 Dec 16;11(24):4262-4269. <https://pubmed.ncbi.nlm.nih.gov/33237730/>

In vivo activity

The lead candidate 23 (Omarigliptin) was assessed for its ability to improve glucose tolerance in lean mice. In lean animals, 23, orally administered 1 h prior to dextrose challenge in an oral glucose tolerance test (OGTT), significantly reduced blood glucose excursion in a dose-dependent manner from 0.1 mg/kg (27% reduction in glucose AUC) to 3 mg/kg (47% reduction).

Reference: Bioorg Med Chem Lett. 2013 Oct 1;23(19):5361-6. <https://pubmed.ncbi.nlm.nih.gov/23972441/>

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.