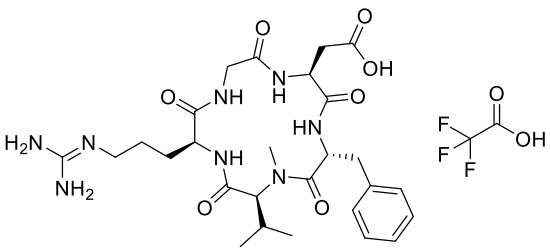
Product data sheet

MedKoo Cat#: 200780A Name: Cilengitide TFA salt CAS#: 199807-35-7 (TFA) Chemical Formula: $C_{27}H_{40}N_8O_7$ Molecular Weight: 702.7	
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:
Cilengitide is a cyclic Arg-Gly-Asp peptide with potential antineoplastic activity. Cilengitide binds to and inhibits the activities of the alpha(v)beta(3) and alpha(v)beta(5) integrins, thereby inhibiting endothelial cell-cell interactions, endothelial cell-matrix interactions, and angiogenesis.

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	100.0	142.31
Water	15.63	22.24

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.42 mL	7.12 mL	14.23 mL
5 mM	0.28 mL	1.42 mL	2.85 mL
10 mM	0.14 mL	0.71 mL	1.42 mL
50 mM	0.03 mL	0.14 mL	0.28 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. Ruffini F, Graziani G, Levati L, Tentori L, D'Atri S, Lacal PM. Cilengitide downmodulates invasiveness and vasculogenic mimicry of neuropilin 1 expressing melanoma cells through the inhibition of αvβ5 integrin. Int J Cancer. 2015 Mar 15;136(6):E545-58. doi: 10.1002/ijc.29252. Epub 2014 Nov 28. PMID: 25284767.
2. Cheng NC, van Zandwijk N, Reid G. Cilengitide inhibits attachment and invasion of malignant pleural mesothelioma cells through antagonism of integrins αvβ3 and αvβ5. PLoS One. 2014 Mar 3;9(3):e90374. doi: 10.1371/journal.pone.0090374. PMID: 24595274; PMCID: PMC3940880.

In vivo study

1. Bäuerle T, Komljenovic D, Merz M, Berger MR, Goodman SL, Semmler W. Cilengitide inhibits progression of experimental breast cancer bone metastases as imaged noninvasively using VCT, MRI and DCE-MRI in a longitudinal in vivo study. Int J Cancer. 2011 May 15;128(10):2453-62. doi: 10.1002/ijc.25563. PMID: 20648558.
2. Wilisch-Neumann A, Kliese N, Pachow D, Schneider T, Warnke JP, Braunsdorf WE, Böhmer FD, Hass P, Pasemann D, Helbing C, Kirches E, Mawrin C. The integrin inhibitor cilengitide affects meningioma cell motility and invasion. Clin Cancer Res. 2013 Oct 1;19(19):5402-12. doi: 10.1158/1078-0432.CCR-12-0299. Epub 2013 Aug 15. PMID: 23948974.

7. Bioactivity

Product data sheet

Biological target:

Cilengitide is an integrin inhibitor for αvβ3 and αvβ5 receptor, with IC50 values of 4 nM and 79 nM, respectively.

In vitro activity

Cilengitide inhibited adhesion of M14C2/MK18 cells to vitronectin in a dose-dependent manner, with an EC50 of 6.66 ± 1.77 μg/ml (Fig. 2a), whereas this agent did not affect adhesion to fibronectin (used as negative control since it mainly interacts with the α5β1 integrin). The concentration of cilengitide causing the maximal inhibitory effect on adhesion to vitronectin (i.e., 20 μg/ml), strongly reduced the invasion of matrigel by M14C2/MK18 cells (Fig. 2b) without affecting cell viability (data not shown). Since cilengitide specifically interacts with the αvβ3 and αvβ5 integrins, these results confirmed the relevance of these integrins in melanoma invasiveness.

Reference: Int J Cancer. 2015 Mar 15;136(6):E545-58. https://onlinelibrary.wiley.com/doi/full/10.1002/ijc.29252

In vivo activity

In control rats, bone metastases contained tumor cells (representing the soft tissue tumor) within areas of bone resorption corresponding to VCT and MR imaging (Fig. 5a). After treatment with cilengitide, newly formed bone was confirmed on hematoxylin/eosin-stained sections (Fig. 5b) taken from the proximal tibia of the animal, as shown in Fig. 3c. Immunofluorescence analysis in control animals revealed irregular vessels with small diameters, indicated by collagen IV staining in the basal lamina of vessels, which were not colocalized with SMA, along with larger vessels showing collagen IV/SMA colocalization (Fig. 5c). After 4 weeks treatment with cilengitide essentially only small and mesh-like vessels were seen without clear co-localization of SMA and collagen IV (Fig. 5d). Quantification of the immunofluorescent analysis resulted in significantly decreased mean positive area fractions of SMA ($p < 0.05$) and significantly increased area fractions of collagen IV ($p < 0.01$) in treated animals as compared to controls (Fig. 6a). The ratio of SMA and collagen IV (treated rats: 0.60/3.32; control rats: 0.83/2.37) was significantly decreased in animals after 4 weeks treatment with cilengitide ($p < 0.01$), and the mean vessel diameter in cilengitide-treated bone metastases (6.6 μm) was significantly smaller than in control rats (8.8 μm, $p < 0.01$; Fig. 6b).

Reference: Int J Cancer. 2011 May 15;128(10):2453-62. https://pubmed.ncbi.nlm.nih.gov/20648558/

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.