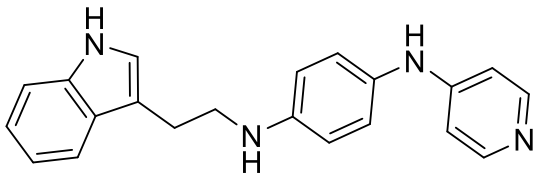
Product data sheet

MedKoo Cat#: 201614 Name: Serdemetan CAS#: 881202-45-5 Chemical Formula: $C_{21}H_{20}N_4$ Exact Mass: 328.1688 Molecular Weight: 328.41	
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:
Serdemetan, also known as JNJ-26854165, is an orally bioavailable, small-molecule HDM2 antagonist with potential antineoplastic activity. Serdemetan inhibits the binding of the HDM2A–p53 complex to the proteasome, blocking the degradation of p53; p53 signaling and p53-mediated induction of tumor cell apoptosis may thus be restored. In addition to p53, degradation of other HDM2 client proteins may be inhibited.

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
DMF	25	76.12
DMSO	20	60.90
Ethanol	1	3.04

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.04 mL	15.22 mL	30.45 mL
5 mM	0.61 mL	3.04 mL	6.09 mL
10 mM	0.30 mL	1.52 mL	3.04 mL
50 mM	0.06 mL	0.30 mL	0.61 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. Jones RJ, Gu D, Bjorklund CC, Kuiatse I, Remaley AT, Bashir T, Vreys V, Orlowski RZ. The novel anticancer agent JNJ-26854165 induces cell death through inhibition of cholesterol transport and degradation of ABCA1. J Pharmacol Exp Ther. 2013 Sep;346(3):381-92. doi: 10.1124/jpet.113.204958. Epub 2013 Jul 2. Erratum in: J Pharmacol Exp Ther. 2013 Nov;347(2):540. PMID: 23820125; PMCID: PMC3876782.
2. Kojima K, Burks JK, Arts J, Andreeff M. The novel tryptamine derivative JNJ-26854165 induces wild-type p53- and E2F1-mediated apoptosis in acute myeloid and lymphoid leukemias. Mol Cancer Ther. 2010 Sep;9(9):2545-57. doi: 10.1158/1535-7163.MCT-10-0337. Epub 2010 Aug 24. PMID: 20736344; PMCID: PMC2949269.

In vivo study

1. Chargari C, Leteur C, Angevin E, Bashir T, Schoentjes B, Arts J, Janicot M, Bourhis J, Deutsch E. Preclinical assessment of JNJ-26854165 (Serdemetan), a novel tryptamine compound with radiosensitizing activity in vitro and in tumor xenografts. Cancer Lett. 2011 Dec 22;312(2):209-18. doi: 10.1016/j.canlet.2011.08.011. Epub 2011 Aug 22. PMID: 21937165.

2. Tabernero J, Dirix L, Schöffski P, Cervantes A, Lopez-Martin JA, Capdevila J, van Beijsterveldt L, Platero S, Hall B, Yuan Z, Knoblauch R, Zhuang SH. A phase I first-in-human pharmacokinetic and pharmacodynamic study of serdemetan in patients with advanced solid tumors. Clin Cancer Res. 2011 Oct 1;17(19):6313-21. doi: 10.1158/1078-0432.CCR-11-1101. Epub 2011 Aug 10. PMID: 21831953.

7. Bioactivity

Biological target:

Serdemetan suppresses the growth of cancer cell lines expressing wild-type p53 (IC50 values range from 240-440 nM). It induces p53-mediated transcription culminating in apoptotic death of acute leukemia cells.

In vitro activity

serdemetan may function by inhibiting cholesterol transport, offering a potential treatment approach for mantle cell lymphoma (MCL) and multiple myeloma (MM). Serdemetan inhibited proliferation in both wild-type and mutant p53 cell lines, inducing S phase cell cycle arrest. Serdemetan treatment led to cholesterol accumulation in endosomes in certain cells. MM and MCL cells showed reduced cholesterol efflux and lysosomal storage disease characteristics.

Reference: J Pharmacol Exp Ther. 2013 Sep;346(3):381-92. https://pubmed.ncbi.nlm.nih.gov/23820125/

In vivo activity

Serdemetan has potential as a radiosensitizer. Serdemetan caused a greater than additive increase in tumor growth delay. The dose enhancement factor was 1.9 and 1.6 for H460 and A549 tumors, respectively. Serdemetan inhibited proliferation, capillary tube formation, and migration of HMEC-1 cells. These effects were more marked concurrently with irradiation.

Reference: Cancer Lett. 2011 Dec 22;312(2):209-18. https://pubmed.ncbi.nlm.nih.gov/21937165/

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.