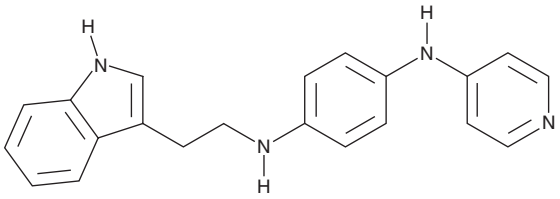
PRODUCT INFORMATION

JNJ-26854165

Item No. 16259

CAS Registry No.:	881202-45-5
Formal Name:	N^1-[2-(1H-indol-3-yl)ethyl]-N^4-4-pyridinyl-1,4-benzenediamine
Synonym:	Serdemetan
MF:	$C_{21}H_{20}N_4$
FW:	328.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 223, 284 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

JNJ-26854165 is supplied as a crystalline solid. A stock solution may be made by dissolving the JNJ-26854165 in the solvent of choice, which should be purged with an inert gas. JNJ-26854165 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of JNJ-26854165 in these solvents is approximately 1, 20, and 25 mg/ml, respectively.

JNJ-26854165 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, JNJ-26854165 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. JNJ-26854165 has a solubility of approximately 0.1 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The E3 ubiquitin ligase known as murine double minute 2 (MDM2; HDM2 in humans) binds to and then ubiquitinates several proteins, most notably the tumor suppressor p53.[1,2] JNJ-26854165 is an antagonist of MDM2 that suppresses the growth of cancer cell lines expressing wild-type p53 (IC_{50} values range from 240-440 nM).[3] It induces p53-mediated transcription culminating in apoptotic death of acute leukemia cells.[3] JNJ-26854165 is orally bioavailable and has anti-proliferative as well as apoptotic actions in various tumor models.[2] As MDM2 interacts with and modulates several targets in addition to p53, JNJ-26854165 affects multiple signaling pathways.[2,4,5]

References

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2. Yuan, Y., Liao, Y.-M., Hsueh, C.-T., *et al.* Novel targeted therapeutics: Inhibitors of MDM2, ALK and PARP. *J. Hematol. Oncol.* **4(16)**, 1-14 (2011).
3. Kojima, K., Burks, J.K., Arts, J., *et al.* The novel tryptamine derivative JNJ-26854165 induces wild-type p53- and E2F1-mediated apoptosis in acute myeloid and lymphoid leukemias. *Mol. Cancer Ther.* **9(9)**, 2545-2557 (2010).
4. Lehman, J.A., Hauck, P.M., Gendron, J.M., *et al.* Serdemetan antagonizes the Mdm2-HIF1a axis leading to decreased levels of glycolytic enzymes. *PLoS One* **8(9)**, 1-5 (2013).
5. Jones, R.J., Gu, D., Bjorklund, C.C., *et al.* The novel anticancer agent JNJ-26854165 induces cell death through inhibition of cholesterol transport and degradation of ABCA1. *J. Pharmacol. Exp. Ther.* **346(3)**, 381-392 (2013).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM