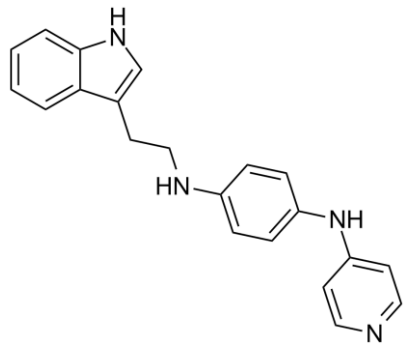
Product Name: JNJ-26854165 (Serdemetan)

Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties



Product Name:	JNJ-26854165 (Serdemetan)
Cas No.:	881202-45-5
M.Wt:	328.41
Formula:	C21H20N4
Synonyms:	JNJ 26854165
Chemical Name:	1-N-[2-(1H-indol-3-yl)ethyl]-4-N-pyridin-4-ylbenzene-1,4-diamine
Canonical SMILES:	C1=CC=C2C(=C1)C(=CN2)CCNC3=CC=C(C=C3)NC4=CC=NC=C4
Solubility:	Soluble in DMSO > 10 mM
Storage:	Store at -20°C
General tips:	For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets :	p53
Pathways:	Apoptosis >> p53

Description:

JNJ-26854165, also named as Serdemetan, is originally developed as an activator of p53, is now regarded as a novel oral Human Double Minute-2 (HDM-2) ubiquitin ligase antagonist. It can increase the level of HDM-2 client proteins, such as p53, by inhibiting the association of HDM-2-client protein complex with the proteosome. It is demonstrated potent anti-proliferative and apoptosis-inducing activity of JNJ-26854165 in a broad range of p53 wild type and mutant tumor models. In vivo, JNJ-26854165 may induce important differences in EFS distribution when comparing to control in 18 of 37 solid tumors and in 5 of 7 of the evaluable ALL xenografts.

***Reference**:*
J. Tabernero, L. Dirix, P. Schoffski, A. Cervantes, J. Capdevila, J. Baselga, L. van Beijsterveldt, H. Winkler, S. Kraljevic and S. H. Zhuang. Phase I pharmacokinetic (PK) and pharmacodynamic (PD) study of HDM-2 antagonist JNJ-26854165 in patients with advanced refractory solid tumors. Journal of Clinical Oncology (Meeting Abstracts) May 2009 vol. 27 no. 15S 3514
Malcolm A. Smith, Richard Gorlick, E. Anders Kolb, Richard Lock, Hernan Carol, John M. Maris, Stephen T. Keir, Christopher L. Morton, C. Patrick Reynolds, Min H. Kang, Janine Arts, Tarig Bashir, Michel Janicot, Raushan T. Kurmasheva, Peter J. Houghton. Initial testing of JNJ-26854165 (Serdemetan) by the pediatric preclinical testing program. Pediatric Blood & Cancer. Volume 59, Issue 2, pages 329–332, August 2012.

Protocol

Cell experiment:

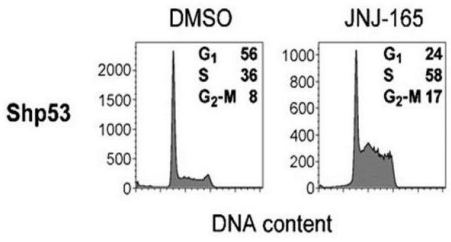
Cell lines	H460, A549 cells, and HMEC-1 endothelial cells
Preparation method	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.
Reacting conditions	
Applications	After 48 h treatment, Serdemetan inhibited cell proliferation with IC50 values of 3.9 μM, and 8.7 μM for H460 cells and A549 cells, respectively. Moreover, Serdemetan at 5 μM inhibited HMEC-1 endothelial cell migration.

Animal experiment [3]:

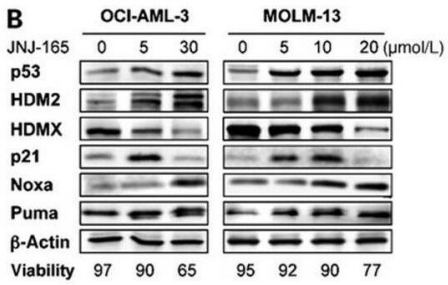
Animal models	H460 and A549 cells, injected in the right flank of nude mice were grown as tumor xenografts.
Dosage form	50 mg/kg; p.o. twice a week, for 2 weeks
Applications	Serdemetan treatment significantly enhanced radiation-induced growth delays in A549 and H460 xenograft tumors.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:
1Chargari, C., Leteur, C., Angevin, E., Bashir, T., Schoentjes, B., Arts, J., Janicot, M., Bourhis, J. and Deutsch, E. (2011) Preclinical assessment of JNJ-26854165 (Serdemetan), a novel tryptamine compound with radiosensitizing activity in vitro and in tumor xenografts. Cancer Lett. 312, 209-218

Product Validation



Treatment of JNJ26854165 induced G2-M arrest



Treatment of JNJ26854165 affects p53 and its downstream genes

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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