Product Name: NVP-AEW541

Revision Date: 6/30/2018

Product Data Sheet

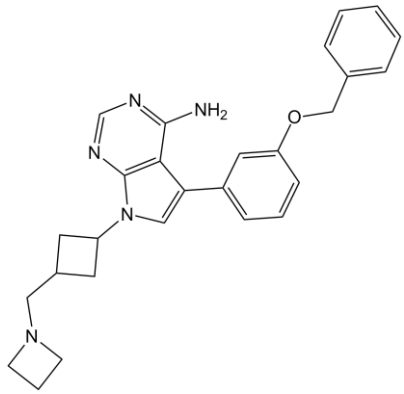
Chemical Properties

Product Name: NVP-AEW541

Cas No.: 475489-16-8

M.Wt: 439.55

Formula: C27H29N5O



Chemical Name: 7-[3-(azetidin-1-ylmethyl)cyclobutyl]-5-(3-phenylmethoxyphenyl)pyrrolo[2,3-d]pyrimidin-4-amine

Canonical SMILES: C1CN(C1)CC2CC(C2)N3C=C(C4=C3N=CN=C4N)C5=CC(=CC=C5)OCC6=CC=CC=C6

Solubility: ≥22mg/mL in DMSO

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 : Tyrosine Kinase

Pathways: IGF1R

Description:

NVP-AEW541 is a novel, potent and selective inhibitor of IGF-IR kinase with IC50 value of 0.086 μM [1].
NVP-AEW541 is a pyrrolo(2,3-d) pyrimidine derivative. It has been reported to abolish IGF-I-induced IGF-IR autophosphorylation and to block the IGF-IR signaling pathway mainly in ECC-1 and USPC-1 cancer cells. Also in these cell lines, NVP-AEW541 has been shown to change

the IGF-I induced cell cycle and to lead apoptotic cell death as well as exhibit antiproliferative effects [2]. In addition, it is observed that NVP-AEW541 can induce radiosensitization in PTEN wild-type cell lines [3].

***Reference**:*
[1] Carlos Garc a-Echeverr a, Mark A. Pearson, Andreas Marti, Thomas Meyer, Juergen Mestan, Johann Zimmermann, Jiaping Gao, Josef Brueggen, Hans-Georg Capraro, Robert Cozens, Dean B. Evans, Doriano Fabbro, Pascal Furet, Diana Graus Porta, Janis Liebetanz, Georg Martiny-Baron, Stephan Ruetz, and Francesco Hofmann. In vivo antitumor activity of NVP-AEW541—A novel, potent, and selective inhibitor of the IGF-IR kinase. Cancer Cell.2004 Mar (5):231-239.
[2] Zohar Attias-Geva, Itay Bentov, Ami Fishman, Haim Werner, Ilan Bruchim. Insulin-like growth factor-I receptor inhibition by speci c tyrosine kinase inhibitor NVP-AEW541 in endometrioid and serous papillary endometrial cancer cell lines. Gynecologic Oncology. 2011 Feb (121):383-389.
[3] Sofie F. Isebaert, Johannes V. Swinnen, William H. Mcbride, and Karin M. Haustermans. Insulin-like growth factor–type 1 receptor inhibitor NVP-AEW541 enhances radiosensitivity of PTEN wild-type but not PTEN-deficient human prostate cancer cells. International Journal of Radiation Oncology Biology Physics. 2011 (81):239-247.

Protocol

Cell experiment:

Cell lines	MCF-7 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	~ 10 μM; 72 hrs
Applications	In MCF-7 cells, NVP-AEW541 suppressed the IGF-I-mediated survival, soft agar and cell proliferation with IC50 values of 0.162 μM, 0.105 μM and 1.64 μM, respectively.

Animal experiment [3]:

Animal models	Female Harlan athymic nude mice with NWT-21 cells
Dosage form	20, 30, or 50 mg/kg; p.o.; twice daily, 7 days/week
Applications	NVP-AEW541 dose-dependently inhibited tumor growth with T/C values of 32%, 28% and 14% at the doses of 20 mg, 30 mg, or 50 mg, respectively. NVP-AEW541 was well tolerated at the indicated doses, and the recorded variations in body weight were not statistically

	significant.
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:
[1]. García-Echeverría C, Pearson MA, Marti A, et al. In vivo antitumor activity of NVP-AEW541-A novel, potent, and selective inhibitor of the IGF-IR kinase. Cancer Cell, 2004, 5(3): 231-239.

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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