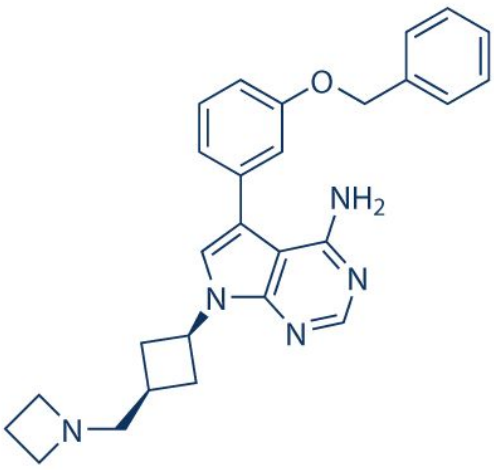
# Product Introduction

## NVP-AEW541

NVP-AEW541 is a potent inhibitor of **IGF-1R** with **IC50** of 86 nM, 27-fold greater selectivity for IGF-1R than InsR.

### Technical Data:

| | | |
|---|---|---|
| **Molecular Weight (MW):** | 439.55 |  |
| **Formula:** | $C_{27}H_{29}N_5O$ | |
| **Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:** | DMSO 88 mg/mL | |
| | Water <1 mg/mL | |
| | Ethanol <1 mg/mL | |
| **Purity:** | >98% | |
| **Storage:** | 3 years -20℃Powder | |
| | 6 months-80℃in DMSO | |
| **CAS No.:** | 475489-16-8 | |

### Biological Activity

NVP-AEW541 also inhibits InsR, Tek, Flt1 and Flt3 with IC50 of 140 nM, 530 nM, 600 nM and 420 nM in purified kinases/recombinant kinase domains assay. NVP-AEW541 is more selective and shows 27-fold more potent than InsR at the cellular level. NVP-AEW541 suppresses the IGF-I-mediated survival, soft agar and proliferation of MCF-7 cells with IC50 of 0.162 μM, 0.105 μM and 1.64 μM, respectively. NVP-AEW541 also reduces the level of phospho-IGF-1R and phospho-PKB in NWT-21 cells. [1] NVP-AEW541 shows growth inhibitory effect on TC-71 musculoskeletal sarcoma cells in low-serum

medium as well as in 10% FBS–containing medium. NVP-AEW541 inhibits cell cycle progression and induces specific G1 arrest in sarcoma cell lines (TC-71, SK-N-MC, SaoS-2, RD/18 and RH4). [2] NVP-AEW541 could inhibit the growth of human neuroblastoma cells with IC50 of 0.4-6.8 μM. An increase in the hypodiploid fraction and the depletion of the S and G2-M compartments could be detected in these cell lines. NVP-AEW541-driven inhibition of IGF-1R causes a reduction of phosphorylation of Akt, but not of Erk1 and Erk2 in neuroblastoma cells. [3] NVP-AEW541 inhibits glioma cell growth and disrupts the autocrine loop initiated by HIF1α stabilization. [4] A recent study shows that NVP-AEW541 suppresses the proliferation and viability of PC3, DU145, and 22Rv1 prostate cancer cells, without necessarity of associated cell death. NVP-AEW541 decreases phospho-Akt levels in 22Rv1 and DU415 cells but not PC3 cells, without affecting total Akt levels, which shows that PTEN status could determine the effectiveness of NVP-AEW541 with essential Akt. NVP-AEW541-induced radiosensization is dependent on Akt activation status. NVP-AEW541 could increase the H2AX phosphorylation (a measure of DSBs) in PC3, DU145, and 22Rv1 cells. [5]

NVP-AEW541 (50 mg/kg, p.o.) results in abrogation of basal and IGF-I-induced receptor, and PKB and MAPK phosphorylation, with T/C value of 14% in the NWT-21 tumor xenograft. [1] NVP-AEW541 (50 mg/kg) causes tumor shrinkage in both HTLA-230 and SK-N-BE2c xenografts, without signs of systemic toxicity. NVP-AEW541 could inhibit tumor invasion both in Matrigel-coated chambers and in HTLA-230 xenografts. [3]

## References


[1] García-Echeverría C, et al. Cancer Cell. 2004, 5(3), 231-

[2] Scotlandi K, et al. Cancer Res, 2005, 65(9), 3868-3876.

[3] Tanno B, et al. Clin Cancer Res. 2006, 12(22), 6772-6780.

[4] Gariboldi MB, et al. Biochem Pharmacol, 2010, 80(4), 455-462.

[5] Isebaert SF, et al. Int J Radiat Oncol Biol Phys, 2011, 81(1), 239-247.

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