

NVP-AEW541

Catalog No: tcsc0448

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 100mg

Size: 100mg

CAS No:
475489-16-8

Formula:

 $C_{27}H_{29}N_{5}O$

Pathway: Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target: IGF-1R;Insulin Receptor

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 51 mg/mL (116.03 mM)

Alternative Names:

AEW541

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Observed Molecular Weight:

439.55

Product Description

NVP-AEW541 is a potent inhibitor of IGF-1R with IC₅₀ of 0.15 μ M, also inhibits InsR, with IC₅₀ of 0.14 μ M.

IC50 & Target: IC50: 0.15 \pm 0.036 μ M (IGF-IR), 0.14 \pm 0.039 μ M (InsR), 0.42 \pm 0.11 μ M (Flt-3), 2 \pm 0.61 μ M (PDGFR), 2.4 \pm 0.38 μ M (c-Src), 3.3 \pm 1.4 μ M (c-Kit)^[1]

In Vitro: NVP-AEW541 inhibits the in vitro kinase activity of the recombinant IGF-IR kinase domain with an IC50 value of 0.15 μ M and to be equipotent against the recombinant InsR kinase domain. NVP-AEW541 is confirmed active toward the IGF-IR kinase (IC₅₀ = 86 nM) and shown to be selective at the cellular level. Indeed, NVP-AEW541 is found to be 27-fold more potent toward the native IGF-IR, as compared to the structurally related native InsR (IC₅₀=2.3 μ M). NVP-AEW541 suppresses the IGF-I-mediated survival, soft agar and proliferation of MCF-7 cells with IC₅₀ of 0.162 μ M, 0.105 μ M and 1.64 μ M, respectively^[1].

In Vivo: Oral administration of NVP-AEW541 (20, 30, or 50 mg/kg) results in abrogation of basal and IGF-I-induced receptor, and PKB and MAPK phosphorylation in the NWT-21 tumor xenograft^[1]. NVP-AEW541 is administered by oral gavage [50 mg/kg in 0.2 mL of 25 mM L-(+)-tartaric acid] twice a day for 14 consecutive days. The control group is similarly treated with 0.2 mL carrier [25 mM L-(+)-tartaric acid] twice a day. Tumor volume and animal weight are measured thrice a week till the end of the treatment. At that time, animals are sacrificed and tumors are collected and formalin fixed for histologic and immunohistochemical analyses. In both cases, NVP-AEW541 treatment causes tumor shrinkage that reached the statistical significance (P=0.0156 and P=0.0111 for HTLA-230 and SK-N-BE2c, respectively)^[2].



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