Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

NVP-TAE 226

Catalog No: tcsc0594

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
761437-28-9

Formula:
$C_{23}H_{25}ClN_6O_3$

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

Target:
Pyk2;FAK

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
TAE226

Observed Molecular Weight:
468.94

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Product Description

NVP-TAE 226 is a dual tyrosine kinase inhibitor of **FAK** (**IC_{50}**=5.5 nM) and **IGF-IR** (mean **IC_{50}**=0.14 μM).

IC50 & Target: IC50: 5.5 nM (FAK), 3.5 nM (Pyk2), 0.14 μM (IGF-IR), 0.16 μM (c-Met), 0.36 μM (KDR), 0.48 μM (Flt3)[1]

In Vitro: NVP-TAE 226 (TAE226), a potent ATP-competitive inhibitor of several tyrosine protein kinases, in particular FAK and IGF-IR kinases. In a cell-based kinase assays, FAK, IGF-IR kinase, and IR kinase are inhibited with an IC_{50} range of 100 to 300 nM compared with the other kinases tested, which are >10-fold less sensitive. In culture, NVP-TAE 226 inhibits extracellular matrix-induced autophosphorylation of FAK (Tyr^{395}). NVP-TAE 226 also inhibits IGF-I-induced phosphorylation of IGF-IR and activity of its downstream target genes such as *MAPK* and *Akt*. NVP-TAE 226 retards tumor cell growth as assessed by a cell viability assay and attenuates G_2-M cell cycle progression associated with a decrease in cyclin B1 and phosphorylated cdc2 (Tyr^{15}) protein expression. NVP-TAE 226 treatment inhibits tumor cell invasion by at least 50% compared with the control in an in vitro Matrigel invasion assay. Interestingly, TAE226 treatment of tumor cells containing wild-type p53 mainly exhibits G_2-M arrest, whereas tumor cells bearing mutant p53 underwent apoptosis[1].

In Vivo: Treatment with NVP-TAE 226 (TAE226) at 50 or 75 mg/kg extends the median survival of U87 xenograft animals by 6 and 7 days, respectively (P=0.084 and P=0.042, respectively, compared with vehicle-treated animals). However, NVP-TAE 226 treatment of LN229-engrafted animals significantly prolongs their median survival by 19 days (P[1].