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NVP-TAE 226

Cat. No.: HY-13203

CAS No.: 761437-28-9

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

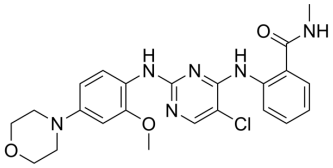
Molecular Weight: 468.94

Target: FAK; Pyk2; IGF-1R; Insulin Receptor; Apoptosis

Pathway: Protein Tyrosine Kinase/RTK; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 11.11 mg/mL (23.69 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1325 mL	10.6623 mL	21.3247 mL
	5 mM	0.4265 mL	2.1325 mL	4.2649 mL
	10 mM	0.2132 mL	1.0662 mL	2.1325 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1.11 mg/mL (2.37 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 1.11 mg/mL (2.37 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

NVP-TAE 226 (TAE226) is a potent and ATP-competitive dual FAK and IGF-1R inhibitor with IC_{50}s of 5.5 nM and 140 nM, respectively. NVP-TAE 226 (TAE226) also effectively inhibits Pyk2 and insulin receptor (InsR) with IC_{50}s of 3.5 nM and 44 nM, respectively[1][2].

IC_{50} & Target

IC50: 5.5 nM (FAK), 3.5 nM (Pyk2), 140 nM (IGF-IR), 40 nM (InsR), 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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<0.004 for both dosages, compared with vehicle-treated animals)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Glioma cell cultures are harvested with 0.05% trypsin and seeded in triplicate at 2×10^4 in 24-well culture plates for 24 h before drug treatment. Culture medium is used for mock treatment. Cells are harvested at the indicated day after treatment, and viable cells are counted using the Vi-cell viability analyzer. The antiproliferative activity of NVP-TAE 226 (ranging from 0.25 to 1 μM) on cells growing in culture is determined using a tetrazolium-based colorimetric MTT assay[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] Male nude mice used for this study are 6 to 8 weeks old. In DMEM/F12 serum-free media (5 μL), 5×10^5 of U87 cells and 1×10^6 of LN229 cells per mouse are implanted intracranially through a guide-screw system. Four days after injection of the tumor cells, mice are randomized into three groups for each cell line (n=6). Mice in group 1 are treated with 50 mg/kg NVP-TAE 226 in 200 μL of 0.5% methylcellulose, via an oral gavage. The mice in group 2 receive 75 mg/kg NVP-TAE 226 in 200 μL of 0.5% methylcellulose. The mice in group 3 the same vehicle used for administration of NVP-TAE 226 (control). Treatment frequency is once a day for 5 days and off for 2 days, for a duration of 4 weeks. Mice are monitored daily. Mice are euthanized when they are moribund, and the whole brain is extracted for rapid freezing in liquid nitrogen and storage at -70°C. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Gastric Cancer. 2023 Mar 23.
- iScience. 2023 Sep 7.
- PLoS One. 2014 Jun 10;9(6):e99083.
- DNA Cell Biol. 2016 Sep;35(9):480-8.
- Patent. US9719981B2.

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REFERENCES

[1]. Liu TJ, et al. Inhibition of both focal adhesion kinase and insulin-like growth factor-I receptor kinase suppresses glioma proliferation in vitro and in vivo. Mol Cancer Ther, 2007, 6(4), 1357-1367.

[2]. Delimont D, et al. Laminin α2-mediated focal adhesion kinase activation triggers Alport glomerular pathogenesis. PLoS One. 2014 Jun 10;9(6):e99083.

[3]. Lietha D, et al. Crystal structures of the FAK kinase in complex with TAE226 and related bis-anilino pyrimidine inhibitors reveal a helical DFG conformation. PLoS One. 2008;3(11):e3800.

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