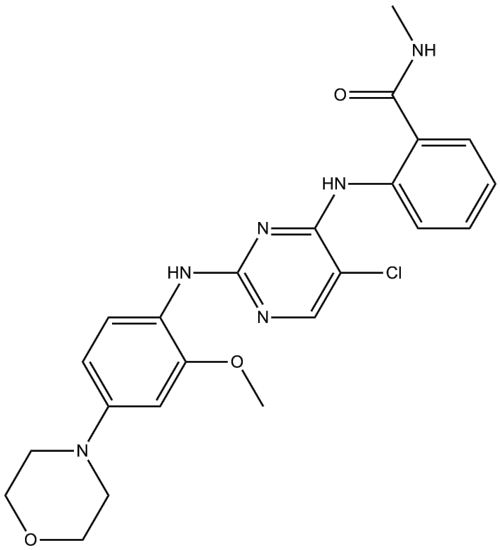
Product Data Sheet

Product Name: TAE226(NVP-TAE226)
Cat. No.: GC16821

Chemical Properties

Cas. No.	761437-28-9		
Chemical Name	2-[[5-chloro-2-(2-methoxy-4-morpholin-4-ylanilino)pyrimidin-4-yl]amino]-N-methylbenzamide		
SMILES	CNC(=O)C1=CC=CC=C1NC2=NC(=NC=C2Cl)NC3=C(C=C(C=C3)N4CCOCC4)OC		
Formula	$C_{23}H_{25}ClN_6O_3$	M.Wt	468.94
Solubility	≥ 23.45mg/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.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

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Cell lines	U87, U87/EGFR, and U87/EGFRvIII cell lines
Preparation Method	Cell lines were treated with different concentrations of TAE226 (1 and 10μM), and viable cells were counted at days 1, 3, and 5 after treatment using a trypan blue exclusion method.
Reaction Conditions	1 and 10μM; 1-5d
Applications	TAE226 treatment significantly retarded the growth of all cell lines tested in a concentration-dependent manner, albeit having no direct correlation between FAK and IGF-IR expression and sensitivity to TAE226 treatment. U87/EGFR and U87/EGFRvIII cells were more sensitive to TAE226. Day 5 after TAE226 treatment, there were 22%, 14%, and 17% of viable U87, U87/EGFR and U87/EGFRvIII cells over their untreated control, respectively.

Animal experiment [1]:

Animal models	Male nude mice implanted with U87 cells and LN229 cells, respectively
Preparation Method	Four days after injection of the tumor cells, mice were randomized into three groups for each cell line. Mice in group 1 were treated with 50mg/kg TAE226 in 200μL of 0.5% methylcellulose, via an oral gavage. The mice in group 2 received 75mg/kg TAE226 in 200μL of 0.5% methylcellulose. The mice in group 3 the same vehicle used for administration of TAE226 (control). Treatment frequency was once a day for 5 days and off for 2 days, for a duration of 4 weeks. Detecting animal survival rate.
Dosage form	50, 75mg/kg/d; p.o.; 5 days a week for 4 weeks

Caution: Product has not been fully validated for medical applications. For research use only.
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Product Data Sheet

Applications	Treatment with TAE226 at 50 or 75mg/kg extended the median survival of U87 xenograft animals by 6 and 7 days, respectively. TAE226 treatment of LN229-engrafted animals significantly prolonged their median survival by 19 and 25 days

References:
[1] Liu TJ, LaFortune T, Honda T, 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.

Background

TAE226(NVP-TAE226) is a potent ATP-competitive inhibitor that inhibits a variety of tyrosine protein kinases, especially FAK and IGF-IR kinases, with IC_{50} values of 5.5nM and 140nM, respectively. TAE226 antagonizes both FAK and IGF-IR signaling pathways, resulting in growth inhibition, cell cycle arrest, attenuation of tumor invasion, and induction of apoptosis. TAE226 also effectively inhibits Pyk2 and the insulin receptor (InsR), with IC_{50} values of 3.5nM and 44nM, respectively [1][2].

In vitro, treatment with 1 and 10μM TAE226 for 1–5 days inhibited the growth of U87, U87/EGFR, and U87/EGFRvIII cell lines in a dose-dependent manner, with U87/EGFR and U87/EGFRvIII cells showing greater sensitivity to TAE226[1].

In vivo, oral administration of TAE226 (50 or 75mg/kg) once daily for 5 days followed by 2 days off for 4 weeks extended the median survival of U87 xenograft animals by 6 and 7 days, respectively[1]. Oral administration of TAE226 (50 or 75mg/kg) once daily for 5 days followed by 2 days off for 4 weeks extended the median survival of LN229 xenograft animals by 19 and 25 days[1].

References:
[1] Liu TJ, LaFortune T, Honda T, 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.

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