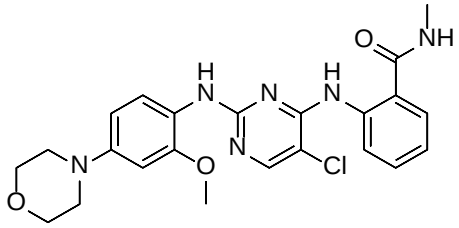
Product data sheet

MedKoo Cat#: 406127 Name: TAE226 CAS#: 761437-28-9 Chemical Formula: $C_{23}H_{25}ClN_6O_3$ Exact Mass: 468.1677 Molecular Weight: 468.94	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.



1. Product description:
TAE226 is a novel and potent ATP competitive inhibitor of FAK and IGF-IR with potential anticancer activity. TAE226 can block FAK and IGF-IR signaling pathways. TAE226 inhibited the phosphorylation of FAK as well as the downstream effectors AKT, extracellular signal-related kinase, and S6 ribosomal protein in multiple glioma cell lines. TAE226 induced a concentration-dependent decrease in cellular proliferation with an associated G(2) cell cycle arrest in every cell line and an increase in apoptosis in a cell-line-specific manner. TAE226 also decreased glioma cell adhesion, migration, and invasion through an artificial extracellular matrix.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	51.33	109.46
DMF	30.0	63.97
DMF:PBS (pH 7.2) (1:1)	0.50	1.07

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.13 mL	10.66 mL	21.32 mL
5 mM	0.43 mL	2.13 mL	4.26 mL
10 mM	0.21 mL	1.07 mL	2.13 mL
50 mM	0.04 mL	0.21 mL	0.43 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Fukami S, Tomioka D, Murakami Y, Honda T, Hatakeyama S. Pharmacological profiling of a dual FAK/IGF-1R kinase inhibitor TAE226 in cellular and in vivo tumor models. BMC Res Notes. 2019 Jun 18;12(1):347. doi: 10.1186/s13104-019-4389-7. PMID: 31215459; PMCID: PMC6582604.
2. Moritake H, Saito Y, Sawa D, Sameshima N, Yamada A, Kinoshita M, Kamimura S, Konomoto T, Nunoi H. TAE226, a dual inhibitor of focal adhesion kinase and insulin-like growth factor-I receptor, is effective for Ewing sarcoma. Cancer Med. 2019 Dec;8(18):7809-7821. doi: 10.1002/cam4.2647. Epub 2019 Nov 6. PMID: 31692287; PMCID: PMC6912025.

In vivo study

1. Fukami S, Tomioka D, Murakami Y, Honda T, Hatakeyama S. Pharmacological profiling of a dual FAK/IGF-1R kinase inhibitor TAE226 in cellular and in vivo tumor models. BMC Res Notes. 2019 Jun 18;12(1):347. doi: 10.1186/s13104-019-4389-7. PMID: 31215459; PMCID: PMC6582604.

2. Moritake H, Saito Y, Sawa D, Sameshima N, Yamada A, Kinoshita M, Kamimura S, Konomoto T, Nunoi H. TAE226, a dual inhibitor of focal adhesion kinase and insulin-like growth factor-I receptor, is effective for Ewing sarcoma. Cancer Med. 2019 Dec;8(18):7809-7821. doi: 10.1002/cam4.2647. Epub 2019 Nov 6. PMID: 31692287; PMCID: PMC6912025.

7. Bioactivity

Biological target: NVP-TAE 226 (TAE226) is a dual FAK and IGF-1R inhibitor with IC50s of 5.5 nM and 140 nM, respectively.

In vitro activity

Then, the effect of TAE226 on phosphorylation of FAK, Akt and ERK1/2 was evaluated using 4T1 cells in vitro. Phosphorylation of FAK at Y397, Akt at S473 and ERK was observed in 4T1 cells (Fig. 2a). TAE226 inhibited phosphorylation of FAK at Y397, resulting in suppression of phosphorylation of Akt at S473 and ERK1/2 in 4T1 cells 1 h after treatment (Fig. 2a).

Reference: BMC Res Notes. 2019 Jun 18;12(1):347. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6582604/

In vivo activity

Anti-tumor activity of TAE226 was evaluated in the MIA PaCa-2 subcutaneous and orthotopic xenograft models. Oral administration of TAE226 efficiently inhibited MIA PaCa-2 tumor growth at all doses tested. After 14 days treatment, T/C values were 50% at 10 mg/kg and 13% at 30 mg/kg, qd for 7×/week (Fig. 1c, Table S2). At a dose of 100 mg/kg, qd for 5×/week, tumor regression (17%) was observed (Fig. 1c). TAE226 also inhibited MIA PaCa-2 orthotopic tumor growth in pancreas dose-dependently (Fig. 1d).

Reference: BMC Res Notes. 2019 Jun 18;12(1):347. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6582604/