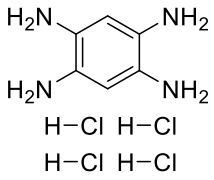
Product data sheet

MedKoo Cat#: 407352 Name: Y15 hydrochloride CAS#: 4506-66-5 (HCl) Chemical Formula: $C_6H_{14}C_{l4}N_4$ Molecular Weight: 284.006	
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:
Y15 hydrochloride, also known as FAK Inhibitor 14, is a direct FAK autophosphorylation inhibitor, blocking phosphorylation of Y397 with an IC50 value of about 1 μM. Y15 decreases viability, clonogenicity, and cell attachment in thyroid cancer cell lines and synergizes with targeted therapeutics. Y15 was shown to decrease cancer growth in vitro and in vivo.

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	20.1	70.77
Water	28.05	98.77

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.52 mL	17.61 mL	35.21 mL
5 mM	0.70 mL	3.52 mL	7.04 mL
10 mM	0.35 mL	1.76 mL	3.52 mL
50 mM	0.07 mL	0.35 mL	0.70 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. O'Brien S, Golubovskaya VM, Conroy J, Liu S, Wang D, Liu B, Cance WG. FAK inhibition with small molecule inhibitor Y15 decreases viability, clonogenicity, and cell attachment in thyroid cancer cell lines and synergizes with targeted therapeutics. Oncotarget. 2014 Sep 15;5(17):7945-59. doi: 10.18632/oncotarget.2381. PMID: 25277206; PMCID: PMC4202172.
2. Huang G, Ho B, Conroy J, Liu S, Qiang H, Golubovskaya V. The microarray gene profiling analysis of glioblastoma cancer cells reveals genes affected by FAK inhibitor Y15 and combination of Y15 and temozolomide. Anticancer Agents Med Chem. 2014 Jan;14(1):9-17. doi: 10.2174/18715206113139990141. PMID: 23387973; PMCID: PMC3883957.

In vivo study

1. Bergmann S, Elbahesh H. Targeting the proviral host kinase, FAK, limits influenza a virus pathogenesis and NFkB-regulated pro-inflammatory responses. Virology. 2019 Aug;534:54-63. doi: 10.1016/j.virol.2019.05.020. Epub 2019 Jun 1. PMID: 31176924.
2. Zhang H, Shao H, Golubovskaya VM, Chen H, Cance W, Adjei AA, Dy GK. Efficacy of focal adhesion kinase inhibition in non-small cell lung cancer with oncogenically activated MAPK pathways. Br J Cancer. 2016 Jul 12;115(2):203-11. doi: 10.1038/bjc.2016.190. Epub 2016 Jun 23. PMID: 27336608; PMCID: PMC4947704.

7. Bioactivity
Biological target:

Product data sheet

Y15 is an inhibitor of focal adhesion kinase (FAK).

In vitro activity

In each cell line Y15 inhibited pY397 and total FAK expression in a dose-dependent manner. TT was the most sensitive cell line with effective inhibition of pY397 expression by 3 μM Y15 (Figure 2A). TPC1 cells had inhibition of phosphorylated FAK and total FAK expression at 30 μM Y15 (Figure 2B). K1 had Y397-FAK and FAK inhibition at 50 μM (Figure 2C) and BCPAP had inhibition of pY397 and FAK expression levels at 40 μM Y15 (Figure 2D). Thus, Y15 decreased pY397 and total FAK levels in a dose-dependent manner, most in the medullary thyroid cancer cell line and to a lesser extent in the papillary thyroid cancer cell lines.

Reference: Oncotarget. 2014 Sep; 5(17): 7945–7959. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4202172/

In vivo activity

Although weight-loss was similar in both infected groups [Fig. 2B], three doses of Y15 were sufficient to significantly extend survival time by 3 days and increase survival [Fig. 2C]. Importantly, DMSO-treated mice either succumbed to the infection (4/8) or were euthanized based on reaching symptom end-points before reaching body weight-loss cut-off. In contrast, most (7/8) Y15-treated mice were euthanized due to reaching body weight-loss cut-off with mild symptoms being observed. These data indicate that short-term prophylactic intranasal administration of Y15 results in prolonged survival of an extremely susceptible host.

Reference: Virology. 2019 Aug;534:54-63. https://pubmed.ncbi.nlm.nih.gov/31176924/