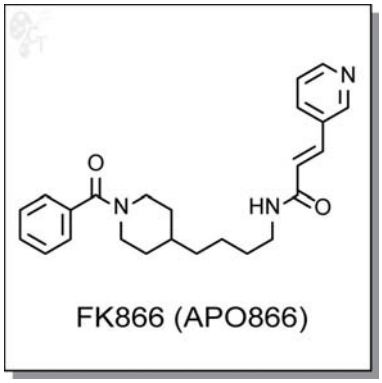
Product Specification Sheet

Product Name: FK866 (APO866)

Catalog Number: C3586



FK866 (APO866)

Technical information:

Chemical Formula:	$C_{24}H_{29}N_3O_2$
CAS #:	658084-64-1
Molecular Weight:	391.51
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 20 mM
Chemical Name:	(E)-N-(4-(1-benzoylpiperidin-4-yl)butyl)-3-(pyridin-3-yl)acrylamide
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.255mL of DMSO for each mg of FK866 (APO866).
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

FK866 (APO866) is a competitive inhibitor of pre-B cell colony-enhancing factor (PBEF), also known as nicotinamide phosphoribosyltransferase (NAMPT), by inhibiting binding of its natural substrate, nicotinamide. In MMP-3 assays, the IC50 of FK866 in the presence of 50 ng/mL NAMPT was found to be between 0.1 nM and 1 nM. When increasing the concentration of NAMPT to 200 ng/mL, the IC50 of FK866 also increased to between 10 and 100 nM. [1]

FK866 has shown to selectively induce cytotoxicity in hematologic malignant cells, but not normal hematopoetic progenitor cells. Furthermore, FK866 induces intracellular NAD and ATP depletion in hematologic tumor cells. [2]

FK866 has shown anti-inflammatory effects [1] in addition to its application in oncology. In order to increase its therapeutic effect, it was found that co-treatment with nicotinic acid can widen the safety window when compared to FK866 alone. [3]

Reference:

1. Evans et al., Suppression of Leukocyte Infiltration and Cartilage Degradation by Selective Inhibition of Pre-B Cell Colony-Enhancing Factor/Visfatin/Nicotinamide Phosphoribosyltransferase. Arthritis Rheum. 2011, 63(7), 1866-1877. Pubmed ID: 21400478
2. Nahimana et al., The NAD biosynthesis inhibitor APO866 has potent antitumor efficacy against hematologic malignancies. Blood 2009, 113, 3276-3286. Pubmed ID: 19196867
3. Olesen et al., A Preclinical Study on the Rescue of Normal Tissue by Nicotinic Acid in High-Dose Treatment with APO866, a Specific Nictoniamide Phosphoribosyltransferase Inhibitor. Mol. Cancer. Ther. 2010, 9, 1609-1617.

To reorder: http://www.cellagentech.com/FK866-APO866/

For Technical Support: technical@cellagentech.com

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