Product Data Sheet

Product Name: FK 866 hydrochloride
Cat. No.: GC15609

Chemical Properties

Cas. No.	2727965-45-7		
Chemical Name	(E)-N-(4-(1-benzoylpiperidin-4-yl)butyl)-3-(pyridin-3-yl)acrylamide hydrochloride		
SMILES	O=C(N1CCC(CCCCNC(/C=C\C2=CN=CC=C2)=O)CC1)C3=CC=CC=C3.Cl		
Formula	$C_{24}H_{29}N_3O_2$.HCl	M.Wt	427.97
Solubility	≥ 18.95mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	FK 866 hydrochloride		

Background

FK 866 hydrochloride is an inhibitor of nicotinamide phosphoribosyltransferase (NMPRTase) with IC50 values ranging between 0.09nM and 27.2nM [1].

NAD plays a vital role in numerous biochemical and biologic processes. Targeting NAD synthesis is thought to be a selective manner to kill cancer cells since cancer cells have a higher rate of NAD turnover compared with normal cells.

In the vitro MTT assay using a panel of 41 human hematologic cancer cell lines, most cancer cells are sensitive to low concentrations of FK866. Among these cancer cells, AML cells are most sensitive. FK866 is shown selective to human hematologic malignant cells and the normal human HPCs are resistant to FK866 treatment. It is found that FK866 induces cell death in a caspase-independent pathway but in a dose-dependent manner to induce mitochondrial membrane depolarization. Additionally, FK866 induces cell autophagy dependenting on de novo protein synthesis. FK866 also reduces ATP levels in ML-2 cells due to the inhibition of NAD synthesis. The antitumor efficacy of FK866 is also

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shown in the in vivo models. FK866 significantly prevents tumor growth both in mice xenografted subcutaneously with AML-M4 and Namalwa cells. Furthermore, FK866 clears tumor cells to below detectable levels and results in 80% survival for a long-term [1].

Reference:

[1] Nahimana A, Attinger A, Aubry D, Greaney P, Ireson C, Thougaard AV, Tj?rnelund J, Dawson KM, Dupuis M, Duchosal MA. The NAD biosynthesis inhibitor APO866 has potent antitumor activity against hematologic malignancies. Blood. 2009 Apr 2;113(14):3276-86.