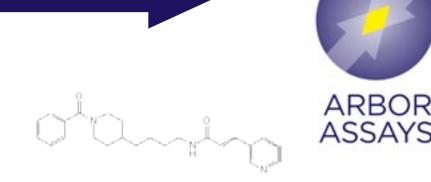
FK-866, HCI

Catalog Number P006-5MG Catalog Number P006-25MG

FEATURES

- Specific inhibitor of NAMPT
- Indirect inhibitor of SIRT1
- Causes gradual NAD⁺ depletion



INTRODUCTION

FK-866 is a selective inhibitor of the nicotinamide pathway dependent NAD⁺ synthesis, causing NAD⁺ depletion. Highly specific, non-competitive inhibitor of nicotinamide phosphoribosyltransferase (NAMPT/NAPRT) for both the substrate complex and the free enzyme (Ki=0.4 nM and Ki⁻=0.3 nM, respectively). NAD⁺ depletion by FK-866 directs delayed cell death by apoptosis in Hep-G₂ human liver carcinoma cells (IC50=~1 nM). Causes premature senescence in normal human smooth muscle cells, an effect that may be linked to decreased activity of the NAD⁺-dependent enzyme SIRT1.

| FORM: | White powder |
|-------------------|---|
| MOLECULAR WEIGHT: | 427.95 (as hydrochloride) |
| STORAGE: | -20°C, desiccated |
| FORMULA: | $C_{24}H_{30}N_3CIO_2$ |
| CAS NUMBER: | 658084-64-1 (as free base) |
| OTHER NAMES: | K 22.175, N-[4-(1-benzoyl-4-piperidinyl)butyl]-3-(3-pyridinyl)-2E-propenamide |
| USES: | Soluble to 25 mg/mL in DMSO and DMF, 40 mg/mL in water |

REFERENCES:

Hasmann, M. and Schemainda, I. FK866, a highly specific noncompetitive inhibitor of nicotinamide phosphoribosyltransferase, represents a novel mechanism for induction of tumor cell apoptosis. Cancer Res. 63, 7436-7442 (2003).

van der Veer, E., Ho, C., O'Neil, C., et al. Extension of human cell lifespan by nicotinamide phosphoribosyltransferase. J. Biol. Chem. 282:15, 10841-10845 (2007).

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