

Catalog # 10-4831 WP1066

CAS# 857064-38-1

(E)-3-(6-Pyridin-2-yl)-2-cyano-N-[(1S)-1-phenylethyl]prop-2-enamide Lot # FBS1124

WP1066 is an inhibitor of the JAK2/STAT3 pathway as well as STAT5 and AKT. 1,2,3 It downregulated Bcl-X_L, Mcl-1, and c-myc while activating Bax and inducing apoptosis. 1 Active against human malignant glioma U87-MG (IC₅₀ = 5.6µM) and U373-MG (IC₅₀ = 3.7µM) cells. Enhances T-cell cytotoxicity via inhibition of regulatory T-cells. 4,5 WP1066 abrogated PD-L1 expression in lymphoma cell lines. 6

- 1) Kong et al. (2005), Discovery of WP1066, a novel Stat3/c-myc inhibitor with potent antitumor activity against human melanoma in vitro and in vivo; Cancer Res. **65** 1387
- 2) Iwamaru et al. (2007), A novel inhibitor of the STAT3 pathway induces apoptosis in malignant glioma cells both invitro and in vivo; Oncogene **26** 2435
- 3) Ferrajoli et al. (2007), WP1066 Disrupts Janus Kinase-2 and Induces Caspase-Dependent Apoptosis in acute Myelogenous Leukemia Cells; Cancer Res. **67** 11291
- 4) Kong et al. (2008), A novel inhibitor of signal transducers and activators of transcription 3 activation is efficacious against established central nervous system melanoma and inhibits regulatory T cells; Clin.Cancer Res. **14** 5759
- 5) Kong et al. (2009), A novel phosphorylated STAT3 inhibitor enhances T cell cytotoxicity against melanoma through inhibition of regulatory T cells; Cancer Immunol.Immunother. **58** 1023
- 6) Ma et al. (2017), Stat3 inhibitor abrogates the expression of PD-1 ligands on Lymphoma cell lines; J.Clin.Exp.Hematop. 57 21

PHYSICAL DATA

Molecular Weight: 356.22

Molecular Formula: C₁₇H₁₄BrN₃O Purity: >98% by HPLC

NMR: (Conforms)

Solubility: DMSO (>25 mg/ml)

Physical Description: White solid

Storage and Stability: Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in

DMSO may be stored at -20°C for up to 1 month.

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