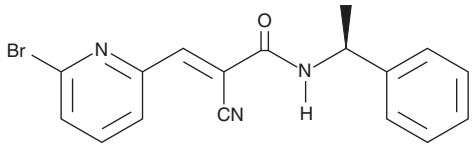
PRODUCT INFORMATION

WP1066

Item No. 14736

CAS Registry No.:	857064-38-1
Formal Name:	3-(6-bromo-2-pyridinyl)-2-cyano-N-[(1S)-1-phenylethyl]-2E-propenamide
Synonym:	STAT Inhibitor III
MF:	$C_{17}H_{14}BrN_3O$
FW:	356.2
Purity:	≥95%
UV/Vis.:	λ_{max}: 261, 313 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

WP1066 is supplied as a crystalline solid. A stock solution may be made by dissolving the WP1066 in the solvent of choice, which should be purged with an inert gas. WP1066 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of WP1066 in these solvents is approximately 10, 16, and 20 mg/ml, respectively.

WP1066 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, WP1066 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. WP1066 has a solubility of approximately 0.09 mg/ml in a 1:10 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

WP1066 is a cell-permeable inhibitor of STAT3 that directs dephosphorylation and nuclear export of constitutively phosphorylated STAT3 in U87-MG and U373-MG malignant glioma cells when given at a concentration of 10 µM.[1] It also induces apoptosis in U87-MG (IC_{50} = 5.6 µM) and U373-MG (IC_{50} = 3.7 µM) cells.[1] WP1066 is orally bioavailable, crosses the blood-brain barrier, and demonstrates *in vivo* activity, including immune activation as indicated by the up-regulation of CD80 and CD86 and the induction of proliferation of effector T cells.[2,3] In addition to inducing apoptosis in cancer cells, WP1066 suppresses vascular smooth muscle cell proliferation after vascular injury in mice and prevents seizures following brain injury in rats.[4,5]

References

1. Iwamura, A., Szymanski, S., Iwado, E., *et al.* A novel inhibitor of the STAT3 pathway induces apoptosis in malignant glioma cells both *in vitro* and *in vivo*. *Oncogene* **26(17)**, 2435-2444 (2007).
2. Hussain, S.F., Kong, L.Y., Jordan, J., *et al.* A novel small molecule inhibitor of signal transducers and activators of transcription 3 reverses immune tolerance in malignant glioma patients. *Cancer Res.* **67(20)**, 9630-9636 (2007).
3. Ferrajoli, A., Faderl, S., Van, Q., *et al.* WP1066 disrupts janus kinase-2 and induces caspase-dependent apoptosis in acute myelogenous leukemia cells. *Cancer Res.* **67(23)**, 11291-11299 (2007).
4. Daniel, J.M., Dutzmann, J., Bielenberg, W., *et al.* Inhibition of STAT3 signaling prevents vascular smooth muscle cell proliferation and neointima formation. *Basic Res. Cardiol.* **107(3)**, 261 (2012).
5. Grabenstatter, H.L., Cruz Del Angel, Y., Carlsen, J., *et al.* The effect of STAT3 inhibition on status epilepticus and subsequent spontaneous seizures in the pilocarpine model of acquired epilepsy. *Neurobiol. Dis.* **62**, 73-85 (2013).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM