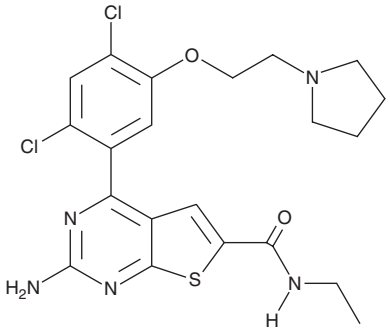
PRODUCT INFORMATION

NVP-BEP800

Item No. 18383

CAS Registry No.:	847559-80-2
Formal Name:	2-amino-4-[2,4-dichloro-5-[2-(1-pyrrolidinyl)ethoxy]phenyl]-N-ethyl-thieno[2,3-d]pyrimidine-6-carboxamide
MF:	$C_{21}H_{23}Cl_2N_5O_2S$
FW:	480.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 230, 264, 302, 345 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

NVP-BEP800 is supplied as a crystalline solid. A stock solution may be made by dissolving the NVP-BEP800 in the solvent of choice, which should be purged with an inert gas. NVP-BEP800 is soluble in organic solvents such as ethanol and dimethyl formamide (DMF). The solubility of NVP-BEP800 in these solvents is approximately 5 and 12 mg/ml, respectively.

NVP-BEP800 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, NVP-BEP800 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. NVP-BEP800 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

NVP-BEP800 is an inhibitor of heat shock protein 90 (Hsp90; IC_{50} = 58 nM for recombinant human Hsp90β).[1] It is selective for Hsp90 over the chaperones GRP94 and TRAP1 (IC_{50}s = 4.1 and 5.5 µM, respectively). NVP-BEP800 inhibits the growth of BT474, SK-BR-3, MCF-7, MDA-MB-157, MDA-MB-231, MDA-MB-468, and BT-20 breast cancer cells (GI_{50}s = 53, 56, 118, 89, 190, 173, and 162 nM, respectively). It reduces levels of the Hsp90 target proteins HER2 and Raf-1 in MCF-7 cells. NVP-BEP800 induces apoptosis and attenuates X-ray-induced increases in Hsp70 levels in T98G glioblastoma cells.[2] NVP-BEP800 (15-50 mg/kg) reduces tumor volume in A375 melanoma and BT474 breast cancer mouse xenograft models.[1] It also reduces tumor volume in an L1T2 Kaposi sarcoma mouse xenograft model.[3]

References

1. Massey, A.J., Schoepfer, J., Brough, P.A., *et al.* Preclinical antitumor activity of the orally available heat shock protein 90 inhibitor NVP-BEP800. *Mol. Cancer Ther.* **9(4)**, 906-919 (2010).
2. Wu, J., Wang, W., Shao, Q., *et al.* Irradiation facilitates the inhibitory effect of the heat shock protein 90 inhibitor NVP-BEP800 on the proliferation of malignant glioblastoma cells through attenuation of the upregulation of heat shock protein 70. *Exp. Ther. Med.* **8(3)**, 893-898 (2014).
3. Chen, W., Sin, S.-H., Wen, K.W., *et al.* Hsp90 inhibitors are efficacious against Kaposi Sarcoma by enhancing the degradation of the essential viral gene LANA, of the viral co-receptor EphA2 as well as other client proteins. *PLoS Pathog.* **8** (2012).

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