

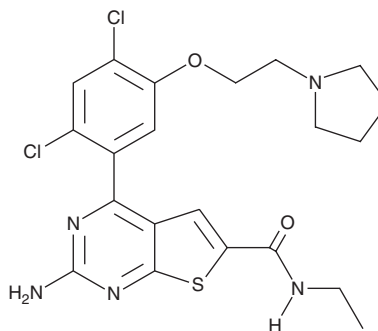
# PRODUCT INFORMATION



## 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<sub>21</sub>H<sub>23</sub>Cl<sub>2</sub>N<sub>5</sub>O<sub>2</sub>S  
**FW:** 480.4  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 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<sub>50</sub> = 58 nM for recombinant human Hsp90β).<sup>1</sup> It is selective for Hsp90 over the chaperones GRP94 and TRAP1 (IC<sub>50</sub>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<sub>50</sub>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.<sup>2</sup> NVP-BEP800 (15-50 mg/kg) reduces tumor volume in A375 melanoma and BT474 breast cancer mouse xenograft models.<sup>1</sup> It also reduces tumor volume in an L1T2 Kaposi sarcoma mouse xenograft model.<sup>3</sup>

### 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).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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