

# PRODUCT INFORMATION

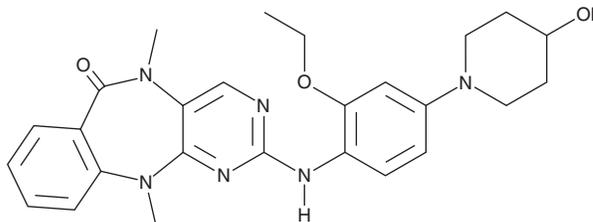


## XMD8-92

Item No. 19173

**CAS Registry No.:** 1234480-50-2  
**Formal Name:** 2-[[2-ethoxy-4-(4-hydroxy-1-piperidinyl)phenyl]amino]-5,11-dihydro-5,11-dimethyl-6H-pyrimido[4,5-b][1,4]benzodiazepin-6-one

**MF:** C<sub>26</sub>H<sub>30</sub>N<sub>6</sub>O<sub>3</sub>  
**FW:** 474.6  
**Purity:** ≥95%  
**UV/Vis.:** λ<sub>max</sub>: 210, 293 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

XMD8-92 is supplied as a crystalline solid. A stock solution may be made by dissolving the XMD8-92 in the solvent of choice. XMD8-92 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of XMD8-92 in these solvents is approximately 1 mg/ml.

### Description

XMD8-92 is an ERK5 inhibitor ( $K_d = 80$  nM) that less potently inhibits DCAMKL2, TNK1, and PIK4 ( $K_{d5} = 190, 890,$  and  $600$  nM, respectively) in a panel of 402 kinases.<sup>1</sup> It blocks ERK5 autophosphorylation, ERK5-mediated phosphorylation of promyelocytic leukemia protein (PML), and PML-dependent activation of p21.<sup>1</sup> XMD8-92 inhibits AP-1 transcriptional activity induced by MEK5-activated ERK5 but not that induced by Cdc37.<sup>2</sup> It inhibits bFGF-induced angiogenesis in a Matrigel™ plug assay in mice and reduces tumor growth in a HeLa mouse xenograft model when administered at a dose of 50 mg/kg twice per day.<sup>1</sup> XMD8-92 also binds bromodomain-containing protein 4 (BRD4;  $K_d = 170$  nM for BRD4 bromodomain 1).<sup>3</sup>

### References

1. Yang, Q., Deng, X., Lu, B., *et al.* Pharmacological inhibition of BMK1 suppresses tumor growth through promyelocytic leukemia protein. *Cancer Cell* **18(3)**, 258-267 (2010).
2. Erazo, T., Moreno, A., Ruiz-Babot, G., *et al.* Canonical and kinase activity-independent mechanisms for extracellular signal-regulated kinase 5 (ERK5) nuclear translocation require dissociation of Hsp90 from the ERK5-Cdc37 complex. *Mol. Cell Biol.* **33(8)**, 1671-1686 (2013).
3. Lin, E.C.K., Amantea, C.M., Nomanbhoy, T.K., *et al.* ERK5 kinase activity is dispensable for cellular immune response and proliferation. *Proc. Natl. Acad. Sci. U.S.A.* **113(42)**, 11865-11870 (2016).

#### 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.

#### WARRANTY AND LIMITATION OF REMEDY

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