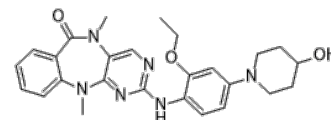


Product Name : XMD8-92
Cat. No. : PC-22834
CAS No. : 1234480-50-2
Molecular Formula : C₂₆H₃₀N₆O₃
Molecular Weight : 474.57
Target : ERK
Solubility : 10 mM in DMSO



Biological Activity

XMD8-92 is a specific small-molecule inhibitor of Big mitogen-activated protein kinase 1 (BMK1, ERK5) with K_d of 80 nM, IC₅₀ of 1.5 μM in cell-free assays.

XMD8-92 weakly inhibits TNK1 (IC₅₀ = 10 μM) and ACK1 (aka TNK2, IC₅₀ = 18 μM), does not inhibit MEK5, TNK1 and PLK4. XMD8-92 (1 μM) effectively blocks growth factor-induced activation of cellular BMK1, but not the structurally similar ERK1/2 in HeLa cells.

XMD8-92 dramatically reduced the BMK1-dependent transactivating activity of MEF2C, a known substrate for BMK1. XMD8-92 shows anti-tumor effect by blocking tumor cell proliferation and tumor-associated angiogenesis, through promyelocytic leukemia protein (PML).

References

Yang Q, et al. Cancer Cell. 2010 Sep 14;18(3):258-67.

Yang Q, et al. Clin Cancer Res. 2011 Jun 1;17(11):3527-32.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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