PRODUCT INFORMATION



LDN-193189

Item No. 11802

CAS Registry No.: 1062368-24-4

4-[6-[4-(1-piperazinyl)phenyl] Formal Name:

pyrazolo[1,5-a]pyrimidin-3-yl]-

quinoline

Synonym: DM-3189 MF: $C_{25}H_{22}N_6$ FW: 406.5 **Purity:** ≥95%

UV/Vis.: λ_{max} : 230, 332 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

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

Laboratory Procedures

LDN-193189 is supplied as a crystalline solid. A stock solution may be made by dissolving the LDN-193189 in the solvent of choice, which should be purged with an inert gas. LDN-193189 is slightly soluble in DMSO and chloroform.

Description

Normal development and tissue repair are controlled in part by SMADs, a family of intracellular proteins that are activated by signaling via serine/threonine kinase receptors of the TGF-β superfamily. LDN-193189 inhibits SMAD1/5/8 phosphorylation by the bone morphogenetic protein (BMP) type I receptors, which are known as activin receptor-like kinases (ALKs), with an IC₅₀ value of 4.9 nM.² In in vitro kinase assays, it shows specificity for ALK1, 2, 3, and 6 (IC_{50} s = 0.8, 0.8, 5.3, and 16.7 nM, respectively) over ALK4 and 5 $(IC_{50}s = 101 \text{ and } 350 \text{ nM}, \text{ respectively})$. LDN-193189 has been used to inhibit BMP type I receptor activity to study the pathogenesis of fibrodysplasia ossificans progressive, a congenital hyperossification disorder, and to examine the role of osteogenesis in prostate tumor metastases in bone.^{4,5}

References

- 1. Brivanlou, A.H. and Darnell, J.E., Jr. Signal transduction and the control of gene expression. Science 295, 813-818 (2002).
- Cuny, G.D., Yu, P.B., Laha, J.K., et al. Structure-activity relationship study of bone morphogenetic protein (BMP) signaling inhibitors. Bioorg. Med. Chem. Lett. 18(15), 4388-4392 (2008).
- 3. Sanvitale, C.E., Kerr, G., Chaikuad, A., et al. A new class of small molecule inhibitor of BMP signaling. PLoS One 8(4), 62721 (2013).
- 4. Yu, P.B., Deng, D.Y., Lai, C.S., et al. BMP type I receptor inhibition reduces heterotopic ossification. Nat. Med. 14(12), 1363-1369 (2008).
- 5. Lee, Y.-C., Cheng, C.-J., Bilen, M.A., et al. BMP4 promotes prostate tumor growth in bone through osteogenesis. Cancer Res. 71(15), 5194-5203 (2011).

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

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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