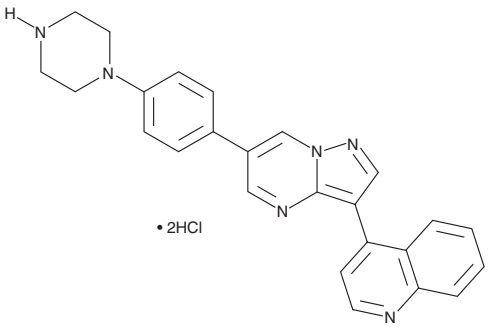
PRODUCT INFORMATION

LDN-193189 (hydrochloride)

Item No. 19396

CAS Registry No.: 1435934-00-1
Formal Name: 4-[6-[4-(1-piperazinyl)phenyl] pyrazolo[1,5-a]pyrimidin-3-yl]-quinoline, dihydrochloride
Synonym: DM-3189
MF: $C_{25}H_{22}N_6 \bullet 2HCl$
FW: 479.4
Purity: ≥98%
UV/Vis.: λ_{max}: 235, 290, 387 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

LDN-193189 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the LDN-193189 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. LDN-193189 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of LDN-193189 (hydrochloride) in these solvents is approximately 2 and 1 mg/ml, respectively.

LDN-193189 (hydrochloride) is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

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 IC_{50} value of 4.9 nM.[1] 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 and 350 nM, respectively).[2] 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.[3,4]

References

1. 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).
2. 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).
3. 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).
4. 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).

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