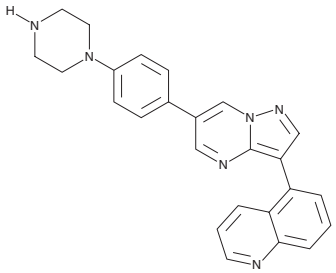
PRODUCT INFORMATION

LDN-212854

Item No. 17698

CAS Registry No.:	1432597-26-6
Formal Name:	5-[6-[4-(1-piperazinyl)phenyl] pyrazolo[1,5-a]pyrimidin-3-yl]-quinoline
MF:	$C_{25}H_{22}N_6$
FW:	406.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 203, 241, 302 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

LDN-212854 is supplied as a crystalline solid. A stock solution may be made by dissolving the LDN-212854 in the solvent of choice, which should be purged with an inert gas. LDN-212854 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of LDN-212854 in these solvents is approximately 20 and 10 mg/ml, respectively.

LDN-212854 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

Activation of bone morphogenetic protein (BMP) type I receptors, also known as activin receptor-like kinases (ALK1-7), leads to the assembly of SMAD complexes, which translocate to the nucleus to induce transcriptional activation important for normal development and tissue repair. LDN-212854 inhibits ALK2 (IC_{50} = 1.3 nM) in preference to other BMP type I receptors, ALK1 (IC_{50} = 2.4 nM) and ALK3 (IC_{50} = 85.8 nM) and with over 1,500-fold selectivity against the closely related activin and TGF-β type I receptors (*i.e.*, ALK4 and ALK5).[1] It has been shown to inhibit BMP6-induced osteogenic differentiation, which functions predominantly *via* ALK2, with an IC_{50} value of 10 nM.[1] LDN-212854 also demonstrates off-target activity against RIPK2, ABL1, and PDGFRβ kinases with IC_{50} values less than 100 nM.[1]

Reference

1. Mohedas, A.H., Xing, X., Armstrong, K.A., *et al*. Development of an ALK2-biased BMP type I receptor kinase inhibitor. *ACS Chem. Biol.* **8(6)**, 1291-1302 (2013).

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