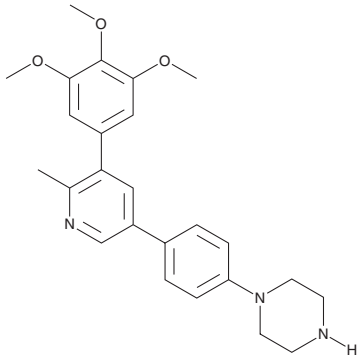
PRODUCT INFORMATION

LDN-214117

Item No. 18006



CAS Registry No.:	1627503-67-6
Formal Name:	1-[4-[6-methyl-5-(3,4,5-trimethoxyphenyl)-3-pyridinyl] phenyl]-piperazine
MF:	$C_{25}H_{29}N_3O_3$
FW:	419.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 300 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-214117 is supplied as a crystalline solid. A stock solution may be made by dissolving the LDN-214117 in the solvent of choice, which should be purged with an inert gas. LDN-214117 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of LDN-214117 in DMF is approximately 30 mg/ml and approximately 25 mg/ml in ethanol and DMSO.

LDN-214117 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LDN-214117 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. LDN-214117 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Bone morphogenetic proteins (BMPs) are secreted signaling proteins, many of which are involved in various developmental processes, in addition to bone formation. Activation of 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-214117 is a selective BMP type I receptor kinase ALK1 and ALK2 inhibitor (IC_{50}s = 24 nM for each).[1] It demonstrates preference for ALK1 and ALK2 over ALK3 (IC_{50} = 1.17 µM) and other related activin and TGF-β type I receptors (IC_{50}s = 3, 0.1, and 16 µM for ALK5, BMP6, and TGF-β, respectively).[1]

Reference

1. Mohedas, A.H., Wang, Y., Sanvitale, C.E., *et al.* Structure-activity relationship of 3,5-diaryl-2-aminopyridine ALK2 inhibitors reveals unaltered binding affinity for fibrodysplasia ossificans progressiva causing mutants. *J. Med. Chem.* **59(19)**, 7900-7915 (2014).

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