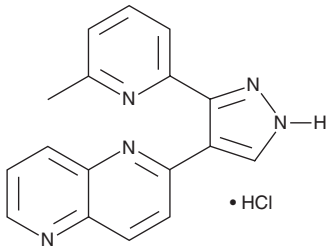
PRODUCT INFORMATION

ALK5 Inhibitor II (hydrochloride)

Item No. 15526

CAS Registry No.:	2319939-07-4
Formal Name:	2-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-1,5-naphthyridine, monohydrochloride
Synonyms:	E 616452, RepSox, SJN 2511
MF:	$C_{17}H_{13}N_5$ • HCl
FW:	323.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 332 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

ALK5 inhibitor II (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the ALK5 inhibitor II (hydrochloride) in the solvent of choice, which should be purged with an inert gas. ALK5 inhibitor II (hydrochloride) is soluble in the organic solvent ethanol at a concentration of approximately 0.5 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of ALK5 inhibitor II (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of ALK5 inhibitor II (hydrochloride) in PBS (pH 7.2) is approximately 0.2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

ALK5 inhibitor II is a cell permeable, selective inhibitor of the TGF-β type 1 activin like kinase receptor ALK5 (IC_{50}s = 4, 18, and 23 nM for ALK5 autophosphorylation, TGF-β cellular assay, and ALK5 binding in HepG2 cells, respectively).[1] This inhibitor demonstrated less potent activity (IC_{50}s > 16 µM) when tested against a panel of 9 related kinases, including p38 MAPK and GSK3.[1] At 25 µM, this compound has been used to induce stem cell pluripotency by replacing the reprogramming transcription factor *Sox2 via* inhibition of the TGF-β signaling pathway and induction of *Nanog* transcription.[2] This product is a hydrochloride form of the compound. A non-hydrochloride version of ALK5 inhibitor II (Item No. 14794) is also available.

References

1. Gellibert, F., Wollven, J., Fouchet, M.-H., *et al.* Identification of 1,5-naphthyridine derivatives as a novel series of potent and selective TGF-β type I receptor inhibitors. *J. Med. Chem.* **47(18)**, 4494-4506 (2004).
2. Ichida, J.K., Blanchard, J., Lam, K., *et al.* A small-molecule inhibitor of TGF-β signaling replaces *Sox2* in reprogramming by inducing *Nanog*. *Cell Stem Cell* **5(5)**, 491-503 (2009).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM