Small Molecules

RepSox (Hydrochloride)

Activin/BMP/TGF-β pathway inhibitor;
Inhibits ALK5

Catalog #72392 5 mg
72394 25 mg

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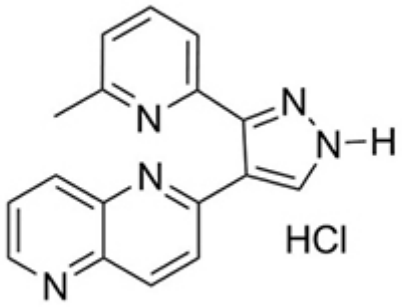
Product Description

RepSox is a cell-permeable, selective inhibitor of the TGF-β type 1 receptor (TGFβRI) ALK5 (IC_{50} = 4, 18, and 23 nM for ALK5 autophosphorylation, TGF-β cellular assay, and ALK5 binding in HepG2 cells, respectively; Gellibert et al.). This inhibitor demonstrated less potent activity (IC_{50} > 16 µM) against 9 related kinases, including p38 MAPK and GSK3 (Gellibert et al.). This product is supplied as the hydrochloride salt of the molecule.

Molecular Name:	RepSox (Hydrochloride)
Alternative Names:	Alk 5 Inhibitor II; E 616452; SJN 2511
CAS Number:	2319939-07-4
Chemical Formula:	$C_{17}H_{13}N_5 \cdot HCl$
Molecular Weight:	323.8 g/mol
Purity:	≥ 98%
Chemical Name:	2-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-1,5-naphthyridine, monohydrochloride
Structure:	



Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	• Absolute ethanol ≤ 1.5 mM • PBS (pH 7.2) ≤ 610 µM

For example, to prepare a 1 mM stock solution in absolute ethanol, resuspend 5 mg in 15.4 mL of absolute ethanol.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in absolute ethanol at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final ethanol concentration above 0.1% due to potential cell toxicity.

Published Applications

REPROGRAMMING

- Enhances reprogramming of mouse embryonic fibroblasts that have been transduced with OCT4, KLF4, and c-MYC (Ichida et al.; Subramanyam et al.).
- Direct lineage reprogramming of fibroblasts to mature neurons, in combination with CHIR99021 (Catalog #72052), Valproic Acid (Catalog #72292), Forskolin (Catalog #72112), SP600125 (Catalog #72642), Gö6983 (Catalog #72462) and Y-27632 (Catalog #72302) (Hu et al.).

DIFFERENTIATION

- Replaces SOX2 in the reprogramming of mouse fibroblasts to induced pluripotent stem (iPS) cells (Ichida et al.).
- Alone or in combination with Forskolin (Catalog #72112), Dexamethasone (Catalog #72092), and Nicotinamide (Catalog #07154), induces differentiation of human pancreatic progenitor cells into insulin-producing cells (Kunisada et al.; Rezania et al.).

References

Gellibert F et al. (2004) Identification of 1,5-naphthyridine derivatives as a novel series of potent and selective TGF-beta type I receptor inhibitors. J Med Chem 47(18): 4494–506.

Hu W et al. (2015) Direct conversion of normal and Alzheimer's Disease human fibroblasts into neuronal cells by small molecules. Cell Stem Cell 17(2): 204–12.

Ichida JK et al. (2009) A small-molecule inhibitor of TGF-beta signaling replaces Sox2 in reprogramming by inducing Nanog. Cell Stem Cell 5(5): 491–503.

Kunisada Y et al. (2012) Small molecules induce efficient differentiation into insulin-producing cells from human induced pluripotent stem cells. Stem Cell Res 8(2): 274–84.

Rezania A et al. (2011) Production of functional glucagon-secreting α-cells from human embryonic stem cells. Diabetes 60(1): 239–47.

Subramanyam D et al. (2011) Multiple targets of miR-302 and miR-372 promote reprogramming of human fibroblasts to induced pluripotent stem cells. Nat Biotechnol 29(5): 443–8.

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