

## Small Molecules

### RepSox (Hydrochloride)

Activin/BMP/TGF- $\beta$  pathway inhibitor;  
Inhibits ALK5

Catalog # 72392  
72394

5 mg  
25 mg



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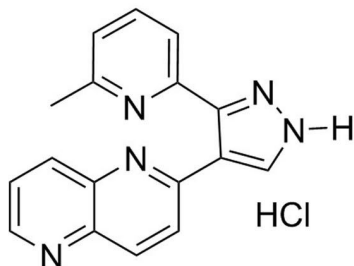
INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM

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## Product Description

RepSox is a cell-permeable, selective inhibitor of the TGF- $\beta$  type 1 receptor (TGF $\beta$ RI) ALK5 ( $IC_{50}$  = 4, 18, and 23 nM for ALK5 autophosphorylation, TGF- $\beta$  cellular assay, and ALK5 binding in HepG2 cells, respectively; Gellibert et al.). This inhibitor demonstrated less potent activity ( $IC_{50}$  > 16  $\mu$ M) 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:	N/A
Chemical Formula:	$C_{17}H_{13}N_5 \cdot HCl$
Molecular Weight:	323.8 g/mol
Purity:	$\geq$ 98%
Chemical Name:	2-(3-(6-methylpyridin-2-yl)-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:	<ul style="list-style-type: none"><li>· Absolute ethanol <math>\leq</math> 1.5 mM</li><li>· PBS (pH 7.2) <math>\leq</math> 610 <math>\mu</math>M</li></ul> 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

- Alone or in combination with forskolin Dexamethasone (Catalog #72092), and Nicotinamide (Catalog #07154), induces differentiation of human pancreatic progenitor cells into insulin-producing cells (Kunisada et al.; Reznia 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.
- Reznia A et al. (2011) Production of functional glucagon-secreting  $\alpha$ -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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