



Xcess Biosciences Inc.

7144 N Harlem Ave #169
Chicago, IL 60631 USA

<http://www.xcessbio.com>

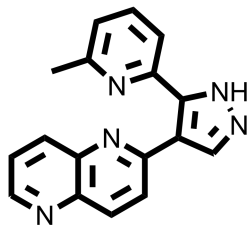
Toll free: 1-866-706-2330

Fax: 1-619- 810-0718

Email: info@xcessbio.com

TGF- β Type I Receptor ALK5 Inhibitor – RepSox

Chemical Name: 2-(5-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl)-1,5-naphthyridine



Molecular Weight:	287.32
Formula:	C ₁₇ H ₁₃ N ₅
Purity:	≥98%
CAS#:	446859-33-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

RepSox (E-616452 or SJN 2511) is a highly potent, selective inhibitor of the TGF- β type I receptor ALK5 with IC₅₀ of 23 nM and 4 nM for ATP binding to ALK5 and ALK5 autophosphorylation, respectively. It has good selectivity for ALK5 over a range of kinases, including p38 MAPK, JNK1 and GSK3 (IC₅₀ > 16 μ M). RepSox is able to successfully replace Sox2 in reprogramming by inhibiting transforming growth factor- β (Tgf- β) signaling, which in turn induces Nanog expression. Effect of RepSox inducing reprogramming does not require chromatin remodeling. RepSox is found to be efficient at generating iPSCs.

How to Use:

In vitro: RepSox was used at 1-25 μ M final concentration in vitro and in stem cell reprogramming.

In vivo: RepSox is able to contribute to forming chimeric embryos in vivo when injected into blastocysts. A one-day treatment with RepSox is sufficient to replace transgenic Sox2.

Reference:

1. Gellibert F, et al. Identification of 1,5-naphthyridine derivatives as a novel series of potent and selective TGF-beta type I receptor inhibitors. (2004) J Med Chem. 47(18):4494-506.
2. Ichida JK, et al. A small-molecule inhibitor of tgf-Beta signaling replaces sox2 in reprogramming by inducing nanog. (2009) Cell Stem Cell. 5(5):491-503.
3. Li W, et al. Generation of rat and human induced pluripotent stem cells by combining genetic reprogramming and chemical inhibitors. (2009) Cell Stem Cell. 4(1):16-9.
4. Liu X, et al. Sequential introduction of reprogramming factors reveals a time-sensitive requirement for individual factors and a sequential EMT-MET mechanism for optimal reprogramming. (2013) Nat Cell Biol. 15(7):829-38.
5. Hou P, et al. Pluripotent stem cells induced from mouse somatic cells by small-molecule compounds. (2013) Science. 341(6146):651-4.

Products are for research use only. Not for human use.