Revision 1

Store at -20C

#14775

SB431542

1 mg

Cell Signaling TECHNOLOGY®

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Background

SB431542 is a potent and selective ATP-competitive inhibitor of the transforming growth factor β1 (TGF-β1) activin receptor-like kinases (ALK) -4, -5, and -7 (1-3). Research studies using cell-free kinase assays show that SB431542 inhibits ALK4 and ALK5 with IC_{50} values of 140 nM and 94 nM, respectively, and ALK7 with slightly less potency (2,3). The SB431542 inhibitor displays a 100-fold greater selectivity for ALK5 than 25 other kinases, including p38 MAPK and JNK1 (3). SB431542 inhibits Smad2 signaling induced by TGF-β and activin, but has no effect on BMP-induced Smad1 activation mediated by ALK -2, -3, and -6 (3,4). Additional studies show that SB431542 enhances the proliferation and integrity of ESC-derived endothelial cells (5).

Molecular Formula

$C_{22}H_{16}N_4O_3$

Molecular Weight

384.4 g/mol

Purity

>98%

CAS

301836-41-9

Solubility

Soluble in DMSO at 30mg/ml and EtOH at 3mg/ml

Storage

Store lyophilized or in solution at -20ºC, desiccated. Protect from light. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. *Aliquot to avoid multiple freeze/thaw cycles.*

Directions for Use

SB431542 is supplied as a lyophilized powder. For a 10 mM stock, reconstitute the 1 mg in 260.15 µl DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used as a pretreatment at 1-10 µM for 0.5-2 hr prior to treating with a stimulator. It can also be used alone, with varying treatment times lasting up to 24 hr.

Background References

1. Callahan, J.F. et al. (2002) *J Med Chem* 45, 999-1001.
2. Laping, N.J. et al. (2002) *Mol Pharmacol* 62, 58-64.
3. Inman, G.J. et al. (2002) *Mol Pharmacol* 62, 65-74.
4. Daly, A.C. et al. (2008) *Mol Cell Biol* 28, 6889-902.
5. Watabe, T. et al. (2003) *J Cell Biol* 163, 1303-11.

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