Revision 1	
50 SB431542	
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SB431542 is a potent and selective ATP-competitive inhibitor of the transforming growth factor β 1 (TGF- β 1) 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).
C ₂₂ H ₁₆ N ₄ O ₃
384.4 g/mol
>98%
301836-41-9
Soluble in DMSO at 30mg/ml and EtOH at 3mg/ml
Store lyophilized or in solution at -20°C, 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. <i>Aliquot to avoid multiple freeze/thaw cycles.</i>
SB431542 is supplied as a lyophilized powder. For a 10 mM stock, reconstitute the 1 mg in 260.15 μ l 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 μ M 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.
1. Callahan, J.F. et al. (2002) <i>J Med Chem</i> 45, 999-1001. 2. Laping, N.J. et al. (2002) <i>Mol Pharmacol</i> 62, 58-64. 3. Inman, G.J. et al. (2002) <i>Mol Pharmacol</i> 62, 65-74. 4. Daly, A.C. et al. (2008) <i>Mol Cell Biol</i> 28, 6889-902. 5. Watabe, T. et al. (2003) <i>J Cell Biol</i> 163, 1303-11.
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