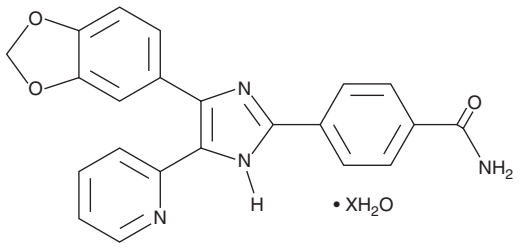
PRODUCT INFORMATION

SB-431542 (hydrate)

Item No. 13031

Formal Name:	4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-benzamide, hydrate
MF:	$C_{22}H_{16}N_4O_3 \bullet XH_2O$
FW:	384.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 329 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SB-431542 (hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the SB-431542 (hydrate) in the solvent of choice, which should be purged with an inert gas. SB-431542 (hydrate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SB-431542 (hydrate) in ethanol is approximately 2 mg/ml and approximately 20 mg/ml in DMSO and DMF.

SB-431542 (hydrate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SB-431542 (hydrate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SB-431542 (hydrate) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SB-431542 is a potent and selective inhibitor of the TGF-β1 receptor ALK5 (IC_{50} = 94 nM).[1] It is a less potent antagonist of ALK4 (IC_{50} = 140 nM)[2] and ALK7.[3] It does not affect the BMP receptors ALK2, ALK3, ALK6, or a panel of other kinases tested.[3] SB-431542 specifically blocks Smad signaling, reducing gene expression relevant to fibrosis and cancer.[3] Through its effects on ALK/Smad signaling, SB-431542 suppresses renewal in embryonic and induced pluripotent stem cells and promotes their differentiation.[4,5]

References

1. Callahan, J.F., Burgess, J.L., Fornwald, J.A., *et al.* Identification of novel inhibitors of the transforming growth factor β1 (TGF-β1) type 1 receptor (ALK5). *J. Med. Chem.* **45(5)**, 999-1001 (2002).
2. Laping, N.J., Grygielko, E., Mathur, A., *et al.* Inhibition of Transforming Growth Factor (TGF)-β1-Induced Extracellular Matrix with a Novel Inhibitor of the TGF-β Type I Receptor Kinase Activity: SB-431542. *Mol. Pharmacol.* **62(1)**, 58-64 (2002).
3. Inman, G.J., Nicolás, F.J., Callahan, J.F., *et al.* SB-431542 is a potent and specific inhibitor of transforming growth factor-β superfamily type I activin receptor-like kinase (ALK) receptors ALK4, ALK5, and ALK7. *Mol. Pharmacol.* **62(1)**, 65-74 (2002).
4. James, D., Levine, A.J., Besser, D., *et al.* TGFβ/activin/nodal signaling is necessary for the maintenance of pluripotency in human embryonic stem cells. *Development* **132**, 1273-1282 (2005).
5. Chambers, S.M., Fasano, C.A., Papapetrou, E.P. , *et al.* Highly efficient neural conversion of human ES and iPS cells by dual inhibition of SMAD signaling. *Nat. Biotech.* **27(3)**, 275-280 (2009).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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