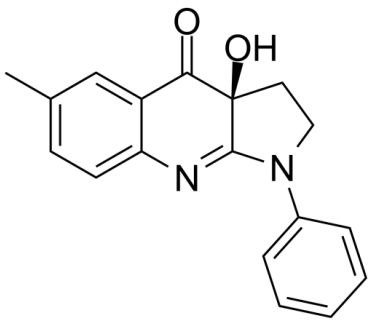
Data Sheet

Product Name:	(-)-Blebbistatin
Cat. No.:	CS-4983
CAS No.:	856925-71-8
Molecular Formula:	C18H16N2O2
Molecular Weight:	292.33
Target:	Myosin
Pathway:	Cytoskeleton
Solubility:	DMSO : 5.2 mg/mL (17.79 mM; Need ultrasonic and warming); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

(-)-Blebbistatin is an S enantiomer of blebbistatin. Blebbistatin is a potent and selective **myosin II** inhibitor with **IC_{50}** values ranging from 0.5 to 5 μM. IC50 & Target: IC50: 0.5 to 5 μM (myosin II)[1] **In Vitro:** Blebbistatin potently inhibits several striated muscle myosins as well as vertebrate nonmuscle myosin IIA and IIB with IC_{50} values ranging from 0.5 to 5 μM. Smooth muscle myosin is only poorly inhibited (IC_{50}=80 μM)[1]. Blebbistatin does not compete with nucleotide binding to the skeletal muscle myosin subfragment-1. The inhibitor preferentially binds to the ATPase intermediate with ADP and phosphate bound at the active site, and it slows down phosphate release. It blocks the myosin heads in a products complex with low actin affinity[2]. In culture-activated hepatic stellate cells, blebbistatin is found to change both cell morphology and function. Stellate cells become smaller, acquire a dendritic morphology and have less myosin IIA-containing stress fibres and vinculin-containing focal adhesions. Blebbistatin impairs silicone wrinkle formation, reduces collagen gel contraction and blocks endothelin-1-induced intracellular Ca^{2+} release. It promotes wound-induced cell migration[3]. **In Vivo:** Blebbistatin dose-dependently and completely relax both KCl- and carbachol-induced rat detrusor and endothelin-1-induced human bladder contraction. Pre-incubation with 10 μM blebbistatin attenuates carbachol responsiveness by 65% while blocking electrical field stimulation-induced bladder contraction reaching 50% inhibition at 32 Hz[4].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [3]Freshly isolated HSCs are replated on 96-well plate. At day 3, medium is replaced by serum-free medium and cells are starved overnight, treated with or without blebbistatin (25 μM) for 2 h followed by stimulation with platelet-derived growth factor-BB (20 ng/mL). After an overnight incubation, the WST-1 cell proliferation assay are performed[3].

References:

[1]. Limouze J, et al. Specificity of blebbistatin, an inhibitor of myosin II. J Muscle Res Cell Motil. 2004;25(4-5):337-41.

[2]. Kovács M, et al. Mechanism of blebbistatin inhibition of myosin II. J Biol Chem. 2004 Aug 20;279(34):35557-63.

[3]. Liu Z, et al. Blebbistatin inhibits contraction and accelerates migration in mouse hepatic stellate cells. Br J Pharmacol. 2010 Jan 1;159(2):304-15.

[4]. Zhang X, et al. In vitro and in vivo relaxation of urinary bladder smooth muscle by the selective myosin IIinhibitor, blebbistatin. BJU Int. 2011 Jan;107(2):310-7.

CAIndexNames:

4H-Pyrrolo[2,3-b]quinolin-4-one, 1,2,3,3a-tetrahydro-3a-hydroxy-6-methyl-1-phenyl-, (3aS)-

SMILES:

CC1=CC=C(N=C(N(C2=CC=CC=C2)CC3)[C@]3(O)C4=O)C4=C1

Caution: Product has not been fully validated for medical applications. For research use only.

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