

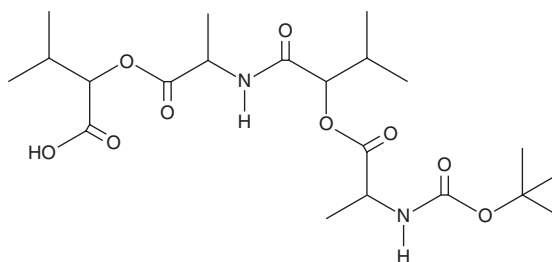
PRODUCT INFORMATION



NSC 668036

Item No. 23499

CAS Registry No.: 144678-63-7
Formal Name: N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-(2S)-2-hydroxy-3-methylbutanoyl-L-alanine, (1S)-1-carboxy-2-methylpropyl ester
MF: C₂₁H₃₆N₂O₉
FW: 460.5
Purity: ≥98%
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

NSC 668036 is supplied as a crystalline solid. A stock solution may be made by dissolving the NSC 668036 in the solvent of choice. NSC 668036 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of NSC 668036 in ethanol and DMF is approximately 33 mg/ml and approximately 25 mg/ml in DMSO.

NSC 668036 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, NSC 668036 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. NSC 668036 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

NSC 668036 is an inhibitor of the Dishevelled (Dvl) protein PDZ domain ($K_d = 240 \mu\text{M}$ for mouse Dvl1 PDZ domain).¹ NSC 668036 interacts with Dvl PDZ at the same binding site as Dapper and Frizzled, native binding partners in the Wnt signaling pathway. NSC 668036 (180 ng) reduces expression of the Wnt target gene, *Siamois*, in *Xenopus* embryos and inhibits the ability of injected Wnt3A to induce formation of a secondary axis. At concentrations greater than 10 μM , NSC 668036 inhibits proliferation of NIH/3T3 fibroblasts, restrains their migration in a scratch assay, and blocks the accelerating effect of TGF- β on fibroblast migration.² NSC 668036 (5 mg/kg per day for 14 days) reduces the severity of pulmonary fibrosis in mice by bleomycin (Item No. 13877). NSC 668036 also blocks the bleomycin-induced pulmonary expression of α -smooth muscle actin (α -SMA), collagen I, TGF- β , and β -catenin by 30-50%.

References

1. Shan, J., Shi, D.-L., Wang, J., *et al.* Identification of a specific inhibitor of the dishevelled PDZ domain. *Biochemistry* **44**(47), 15495-15503 (2005).
2. Wang, C., Dai, J., Sun, Z., *et al.* Targeted inhibition of disheveled PDZ domain via NSC668036 depresses fibrotic process. *Exp. Cell Res.* **331**(1), 115-122 (2015).

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.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD

ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM

WWW.CAYMANCHEM.COM