PRODUCT INFORMATION



SB-772077B (hydrochloride)

Item No. 30975

CAS Registry No.: 607373-46-6

Formal Name: [2-(4-amino-1,2,5-oxadiazol-3-yl)-

1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl][(3S)-3-amino-1-pyrrolidinyl]methanone, dihydrochloride

MF: C₁₅H₁₈N₈O₂ • 2HCl

FW: 415.3 **Purity:** ≥98% Supplied as: A 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-772077B (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the SB-772077B (hydrochloride) in the solvent of choice, which should be purged with an inert gas. SB-772077B (hydrochloride) is soluble in organic solvents such as DMSO. It is also soluble in water. The solubility of SB-772077B (hydrochloride) in DMSO and water is approximately 100 mM. We do not recommend storing the aqueous solution for more than one day.

Description

SB-772077B is a Rho-associated kinase (ROCK) inhibitor (IC_{50} s = 5.6 and 6 nM for ROCK1 and ROCK2, respectively).¹ It is selective for ROCK1 and ROCK2 over Akt1, Akt2, and Akt3 (IC₅₀s = 324, 1,950, and 1,290 nM, respectively), as well as Cdk2, GSK3α, IKKβ, JNK3, and Plk (IC₅₀s = ≥7,000 nM for all), but also inhibits RSK1 and MSK1 (IC_{50} s = 35 and 14 nM, respectively). SB-772077B inhibits LPS-induced TNF- α and IL-6 production in THP-1 cells in a concentration-dependent manner. It induces relaxation of pre-contracted isolated rat aortic rings (IC_{50} = 39 nM). It reduces blood pressure in spontaneously hypertensive rats in a dose-dependent manner and in DOCA-salt hypertensive rats when administered at a dose of 1 mg/kg. SB-772077B (30, 100, and 300 µg/kg, i.v.) reduces pulmonary and systemic arterial pressure and increases cardiac output in normotensive rats and rats with monocrotaline-induced pulmonary hypertension.²

References

- 1. Doe, C., Bentley, R., Behm, D.J., et al. Novel Rho kinase inhibitors with anti-inflammatory and vasodilatory activities. J. Pharmacol. Exp. Ther. 320(1), 89-98 (2007).
- 2. Dhaliwal, J.S., Badejo, A.M., Jr., Casey, D.B., et al. Analysis of pulmonary vasodilator responses to SB-772077-B [4-(7-((3-amino-1-pyrrolidinyl)carbonyl)-1-ethyl-1H-imidazo(4,5-c)pyridin-2-yl)-1,2,5oxadiazol-3-amine], a novel aminofurazan-based Rho kinase inhibitor. J. Pharmacol. Exp. Ther. 330(1), 334-341 (2009).

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

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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