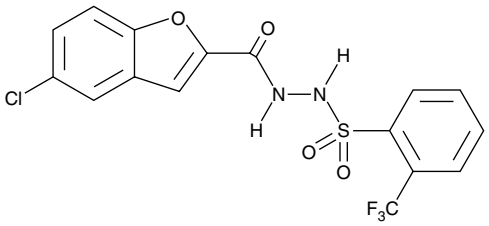
Product Information

BCATc Inhibitor 2

Item No. 9002002

CAS Registry No.: 406191-34-2
Formal Name: 5-chloro-2-benzofurancarboxylic acid 2-[[2-(trifluoromethyl)phenyl]sulfonyl] hydrazide
Synonym: Cytosolic Branched-Chain Amino Acid Transferase Inhibitor 2
MF: $C_{16}H_{10}ClF_3N_2O_4S$
FW: 418.8
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 215, 275 nm



Laboratory Procedures

For long term storage, we suggest that BCATc inhibitor 2 be stored as supplied at -20°C. It should be stable for at least two years.

BCATc inhibitor 2 is supplied as a crystalline solid. A stock solution may be made by dissolving the BCATc inhibitor 2 in the solvent of choice. BCATc inhibitor 2 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of BCATc inhibitor 2 in these solvents is approximately 10, 20, and 25 mg/ml, respectively.

BCATc inhibitor 2 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BCATc inhibitor 2 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BCATc inhibitor 2 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Branched-chain amino acid transferases (BCATs) catalyze reversible transamination of leucine, isoleucine, and valine branched-chain amino acids to their respective α-keto acids, liberating L-glutamate.[1,2] Two forms of BCAT are present in mammals. Mitochondrial BCAT (BCATm) exists in most tissues throughout the body, whereas cytosolic BCAT (BCATc) is confined to the brain, where it regulates glutamate synthesis for release during neuronal excitation. Thus, BCATc inhibition may be useful for the treatment of neurodegenerative and behavioral disorders involving disturbances of the glutamatergic system. BCATc inhibitor 2 is a sulfonyl hydrazide that inhibits BCATc (IC_{50}s = 0.81 and 0.2 µM for human and rat, respectively) with 15-fold selectivity over BCATm.[3-5] This compound has been shown to block calcium influx into neurons (IC_{50} = 4.8 µM) following inhibition of glutamate uptake and to demonstrate neuroprotective efficacy in an *in vivo* rat model of neurodegeneration.[4]

References

1. Brosnan, J.T. and Brosnan, M.E. *J. Nutr.* **136(1)**, 207S-211S (2006).
2. Goto, M., Miyahara, I., Hayashi, H., *et al. Biochem.* **42**, 3725-3733 (2003).
3. Bora, K., Hu, L.-Y., Kesten, S.R., *et al.* World Intellectual Property Organization - International Bureau Publication WO 02/24672 A2 (2002), PCT/US01/25892.
4. Hu, L.-Y., Boxer, P.A., Kesten, S.R., *et al. Bioorg. Med. Chem. Lett.* **16(9)**, 2337-2340 (2006).
5. Caballero, J., Vergara-Jaque, A., Fernịndez, M., *et al. Mol. Divers.* **13(4)**, 493-500 (2009).

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