

Product Data Sheet

Product Name: BCATc Inhibitor 2

Cat. No.: GC12912

Chemical Properties

Cas. No. 406191-34-2

Chemical Name 5-chloro-2-benzofurancarboxylic acid 2-[[2-(trifluoromethyl)phenyl]sulfonyl]hydrazide

SMILES C1C1=CC=C2C(C=C(C(NNS(C3=C(C(F)(F)F)C=CC=C3)(=O)=O)=O)O2)=C1

Formula $C_{16}H_{10}ClF_3N_2O_4S$ M.Wt 418.8

Solubility $\leq 10\text{mg/ml}$ in ethanol; 20mg/ml in DMSO; 25mg/ml in dimethyl formamide Storage Store at -20°C , protect from light

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

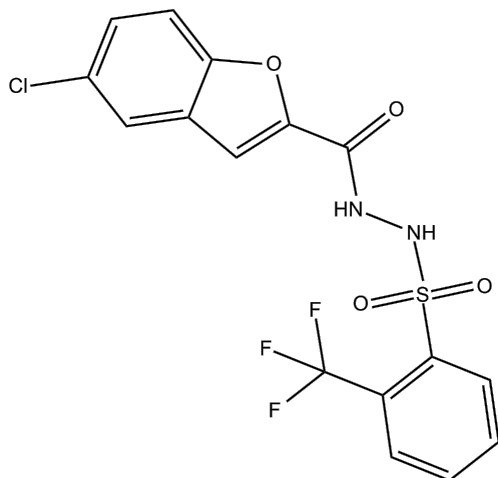
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Background

BCATc Inhibitor 2 is an active and selective inhibitor of cytosolic BCAT (BCATc)[1].

Branched-chain amino acid transferases (BCATs) have been implicated in catalyzing reversible transamination of isoleucine, leucine, and valine branched-chain amino acids to their corresponding α -keto acids, generating L-glutamate. It has been identified that there are two forms of BCAT in mammals: mitochondrial BCAT (BCATm) and cytosolic BCAT (BCATc). BCATc is expressed in particular brain region and involved in regulating 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 [2].

In vitro: BCATc inhibition is likely to be useful for the treatment of neurodegenerative and other neurological disorders involving disturbances of the glutamatergic system. In the hBCATc assays, BCATc Inhibitor 2 exhibited an IC_{50} of $0.8 \pm 0.05 \mu M$. In a recombinant rat BCATc assay and a crude

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rat BCATm assay, the IC₅₀ was 0.2 $\mu\text{M} \pm 0.02$ and 3.0 $\mu\text{M} \pm 0.5$ (n=5), respectively. BCATc Inhibitor 2 decreased calcium influx in neuronal cultures with an IC₅₀ of 4.8 ± 1.2 μM (n=4) [1].

In vivo: BCATc Inhibitor 2 blocked calcium influx into neuronal cells following inhibition of glutamate uptake, and demonstrated neuroprotective efficacy in vivo. In Lewis rats, after treatment with 30 mg/kg BCATc Inhibitor 2 (subcutaneous injection), the peak plasma concentration (C_{max}) reached 8.28 $\mu\text{g/ml}$ at 0.5 h (t_{max}). The mean plasma exposure (AUC) value was 19.9 $\mu\text{g h/ml}$, and the mean terminal half-life ranged from 12 to 15 h, indicating favorable PK parameters of BCATc Inhibitor 2. Daily administration of the mitochondrial neurotoxin, 3-nitropropionic acid (3-NP) produced striatal lesions and led to motor deficits. Administration of BCATc Inhibitor 2 for 9 days almost completely reversed the effects of 3-NP [1].

References:

- [1] Hu L Y, Boxer P A, Kesten S R, et al. The design and synthesis of human branched-chain amino acid aminotransferase inhibitors for treatment of neurodegenerative diseases[J]. Bioorganic & medicinal chemistry letters, 2006, 16(9): 2337-2340.
- [2] Brosnan J T, Brosnan M E. Branched-chain amino acids: enzyme and substrate regulation[J]. The Journal of nutrition, 2006, 136(1): 207S-211S.

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