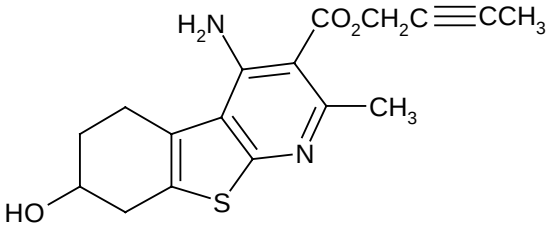
ProductInformation

SB 205384
Product Number **S7936**
Storage Temperature: Room Temperature

CAS#: 160296-13-9
Synonym: 4-Amino-7-hydroxy-2-methyl-5,6,7,8-tetrahydrobenzo[b]thieno[2,3-b]pyridine-3-carboxylic acid, but-2-ynyl ester



Product Description

Molecular Formula: $C_{17}H_{18}O_3N_2S$
Molecular Weight: 330.4 (anhyd.)

The major mediator of inhibitory synaptic transmission in the mammalian central nervous system is γ-aminobutyric acid (GABA) acting at the $GABA_A$ receptor. The $GABA_A$ receptor complex contains five subunits that form a chloride ion channel. Several subunit genes and their isoforms have been identified. Channel diversity results from the association of the various subunit isoforms with each other resulting in hundreds of possible subunit combinations.

Ligands that function as $GABA_A$ receptor modulators have important functional properties. Agents that block $GABA_A$-induced chloride currents induce convulsions. Agents that increase chloride current flow have sedative, anesthetic, hypnotic, anxiolytic and anti-convulsant actions resulting from interactions either at the GABA recognition site or at one of several distinct allosteric binding sites on the $GABA_A$ channel complex. Benzodiazepines increase the affinity of the receptor for GABA, thus increasing the rate of channel opening. Barbituates increase the mean open time of the channel. The effects of steroid modulators are similar to those of the benzodiazepines except that they interact at a different site on the channel-forming subunits. All three types of modulators have the same physiological effect - increased $GABA_A$-induced chloride currents - despite having distinct modes of action.

In an attempt to identify novel $GABA_A$ receptor modulators, SB 205384 was evaluated in electrophysiological studies and compared to members of the three classes of modulators mentioned above for its ability to increase $GABA_A$ channel currents. SB 205384 was found to increase the channel current through a novel mechanism of action.

Preparation Instructions

Soluble in DMSO (18 mg/ml); insoluble in water.

Storage/Stability

Store tightly sealed at room temperature.

References

1. Meadows, H.J. et al., Br. J. Pharmacol., **123**, 1253-1259 (1998).
2. Meadows, H.J., et al., Br. J. Pharmacol., **121**, 1334-1338 (1997).

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