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## Product Data Sheet

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Product Name: SB 205384

Cat. No.: GC16356

### Chemical Properties

Cas. No. 160296-13-9

Chemical Name (S)-but-2-yn-1-yl 4-amino-7-hydroxy-2-methyl-5,6,7,8-tetrahydrobenzo[4,5]thieno[2,3-b]pyridine-3-carboxylate

SMILES O[C@H](C1)CCC2=C1SC3=NC(C)=C(C(OCC#CC)=O)C(N)=C23Formula  $C_{17}H_{18}N_2O_3S$  M.Wt 330.4

Solubility &lt;33.04mg/ml in 1eq. HCl; &lt;16.52mg/ml in DMSO Storage Desiccate at RT

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.

Structure 

### Background

SB-205384 is a selective and positive GABAA receptor modulator with EC50 values of 280, 695 and 730 nM on  $\alpha_6$ ,  $\alpha_3$  and  $\alpha_5$ -subunit-containing receptors, respectively [1]. g-Aminobutyric acid (GABA) acting on the GABAA receptor can inhibit synaptic transmission in the mammalian central nervous system [1]. Ligands that modulate the function of g-aminobutyric acid A (GABAA) receptor gated chloride channels have hypnotic, anaesthetic, anxiolytic, anticonvulsant and sedative actions [2].

SB-205384 can slow the decay rate on GABAA currents in oocytes expressing human GABAA subunits, which is also seen on native GABA-activated currents in rat neurons [3]. The isoforms containing the  $\alpha_3$ ,  $\alpha_5$ , and  $\alpha_6$  subunits show significantly greater enhancement of the current by SB-205384 and the receptors with the  $\alpha_6$ -subunit had the greatest sensitivity to SB-205384 [1]. In rat cerebellum granule cells, SB-205384 dramatically pro-longed the half-life for decay of the GABA response in a concentration-dependent manner. The half-life of decay was increased about 10 fold compared with control responses at the highest concentration of SB-205384 used. Also, SB-205384 did

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not increase the maximum current evoked by 100 mM GABA but the half-life decay was dramatically increased, as saw at the lower dose of 1 mM GABA [2].

### *References:*

[1]. Heidelberg LS, Warren JW, Fisher JL. SB-205384 is a positive allosteric modulator of recombinant GABAA receptors containing rat  $\alpha 3$ ,  $\alpha 5$ , or  $\alpha 6$  subunit subtypes coexpressed with  $\beta 3$  and  $\gamma 2$  Subunits. *J Pharmacol Exp Ther*, 2013, 347(1): 235-241.

[2]. Meadows HJ, Harries MH, Thompson M, et al. Effect of SB-205384 on the decay of GABA-activated chloride currents in granule cells cultured from rat cerebellum. *Br J Pharmacol*, 1997, 121(7): 1334-1338.

[3]. Meadows HJ, Kumar CS, Pritchett DB, et al. SB-205384: a GABAA receptor modulator with novel mechanism of action that shows subunit selectivity. *Br J Pharmacol*, 1998, 123(6): 1253-1259.

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