

Product Data Sheet

Product Name: Nepicastat

Cat. No.: GC36722

Chemical Properties

Cas. No. 173997-05-2

SMILES FC1=CC(F)=C2CC[C@@H](CC2=C1)N3C(CN)=CNC3=S

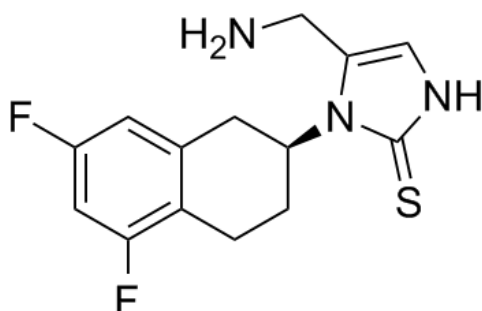
Formula $C_{14}H_{15}F_2N_3S$ M.Wt 295.35

Solubility DMSO: ≥ 48 mg/mL (162.52 mM) Storage Store at -20°C

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

Nepicastat (SYN117; RS-25560-197) is a dopamine beta-hydroxylase inhibitor with IC_{50} of 8.5 ± 0.8 and 9.0 ± 0.8 nM for bovine and human, respectively. IC_{50} value: 8.5/9.0 nM (bovine/human dopamine beta-hydroxylase). Dopamine beta-hydroxylase is an enzyme that catalyzes the conversion of dopamine to norepinephrine. Nepicastat (SYN117; RS-25560-197) has been studied as a possible treatment for congestive heart failure, and appears to be well tolerated as such.

[1]. Stanley WC, et al. Catecholamine modulatory effects of nepicastat (RS-25560-197), a novel,

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

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potent and selective inhibitor of dopamine-beta-hydroxylase. Br J Pharmacol. 1997 Aug;121(8):1803-9. [2]. Stanley WC, et al. Cardiovascular effects of nepicastat (RS-25560-197), a novel dopamine beta-hydroxylase inhibitor. J Cardiovasc Pharmacol. 1998 Jun;31(6):963-70. [3]. Sabbah HN, et al. Effects of dopamine beta-hydroxylase inhibition with nepicastat on the progression of left ventricular dysfunction and remodeling in dogs with chronic heart failure. Circulation. 2000 Oct 17;102(16):1990-5. [4]. Beliaev A, et al. Synthesis and biological evaluation of novel, peripherally selective chromanyl imidazolethione-based inhibitors of dopamine beta-hydroxylase. J Med Chem. 2006 Feb 9;49(3):1191-7.

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