

Product Data Sheet

Product Name: SBI-810
Cat. No.: GC27961

Chemical Properties

Cas. No. 1849603-79-7

Chemical Name Ethanol, 2-[[4-[4-(2-methoxyphenyl)-1-piperidiny]-2-(1-methylcyclopropyl)-6-quinazoliny]methylamino]-

SMILES OCCN(C)C1=CC2=C(N=C(C3(CC3)C)N=C2N4CCC(CC4)C5=C(OC)C=CC=C5)C=C1

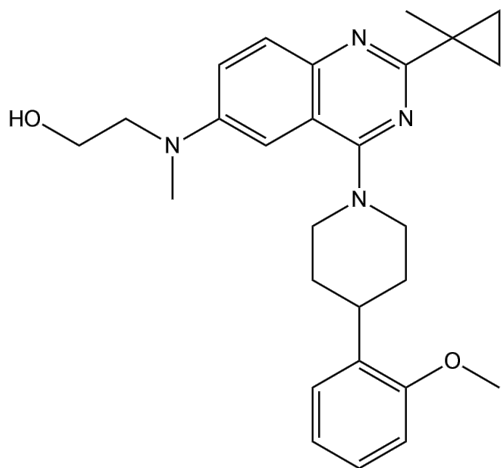
Formula $C_{27}H_{34}N_4O_2$ M.Wt 446.58

Solubility DMSO : 10 mg/mL (22.39 mM; ultrasonic and warming and heat to 60°C) Storage -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

SBI-810 is a blood-brain barrier-permeable NTSR1 modulator. SBI-810 promotes the recruitment of β -arrestin-2 to NTSR1 and antagonizes NTSR1-mediated Gq activation. SBI-810 inhibits excitatory synaptic transmission, NMDA receptor and extracellular signal-regulated kinase (ERK) signaling in

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spinal nociceptive neurons, reduces surface expression of Nav1.7 and action potential firing in primary sensory neurons, and attenuates C-fiber responses. SBI-810 effectively alleviates acute and chronic pain in various rodent models through peripheral and central modulation. SBI-810 is applicable to research related to multiple pain disorders^{[1][2]}.

In Vitro, SBI-810 (10 min) stimulates the recruitment of β -arrestin 2 to human NTSR1 in HEK293T cells, and antagonizes Gq activation induced by Neurotensin (NT)^[1].

Bath-applied SBI-810 (5 μ M, administered during recording) decreases the action potential firing frequency of DRG neurons, increases their rheobase, and inhibits neuronal excitability^[1].

In Vivo, SBI-810 (12 mg/kg/10 μ g~22 nmol); 1 μ g; i.p./i.t.; single dose) exerts potent, NTSR1- and β arr2-dependent spinal analgesic effects in naive C57BL/6J mice, and alleviates physiological acute mechanical and thermal pain^[1].

SBI-810 (20 μ g; i.t.; single dose) exerts potent analgesic effects on physiological acute mechanical pain in naive Sprague Dawley rats^[1].

SBI-810 (12 mg/kg; i.p.; single dose) potently inhibits pain in mouse plantar incision and tibial fracture post-surgical pain models^[1].

SBI-810 (12 mg/kg/10 μ g; i.p./i.t.; single dose, administered 7 days post-surgery) exerts potent, NTSR1- and β arr2-dependent analgesic effects in SNI model mice, alleviating neuropathic mechanical allodynia and cold allodynia^[1].

SBI-810 (12 mg/kg; i.p.; single dose; administered concurrently with formalin injection) potently inhibits inflammatory hyperalgesia and mechanical allodynia, and reduces formalin-induced activation of nociceptors in DRG neurons in mouse formalin/CFA inflammatory pain models^[1].

SBI-810 (12 mg/kg; i.p.; single dose; administered post-STZ-induced hyperglycemia) potently alleviates mechanical pain and cold pain in mice with STZ-induced diabetic neuropathic pain^[1].

SBI-810 (12-30 mg/kg; i.p.; single dose) attenuates or abolishes morphine-induced CPP, and significantly reduces the cumulative withdrawal score induced by Naloxone in mice treated with escalating doses of morphine^[1].

References:

- [1]. Guo R, et al. Arrestin-biased allosteric modulator of neurotensin receptor 1 alleviates acute and chronic pain. Cell. 2025;188(16):4332-4349.e21.
- [2]. Pottie E, et al. Pain management beyond opioids: a β -arrestin2-biased allosteric GPCR modulator opens new avenues for drug development. Signal Transduct Target Ther. 2025 Aug 27;10(1):264.

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