Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

SB-334867 (free base)

Catalog No: tcsc2748

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
792173-99-0

Formula:
$C_{17}H_{13}N_5O_2$

Pathway:
GPCR/G Protein

Target:
Orexin Receptor (OX Receptor)

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 49 mg/mL (153.45 mM)

Alternative Names:
SB334867A free base

Observed Molecular Weight:
319.32

Product Description

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SB-334867 free base is a selective non-peptide orexin OX1 receptor antagonist with a pKb value of 7.2.

IC50 value: 7.2 (pKb) [1]

Target: orexin OX1 receptor

in vitro: SB-334867-A inhibited the orexin-A (10 nM) and orexin-B (100 nM)-induced calcium responses (pK(B)=7.27+/-0.04 and 7.23+/-0.03 respectively, n=8), but had no effect on the UTP (3 microM)-induced calcium response in CHO-OX(1) cells. SB-334867-A (10 microM) also inhibited OX(2) mediated calcium responses (32.7+/-1.9% versus orexin-A) [1].

in vivo: Single-unit recordings in anesthetized rats demonstrated the central effects of the selective orexin-1 receptor antagonist SB-334867 (2 mg/kg, intravenous), as it reversed the excitatory effects of orexin-A administration (6 microg, intracerebroventricular) on the activity of locus coeruleus (LC) cells [2]. The ICV injection of SB-334867 alone had no effect on the formalin-induced nociceptive behaviors. Pre-treatment with SB-334867 at a dose of 0.5 nmol significantly attenuated the analgesia induced by morphine (at dose 1.5mg/kg of morphine; interphase and phase 2B and at dose 3mg/kg of morphine just phase 2B of formalin test) [3]. Administered alone, SB-334867 (30 mg/kg, but not lower doses) significantly reduced food intake and most active behaviours (eating, grooming, sniffing, locomotion and rearing), while increasing resting. Pretreatment with SB-334867 dose-dependently blocked these effects of orexin-A, with significant antagonism evident at dose levels (3-10 mg/kg) below those required to produce intrinsic behavioural effects under present test conditions in rats [4].

Toxicity: Acute systemic treatment with the selective orexin-1 (OX1R) antagonist SB-334867 reduces food intake in rats, an effect associated with an acceleration in behavioural satiety and unrelated to gross behavioural disruption, alterations in palatability, or toxicity.