Web: www.taiclone.com
Tel: +886-2-2735-9682
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PF-04457845

Catalog No: tcsc0868

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Specifications

CAS No:
1020315-31-4

Formula:
$C_{23}H_{20}F_3N_5O_2$

Pathway:
Neuronal Signaling;Metabolic Enzyme/Protease

Target:
FAAH;FAAH

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
455.43

Product Description

PF-04457845 is a highly efficacious and selective **FAAH** inhibitor with **IC_{50}** values is 7.2±0.63 nM and 7.4±0.62 nM for **hFAAH** and **rFAAH**

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, respectively.

IC50 & Target: IC50: 7.2±0.63 nM (hFAAH), 7.4±0.62 nM (rFAAH)[1]

In Vitro: PF-04457845 inhibits FAAH by a covalent, irreversible mechanism involving carbamylation of the active-site serine nucleophile of FAAH with high in vitro potency (k_{inact}/K_i and IC_{50} values of 40300 $M^{-1}s^{-1}$ and 7.2 nM, respectively, for human FAAH). PF-04457845 has exquisite selectivity for FAAH relative to other members of the serine hydrolase superfamily as demonstrated by competitive activity-based protein profiling. PF-04457845 completely inhibits FAAH in human and mouse membrane proteomes at both 10 and 100 μM with no off targets[1]. PF-04457845 is completely selective for FAAH, and none of the other FP-reactive serine hydrolases in the tested tissues are inhibited by PF-04457845 even at 100 μM[2].

In Vivo: Oral administration of PF-04457845 at 0.1 mg/kg results in efficacy comparable to that of naproxen at 10 mg/kg in a rat model of inflammatory pain. Oral administration of PF-04457845 causes a significant inhibition of mechanical allodynia measured after 4 h with a minimum effective dose (MED) of 0.1 mg/kg. Furthermore, at 0.1 mg/kg (p.o.), PF-04457845 inhibits the pain response to a comparable degree as the nonsteroidal anti-inflammatory drug naproxen at 10 mg/kg[1]. FAAH is confirmed to be completely inhibited in mice treated with PF-04457845 at 1 and 10 mg/kg p.o. by competitive activity-based protein profiling (ABPP) study[2].