

# 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

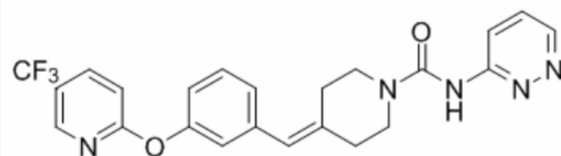
PF-04457845 is a highly efficacious and selective **FAAH** inhibitor with **IC<sub>50</sub>** values is  $7.2 \pm 0.63$  nM and  $7.4 \pm 0.62$  nM for **hFAAH** and **rFAAH**

, respectively.

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

**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 ( $k_{\text{inact}}/K_i$  and  $IC_{50}$  values of 40300 M<sup>-1</sup>s<sup>-1</sup> 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<sup>[1]</sup>. 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<sup>[2]</sup>.

**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<sup>[1]</sup>. 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<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!