Web: www.taiclone.com
Tel: +886-2-2735-9682
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TG6-10-1

Catalog No: tcsc4637

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1415716-58-3

Formula:
$C_{23}H_{23}F_3N_2O_4$

Pathway:
GPCR/G Protein;Neuronal Signaling;GPCR/G Protein

Target:
Prostaglandin Receptor;5-HT Receptor;5-HT Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
448.43

Product Description

TG6-10-1 is an EP2 antagonist, shows low-nanomolar antagonist activity against only EP2, >300-fold selectivity over human EP3, EP4, and IP receptors, 100-fold selectivity over EP1 receptors.

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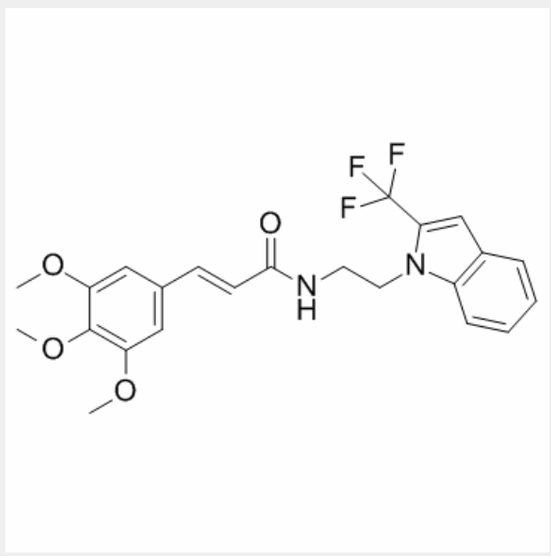
IC50 value: 7.5 μM

Target: serotonin 5-HT2B receptor

in vitro: TG6-10-1, an analog of TG4-155 (a prostaglandin receptor EP2 antagonist, with a relatively short plasma half-life (0.6 h) and low brain:plasma ratio (0.3) after systemic administration in mice), which has a superior pharmacokinetic profile making it suitable for more extensive testing. TG6-10-1 had negligible effect on a panel of 40 enzymes, ion channels, receptors, and neurotransmitter transporters (IC50s > 10 μM), except that TG6-10-1 weakly inhibited the serotonin 5-hydroxytryptamine 2B (5-HT2B) receptor with IC50 = 7.5 μM. At a high concentration (10 μM), TG6-10-1 had little or no effect on the enzymatic activity of COX-1 (7% inhibition) and COX-2 (14% inhibition), and inhibited the leukotriene B4 (LTB4) receptor BLT1 by 1% .EP2 receptor activation by PGE2 stimulates adenylate cyclase to elevate cytoplasmic cAMP level. TG6-10-1 has a competitive mechanism of antagonism of the EP2 receptor with an equilibrium dissociation constant for the antagonist-receptor complex (KB) of 17.8 nM.

in vivo: TG6-10-1 displayed a plasma half-life of 1.6 h and a brain:plasma ratio of 1.6 after systemic administration (5 mg/kg, i.p.) in mice. A significant increase in survival was observed in post-SE mice that received TG6-10-1 compared with those in the vehicle group. Administration of TG6-10-1 improved 1-wk survival from 60 to 90% after SE.



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