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

Gefapixant

Catalog No: tcsc0021727

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1015787-98-0

Formula:
$C_{14}H_{19}N_5O_4S$

Pathway:
Membrane Transporter/Ion Channel

Target:
P2X Receptor

Purity / Grade:
>98%

Solubility:
DMSO : 5 mg/mL (14.15 mM; ultrasonic and adjust pH to 5-6 with HCl)

Alternative Names:
AF219;MK-7264

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Observed Molecular Weight:
353.4

Product Description

Gefapixant is an orally active P2X3 receptor (**P2X3R**) antagonist with IC_{50}s of ~30 nM versus recombinant hP2X3 homotrimers and 100-250 nM at hP2X2/3 heterotrimeric receptors.

IC50 & Target: IC50: ~30 nM (recombinant hP2X3 homotrimers), 100-250 nM (hP2X2/3 heterotrimeric receptors)[1].

In Vitro: The aryloxy-pyrimidinediamine, Gefapixant (AF-219) is an orally active small molecule antagonist at human P2X3-containing receptors. The IC_{50} of Gefapixant has been reported as ~30 nM versus recombinant hP2X3 homotrimers and 100-250 nM at hP2X2/3 heterotrimeric receptors, potencies very similar to those reported for recombinant rat receptors, and it displays no inhibitory impact on any non-P2X3 subunit containing receptors (IC_{50} values>>10,000 nM at recombinant homotrimeric hP2X1, hP2X2, hP2X4, rP2X5 and hP2X7 channels)[1].

In Vivo: In an adjuvant-induced rthritis model in rat (7d following intraplantar administration of complete Freund\'s adjuvant), AF-353 produces dose-dependent antihyperalgesia in weight-bearing asymmetry and von Frey filament mechanical tests; magnitude of effect is compared with that of the NSAID naproxen. In a rat model of knee osteoarthritis (14d following intra-articular administration of monoiodoacetate), Gefapixant (7d bid, orally; right) attenuates the weight bearing laterality with complete reversal of apparent hyperalgesia at the two higher doses[2].