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

Catalog No: tcsc2032

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
439239-90-4

Formula:
$C_{19}H_{18}F_3N_3O_2$

Pathway:
Neuronal Signaling;GPCR/G Protein

Target:
5-HT Receptor;5-HT Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
COL-144;LY573144

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Observed Molecular Weight:
377.36

Product Description

Lasmiditan (COL-144; LY573144) is a high-affinity, highly selective 5-HT1F receptor agonist(Ki=2.1 nM), compared with Ki of 1043 nM and 1357 nM at the 5-HT(1B) and 5-HT(1D) receptors, respectively.

IC50 value: 2.1 nM (Ki, 5-HT1F); >1000 nM (Ki, 5-HT1B/5-HT1D) [1]

Target: 5-HT1F receptor

in vitro: In vitro binding studies Lasmiditan showed a K(i) value of 2.21 nM at the 5-HT(1F) receptor, compared with K(i) values of 1043 nM and 1357 nM at the 5-HT(1B) and 5-HT(1D) receptors, respectively, a selectivity ratio greater than 470-fold. Lasmiditan showed higher selectivity for the 5-HT(1F) receptor relative to other 5-HT(1) receptor subtypes than the first generation 5-HT(1F) receptor agonist LY334370. Unlike the 5-HT(1B/1D) receptor agonist sumatriptan, lasmiditan did not contract rabbit saphenous vein rings, a surrogate assay for human coronary artery constriction, at concentrations up to 100 μM [1].

in vivo: In two rodent models of migraine, oral administration of lasmiditan potently inhibited markers associated with electrical stimulation of the trigeminal ganglion (dural plasma protein extravasation, and induction of the immediate early gene c-Fos in the trigeminal nucleus caudalis) [1]. Two RCTs in the phase II development of lasmiditan was reviewed. In the intravenous placebo-controlled RCT, lasmiditan doses of 2.5-45 mg were used, and there was a linear association between headache relief (HR) rates and dose levels (P