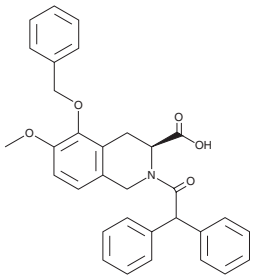
PRODUCT INFORMATION

EMA401

Item No. 22988

CAS Registry No.: 1316755-16-4
Formal Name: (3S)-2-(2,2-diphenylacetyl)-1,2,3,4-tetrahydro-6-methoxy-5-(phenylmethoxy)-3-isoquinolinecarboxylic acid
MF: $C_{32}H_{29}NO_5$
FW: 507.6
Purity: ≥95%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

EMA401 is supplied as a crystalline solid. A stock solution may be made by dissolving the EMA401 in the solvent of choice. EMA401 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of EMA401 in ethanol is approximately 10 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of EMA401 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of EMA401 in PBS, pH 7.2, is approximately 0.1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

EMA401 is an orally bioavailable and selective antagonist of the angiotensin II type 2 receptor (AT_2; IC_{50}s = 39.5 and 408,000 nM for rat recombinant AT_2 and AT_1, respectively).[1] It inhibits capsaicin-induced calcium influx in cultured human dorsal root ganglion (hDRG) neurons (IC_{50} = 10 nM) and reduces neurite density and length in rat DRG neuronal cultures.[2] EMA401 has analgesic effects in a rat model of neuropathic pain induced by chronic constriction injury.[3]

References

1. Smith, M.T., Wyse, B.D., and Edwards, S.R. Small molecule angiotensin II type 2 receptor (AT_2R) antagonists as novel analgesics for neuropathic pain: Comparative pharmacokinetics, radioligand binding, and efficacy in rats. *Pain Med.* **14(5)**, 692-705 (2013).
2. Anand, U., Facer, P., Yiangou, Y., *et al.* Angiotensin II type 2 receptor (AT_2R) localization and antagonist-mediated inhibition of capsaicin responses and neurite outgrowth in human and rat sensory neurons. *Eur J. Pain.* **17(7)**, 1012-1026 (2012).
3. Hanyan, X., Benzhuo, Z., Liping, H., *et al.* Analgesic effect of angiotensin angiotensin II type 2 receptor antagonist EMA401 on neuropathic pain in rats and its mechanism. *Chin. J. Pathophysiol.* **33(1)**, 110-115 (2017).

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