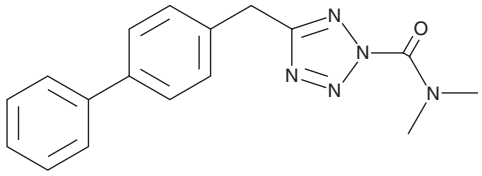
PRODUCT INFORMATION

LY2183240 2'-isomer

Item No. 14523

CAS Registry No.: 1010096-65-7
Formal Name: 5-([1,1'-biphenyl]-4-ylmethyl)-N,N-dimethyl-2H-tetrazole-2-carboxamide
MF: $C_{17}H_{17}N_5O$
FW: 307.4
Purity: ≥98%
UV/Vis.: λ_{max}: 251 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

LY2183240 2'-isomer is supplied as a crystalline solid. A stock solution may be made by dissolving the LY2183240 2'-isomer in the solvent of choice. LY2183240 2'-isomer is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of LY2183240 2'-isomer in these solvents is approximately 10, 2, and 3 mg/ml, respectively.

LY2183240 2'-isomer is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LY2183240 2'-isomer should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. LY2183240 2'-isomer has a solubility of approximately 0.1 mg/ml in a 1:5 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LY2183240 (Item No. 10008663) is a potent, competitive small molecule inhibitor of anandamide uptake (IC_{50} = 270 pM; K_i = 540 pM) and hydrolysis.[1-3] It has been shown to increase anandamide levels in rat cerebellum (ED_{50} = 1.37 mg/kg) and displays dose-dependent efficacy (3-30 mg/kg) in several rodent models of persistent pain.[1] LY2183240 2'-isomer is a less potent, 2,5-regioisomer of LY2183240 that inhibits anandamide hydrolysis and uptake with IC_{50} values of 33 and 998 nM, respectively.[2]

References

1. Moore, S.A., Nomikos, G.G., Dickason-Chesterfield, A.K., *et al*. Identification of a high-affinity binding site involved in the transport of endocannabinoids. *Proc. Natl. Acad. Sci. USA* **102(49)**, 17852-17857 (2005).
2. Ortar, G., Cascio, M.G., Moriello, A.S., *et al*. Carbamoyl tetrazoles as inhibitors of endocannabinoid inactivation: A critical revisitation. *Eur. J. Med. Chem.* **43**, 62-72 (2008).
3. Di Marzo, V. Targeting the endocannabinoid system: To enhance or reduce? *Nat. Rev. Drug Discov*. **7**, 438-455 (2008).

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