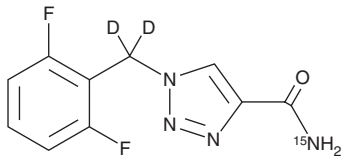
PRODUCT INFORMATION

Rufinamide-^{15}N-d_2

Item No. 33539

CAS Registry No.: 1795037-48-7
Formal Name: 1-[(2,6-difluorophenyl)methyl-d_2]-1H-1,2,3-triazole-4-carboxamide-^{15}N
MF: $C_{10}H_6D_2F_2N_3[^{15}N]O$
FW: 241.2
Chemical Purity: ≥95% (Rufinamide)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_2); ≤1% d_0
Supplied as: A 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

Rufinamide-^{15}N-d_2 is intended for use as an internal standard for the quantification of rufinamide (Item No. 18870) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Rufinamide-^{15}N-d_2 is supplied as a solid. A stock solution may be made by dissolving the rufinamide-^{15}N-d_2 in the solvent of choice, which should be purged with an inert gas. Rufinamide-^{15}N-d_2 is slightly soluble in acetonitrile and DMSO.

Description

Rufinamide is an anticonvulsant.[1] It inhibits the activation of voltage-gated sodium channel 1.1 ($Na_v1.1$) when used at a concentration of 100 µM.[2] Rufinamide inhibits $Na_v1.1$, but not $Na_v1.2$, $Na_v1.3$, and $Na_v1.6$, opening and increases the action potential threshold in primary rat hippocampal neurons. It is an inhibitor of carbonic anhydrase VA (CAVA; K_i = 343.8 nM) that is selective for CAVA over CAI and CAII (K_is = >10,000 nM for both).[3] Rufinamide (100 µM) prolongs the preictal phase and reduces seizure-like event frequency in an *in vitro* model of epileptiform activity in rat hippocampal slices.[4] It inhibits seizures induced by pentylenetetrazole (Item No. 18682) in a mouse model of epilepsy (ED_{50} = 54 mg/kg, i.p.) and reduces kainic acid-induced neuronal cell death in the mouse hippocampal CA3 region when used at doses of 25, 50, and 100 mg/kg.[5,6] Formulations containing rufinamide have been used in the treatment of seizures associated with Lennox-Gastaut Syndrome (LGS).

References

1. Wheless, J.W., and Vazquez, B. *Epilepsy Curr.* **10(1)**, 1-6 (2010).
2. Gilchrist, J.J., Dutton, S., Diaz-Bustamante, M., *et al. ACS Chem. Biol.* **9(5)**, 1204-1212 (2014).
3. Costa, G., Carta, F., Ambrosio, F.A., *et al. Eur. J. Med. Chem.* **181**, 111565 (2019).
4. Gáll, Z., Orbán-Kis, K., and Szilágyi, T. *Arch. Pharm. Res.* **40(1)**, 112-121 (2017).
5. White, H.S., Franklin, M.R., Kupferberg, H.J., *et al. Epilepsia* **49(7)**, 1213-1220 (2008).
6. Park, J.-A. and Lee, C.-H. *Arch. Pharm. Res.* **41(7)**, 776-783 (2018).

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