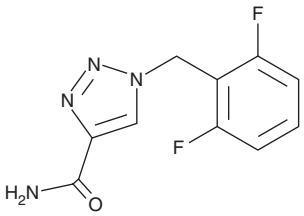
PRODUCT INFORMATION

Rufinamide

Item No. 18870

CAS Registry No.:	106308-44-5
Formal Name:	1-[(2,6-difluorophenyl)methyl]-1H-1,2,3-triazole-4-carboxamide
Synonyms:	CGP 33101, RUF 331
MF:	$C_{10}H_8F_2N_4O$
FW:	238.2
Purity:	≥98%
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

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

Rufinamide is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, rufinamide should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Rufinamide has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

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.[3] 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).[2] 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. Rufinamide: A novel broad-spectrum antiepileptic drug. *Epilepsy Curr.* **10(1)**, 1-6 (2010).
2. Costa, G., Carta, F., Ambrosio, F.A., *et al.* A computer-assisted discovery of novel potential anti-obesity compounds as selective carbonic anhydrase VA inhibitors. *Eur. J. Med. Chem.* **181**, 111565 (2019).
3. Gilchrist, J.J., Dutton, S., Diaz-Bustamante, M., *et al.* $Na_v1.1$ modulation by a novel triazole compound attenuates epileptic seizures in rodents. *ACS Chem. Biol.* **9(5)**, 1204-1212 (2014).
4. Gáll, Z., Orbán-Kis, K. and Szilágyi, T. Differential effects of sodium channel blockers on *in vitro* induced epileptiform activities. *Arch. Pharm. Res.* **40(1)**, 112-121 (2017).
5. White, H.S., Franklin, M.R., Kupferberg, H.J., *et al.* The anticonvulsant profile of rufinamide (CGP 33101) in rodent seizure models. *Epilepsia* **49(7)**, 1213-1220 (2008).
6. Park, J.-A. and Lee, C.-H. Effect of Rufinamide on the kainic acid-induced excitotoxic neuronal death in the mouse hippocampus. *Arch. Pharm. Res.* **41(7)**, 776-783 (2018).

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