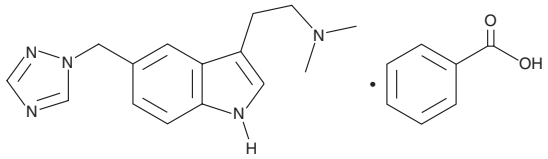
PRODUCT INFORMATION

Rizatriptan (benzoate salt)

Item No. 16475

CAS Registry No.: 145202-66-0
Formal Name: N,N-dimethyl-5-(1H-1,2,4-triazol-1-ylmethyl)-1H-indole-3-ethanamine, monobenzoate
Synonym: MK-462
MF: $C_{15}H_{19}N_5 \bullet C_7H_6O_2$
FW: 391.5
Purity: ≥98%
UV/Vis.: λ_{max}: 226, 228, 282 nm
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

Rizatriptan (benzoate salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the rizatriptan (benzoate salt) in the solvent of choice, which should be purged with an inert gas. Rizatriptan (benzoate salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of rizatriptan (benzoate salt) in these solvents is approximately 1, 20, and 15 mg/ml, respectively.

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 rizatriptan (benzoate salt) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of rizatriptan (benzoate salt) in PBS, pH 7.2, is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Rizatriptan is an agonist of the serotonin (5-HT) receptor subtypes 5-HT_{1B} and 5-HT_{1D} (K_is = 4.3 and 10.1 nM, respectively).[1] It is selective for 5-HT_{1B} and 5-HT_{1D} receptors over 5-HT_{1A} receptors (K_i = 140 nM). Rizatriptan induces vasoconstriction in isolated human middle meningeal arteries (EC_{50} = 90 nM).[2] *In vivo*, rizatriptan (50 and 75 mg/kg) reduces head grooming, the number of oculotemporal strokes, eye blinking, and one-eye closures in a *Cacna1a* mutant transgenic mouse model of migraine.[3] Formulations containing rizatriptan have been used in the treatment of migraine.

References

1. Xu, Y.-C., Schaus, J.M., Walker, C., *et al.* N-Methyl-5-tert-butyltryptamine: A novel, highly potent 5-HT_{1D} receptor agonist. *J. Med. Chem.* **42(3)**, 526-531 (1999).
2. Longmore, J., Razzaque, Z., Shaw, D., *et al.* Comparison of the vasoconstrictor effects of rizatriptan and sumatriptan in human isolated cranial arteries: Immunohistological demonstration of the involvement of 5-HT_{1B}-receptors. *Br. J. Clin. Pharmacol.* **46(6)**, 577-582 (1998).
3. Chanda, M.L., Tuttle, A.H., Baran, I., *et al.* Behavioral evidence for photophobia and stress-related ipsilateral head pain in transgenic *Cacna1a* mutant mice. *Pain* **154(8)**, 1254-1262 (2013).

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