

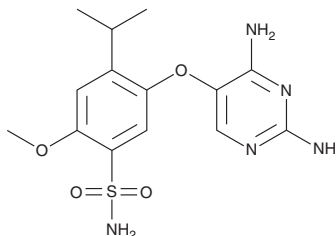
# PRODUCT INFORMATION



## Gefapixant

Item No. 40825

**CAS Registry No.:** 1015787-98-0  
**Formal Name:** 5-[(2,4-diamino-5-pyrimidinyl)oxy]-2-methoxy-4-(1-methylethyl)-benzenesulfonamide  
**Synonyms:** AF-219, MK-7264, Ro 4926219  
**MF:** C<sub>14</sub>H<sub>19</sub>N<sub>5</sub>O<sub>4</sub>S  
**FW:** 353.4  
**Purity:** ≥98%  
**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

Gefapixant is supplied as a solid. A stock solution may be made by dissolving the gefapixant in the solvent of choice, which should be purged with an inert gas. Gefapixant is slightly soluble (0.1-1 mg/ml) in DMSO.

### Description

Gefapixant is an allosteric antagonist of the purinergic P2X<sub>3</sub> receptor.<sup>1</sup> It inhibits agonist-induced P2X<sub>3</sub> and P2X<sub>2/3</sub> currents in 1321N1 cells co-expressing human P2X<sub>2</sub> and P2X<sub>3</sub> (IC<sub>50</sub>s = 153 and 220 nM, respectively) but does not inhibit P2X<sub>2</sub> currents in the same cells at 10 μM. *In vivo*, gefapixant (30 mg/kg) increases the paw withdrawal threshold and decreases weight-bearing discomfort in a rat model of inflammatory hyperalgesia induced by complete Freund's adjuvant (CFA) and a rat model of neuropathic pain induced by sciatic nerve injury. Gefapixant (10 mg/kg) improves cardiac function, reduces cardiac TNF-α levels, and prevents cardiac fibrosis in a rat model of myocardial infarction induced by left anterior descending (LAD) branch ligation.<sup>2</sup> Formulations containing gefapixant have been used in the treatment of chronic cough.

### References

1. Richards, D., Gevers, J.R., Ford, A.P., *et al.* Action of MK-7264 (gefapixant) at human P2X<sub>3</sub> and P2X<sub>2/3</sub> receptors and *in vivo* efficacy in models of sensitisation. *Br. J. Pharmacol.* **176**(13), 2279-2291 (2019).
2. Wei, Y.-Z., Yang, S., Li, W., *et al.* Gefapixant, a novel P2X<sub>3</sub> antagonist, protects against post myocardial infarction cardiac dysfunction and remodeling *via* suppressing NLRP3 inflammasome. *Curr. Med. Sci.* **43**(1), 58-68 (2023).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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