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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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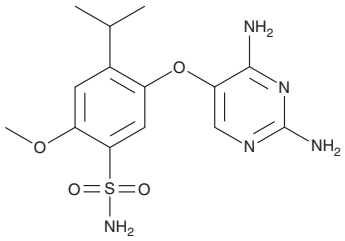
PRODUCT INFORMATION

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:	$C_{14}H_{19}N_5O_4S$
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 $P2X_3$ receptor.[1] It inhibits agonist-induced $P2X_3$ and $P2X_{2/3}$ currents in 1321N1 cells co-expressing human $P2X_2$ and $P2X_3$ (IC_{50}s = 153 and 220 nM, respectively) but does not inhibit $P2X_2$ 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.[2] Formulations containing gefapixant have been used in the treatment of chronic cough.

References

1. Richards, D., Gever, J.R., Ford, A.P., *et al*. Action of MK-7264 (gefapixant) at human P2X3 and P2X2/3 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 P2X3 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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