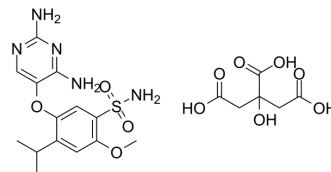


Gefapixant citrate

| | |
|--------------------|---|
| Cat. No.: | HY-101588A |
| CAS No.: | 2310299-91-1 |
| Molecular Formula: | C ₂₀ H ₂₇ N ₅ O ₁₁ S |
| Molecular Weight: | 545.52 |
| Target: | P2X Receptor |
| Pathway: | Membrane Transporter/Ion Channel |
| Storage: | Please store the product under the recommended conditions in the Certificate of Analysis. |



BIOLOGICAL ACTIVITY

| | |
|-------------------------------------|--|
| Description | Gefapixant citrate is an orally active and potent purinergic P2X3 receptor (P2X3R) antagonist, with IC ₅₀ values of ~30 nM versus recombinant hP2X3 homotrimers and 100-250 nM at hP2X2/3 heterotrimeric receptors. Gefapixant citrate can be used for the research of chronic cough and knee osteoarthritis ^{[1][2][3]} . |
| IC₅₀ & Target | P2X3 Receptor |
| In Vitro | Gefapixant citrate displays no inhibitory impact on any non-P2X3 subunit containing receptors (IC ₅₀ values >10,000 nM at recombinant homotrimeric hP2X1, hP2X2, hP2X4, rP2X5 and hP2X7 channels) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| In Vivo | Gefapixant citrate (7d bid, orally) attenuates the weight bearing laterality with complete reversal of apparent hyperalgesia at the two higher doses in a rat model of knee osteoarthritis (14d following intra-articular administration of monoiodoacetate) ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

REFERENCES

- [1]. Anthony P. Ford, et al. The therapeutic promise of ATP antagonism at P2X3 receptors in respiratory and urological disorders. *Front Cell Neurosci.* 2013; 7: 267.
- [2]. Ford AP, In pursuit of P2X3 antagonists: novel therapeutics for chronic pain and afferent sensitization. *Purinergic Signal.* 2012 Feb;8(Suppl 1):3-26.
- [3]. Martin Nguyen A, et al. Validation of a visual analog scale for assessing cough severity in patients with chronic cough. *Ther Adv Respir Dis.* 2021 Jan-Dec;15:17534666211049743.

Caution: Product has not been fully validated for medical applications. For research use only.

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