

## Gefapixant citrate

## Chemical Properties

CAS No. : 2310299-91-1

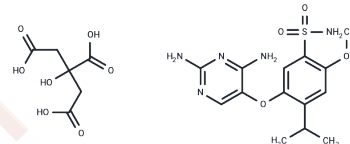
Formula: C<sub>20</sub>H<sub>27</sub>N<sub>5</sub>O<sub>11</sub>S

Molecular Weight: 545.52

Keep away from moisture

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Gefapixant citrate (AF-219 citrate) is an orally available, highly potent P2X <sub>3</sub> receptor antagonist with antitussive properties that inhibits hP2X <sub>2/3</sub> . It can be used to study chronic cough.
Targets(IC <sub>50</sub> )	P2X Receptor
In vitro	Gefapixant citrate did not inhibit any receptor that did not contain a P2X <sub>3</sub> subunit, and its IC <sub>50</sub> values exceeded 10,000 nM on recombinant hP2X <sub>1</sub> , hP2X <sub>2</sub> , hP2X <sub>4</sub> , rP2X <sub>5</sub> , and hP2X <sub>7</sub> channels. [1]
In vivo	In a rat model of knee osteoarthritis, Gefapixant citrate (administered orally twice daily for 7 days) was able to attenuate the abnormal response on the weight-loading side and completely reversed the significant nociceptive hypersensitivity at the two higher doses (20 mg/kg and 60 mg/kg) (the model was established by intra-articular injections of monoiodoacetic acid and was observed for 14 days post-injection). [2]

## Solubility Information

Solubility	DMSO: 4 mg/mL (7.33 mM), when pH is adjusted to 5~6 with HCl. Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	1.8331 mL	9.1656 mL	18.3311 mL
5 mM	0.3666 mL	1.8331 mL	3.6662 mL
10 mM	0.1833 mL	0.9166 mL	1.8331 mL
50 mM	0.0367 mL	0.1833 mL	0.3666 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Ford AP, et al. The therapeutic promise of ATP antagonism at P2X3 receptors in respiratory and urological disorders. *Front Cell Neurosci.* 2013 Dec 19;7:267.

Ford AP. In pursuit of P2X3 antagonists: novel therapeutics for chronic pain and afferent sensitization. *Purinergic Signal.* 2012 Feb;8(Suppl 1):3-26.

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.

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