

Bamirastine

Chemical Properties

CAS No. : 215529-47-8

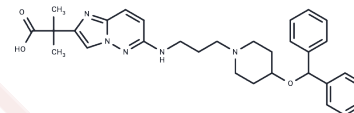
Formula: C₃₁H₃₇N₅O₃

Molecular Weight: 527.66

Store at low temperature

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	Bamirastine inhibits ligand binding to recombinant human histamine H1 receptor (rhH1R) with an IC ₅₀ of 17.3 nM and possesses an inhibitory effect on allergic skin inflammation.
Targets(IC ₅₀)	Histamine Receptor
In vitro	In a concentration-dependent manner, Bamirastine (TAK-427) reduces the specific binding of [³ H] pyrilamine to recombinant human H1 receptors (rhH1R) with an IC ₅₀ value of 17.3 nM. The calculated K _i value for this interaction is 7.35 nM. Notably, the affinity of Bamirastine is determined to be as high as that of azelastine, 2 times lower than that of Epinastine, 8 times lower than that of ketotifen, and 3 times higher than that of Terfenadine[1].
In vivo	Bamirastine (TAK-427) exhibits inhibition of histamine-induced skin reactions in guinea pigs and mice, with ID ₅₀ values of 0.884 and 0.450 mg/kg, p.o., respectively. Notably, significant inhibition persists 24 hours after dosing in guinea pigs even at a dose of 10 mg/kg. However, even at a high dose of 300 mg/kg, Bamirastine does not affect pentobarbital-induced sleeping time in mice. Furthermore, Bamirastine significantly inhibits passive cutaneous anaphylaxis (PCA) in both mice and guinea pigs. Additionally, it inhibits antigen-induced immediate skin reactions (ISRs) in guinea pigs[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8952 mL	9.4758 mL	18.9516 mL
5 mM	0.379 mL	1.8952 mL	3.7903 mL
10 mM	0.1895 mL	0.9476 mL	1.8952 mL
50 mM	0.0379 mL	0.1895 mL	0.379 mL

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

Fukuda S, et al. Characteristics of the antihistamine effect of TAK-427, a novel imidazopyridazine derivative. *Inflamm Res.* 2003 May;52(5):206-14.

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