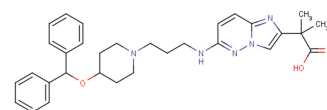


## Bamirastine

## Chemical Properties

|                   |  |
|-------------------|--|
| CAS No.:          | 215529-47-8  |
| Formula:          | C31H37N5O3   |
| Molecular Weight: | 527.66   |
| Appearance:       | N/A  |
| Storage:          | 0-4°C for short term (days to weeks), or -20°C for long term (months). |



## Biological Description

|               |  |
|---------------|--|
| Description   | Bamirastine (TAK-427) inhibits ligand binding to recombinant human histamine H1 receptors (rhH1R; IC50: 17.3 nM).  |
| Targets(IC50) | rhH1R: 17.3 nM   |
| In vitro      | Bamirastine reduces specific binding of [3H] pyrilamine to rhH1R is seen in a concentration-dependent manner (IC50: 17.3 nM). The Ki value is calculated to be 7.35 nM. The affinity of Bamirastine is 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.  |
| In vivo       | Bamirastine inhibits histamine-induced skin reactions in guinea pigs and mice (ID50s: 0.884 and 0.450 mg/kg, p.o.). The significant inhibition associated with 10 mg/kg of Bamirastine is still observed 24 h after dosing in guinea pigs. Even at 300 mg/kg, Bamirastine does not affect pentobarbital-induced sleeping time in mice. Bamirastine significantly inhibits passive cutaneous anaphylaxis (PCA) in mice and guinea pigs and also inhibits antigen-induced ISRs in guinea pigs. |

## Solubility Information

|            |   |
|------------|---|
| Solubility | < 1 mg/ml refers to the product slightly soluble or insoluble |
|------------|---|

### Preparing Stock Solutions

|       | 1mg      | 5mg      | 10mg      |
|-------|----------|----------|-----------|
| 1 mM  | 1.895 mL | 9.476 mL | 18.952 mL |
| 5 mM  | 0.379 mL | 1.895 mL | 3.79 mL   |
| 10 mM | 0.19 mL  | 0.948 mL | 1.895 mL  |
| 50 mM | 0.038 mL | 0.19 mL  | 0.379 mL  |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

### Reference

1. 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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