

## Rabacfosadine

### Chemical Properties

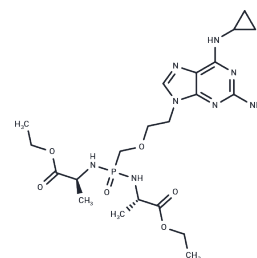
CAS No. : 859209-74-8

Formula: C<sub>21</sub>H<sub>35</sub>N<sub>8</sub>O<sub>6</sub>P

Molecular Weight: 526.53

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

Actual storage temperature shall be subject to the COA.



### Biological Description

Description	Rabacfosadine (GS-9219) is a novel dual pre-drug of the acyclic nucleotide phosphonate PMEG to tumor lymphocytes for the study of lymphoma.
Targets(IC50)	Nucleoside Antimetabolite/Analog
In vitro	Rebaarsen inhibits the proliferation of mitogen-stimulated T and B lymphocytes as determined by BrdUrd binding assay (EC <sub>50</sub> 135 and 42 nM, respectively). GS-9219 showed strong antiproliferative activity against activated lymphocytes and hematopoietic tumor cell lines. Labafaxadine is converted to its active metabolite, PMEG diphosphate, by enzymatic hydrolysis, deamination and phosphorylation in lymphocytes. In this paper, we investigated the ability of rabafaxadine to inhibit the proliferation of activated lymphocytes and hematopoietic tumor cells. To compare the activity of GS-9219 in dividing and non-dividing cells, the activity of Rabacfosadine in these cell populations was assessed using the metabolism-based XTT sodium assay rather than the BrdUrd assay. The results of the XTT assay showed that the EC <sub>50</sub> values of Rabacfosadine differed from those in quiescent (EC <sub>50</sub> =17.2 μM) and proliferating cells (EC <sub>50</sub> =135 nM). ) in quiescent cells (EC <sub>50</sub> =17.2 μM) and proliferating cells (EC <sub>50</sub> =135 nM) with a 127-fold difference in EC <sub>50</sub> values. These results suggest that Rabatadine has a great selectivity for actively replicating lymphoblastoid cells [1].
In vivo	Rabatadine has potent single-agent activity in dogs with lymphoma by a mechanism of action different from that of doxorubicin. Dogs are treated alternately with rabafenacin (1.0 mg/kg, i.v., weeks 0, 6, and 12) and doxorubicin (30 mg/m <sup>2</sup> , i.v., weeks 3, 9, and 15). Dogs that achieved a complete response (CR) were evaluated at monthly follow-up visits. Complete clinicopathologic evaluations were performed every 21 days and remission and adverse events (AEs) were assessed. Acute AEs (occurring within 21 days of the first dose of each drug) were compared between labradine and doxorubicin in 46 dogs with at least 1 dose of each drug [2].

### Solubility Information

Solubility	DMSO: 80 mg/mL (151.94 mM), Sonication is recommended. ( < 1 mg/ml refers to the product slightly soluble or insoluble)
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In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (6.27 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8992 mL	9.4961 mL	18.9923 mL
5 mM	0.3798 mL	1.8992 mL	3.7985 mL
10 mM	0.1899 mL	0.9496 mL	1.8992 mL
50 mM	0.038 mL	0.1899 mL	0.3798 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

Reiser H, et al. GS-9219--a novel acyclic nucleotide analogue with potent antineoplastic activity in dogs with spontaneous non-Hodgkin's lymphoma. Clin Cancer Res. 2008 May 1;14(9):2824-32.  
Thamm DH, et al. Alternating Rabacfosadine/Doxorubicin: Efficacy and Tolerability in Naïve Canine Multicentric Lymphoma. J Vet Intern Med. 2017 May;31(3):872-878.

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