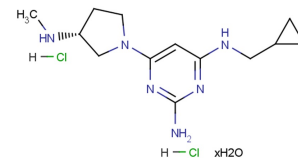


## Adriforant hydrochloride

## Chemical Properties

|                   |                                                                        |
|-------------------|------------------------------------------------------------------------|
| CAS No.:          | 2096455-90-0                                                           |
| Formula:          | C <sub>13</sub> H <sub>25</sub> Cl <sub>3</sub> N <sub>6</sub>         |
| Molecular Weight: | 371.74                                                                 |
| Appearance:       | N/A                                                                    |
| Storage:          | 0-4°C for short term (days to weeks), or -20°C for long term (months). |



## Biological Description

|                            |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
|----------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description                | Adriforant hydrochloride is an antagonist of histamine H <sub>4</sub> receptor.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
| Targets(IC <sub>50</sub> ) | H <sub>4</sub> R bind: k <sub>i</sub> :2.4 nM<br>H <sub>4</sub> R func: 1.56 nM (k <sub>i</sub> )                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |
| In vitro                   | Adriforant in vitro IC <sub>50</sub> on human native isolated eosinophils assessing actin polymerisation is 1.16 nM and assuming need 10 times the IC <sub>50</sub> for >90% receptor occupancy (and therefore near complete inhibition of the response) suggested a concentration of 12 nM. The data in the whole blood GAFS assay demonstrates that the imetit induced shape change is completely blocked at a total blood concentration of 30 nM (which correcting for PPB and blood partitioning equates to approximately 10 nM free). For the purpose of dose projection and safety margin calculation, a Ce <sub>ff</sub> /C <sub>min</sub> concentration of 10-15 nM is used |

## Solubility Information

|            |                                                                                                   |
|------------|---------------------------------------------------------------------------------------------------|
| Solubility | DMSO: 83.33 mg/mL (224.16 mM)<br>( < 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|---------------------------------------------------------------------------------------------------|

## Preparing Stock Solutions

|       | 1mg      | 5mg      | 10mg      |
|-------|----------|----------|-----------|
| 1 mM  | 2.69 mL  | 13.45 mL | 26.901 mL |
| 5 mM  | 0.538 mL | 2.69 mL  | 5.38 mL   |
| 10 mM | 0.269 mL | 1.345 mL | 2.69 mL   |
| 50 mM | 0.054 mL | 0.269 mL | 0.538 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. Mowbray CE, et al. Challenges of drug discovery in novel target space. The discovery and evaluation of PF-3893787: a novel histamine H<sub>4</sub> receptor antagonist. Bioorg Med Chem Lett. 2011 Nov 1;21(21):6596-602.

Inhibitors · Natural Compounds · Compound Libraries

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