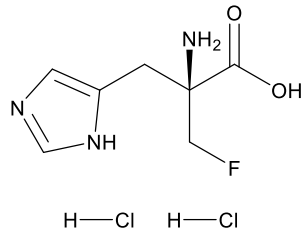
Product data sheet

MedKoo Cat#: 525854 Name: (S)-alpha-Fluoromethylhistidine HCl CAS#: 81839-27-2 (HCl) Chemical Formula: $C_7H_{12}Cl_2FN_3O_2$ Exact Mass: 187.0757 Molecular Weight: 260.0904	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
(S)-alpha-Fluoromethylhistidine HCl is a potent irreversible histidine decarboxylase (HDC) inhibitor and glutathione S-transferase inhibitor. a-FMH was demonstrated to be an effective inhibitor of GST at micromolar concentration, suggesting that off-target effects of a-FMH may limit physiological drug metabolism and elimination by GST-dependent mechanisms.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
TBD	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	3.84 mL	19.22 mL	38.45 mL
5 mM	0.77 mL	3.84 mL	7.69 mL
10 mM	0.38 mL	1.92 mL	3.84 mL
50 mM	0.08 mL	0.38 mL	0.77 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Considine KL, Stefanidis L, Grozinger KG, Audie J, Alper BJ. Efficient synthesis of α-fluoromethylhistidine di-hydrochloride and demonstration of its efficacy as a glutathione S-transferase inhibitor. Bioorg Med Chem Lett. 2017 Mar 15;27(6):1335-1340. doi: 10.1016/j.bmcl.2017.02.024. Epub 2017 Feb 14. PMID: 28228363.

In vivo study

1. Yu J, Fang Q, Lou GD, Shou WT, Yue JX, Tang YY, Hou WW, Xu TL, Ohtsu H, Zhang SH, Chen Z. Histamine modulation of acute nociception involves regulation of Nav 1.8 in primary afferent neurons in mice. CNS Neurosci Ther. 2013 Sep;19(9):649-58. doi: 10.1111/cns.12134. Epub 2013 Jun 15. PMID: 23773488; PMCID: PMC6493618.
2. Yasuko S, Atanda AM, Masato M, Kazuhiko Y, Kazuki H. Alpha-fluoromethylhistidine, a histamine synthesis inhibitor, inhibits orexin-induced wakefulness in rats. Behav Brain Res. 2010 Feb 11;207(1):151-4. doi: 10.1016/j.bbr.2009.09.049. Epub 2009 Oct 8. PMID: 19818811.

7. Bioactivity
Biological target:

TBD

Product data sheet

In vitro activity

Addition of 10 mM PABA was observed to limit glutathione transferase activity to 33 ± 3.9% that of the uninhibited enzyme. Notably, addition of 150 μM α-FMH (alpha-Fluoromethylhistidine) (equivalent to approximately 1/70th the amount of PABA input) was sufficient to limit glutathione transferase activity to 57 ± 8.7% of the uninhibited enzyme. As micromolar concentrations of α-FMH were sufficient to significantly limit glutathione transfer activity, this study concludes that α-FMH is a potent GST inhibitor, consistent with predictions from this study's in silico analysis.

Reference: Bioorg Med Chem Lett. 2017 Mar 15;27(6):1335-1340. https://pubmed.ncbi.nlm.nih.gov/28228363/

In vivo activity

The results show that orexin-B significantly ($p < 0.05$) increased wakefulness during the infusion period and that α-FMH (alpha-Fluoromethylhistidine) significantly ($p < 0.05$) blocked this effect of orexin in rats. Regarding non-REM sleep, the results showed that orexin significantly decreased this sleep stage and that this orexin-B effect was blocked with prior administration of α-FMH. Fig. 2 presents further analysis regarding the total time spent during the 5-h infusion of orexin-B (10 nmol) and the influence of α-FMH on the effect of orexin-B for wakefulness [$F(2,23) = 213.25$, $p = 0.0001$], non-REM sleep [$F(2,23) = 51.10$, $p = 0.0001$], and REM sleep [$F(2,23) = 19.25$, $p = 0.0001$], respectively.

Reference: Behav Brain Res. 2010 Feb 11;207(1):151-4. https://pubmed.ncbi.nlm.nih.gov/19818811/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.