

## Numidargistat dihydrochloride

## Chemical Properties

CAS No. :

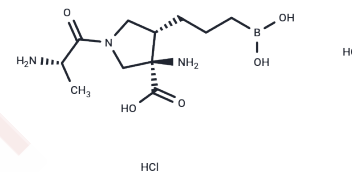
Formula: C<sub>11</sub>H<sub>24</sub>BCl<sub>2</sub>N<sub>3</sub>O<sub>5</sub>

Molecular Weight: 360.04

Keep away from moisture

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	Numidargistat dihydrochloride (CB-1158 dihydrochloride) is an orally active and highly effective arginase (ARG1) inhibitor, an immuno-oncology agent that inhibits TGF- $\beta$ -induced subcapsular cataract by reducing the proliferation of lens epithelial cells (LEC) and decreasing the expression of fibronectin, $\alpha$ -SMA, collagen 1A1, and vimentin.
Targets(IC50)	Arginase
In vitro	Numidargistat dihydrochloride is a potent inhibitor of arginase, inhibiting recombinant human Arg1 (IC <sub>50</sub> = 86 nM) and the related enzyme Arg2 (IC <sub>50</sub> = 296 nM). Numidargistat dihydrochloride shows effective inhibitory activity on intracellular arginase in hepatocellular carcinoma (HCC) cell line HepG2, chronic myeloid leukemia cell line K-562, and primary human hepatocytes with IC <sub>50</sub> of 32, 139, and 210 $\mu$ M, respectively. [1] In mouse lens culture, Numidargistat dihydrochloride (50 $\mu$ M) and TGF- $\beta$ 2 (10 ng/ml) for 7 days indicated that ASC development could be inhibited by inhibiting ARG1. [2]
In vivo	In a mouse cancer model, Numidargistat dihydrochloride is given 100 mg/kg twice daily by oral tube feeding, and results show that Numidargistat dihydrochloride blocks arginase, The tumor growth of CT26, LLC, B16 and 4T1 was significantly inhibited. [1]

## Solubility Information

Solubility	DMSO: 40 mg/mL (111.1 mM),Sonication is recommended. H <sub>2</sub> O: 30 mg/mL (83.32 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	2.7775 mL	13.8873 mL	27.7747 mL
5 mM	0.5555 mL	2.7775 mL	5.5549 mL
10 mM	0.2777 mL	1.3887 mL	2.7775 mL
50 mM	0.0555 mL	0.2777 mL	0.5555 mL

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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

Steggerda SM, et al. Inhibition of arginase by CB-1158 blocks myeloid cell-mediated immune suppression in the tumor microenvironment. *J Immunother Cancer*. 2017 Dec 19;5(1):101.

Li Q, et al. Arginase-1 promotes lens epithelial-to-mesenchymal transition in different models of anterior subcapsular cataract. *Cell Commun Signal*. 2023 Sep 18;21(1):236.

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