BB-Cl-Amidine hydrochloride

Cat. No.: HY-111347A

Molecular Formula: $C_{26}H_{27}Cl_2N_5O$

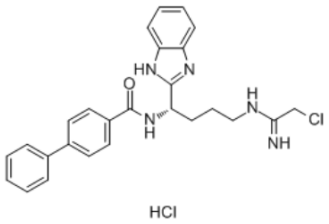
Molecular Weight: 496.43

Target: Protein Arginine Deiminase

Pathway: Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (**201.44 mM**)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0144 mL	10.0719 mL	20.1438 mL
	5 mM	0.4029 mL	2.0144 mL	4.0288 mL
	10 mM	0.2014 mL	1.0072 mL	2.0144 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BB-Cl-Amidine hydrochloride is a peptidylarginine deminase **(PAD)** inhibitor[1].

IC_{50} & Target

PAD[1].

In Vivo

Treatment with BB-Cl-amidine subtly reduces splenomegaly in MRL/lpr mice, while there is a trend towards increased circulating levels of anti-NET antibodies with PAD inhibitor treatment. However, neither PAD inhibitor affected body

weight or total IgG levels. Indeed, treatment with both Cl-amidine and BB-Cl-amidine significantly improves endothelium-dependent vasorelaxation. The BB-Cl-amidine group also shows a strong trend towards downregulation of IRGs. Treatment with either Cl-amidine or BB-Cl-amidine significantly improves muzzle alopecia, in many cases preventing it entirely[1].

Animal Model:	MRL/lpr mice[1].
Dosage:	1 mg/kg.
Administration:	Subcutaneous injection daily from 8 to 14 weeks of age.
Result:	Significantly improved endothelium-dependent vasorelaxation and showed a strong trend towards downregulation of IRGs.

REFERENCES

[1]. Knight JS, et al. Peptidylarginine deiminase inhibition disrupts NET formation and protects against kidney, skin and vascular disease in lupus-prone MRL/lpr mice. Ann Rheum Dis. 2015 Dec;74(12):2199-206.

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