Product Data Sheet

Product Name: NVP DPP 728 dihydrochloride
Cat. No.: GC14126

Chemical Properties

Cas. No.	247016-69-9		
Chemical Name	6-[2-[[2-(2-cyanopyrrolidin-1-yl)-2-oxoethyl]amino]ethylamino]pyridine-3-carbonitrile;dihydrochloride		
SMILES	C1CC(N(C1)C(=O)CNCCNC2=NC=C(C=C2)C#N)C#N.Cl.Cl		
Formula	$C_{15}H_{18}N_6O.2HCl$	M.Wt	371.27
Solubility	≥ 17.75mg/mL in DMSO with gentle warming, ≥ 81 mg/mL in EtOH, ≥ 82 mg/mL in Water	Storage	Desiccate at RT
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	NVP DPP 728 dihydrochloride		

Background

NVP DPP 728 dihydrochloride
Description:
Ki: Inhibit human DPP-IV amidolytic activity with a Ki of 11 nM [1]
Inhibitors of dipeptidyl peptidase 4, also known as DPP-4 inhibitors or gliptins, are a class of oral hypoglycemics that can be used to treat diabetes mellitus type 2. NVP DPP 728 dihydrochloride is a potent and orally active inhibitor of dipeptidyl peptidase (DPP)-IV.
In vitro: NVP-DPP728 was found to inhibit human DPP-IV amidolytic activity with a Ki of 11 nM, a kon value of 1.3 x 105 M-1 s-1, and a koff of 1.3 x 10-3 s-1. NVP-DPP728 inhibited DPP-IV in a manner consistent with a two-step inhibition mechanism. Taken together, these data suggest that NVP-DPP728 inhibits DPP-IV through formation of a novel, reversible, nitrile-dependent complex with transition state characteristics [1].
In vivo: Aging caused a decrease in early insulin response after an oral glucose

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challenge in aged Wistar or DPP-IV(+) F344 rats, but not in aged DPP-IV(-) F344 rats, compared with young control groups. Glucose tolerance after an oral glucose challenge in aged DPP-IV(-) F344 rats was better than in aged DPP-IV(+) F344 and Wistar rats associated with the preservation of the early insulin response. NVP-DPP728 improved the glucose tolerance after an oral glucose challenge by potentiating the early insulin response throughout the inhibition of plasma DPP-IV activity in aged DPP-IV(+) Wistar andF34 4 rats. In contrast, NVP-DPP728 did not affect the glucose tolerance after an oral glucose challenge in aged DPP-IV(-) F344 rats. These results indicate that treatment with NVP-DPP728 ameliorated glucose tolerance in aged rats by the direct inhibition of plasma DPP-IV activity and presumably the subsequent increase in endogenous incretin action [2].

Clinical trial: NVP-DPP728 is currently in the preclinical development and no clinical trial is ongoing.

Reference:

[1] Hughes TE, Mone MD, Russell ME, Weldon SC, Villhauer EB. NVP-DPP728 (1-[[[2-[(5-cyanopyridin-2-yl)amino]ethyl]amino]acetyl]-2-cyano-(S)-pyrrolidine), a slow-binding inhibitor of dipeptidyl peptidase IV. Biochemistry. 1999;38(36):11597-603.

[2] Mitani H, Takimoto M, Kimura M. Dipeptidyl peptidase IV inhibitor NVP-DPP728 ameliorates early insulin response and glucose tolerance in aged rats but not in aged Fischer 344 rats lacking its enzyme activity. Jpn J Pharmacol. 2002;88(4):451-8.