Product Data Sheet

Product Name: PK 44 phosphate
Cat. No.: GC14201

Chemical Properties

Cas. No.	1017682-65-3		
Chemical Name	(R)-3-amino-4-(6,7-difluoro-1H-indazol-3-yl)-1-(3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl)butan-1-one phosphate		
SMILES	O=C(N1CC2=NN=C(C(F)(F)F)N2CC1)C[C@H](N)CC3=NNC4=C3C=CC(F)=C4F.O=P(O)(O)O		
Formula	$C_{17}H_{16}F_5N_7O.H_3PO_4$	M.Wt	527.34
Solubility	<52.73mg/ml in Water; <52.73mg/ml in DMSO	Storage	Store at -20°C
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	PK 44 phosphate		

Background

PK 44 phosphate
Description:IC50: 15.8 nm (DPP-IV)
The protein encoded by the DPP4 gene is an antigenic enzyme expressed on the surface of most cell types and is associated with immune regulation, signal transduction and apoptosis. Inhibitors of dipeptidyl peptidase 4, also DPP-4 inhibitors or gliptins, are a class of oral hypoglycemics that block DPP-4. They can be used to treat diabetes mellitus type 2 (http://en.wikipedia.org/wiki/Dipeptidyl_peptidase-4_inhibitors). PK 44 phosphate is a potent inhibitor of dipeptidyl peptidase IV (DPP-IV).
In vitro: With a potent inhibitor of dipeptidyl peptidase IV (DPP-IV), PK 44 phosphate showed more than 1000-fold selectivity for DPP-IV over DPP-8 and DPP-9 [1].
In vivo: PK 44 phosphate was found to be able to improve glucose tolerance in a mouse oral glucose tolerance assay [1].
Clinical trial: PK 44 phosphate is currently in the preclinical development and no clinical

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trial is ongoing.

Reference:

[1] Tozer et al (2010) Indole- and indazole-based inhibitors of dipeptidyl peptidase IV for the treatment of type 2 diabetes. 32nd Annual National Medicinal Chemistry Symposium Poster 52.

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