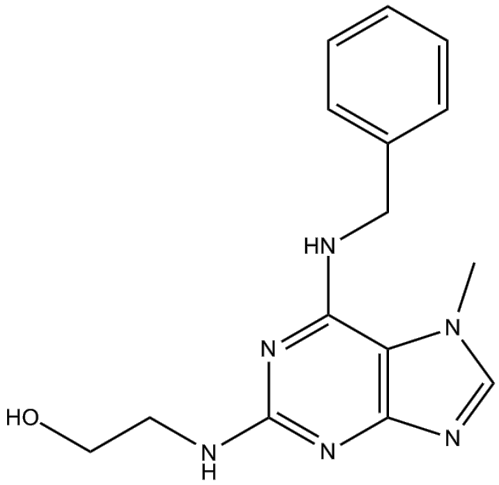
Product Data Sheet

Product Name: Iso-Olomoucine
Cat. No.: GC15215

Chemical Properties

Cas. No.	101622-50-8		
Chemical Name	2-[[7-methyl-6-[(phenylmethyl)amino]-7H-purin-2-yl]amino]-ethanol		
SMILES	OCCNC1=NC(N=CN2C)=C2C(NCC3=CC=CC=C3)=N1		
Formula	$C_{15}H_{18}N_6O$	M.Wt	298.3
Solubility	≤0.3mg/ml in ethanol;15mg/ml in DMSO;15mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C 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



Background

IC50: ≥ 1 mM for Cdk5

Iso-Olomoucine is an inactive stereoisomer of the Cdk5 inhibitor olomoucine.

Caution: Product has not been fully validated for medical applications. For research use only.
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Cyclin-dependent kinases (CDKs) are reported to be key regulators of cell cycle progression whose function/dysfunction has been implicated in cancer, human neurodegenerative diseases, as well as the response of addictive drugs through alteration of postsynaptic dopamine receptor signaling.

In vitro: In a previous study, rat dorsal striatal synaptosomes were incubation with the Cdk5 inhibitors roscovitine, olomoucine, and GW8510 or the inactive congener iso-olomoucine, which led to a rapid, concentration-dependent inhibition of specific [3H]DA uptake. However, roscovitine was the only inhibitor that did not decrease [3H]2beta-carbomethoxy-3beta-(4-fluorophenyl)tropane binding to dSTR DATs. Roscovitine-induced inhibition of dSTR [3H]DA uptake was demonstrated by decreased maximal uptake velocity, without a change in cell-surface DAT levels. Moreover, roscovitine did not enhance [3H]DA release mediated by either DAT reverse-transport. Instead, roscovitine could enhance spontaneous [3H]DA outflow and inhibit DAT-mediated [3H]DA reaccumulation into dSTR slices. Additionally, in a Cdk5-independent manner, iso-olomoucine was able to rapidly inhibit dopamine transporter activity in rat dorsal striatal synaptosomes with a potency similar to that of olomoucine (IC50 ~37 μM) [1]

In vivo: Up to now, there is no animal in vivo data reported.

Clinical trial: So far, no clinical study has been conducted.

Reference:
[1] Price, D. A.,Sorkin, A. and Zahniser, N.R. Cyclin-dependent kinase 5 inhibitors: Inhibition of dopamine transporter activity. Molecular Pharmacology 76(4), 812-823 (2009).

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