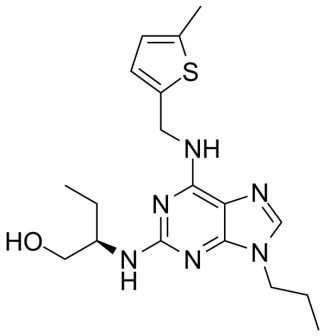
GV-58

Cat. No.:	HY-12498
CAS No.:	1402821-41-3
Molecular Formula:	$C_{18}H_{26}N_6OS$
Molecular Weight:	374.5
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
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 (267.02 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6702 mL	13.3511 mL	26.7023 mL
5 mM	0.5340 mL	2.6702 mL	5.3405 mL
10 mM	0.2670 mL	1.3351 mL	2.6702 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 (6.68 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GV-58 is a potent, selective N- and P/Q-type Ca2+ channels agonist with EC50 of 7.21/8.81 uM for N-type/P-Q-type Ca2+ channel; 20-fold less potent CDK inhibitor activity.IC50 value: 7.21/8.81 uM (N-type/P-Q-type Ca2+ channel) [1]Target: Ca2+ channel agonistIn comparison with the parent molecule, (R)-roscovitine, GV-58 has a 20-fold less potent cyclin-dependent kinase antagonist effect, a 3- to 4-fold more potent Ca2+ channel agonist effect, and 4-fold higher efficacy as a Ca2+ channel agonist. GV-58 had no agonist activity (up to 100 μm) on the L-type α-subunit we tested (Cav1.3). In summary, GV-58 greatly improved upon (R)-roscovitine in terms of our properties of interest, with a ⊠4-fold increase in efficacy as an agonist for N- and P/Q-type Ca2+ channels, a ⊠3- to 4-fold increase in potency as an agonist for N- and P/Q-type Ca2+ channels, and a 20-fold decrease in potency as a Cdk antagonist.

REFERENCES

[1]. Tarr TB, et al. Evaluation of a novel calcium channel agonist for therapeutic potential in Lambert-Eaton myasthenic syndrome. J Neurosci. 2013 Jun 19;33(25):10559-67.

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