Product Data Sheet

Product Name: VU0152099
Cat. No.: GC63630

Chemical Properties

Cas. No.	612514-42-8		
Formula	$C_{18}H_{17}N_3O_3S$	M.Wt	355.41
Solubility	DMSO : 12.5 mg/mL (35.17 mM; ultrasonic and warming and heat to 60°C)	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	VU0152099		

Background

VU0152099 is a potent, selective and brain-penetrant mAChR M4 positive allosteric modulator with an EC50 of 0.4 μM for rat M4 receptor. VU0152099 is inactive for other mAChR subtypes or other GPCRs. VU0152099 has no agonist activity but potentiated responses of M4 to acetylcholine[1].

VU0152099 (30 μM) induces a dose-dependent leftward shift of the acetylcholine (ACh) concentration response curve (CRC) with maximal shifts of 30-fold observed with 30 μM. VU0152099 dose-dependently potentiates the response to an EC20 concentration of ACh with EC50 values of 1.2 μM, and increases the maximal response to ACh to approximately 130%. VU0152099 is a potent positive allosteric modulator that enhance the response of the M4 receptor to the endogenous agonist ACh[1].

VU0152099 (56.6 mg/kg; i.p.; once) reverses Amphetamine-induced hyperlocomotion in rats[1].

[1]. Ashley E Brady, et al. Centrally active allosteric potentiators of the M4 muscarinic acetylcholine receptor reverse amphetamine-induced hyperlocomotor activity in rats. J Pharmacol Exp Ther. 2008 Dec;327(3):941-53.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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