
Product Data Sheet

Product Name: VU6028418

Cat. No.: GC67882

Chemical Properties

Cas. No. 2649803-05-2

Formula $C_{23}H_{27}F_3N_4O$

M.Wt 432.48

Solubility DMSO : 5.56 mg/mL (12.86 mM; ultrasonic and warming and adjust pH to 5 with HCl and heat to 60°C) 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**

VU6028418 is a potent, highly selective and orally bioavailable **M₄ mAChR** antagonist with an **IC₅₀** of 4.1 nM against hM₄^[1].

VU6028418 is orally bioavailable^[1].

In Vivo PK Parameters for VU6028418^[1]

parameter	rat(SD) ^a	mouse(CD-1) ^a	dog(beagle) ^a
dose (mg/kg) iv/po	1/10	1/3	1/3
CL _p (mL/min/kg)	6.1	17	43
V _{SS} (L/kg)	6.7	10.6	8.5
elimination t _{1/2} (h)	13	NC	15

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

C_{max} (ng/mL) po	17 000	181	70
T_{max} (h) po	1.5	6.67	17
AUC_{0-inf} (ng/mL•h) po	30 000	NC	1100
F (%) po	≥ 100	≥ 100	86
total brain/total plasma (K_p)	6.4	ND	ND
unbound brain/unbound plasma ($K_{p,uu}$)	0.61	ND	ND
CSF/plasma unbound ($K_{p,u}$)	0.24	ND	ND

^a Values represent means from two to three animals. ND = not determined. NC = not calculated; there was insufficient data to define the elimination phase (i.e., C_{max} was one of the last three time points).

Animal Model: SD rat, CD-1 mouse and beagle dog^[1]

Dosage: 1, 3 and 10 mg/kg

Administration: Intravenous injection or oral administration (Pharmacokinetic Analysis)

Result: Showed good pharmacokinetic results.

[1]. Spock M, et al. Discovery of VU6028418: A Highly Selective and Orally Bioavailable M4 Muscarinic Acetylcholine Receptor Antagonist. ACS Med Chem Lett. 2021 Aug 2;12(8):1342-1349.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA
