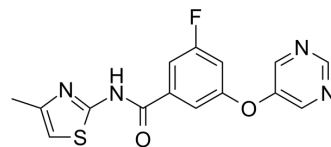


VU0409106

Cat. No.:	HY-110180
CAS No.:	1276617-62-9
Molecular Formula:	C ₁₅ H ₁₁ FN ₄ O ₂ S
Molecular Weight:	330.34
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	VU0409106 is a potent and selective mGlu ₅ negative allosteric modulator (NAM) with an IC ₅₀ of 24 nM. VU0409106 shows anxiolytic effects in rat models in a concentration-dependent manner. VU0409106 also penetrates the blood-brain barrier (BBB) ^{[1][2]} .																					
IC₅₀ & Target	mGlu ₅ 24 nM (IC ₅₀)																					
In Vivo	<p>VU0409106 (10 mg/kg; i.p.; once) penetrates the blood-brain barrier (BBB) and demonstrates good brain to plasma ratio that near unity^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>CD-1 mice^[1]</td> </tr> <tr> <td>Dosage:</td> <td>10 mg/kg (10% Tween 80 formulation)</td> </tr> <tr> <td>Administration:</td> <td>Intraperitoneal injection.</td> </tr> <tr> <td>Result:</td> <td>Pharmacokinetic Parameters of VU0409106 in CD-1 mice^[1].</td> </tr> </table> <table border="1"> <thead> <tr> <th></th> <th>IP (10 mg/kg)</th> </tr> </thead> <tbody> <tr> <td>Plasma T_{max} (h)</td> <td>0.25</td> </tr> <tr> <td>Plasma C_{max} (ng/mL)</td> <td>1450</td> </tr> <tr> <td>Brain T_{max} (h)</td> <td>0.25</td> </tr> <tr> <td>Brain C_{max} (ng/mL)</td> <td>1350</td> </tr> <tr> <td>AUC_{plasma} (ng/mL•h)</td> <td>702</td> </tr> </tbody> </table>		Animal Model:	CD-1 mice ^[1]	Dosage:	10 mg/kg (10% Tween 80 formulation)	Administration:	Intraperitoneal injection.	Result:	Pharmacokinetic Parameters of VU0409106 in CD-1 mice ^[1] .		IP (10 mg/kg)	Plasma T _{max} (h)	0.25	Plasma C _{max} (ng/mL)	1450	Brain T _{max} (h)	0.25	Brain C _{max} (ng/mL)	1350	AUC _{plasma} (ng/mL•h)	702
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AUC _{brain} (ng/mL·h)	696
B/P ratio	0.99

REFERENCES

[1]. Felts AS, et al. Discovery of VU0409106: A negative allosteric modulator of mGlu5 with activity in a mouse model of anxiety. *Bioorg Med Chem Lett*. 2013 Nov 1;23(21):5779-85.

[2]. Morrison RD, et al. The role of aldehyde oxidase and xanthine oxidase in the biotransformation of a novel negative allosteric modulator of metabotropic glutamate receptor subtype 5. *Drug Metab Dispos*. 2012 Sep;40(9):1834-45.

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

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