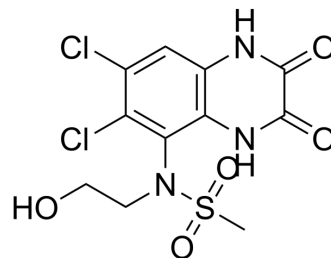


UK-240455

Cat. No.:	HY-19391
CAS No.:	178908-09-3
Molecular Formula:	C ₁₁ H ₁₁ Cl ₂ N ₃ O ₅ S
Molecular Weight:	368.19
Target:	iGluR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	UK-240455 is a potent and selective N-methyl D-aspartate (NMDA) glycine site antagonist.
IC₅₀ & Target	NMDA Receptor
In Vivo	<p>UK-240455 is a potent and selective N-methyl D-aspartate (NMDA) glycine site antagonist. Following i.v. administration of UK-240455 to male rats, UK-240455 has a clearance of 12 mL/min/kg and a volume of distribution of 0.4 L/kg. The plasma concentration of UK-240455 decreases with an apparent half-life of 0.4 h. Analysis of urine (0 to 24 h) for unchanged UK-240455 indicates that 57% of the dose administered is excreted unchanged in the urine. The urinary clearance of UK-240455 in the rat is therefore 7 mL/min/kg. Following oral administration of UK-240455 to male rats, the apparent elimination half-life of UK-240455 from plasma following oral administration is 1.6 h^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Animal Administration ^[1]	<p>UK-240455 is administered both i.v. and orally at a dose of 2 mg/kg to male rats. Before and during the i.v. and oral studies, animals have free access to food and water. For i.v. studies, UK-240455 is administered to rats (250 to 300 g) via the tail vein. At the following time points (n=3/time point) blood samples are taken under terminal anaesthesia: predose, 0.1, 0.25, 0.5, 1.0, 1.5, 2.0, 4.0 and 7.0 h postdose. Blood samples are collected into heparinized tubes and centrifuged to separate plasma. The plasma is removed and stored frozen. Three further rats are dosed with UK-240455 as above and placed in metabolism cages to collect urine. After 24 h, these animals are killed and the urine collected and stored frozen^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
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REFERENCES

[1]. Webster R, et al. Pharmacokinetics and disposition of a novel NMDA glycine site antagonist (UK-240,455) in rats, dogs and man. *Xenobiotica*. 2003 May;33(5):541-60.

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

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