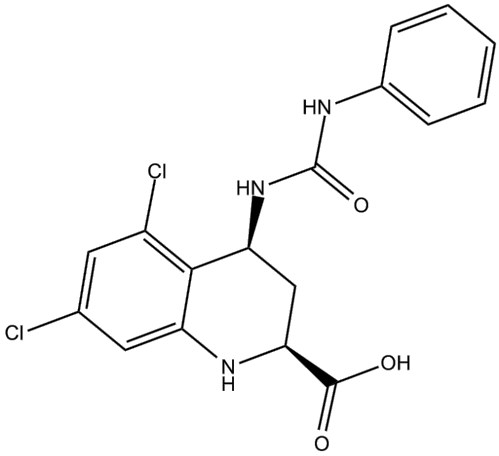
Product Data Sheet

Product Name: L-689,560
Cat. No.: GC10696

Chemical Properties

Cas. No.	139051-78-8		
Chemical Name	(2S,4S)-5,7-dichloro-4-(3-phenylureido)-1,2,3,4-tetrahydroquinoline-2-carboxylic acid		
SMILES	ClC1=C2[C@H](C[C@@H](C(O)=O)NC2=CC(Cl)=C1)NC(NC3=CC=CC=C3)=O		
Formula	$C_{17}H_{15}Cl_2N_3O_3$	M.Wt	380.23
Solubility	<9.51mg/ml in DMSO; <38.02mg/ml in ethanol	Storage	Store at RT
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

Kb: 130 nM

L-689,560 is a very potent antagonist at the glycine-NMDA site. The N-methyl-D-aspartate (NMDA) subtype of excitatorynamino acid receptor has been proved adequately that its relevant antagonists

can reduce ischaemic brain damage (particularly in experimental models of focal cerebral ischaemia).

In vitro: L-689560 is described as one of the most potent NMDA antagonists and [4'-3H]-L-689560 has been thought to be a highly specific radioligand for the glycine site. In consistent with the 5,7-disubstituted kynurenates, the tetrahydroquinolines are selective antagonists of glycine site NMDA, L-689560 exhibiting at least 3 orders of magnitude selectivity versus the glutamate site [1].

In vivo: MDL100748 with an ED50 of 83 mg kg-1 can prevent audiogenic seizures in susceptible mice after systemic injection. As a standard L689560, its subsequent analogues have been compared; the displacement of [3H] L689560 has often been used to displace that of [3H] glycine as an alternative assay. L701252, a quinones (the retention of a keto grouping at position 3), has been against L689560 binding (IC50 of 420 nM) and against seizures (ED50 of 4.1 mg kg-1) in DBA/2 mice. A group of sulfonamide analogues of kynurenic acid are also in active among the 2-quinolone series. Those of a series of 3,4-dihydroquinolones and tetrahydroquinolines with a nitrosubstituent at 3-position were selective antagonists at the NMDA receptor glycine site if they bore a bulky grouping in the position 4. The compound with no substitution at position 4 was proved to be one of the most effective broad-spectrum antagonists against NMDA and AMPA receptors [2].

Clinical trial: So far, no clinical study has been conducted.

References:
[1]. Leeson PD, Carling RW, Moore KW, Moseley AM, Smith JD, Stevenson G, Chan T, Baker R, Foster AC, Grimwood S, et al. 4-Amido-2-carboxytetrahydroquinolines. Structure-activity relationships for antagonism at the glycine site of the NMDA receptor. J Med Chem. 1992 May 29;35 (11): 1954-68.
[2]. Stone TW. Development and therapeutic potential of kynurenic acid and kynurenine derivatives for neuroprotection. Trends Pharmacol Sci. 2000 Apr; 21(4):149-54.