Product Data Sheet

Product Name: Carabersat
Cat. No.: GC31308

Chemical Properties

Cas. No.	184653-84-7		
SMILES	O=C(N[C@@H]1[C@@H](O)C(C)(C)OC2=CC=C(C(C)=O)C=C12)C3=CC=C(F)C=C3		
Formula	$C_{20}H_{20}FNO_4$	M.Wt	357.38
Solubility	Soluble in DMSO	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	Carabersat		

Protocol

Animal experiment:

Mice[1]In this model, groups of 10-20 naive mice (25-30 g) are assessed for production of a tonic hindlimb extension seizure following a single corneal electroshock using an "up and down" method of shock titration. The effects of drug treatment are expressed as a percentage change from vehicle control values and statistical comparisons between groups are made. Carabersat is administered orally as a fine suspension in 1% methylcellulose one hour before electroshock application[1].

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References:

[1]. Wai N. Chan, et al. Synthesis of Novel trans-4-(Substituted-benzamido)-3,4-dihydro-2H-benzo[b]pyran-3-ol Derivatives as Potential Anticonvulsant Agents with a Distinctive Binding Profile. J. Med. Chem., 1996, 39 (23), pp 4537-4539.
[2]. Herdon H, et al. The novel anticonvulsant SB 204269 binds to a stereospecific site in the mouse brain. Eur J Pharmacol. 1996 Oct 31;314(3):R7-8.
[3]. Caeser M, et al. Lack of effect of the novel anticonvulsant SB-204269 on voltage-dependent currents in neurones cultured from rat hippocampus. Neurosci Lett. 1999 Aug 13;271(1):57-60.
[4]. Crespi F, et al. SB-204269 SmithKline Beecham. IDrugs. 1998 Sep;1(5):595-8.

Background

Carabersat is a potent anticonvulsant agent.

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[3H]Carabersat ([3H]SB 204269) binds to rat forebrain membranes with moderate affinity (Kd 40 nM) and pKi values of 7.3[1]. Carabersat is able to bind to mouse forebrain membranes, and the binding is saturable and stereospecific, with a Kd of 53 nM. The labelled [3H]Carabersat produces a Kd of 32 nM[2]. Carabersat (SB-204269, 1-100 μM) has no effect on Na+ current in cultured hippocampal neurones. Carabersat also shows no effect on action potential discharges evoked by elevating external K+[3]. Carabersat (SB-204269) is structurally-related to the benzopyran ATP-sensitive potassium channel (KATP) opener, cromakalim, but has opposite stereochemistry, and the mechanism of action of Carabersat is not thought to involve KATP[4].

Carabersat (5b) significantly elevates anticonvulsant activity in mice[1].

[1]. Wai N. Chan, et al. Synthesis of Novel trans-4-(Substituted-benzamido)-3,4-dihydro-2H-benzo[b]pyran-3-ol Derivatives as Potential Anticonvulsant Agents with a Distinctive Binding Profile. J. Med. Chem., 1996, 39 (23), pp 4537-4539. [2]. Herdon H, et al. The novel anticonvulsant SB 204269 binds to a stereospecific site in the mouse brain. Eur J Pharmacol. 1996 Oct 31;314(3):R7-8. [3]. Caeser M, et al. Lack of effect of the novel anticonvulsant SB-204269 on voltage-dependent currents in neurones cultured from rat hippocampus. Neurosci Lett. 1999 Aug 13;271(1):57-60. [4]. Crespi F, et al. SB-204269 SmithKline Beecham. IDrugs. 1998 Sep;1(5):595-8.

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