Carabersat

Cat. No.: HY-U00307

CAS No.: 184653-84-7

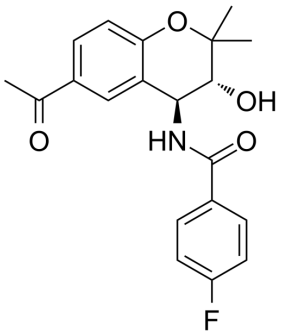
Molecular Formula: $C_{20}H_{20}FNO_4$

Molecular Weight: 357.38

Target: Others

Pathway: Others

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Carabersat is a potent anticonvulsant agent.
In Vitro	[^{3}H]Carabersat ([^{3}H]SB 204269) binds to rat forebrain membranes with moderate affinity (K_d 40 nM) and pK_i values of 7.3[1]. Carabersat is able to bind to mouse forebrain membranes, and the binding is saturable and stereospecific, with a K_d of 53 nM. The labelled [^{3}H]Carabersat produces a K_d 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Carabersat (5b) significantly elevates anticonvulsant activity in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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