

PRODUCT INFORMATION



Gabexate (mesylate)

Item No. 23767

CAS Registry No.: 56974-61-9

Formal Name: 4-[[6-[(aminoiminomethyl)amino]-1-oxohexyl]oxy]-benzoic acid, ethyl ester, monomethanesulfonate

MF: $C_{16}H_{23}N_3O_4 \cdot CH_3SO_3H$

FW: 417.5

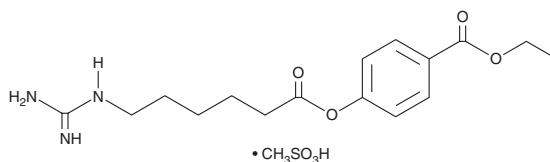
Purity: $\geq 98\%$

UV/Vis.: λ_{max} : 236 nm

Supplied as: A crystalline solid

Storage: $-20^\circ C$

Stability: ≥ 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Gabexate (mesylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the gabexate (mesylate) in the solvent of choice, which should be purged with an inert gas. Gabexate (mesylate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of gabexate (mesylate) in these solvents is approximately 25 mg/ml.

Description

Gabexate is a competitive inhibitor of serine proteases that inhibits human thrombin, urokinase, plasmin, and Factor Xa (K_i s = 0.97, 1.3, 1.6, and 8.5 μM , respectively).^{1,2} It also inhibits bovine thrombin, Factor Xa, and trypsin, and porcine plasma kallikrein (K_i s = 1.4, 2.1, 2.6, and 0.2 μM , respectively).^{1,3} *In vitro*, gabexate inhibits clotting activity of thrombin (IC_{50} = 10 μM).¹ *In vivo*, it inhibits fibrin formation in rats when administered at a continuous infusion of 120 $\mu mol/kg$ per hour.⁴ Gabexate inhibits LPS-stimulated TNF- α production from monocytes by inhibiting binding of NF- κB and activator protein 1 (AP-1) to target sites and inducing degradation of I $\kappa B\alpha$.⁵

References

1. Ohno, H., Kosaki, G., Kambayashi, J., *et al.* FOY: [ethyl P-(6-guanidinohexanoyloxy) benzoate] methanesulfonate as a serine proteinase inhibitor. I. Inhibition of thrombin and factor Xa *in vitro*. *Thromb. Res.* **19**(4-5), 579-588 (1980).
2. Menegatti, E., Bolognesi, M., Scalia, S., *et al.* Gabexate mesylate inhibition of serine proteases: Thermodynamic and computer-graphics analysis. *J. Pharm. Sci.* **75**(12), 1171-1174 (1986).
3. Tamura, Y., Hirado, M., Okamura, K., *et al.* Synthetic inhibitors of trypsin, plasmin, kallikrein, thrombin, C_{1r} , and C_{1s} esterase. *Biochim. Biophys. Acta* **484**(2), 417-422 (1977).
4. Ohno, H., Kambayashi, J., Chang, S.W., *et al.* FOY: [ethyl p-(6-guanidinohexanoyloxy) benzoate] methanesulfonate as a serine proteinase inhibitor. II. *In vivo* effect on coagulofibrinolytic system in comparison with heparin or aprotinin. *Thromb. Res.* **24**(5-6), 445-452 (1981).
5. Yuksel, M., Okajima, K., Uchiba, M., *et al.* Gabexate mesilate, a synthetic protease inhibitor, inhibits lipopolysaccharide-induced tumor necrosis factor- α production by inhibiting activation of both nuclear factor- κB and activator protein-1 in human monocytes. *J. Pharmacol. Exp. Ther.* **305**(1), 298-305 (2003).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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