Long-Lasting Muscle Relaxant Activity of Eperisone Hydrochloride after Percutaneous Administration in Rats

Manabu Matsunaga (1), Yuji Uemura (1), Yuri Yonemoto (1), Kazumi Kanai (1), Hironori Etoh (1), Shigeru Tanaka (1), Yuji Atsuta (2), Yukio Nishizawa (1) and Yoshiharu Yamanishi (1)

(1) Eisai Tsukuba Research Laboratories, 5-1-3 Tokodai, Tsukuba, Ibaraki 300-26, Japan
(2) Department of Orthopedic Surgery, Asahikawa Medical College, 4-5-3-11 Nishikagura, Asahikawa, Hokkaido 078, Japan

Abstract: Potency and duration of muscle relaxant activity of eperisone hydrochloride were examined after percutaneous administration in the intercollicular decerebrated rat rigidity model and compared to those of eperisone after intravenous injection. A continuous movement was loaded on the hindlimb of the rat model to maintain stable rigidity. The tonus of the hindlimb was recorded by EMG from the triceps surae and was quantified by using the public domain NIH Image program. Eperisone ointment administered percutaneously showed significant muscle relaxant activity at 8.4 cm2 (4.2 mg of eperisone)/rat. The effect was dose-dependent and lasted over 60 min. Intravenously injected eperisone showed significant activity at 1.25 mg/kg, but the decrease of tone was lost within 30 min after injection. Plasma eperisone levels were monitored in the same model, and they were well correlated to the dosage. These results suggest that percutaneously administered eperisone is absorbed efficiently and shows potent and long-lasting muscle relaxant activity.

Keywords: Percutaneous administration, Eperisone hydrochloride, Intercollicular decerebrated rat rigidity model, NIH Image program, Muscle relaxant activity