Norpropoxyphene has little analgesic ("opioid") activity (one-half to one-fortieth that of propoxyphene, depending on the assay method utilized), while its local anesthetic activity is two to three times that of the parent compound. The "opioid" activity is antagonized by agents such as naloxone, whereas the local anesthetic effect is not. At certain concentrations propoxyphene and norpropoxyphene have been demonstrated to delay cardiac conduction and diminish myocardial contractility in laboratory animals, in vitro and in vivo.

After the administration of a single oral dose of propoxyphene in man, plasma propoxyphene concentrations reach peak levels in approximately two hours and decrease thereafter, with a half-life of six to twelve hours. Peak plasma concentrations of norpropoxyphene are noted within a half-hour to one hour following peak propoxyphene concentrations. The half-life of norpropoxyphene is thirty to thirty-six hours.

In human subjects given a loading dose of propoxyphene (300 mg napsylate [N] or 195 mg hydrochloride [HCl]) followed by 100 mg N or 65 mg HCl at four-hour intervals for thirty-one doses (five days), peak plasma concentrations of norpropoxyphene between 1.0 and 1.2 micrograms/ml (with the hydrochloride) and between 0.75 and 1.0 micrograms/ml (with