Gabexate displays anticancer chemotherapeutic, anti-angiogenic, anti-inflammatory, and antiviral activities. In vitro, gabexate inhibits the activation of NF-κB, ERK1/2, and Akt, downregulates the production of matrix metalloproteinases 2 and 9, VEGF, and IL-8, and increases expression of protein and phosphatase and tensin homolog (PTEN). Gabexate acts as a proteasome inhibitor, inhibiting activity of tumor-associated trypsinogen and urokinase-type plasminogen activator and decreasing the invasiveness of pancreatic cancer cells. Additionally, gabexate inhibits production of TNF-α, preventing activation of MAPK signaling cascades in vitro. This compound also exhibits antiviral activity against the influenza virus, inhibiting cleavage of hemagglutinin.