More than 40 percent of the drugs coming from high throughput screening are poorly soluble in water. Critical problems associated with poorly soluble drugs is low bioavailability and or erratic absorption. The performance of these drugs is dissolution rate-limited and is affected by the shape as well as the particle size. Nanotechnology can be used to resolve the problems associated with solubility and bioavailability enhancement. Dissolution of drug is increased due to increase in the surface area of the drug particles from micrometers to the nanometer size. Nanosuspension approach is most suitable for the compounds with high log P value, high melting point and high dose. In the process of overcoming issues involving solubility, additional benefits such as bioavailability improvement, reduction of variation in bioavailability, mucoadhesiveness and stability enhancement of chemically labile drugs have come to be appreciated. Drug nanonization can be achieved either by bottom-up or top-down technologies. While precipitation is used as bottom-up technology, jet milling, pearl milling and high-pressure homogenization are commonly employed top down technologies. The unique features of nanosuspensions have enabled their use in various dosage forms and delivery by parenteral, peroral, ocular and pulmonary routes. Currently, efforts are being directed to extending their applications in site-specific and targeted drug delivery. Nanosuspensions of Nevirapine have been investigated for targeted delivery to HIV infected cells with particle size less than 700 nm and increased saturation solubility. The developed nanosuspensions accumulated in the tissues of the MPS because of phagocytosis by monocyte/macrophages which act as a reservoir for the HIV virus. Specific engineering of nanosuspensions promoted transportation across a variety of biological barriers offering very interesting opportunities for delivery of antiretroviral drugs to active HIV sanctuaries. Pilot scale up of prepared nanosuspensions confirmed the suitability for commercialization.