Abstract: There is a global need for novel contraceptive methods because worldwide about 40% of pregnancies are still unintended, about 42 million pregnancies are terminated by abortion, and 658 women per day die of pregnancy-related problems in the US (CDC 2020). While many contraceptive options exist for women, fewer are available for men. Testosterone-based contraceptives for men have been investigated for 60 years but challenges remain for commercialization. For these reasons and to provide couples with additional safe and reversible options for contraception, the development of non-hormonal contraceptives for both men and women is highly desirable to assist with family planning and reduce unintended pregnancies. Advances in the understanding of reproductive biology have provided many testis-specific targets that are under investigation for the discovery and development of a male contraceptive agent that involve reduction of sperm counts, effect spermiation, prevent sperm maturation, or block sperm motility. However, developing agents that are highly effective, very safe and completely reversible is a very significant challenge. Recent progress on the discovery of inhibitors for the testis-specific bromodomain (BRDT) will be discussed. Based on the discovery that some kinase inhibitors are dual kinase/bromodomain inhibitors (ACS Chem. Biol. 2013, 8, 2360 and ACS Chem. Biol. 2014, 16, 1160) efforts towards the discovery of selective BRDT monovalent and bivalent BRDT inhibitors will be presented.