

E-2078, a potent, selective and stable dynorphin analog with preferential activity for the kappa-opioid receptor subtype on the mouse vas deferens neuroeffector junction

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Abstract

The profile of opioid activity of E-2078, a synthetic stable dynorphin analog, was examined in the mouse vas deferens bioassay and compared to that of methionine enkephalin and nonpeptide kappa agonists in the absence and in the presence of selective antagonists for the mu-, kappa- and delta-opioid receptor subtypes. The inhibitory action of E-2078 and related kappa agonists was specifically and potently antagonized only by norbinaltorphimine, revealing the presence of kappa receptors in this tissue and the predominant kappa activity of E-2078.