BIOLOGICAL ACTIVITY:
(+)-WIN 55212-2 mesylate is a potent aminoalkylindole cannabinoid (CB) receptor agonist with a Ki of 62.3 and 3.3 nM for human recombinant CB1 and CB2 receptors, respectively.

IC50 value: 62.3/3.3 nM (Ki,CB1/CB2)

Target: Cannabinoid Receptor

In primary cultures of rat cerebral cortex neurons, (+)-WIN 55,212-2 (mesylate) (0.01-100 nM) increases extracellular glutamate levels, displaying a bell-shaped concentration-response curve. This effect at a concentration of 1 nM was fully counteracted by SR141716A (10 nM), by decreasing Ca2+ concentrations below 0.2 mM, and by the IP3 receptor antagonist xestospongin C at 1 μM. (+)-WIN 55,212-2 (mesylate) induces release of the proinflammatory neuropeptide CGRP from trigeminal ganglion (TG) neurons in a calcium-dependent manner with an EC50 of 26 μM. In addition, (+)-WIN 55,212-2 (mesylate)-evoked CGRP release is not stereospecific, as the CB receptor-inactive enantiomer (+)-WIN 55,212-3 also stimulates CGRP exocytosis.

References:

Caution: Product has not been fully validated for medical applications. For research use only.