BIOLOGICAL ACTIVITY:

Asenapine maleate is a 5-HT (1A, 1B, 2A, 2B, 2C, 5A, 6, 7) and D2 antagonist with Ki values of 0.03-4.0 nM, 1.3 nM, respectively, and an antipsychotic. IC50 & Target: Ki: 0.03-4.0 nM (5-HT), 1.3 nM (D2)[1]. In Vitro: Relative to its D2 receptor affinity, asenapine has a higher affinity for 5-HT2C, 5-HT2A, 5-HT7, 5-HT6, α2B and D3 receptors, suggesting stronger engagement of these targets at therapeutic doses. Asenapine behaves as a potent antagonist (pK B) at 5-HT1A (7.4), 5-HT1B (8.1), 5-HT2A (9.0), 5-HT2B (9.3), 5-HT2C (9.0), 5-HT6 (8.0), 5-HT7 (8.5), D2 (9.1), D3 (9.1), α2A (7.3), α2B (8.3), α2C (6.8) and H1 (8.4) receptors[2]. In Vivo: Asenapine is an atypical antipsychotic that is currently available for the treatment of schizophrenia and bipolar I disorder. Asenapine may have superior therapeutic effect on anxiety symptoms than other agents in rats[3]. Asenapine has anxiolytic-like effects in the EPM and the defensive marble burying tests in mice[4].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: [3][4]Rats: Asenapine maleate is suspended in 10% hydroxypropyl-β-cyclodextrin and administered in a volume of 1 mL/kg body weight. Rats are individually fear conditioned using electrical foot shock in a Skinner box. Animals are injected intraperitoneally (i.p.) with asenapine, clozapine, olanzapine, buspirone, or SB242084 at 30 min before freezing behaviour assessment[3].

Mice: Male ICR mice are repeatedly treated with 0.1 or 0.3mg/kg injections of asenapine and then tested in a battery of behavioural tests related to anxiety including the open-field test, elevated plus-maze (EPM), defensive marble burying and hyponeophagia tests[4].

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