DA-8159, A New Erectile Dysfunctional Drug

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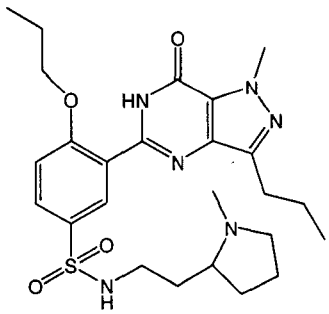
DA-8159(Udenafil) is a new oral phosphodiesterase inhibitor in development for the treatment of erectile dysfunction. DA-8159 is a pyrazolopyrimidinone compound that inhibits cyclic guanosine monophosphate (cGMP)-specific phosphodiesterase type 5 (PDE 5), the predominant phosphodiesterase degrading cGMP in the *corpus cavernosum* of the penis.



At the end of 1998, Dong-A finished evaluation studies on 5 most promising candidates, and select DA-8159 a lead compound.

Enzyme screening and evaluation studies showed that DA-8159 has strong inhibition activity, excellent selectivity, improved solubility by pH, and longer half-life. When orally and intravenously administered to rats and dogs, DA-8159 exhibited higher AUC and bioavailability, and no accumulation effect, longer half-life compared with Viagra. According to the acute and chronic toxicity studies, DA-8159 was approximately two-fold safer than Viagra. In addition, DA-8159 showed no visible genetic toxicity, immunologic toxicity and reproductive toxicity.

Data from the 4 completed clinical studies suggest that DA-8159 may have a faster onset time compared to the currently marketed PDE5 inhibitors due to its Tmax of approximately 1.0 to 1.5 hours. The pharmacokinetic data also shows that DA-8159 has a half life of 11 to 15 hours which suggest sufficient circulating blood levels that may provide efficacy for up to 24 hours with only one

dose which, if verified through further clinical studies, would be uniquely different from the currently marketed PDE5 inhibitors. Also, the results of a phase 2 clinical study in Korea suggest that DA-8159 may not only be highly efficacious but has relatively fewer incidence and severity of the major class side effects of PDE5 inhibitors. Because there is very little PDE11 isozyme inhibition with DA-8159, the incidence of myalgia or other PDE11 effects are expected to be low or non-existent.

Human clinical trials show that excellent tolerence & safety profile for both healthy subjects & patients suffering from ED.

The improved safety profile and appropriate duration without drug accumulation are the major advantages for DA-8159 in the erectile dysfunctional market. DA-8159 with such advantages will become an excellent therapeutics choice to improve the Quality Of Life of patients suffering from erectile dysfunction worldwide.