Pfizer Inc.	SD-6010 (SC-84250)
Mechanism of Action	Inducible nitric oxide synthase (iNOS) inhibitor http://www.ncbi.nlm.nih.gov/gene/4843
Overview	SD-6010 is a selective, time-dependent, irreversible inhibitor of human iNOS. The 50% inhibitory concentration (IC_{50}) for iNOS is 2.9 µM, which is ~1/5 of the IC_{50} for neuronal NOS (nNOS) and 1/25 of the IC_{50} for endothelial NOS (eNOS). This selectivity was confirmed in rodents comparing effects on inflammatory NO production and pain (arising from iNOS) to those on blood pressure (mediated by eNOS) and gastrointestinal emptying as well as penile erection (both nNOS mediated).
Safety/Tolerability	The safety and efficacy of SD-6010 has been assessed in three Phase 2a [2 and 4 week in knee osteoarthritis (OA pain), and 4 week in asthma] and one Phase 2b/Phase 3 (2 year in knee OA joint space narrowing) studies. Overall, SD-6010 was generally safe and well tolerated. The most commonly observed adverse events (AEs) in SD-6010 in excess of placebo treated subjects, respectively, were headache (10.4% vs. 8.9%), diarrhea (3.8% vs. 2.8%), nausea (3.3% vs. 1.8%), dizziness (3.1% vs. 1.5%), and abdominal pain (2.0% vs. 0.9%).
Additional Information	Exhaled NO was used as a pharmacodynamic biomarker of target coverage in Phase 1. Single doses of SD-6010 ≥75 mg appeared to maximally inhibit the exhalation of NO.
Suitable for and Exclusions	Clinical trials up to 1 year in duration. Subjects with uncontrolled hypertension or diabetes, history of chronic or current infection, or pancreatic or renal abnormalities should be excluded or only included with appropriate monitoring and caution.
Clinical Trials	http://www.clinicaltrials.gov/search?term=%22SD-6010%22
Publications	http://onlinelibrary.wiley.com/doi/10.1111/j.1365-2133.2007.08096.x/pdf