

Product Specification Sheet

JTT-705 (Dalcetrapib) **Product Name:**

Catalog Number: C5705

Technical information:

 $C_{23}H_{35}NO_2S$ Chemical Formula:

> CAS #: 211513-37-0

Molecular Weight: 389.59

Purity: > 98%

Appearance: Light Yellow solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: S-2-(1-(2-ethylbutyl)cyclohexanecarboxamido)phenyl 2-methylpropanethioate

Store solid powder at 4°C desiccated; Store DMSO solution at -20°C. Storage:

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.257mL of DMSO for each mg of JTT-705 (Dalcetrapib)

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

Dalcetrapib (JTT-705) is a CETP inhibitor of the thioaniline family with a potency of 0.2 uM aimed at raising HDL cholesterol levels. It also inhibits CETP-mediated transfer of CE from HDL to apoBcontaining lipoproteins in human plasma at an IC50 of 9 uM. [1] Dalcetrapib is the first molecule that both regulates CETP and demonstrates an anti-atherogenic effect in vivo. [1] It differs from anacetrapib by binding to a different site on CETP, uniquely inducing a conformational change in the CETP molecule which correlates with reduced CETP activity in humans. [3]

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Early clinical studies have shown a 26-28% increase in HDL with 600 mg qd dosing. [2] Phase II trials demonstrated that CETP activity was reduced by 50% and HDL levels increased as much as 31%, while LDL levels were unchanged.

Despite halting development in May, 2012, Dalcetrapib remains as a valuable benchmarking tool compound in vitro for modulation of HDL cholesterol levels.

- Reference: 1. Shinkai, H., Cholesteryl ester transfer-protein modulator and inhibitors and their potential for the treatment of cardiovascular diseases. Vascular Health and Risk Management, 2012, 8, 323-331. Pubmed ID: 22661899
 - 2. Goldberg et al., Cholesteryl ester transfer protein inhibitors for dyslipidemia: focus on dalcetrapib. Drug Design, Development, and Therapy, 2012, 6, 251-259. Pubmed ID: 23055695
 - 3. Durrington, Br. J. Cardiol. 2012, 19(3), 126-133

To reorder: http://www.cellagentech.com/JTT-705-Dalcetrapib/

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.