

Product Data Sheet

Product Name: Avanafil
Cat. No.: GC16856

Chemical Properties

Cas. No. 330784-47-9

Chemical Name (S)-4-((3-chloro-4-methoxybenzyl)amino)-2-(2-(hydroxymethyl)pyrrolidin-1-yl)-N-(pyrimidin-2-ylmethyl)pyrimidine-5-carboxamide

SMILES O=C(C1=CN=C(N2[C@H](CO)CCC2)N=C1NCC3=CC=C(OC)C(Cl)=C3)NCC4=NC=CC=N4

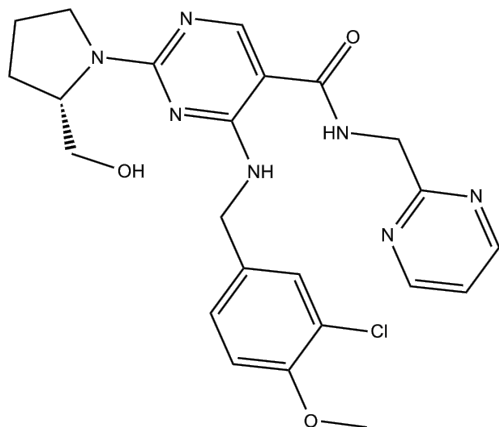
Formula $C_{23}H_{26}ClN_7O_3$ M.Wt 483.95

Solubility ≥ 17.6 mg/mL in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

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

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Avanafil is a potent and selective inhibitor of phosphodiesterase type 5 (PDE5) with IC₅₀ value of 5.2nM [1].

PDE5 is abundantly expressed in penile corpus cavernosum and regulates penile blood flow by regulating the cGMP concentration. PDE5 inhibitors are similar in structure to cGMP and competitively bind to PDE5, resulting in the inhibition of cGMP hydrolysis. Avanafil is a high selective inhibitor of PDE5 with K_i value of 4.3nM and is developed for the treatment of erectile dysfunction. It shows high selectivity against other PDE enzymes of the PDE family. In the intravenous study, the administration of avanafil at 300µg/kg can potentiate penile tumescence. The calculated ED₂₀₀% of avanafil on tumescence is 37.5µg/kg and the plasma concentration is 59.6ng/ml. Additionally, avanafil potentiates penile tumescence at a dose range of 100 to 1,000µg/kg intraduodenally. And the ED₂₀₀% is 151.7µg/kg [1, 2].

References:

- [1] Kotera J, Mochida H, Inoue H, Noto T, Fujishige K, Sasaki T, Kobayashi T, Kojima K, Yee S, Yamada Y, Kikkawa K, Omori K. Avanafil, a potent and highly selective phosphodiesterase-5 inhibitor for erectile dysfunction. J Urol. 2012 Aug;188(2):668-74.
- [2] Cui YS, Li N, Zong HT, Yan HL, Zhang Y. Avanafil for male erectile dysfunction: a systematic review and meta-analysis. Asian J Androl. 2014 May-Jun;16(3):472-7.

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