

## Product Data Sheet

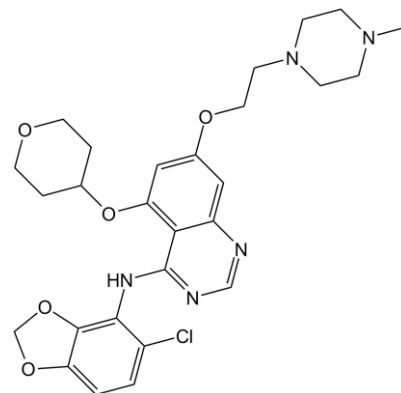
### Chemical Properties

**Product Name:** Saracatinib (AZD0530)

**Cas No.:** 379231-04-6

**M.Wt:** 542.03

**Formula:** C<sub>27</sub>H<sub>32</sub>ClN<sub>5</sub>O<sub>5</sub>



**Chemical Name:** N-(5-chloro-1,3-benzodioxol-4-yl)-7-[2-(4-methylpiperazin-1-yl)ethoxy]-5-(oxan-4-yloxy)quinazolin-4-amine

**Canonical SMILES:** CN1CCN(CC1)CCOC2=CC(=C3C(=C2)N=CN=C3NC4=C(C=CC5=C4OCO5)Cl)OC6CCOCC6

**Solubility:**  $\geq$ 27.1mg/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.

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

### Biological Activity

**Targets :** TGF- $\beta$  / Smad Signaling

**Pathways:** Bcr-Abl

#### Description:

Saracatinib (AZD0530) is a novel, potent Src family kinase (SFK)/Abl dual-kinase inhibitor with IC<sub>50</sub> value of 2.7 nM [1].

Saracatinib has been reported to inhibit Src activation in DU145 and PC3 cell lines (prostate cancer cell lines). Both of c-Myc and cyclin D1 expression are decreased by Saracatinib.

Saracatinib can inhibit the ERK1/2 and GSK3b phosphorylation as well as decrease  $\beta$ -catenin level in cells. Saracatinib inhibits the prostate tumor cell growth by inducing cycle arrest at G1/S phase. Saracatinib dose-dependently blocks cell migration in DU145 and PC3 cell lines [1]. In DU145 implanted orthotopic SCID mice model, treatment with Saracatinib has been demonstrated to down-regulate the Src expression as well as suppress the tumor size [1].

**Reference:**

[1] Chang YM1, Bai L, Liu S, Yang JC, Kung HJ, Evans CP. Src family kinase oncogenic potential and pathways in prostate cancer as revealed by AZD0530. *Oncogene*. 2008 Oct 23;27(49):6365-75.

## Protocol

### Cell experiment:

Cell lines	A549 cells
Preparation method	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions	1 $\mu$ M, 24 hours for cell migration inhibition 48 hours for cell invasion inhibition
Applications	A549 cells were grown to confluent monolayers, which were scratched with a pipette tip and incubated with AZD0530 at concentrations ranging from 100 to 1000 nM. DMSO treated control cells continuously migrated into the scratch and nearly closed the scratch within 24 hours. Cell migration was significantly inhibited by AZD0530 in a dose-dependent way. At the highest dose tested (1 $\mu$ M), AZD0530 reduced A549 cell migration by more than 60%. Cell invasion was tested using a modified Matrigel assay with A549 cells. AZD0530 significantly reduced Matrigel invasion in A549 cells by 51%.

### Animal experiment [3]:

Animal models	Female athymic nude mice injected with Panc410 cells
Dosage form	Oral administration, 50mg/kg/d for 28 days
Applications	AZD0530 administration clearly down-regulated Src, FAK, p-FAK, and pSTAT-3 expression in the sensitive tumor (Panc410) compared with control tumors. In addition, AZD0530 administration resulted in the down-regulation of XIAP as evidenced by the immunoblot of

Panc410.

Other notes

Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

**Reference:**

[1] Purnell P R, Mack P C, Tepper C G, et al. *The Src inhibitor AZD0530 blocks invasion and may act as a radiosensitizer in lung cancer cells. Journal of thoracic oncology: official publication of the International Association for the Study of Lung Cancer, 2009, 4(4): 448.*

[2] Rajeshkumar N V, Tan A C, De Oliveira E, et al. *Antitumor effects and biomarkers of activity of AZD0530, a Src inhibitor, in pancreatic cancer. Clinical Cancer Research, 2009, 15(12): 4138-4146.*

## Product Citations

1. Nakachi I, Helfrich BA, et al. "PTTG1 Levels Are Predictive of Saracatinib Sensitivity in Ovarian Cancer Cell Lines." *Clin Transl Sci.* 2016 Dec;9(6):293-301. PMID:27766744

2. Diao Y, Ma X, Min W, Lin S, Kang H, Dai Z, Wang X, Zhao Y. "Dasatinib promotes paclitaxel-induced necroptosis in lung adenocarcinoma with phosphorylated caspase-8 by c-Src." *Cancer Lett.* 2016 May 16. PMID:27195913

## Caution

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

*Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.*

**ApexBio Technology**

**www.apexbt.com**

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: [info@apexbt.com](mailto:info@apexbt.com)