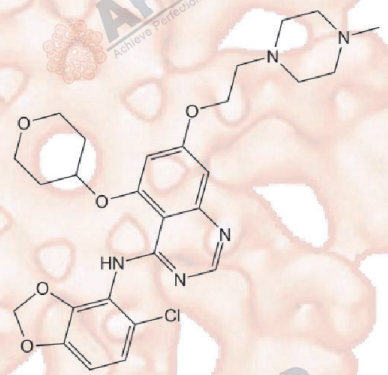
Product Data Sheet

Saracatinib (AZD0530)

Cat. No.: A2133
CAS No.: 379231-04-6
Formula: C27H32ClN5O5
M.Wt: 542.03
Synonyms:
Target: TGF-β / Smad Signaling
Pathway: Bcr-Abl
Storage: Store at -20°C



Solvent & Solubility

In Vitro

⩾27.1 mg/mL in DMSO; insoluble in EtOH; ⩾2.36 mg/mL in H2O with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.8449 mL	9.2246 mL	18.4492 mL
5 mM	0.3690 mL	1.8449 mL	3.6898 mL
10 mM	0.1845 mL	0.9225 mL	1.8449 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Src/Abl inhibitor,potent and selective
IC_{50} & Target	2.7 nM (c-Src), 30 nM (v-Abl)

In Vitro

Cell Viability Assay

Cell Line:	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 μM, 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 μM), 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%.
In Vivo	**Animal experiment**	
	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.

Product Citations

1. Alzubi MA, Turner TH, et al. "Separation of breast cancer and organ microenvironment transcriptomes in metastases." Breast Cancer Res. 2019 Mar 6;21(1):36.PMID:30841919

2. 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

3. Diao Y, Ma X, et al. "Dasatinib promotes paclitaxel-induced necroptosis in lung adenocarcinoma with phosphorylated caspase-8 by c-Src." Cancer Lett. 2016 May 16.PMID:27195913

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References

[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.

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.

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