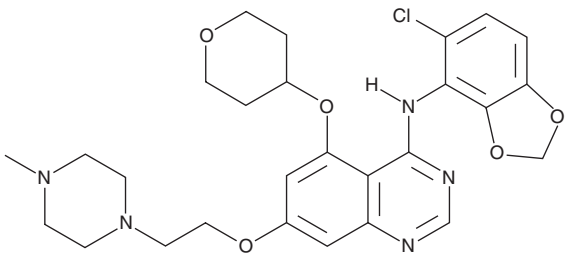
PRODUCT INFORMATION

Saracatinib

Item No. 11497

CAS Registry No.:	379231-04-6
Formal Name:	N-(5-chloro-1,3-benzodioxol-4-yl)-7-[2-(4-methyl-1-piperazinyl) ethoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]-4-quinazolinamine
Synonym:	AZD 0530
MF:	$C_{27}H_{32}ClN_5O_5$
FW:	542.0
Purity:	≥90%
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 236, 259 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Saracatinib is supplied as a crystalline solid. A stock solution may be made by dissolving the saracatinib in the solvent of choice, which should be purged with an inert gas. Saracatinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of saracatinib in ethanol is approximately 0.1 mg/ml and approximately 10 mg/ml in DMSO and DMF.

Saracatinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, saracatinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Saracatinib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Saracatinib is a dual inhibitor of the tyrosine kinases c-Src and Abl (IC_{50} = 2.7 and 30 nM, respectively).[1] It less effectively inhibits other receptor and non-receptor tyrosine kinases as well as assorted serine/threonine kinases.[1-3] Saracatinib is orally available and blocks cell motility, migration, adhesion, invasion, proliferation, differentiation, and survival.[1,2,4] Through its effects on c-Src, it reduces osteoclast bone resorption.[2] Saracatinib also blocks denque virus RNA replication through its effect on Fyn kinase.[5]

References

1. Hennequin, L.F., Allen, J., Breed, J., *et al.* N-(5-chloro-1,3-benzodioxol-4-yl)-7-[2-(4-methylpiperazin-1-yl) ethoxy]-5-(tetrahydro-2H-pyran-4-yloxy)quinazolin-4-amine, a novel, highly selective, orally available, dual-specific c-Src/Abl kinase inhibitor. *J. Med. Chem.* **49(22)**, 6465-6488 (2006).
2. Allen, J.G., Fotsch, C., and Babij, P. Emerging targets in osteoporosis disease modification. *J. Med. Chem.* **53(11)**, 4332-4353 (2010).
3. Lee, K., Kim, J., Jeong, K.-W., *et al.* Structure-based virtual screening of Src kinase inhibitors. *Bioorg. Med. Chem.* **17(8)**, 3152-3161 (2009).
4. Saad, F. and Lipton, A. SRC kinase inhibition: Targeting bone metastases and tumor growth in prostate and breast cancer. *Cancer Treat. Rev.* **36(2)**, 177-184 (2010).
5. de Wispelaere, M., LaCroix, A.J., and Yang, P.L. The small molecules AZD0530 and dasatinib inhibit dengue virus RNA replication *via* fyn kinase. *J. Virol.* **87(13)**, 7367-7381 (2013).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM