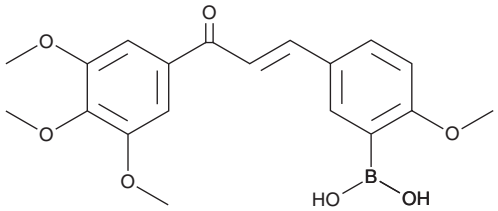
PRODUCT INFORMATION

YK-3-237

Item No. 21516

CAS Registry No.:	1215281-19-8
Formal Name:	B-[2-methoxy-5-[(1E)-3-oxo-3-(3,4,5-trimethoxyphenyl)-1-propen-1-yl]phenyl]-boronic acid
MF:	$C_{19}H_{21}BO_7$
FW:	372.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 224, 276, 344 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

YK-3-237 is supplied as a crystalline solid. A stock solution may be made by dissolving the YK-3-237 in the solvent of choice, which should be purged with an inert gas. YK-3-237 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of YK-3-237 in ethanol is approximately 10 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Description

YK-3-237 is a chalcone derivative and an activator of sirtuin 1 (SIRT1).[1,2] It inhibits colchicine binding to tubulin in a concentration-dependent manner and tubulin polymerization (IC_{50} = 31 µM) and induces microtubule loss in A-10 cells (EC_{50} = 16.5 µM).[1] YK-3-237 inhibits cell growth in a panel of leukemia, non-small cell lung, colon, CNS, melanoma, ovarian, renal, prostate, and breast cancer cell lines (GI_{50}s = <0.01-20.9 µM). It inhibits tube formation by human umbilical vein endothelial cells (HUVECs) when used at a concentration of 1 µM and inhibits functional angiogenesis in isolated rat aortic rings. YK-3-237 activates SIRT1 in a concentration-dependent manner and reduces acetylation of wild-type and mutant p53 in a SIRT1-dependent manner.[2] It also inhibits cell growth in panel of 9 triple-negative breast cancer (TNBC) cell lines (EC_{50}s = 0.160-5.031 µM).

References

1. Kong, Y., Wang, K., Edler, M.C., *et al.* A boronic acid chalcone analog of combretastatin A-4 as a potent anti-proliferation agent. *Bioorg. Med. Chem.* **18(2)**, 971-977 (2010).
2. Yi, Y.W., Kang, H.J., Kim, H.J., *et al.* Targeting mutant p53 by a SIRT1 activator YK-3-237 inhibits the proliferation of triple-negative breast cancer cells. *Oncotarget* **4(7)**, 984-994 (2013).

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