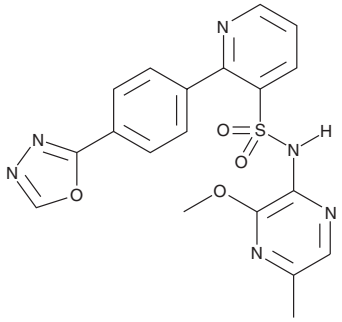
PRODUCT INFORMATION

Zibotentan

Item No. 23702

CAS Registry No.:	186497-07-4
Formal Name:	N-(3-methoxy-5-methyl-2-pyrazinyl)-2-[4-(1,3,4-oxadiazol-2-yl)phenyl]-3-pyridinesulfonamide
Synonym:	ZD 4054
MF:	$C_{19}H_{16}N_6O_4S$
FW:	424.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 265 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

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

Zibotentan is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, zibotentan should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Zibotentan has a solubility of approximately 0.02 mg/ml in a 1:40 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Zibotentan is a selective antagonist of the endothelin (ET) receptor type A (IC_{50}s = 21 and >10,000 nM for human recombinant ET_A and ET_B, respectively).[1] It inhibits growth of HEY, OVCA 433, SKOV3, and A2780 cells induced by endothelin 1 (ET1; Item No. 24127) *in vitro*.[2] Zibotentan reduces ET-1-induced expression of MMP-2, MMP-9, VEGF, COX-1 and COX-2, mediators of cell invasion and angiogenesis, in HEY cells. *In vivo*, zibotentan (10-50 mg/kg per day) reduces tumor growth in an HEY mouse xenograft model in a dose-dependent manner. Formulations containing zibotentan are under clinical investigation for the treatment of ovarian and castration-resistant prostate cancers.

References

1. Morris, C.D., Rose, A., Curwen, J., *et al*. Specific inhibition of the endothelin A receptor with ZD4054: Clinical and pre-clinical evidence. *Br. J. Cancer* **92(12)**, 2148-2152 (2005).
2. Rosanò, L., Di Castro, V., Spinella, F., *et al.* ZD4054, a specific antagonist of the endothelin A receptor, inhibits tumor growth and enhances paclitaxel activity in human ovarian carcinoma *in vitro* and *in vivo*. *Mol. Cancer Ther.* **6(7)**, 2003-2011 (2007).

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