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Supplementary Material

Synthesis, Structural Characterisation and Preliminary Evaluation of Non-Indolin-2-one-Based Angiogenesis Inhibitors Related to Sunitinib (Sutent®)

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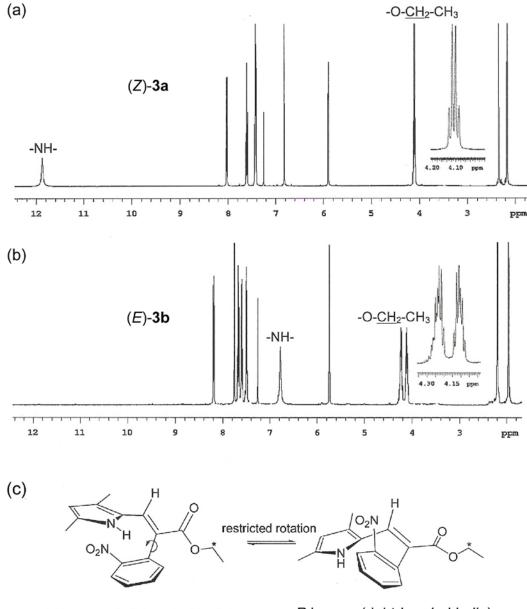
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- I. **Figure S1.** ¹H NMR spectrum of: (a) (Z)-**3a** and (b) (E)-**3b**.
- II. **Table S1.** Angiogenesis inhibition assay statistics.



M-isomer (left-handed helix) *P*-isomer (right-handed helix)

Figure S1. ¹H NMR spectrum of: (a) (*Z*)-**3a** and (b) (*E*)-**3b**. The ethyl ester CH₂ signal of (*Z*)-**3a** appears as a first-order quartet while the same signal in (*E*)-**3b** appears as a pair of doublet-of-quartets, indicating the presence of diastereotopic ester CH₂ protons in (*E*)-**3b**. (c) A steric clash between the pyrrole moiety and the *ortho*-nitro group of the pheny ring in (*E*)-**3b** prevents free rotation about the *ipso* Ar-C bond with the resulting axial double bond chirality in (*E*)-**3b** creating diastereotopic ethyl ester CH₂ protons^{*}.

		Angiogenic Growth (% FOV Occupancy)		
Compound	n	1 µg/mL	10 µg/mL	100 µg/mL
Control	30	85.7 ± 1.9 (no compound)		
PI-88	30	-	-	39.2 ± 2.6
SU5416	18	61.4 ± 3.4	9.7 ±2.6	30.6 ± 3.8
(<i>Z</i>)-3a	6	84.2 ± 2.4	38.3 ± 2.1	2.5 ± 2.5
(<i>E</i>)- 3b	6	73.3 ± 6.0	0.0 ± 0.0	0.0 ± 0.00
(<i>Z</i>)-4a	6	63.3 ± 5.3	41.7 ± 1.1	0.0 ± 0.00
(<i>E</i>)- 4b	6	78.3 ± 11.4	75.0 ± 9.4	0.0 ± 0.00
(<i>Z</i>)-5a	6	80.8 ± 4.2	29.3 ± 4.7	28.3 ± 3.8
(<i>E</i>)- 5 b	6	-	91.7 ± 3.8	8.3 ± 4.0
(<i>Z</i>)-6a	6	-	96.7 ± 4.0	51.7 ± 2.8
(<i>Z</i>)-7a	6	-	-	74.2 ± 2.4
(<i>Z</i>)-8a	6	-	-	75.7 ± 7.7
(<i>E</i>)- 9 b	6	-	90.0 ± 8.3	79.2 ± 5.5
(<i>Z</i>)-10a	6	-	93.3 ± 2.5	76.8 ± 7.7
(<i>E</i>)-10b	6	-	88.3 ± 2.1	0.0 ± 0.00

 Table S1. Angiogenesis inhibition assay statistics.