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Pyrazolo[1,5-a]pyrimidine TRPC6 Antagonists for the Treatment of Gastric Cancer

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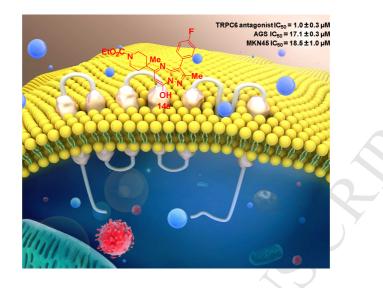
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Highlights

• A potent TRPC6 antagonist 14a with the pyrazolopyrimidine skeleton was identified with an IC $_{50}$ of ~ 1 $\mu M.$

• **14a** suppressed proliferation of AGS and MKN45 cells as well as gastric tumor growth in a xenograft model for the first time.

• 14a specifically inhibited TRPC3/6/7 (TRPC6 > C7 > C3) with a very weak effect on TRPC4 and no effect on other TRP channels.

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