Title:	Identification and characterization of a novel glutaminase inhibitor
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Abstract:	In humans, there are two forms of glutaminase (GLS), designated GLS1 and GLS2. These enzymes catalyse the conversion of glutamine to glutamate. GLS1 exists as two isozymes: kidney glutaminase (KGA) and glutaminase C (GAC). Several GLS inhibitors have been identified, of which DON (6-diazo-5-oxonorleucine), BPTES (bis-2-(5-phenylacetamido-1, 3, 4-thiadiazol-2-yl) ethyl sulphide), 968 (5-(3-Bromo-4-(dimethylamino)phenyl)-2,2-dimethyl-2,3,5,6-tetrahydrobenzo[a]phenanthridin-4(1H)-one) and CB839 (Telaglenastat) are the most widely used. However, these inhibitors have variable efficacy, specificity and bioavailability in research and clinical settings, implying the need for novel and improved GLS inhibitors. Based on this need, a diverse library of 28,000 compounds from Enamine was screened for inhibition of recombinant, purified GAC. From this library, one inhibitor designated compound 19 (C19) was identified with kinetic features revealing allosteric inhibition of GAC in the μm range. Moreover, C19 inhibits anti-CD3/CD28-induced CD4+ T-cell proliferation and cytokine production with similar or greater potency as compared to BPTES. Taken together, our data suggest that C19 has the potential to modulate GLS1 activity and alter metabolic activity of T cells.
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