BGB-58067 (MTA-cooperative PRMT5 Inhibitor)

Disclaimer: This fact sheet is intended for media professionals only.
BGB-58067 is an investigational drug for which safety and efficacy have not been established.

WHAT IS BGB-58067?

BGB-58067 is an oral, brain-penetrant, MTA-cooperative inhibitor of PRMT5 being developed for advanced solid tumors with MTAP deletion.

THE TARGET: PRMT5

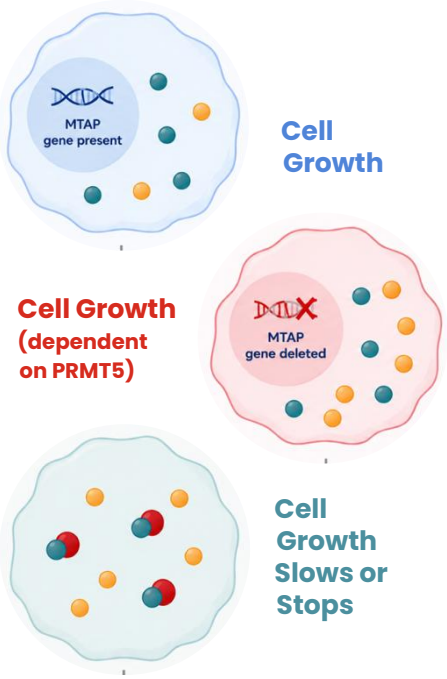
PRMT5, protein arginine methyltransferase 5, helps cells grow, repair DNA, and make important proteins, with some cancers highly dependent on PRMT5.

A TARGETABLE VULNERABILITY IN MTAP-DELETED TUMORS

MTAP deletion is observed in approximately 10–15% of tumors across multiple cancer types and creates a distinct biologic vulnerability.[1] Loss of MTAP leads to accumulation of methylthioadenosine (MTA), which partially inhibits PRMT5 activity and increases the dependence of MTAP-deleted tumor cells on remaining PRMT5 activity. This creates an opportunity for MTA-cooperative PRMT5 inhibitors to selectively target MTAP-deleted cancer cells, potentially providing an additional biomarker-driven treatment approach alongside existing therapies.

HOW DOES BGB-58067 WORK?

BGB-58067 is designed to selectively block PRMT5 activity in cancer cells with MTAP deletion.



NORMAL CELLS

Most healthy cells still have the MTAP gene and are less dependent on PRMT5 for survival.

MTAP-DELETED TUMORS

In certain tumors, the MTAP gene is missing. Without MTAP, cancer cells become highly dependent on PRMT5 to proliferate.

WITH BGB-58067

BGB-58067 works together with a naturally occurring molecule called methylthioadenosine (MTA), which accumulates in MTAP-deleted tumors. This allows the therapy to preferentially inhibit PRMT5 in cancer cells while sparing many normal cells. As a result, cancer cell growth may slow or stop.

DIFFERENTIATION: TUMOR-SELECTIVE PRMT5 INHIBITION

Earlier PRMT5 inhibitors can affect both cancer cells and healthy cells because they block PRMT5 broadly throughout the body. BGB-58067 was designed to target the biology of MTAP-deleted tumors by prioritizing MTAP-deleted tumor cells over normal cells by focusing on MTA accumulation.

TUMOR SELECTIVITY	MTA-COOPERATIVE DESIGN	BRAIN PENETRATION
BGB-58067 preferentially inhibits PRMT5 in MTAP-deleted cancer cells rather than normal cells, which may improve tolerability.	**The drug works with elevated MTA levels found in MTAP-deleted tumors, helping focus its activity where it is needed most.**	**BGB-58067 was designed to cross the blood-brain barrier, which enables targeting cancer cells that have moved into the central nervous system.**

Disclaimer. The clinical significance of data based on non-clinical studies has not been established

DEVELOPMENT HIGHLIGHTS

BGB-58067 is currently being evaluated in a global development program for patients with **advanced solid tumors that have MTAP deletion.**



Early Phase Clinical Data

- Results of the Phase 1 study evaluating the safety, tolerability, dosing, and preliminary anti-tumor activity of BGB-58067 in MTAP-deficient advanced solid tumors will be presented at the 2026 European Society for Medical Oncology (ESMO) Annual Meeting.

Looking Ahead

- BeOne is developing BGB-58067 as a next-generation PRMT5 inhibitor with the goal of selectively targeting MTAP-deleted cancers while minimizing effects on healthy tissues. The company intends to initiate late-stage development of the molecule in the first half of 2027.