ASCENSUS™

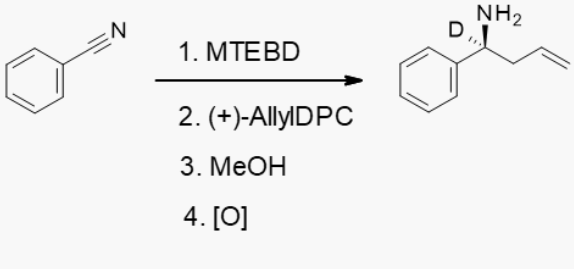
Deuteration in Pharmaceutical Applications

Ascensus portfolio includes sodium borodeuteride ($NaBD_4$) and Calselect-NTD (NaTEBD) to precisely deuterate organic molecules. Ascensus deuterated reagents offer high percentage deuterium, consistent quality, and a high degree of flexibility. Ascensus's deuterated reagents are available to support the needs of our customers from small to developmental quantities.

Deuteration in pharmaceutical applications

Deuteration of organic molecules in pharmaceutical applications improves metabolism-mediated toxicity, drug interactions, bioactivations, lowers the degree of epimerization, reduces the dose of co-administered boosters and assists in new chemical entity discoveries.

Reductive deuteration is a convenient approach to insert deuterium in the target molecule. Ascensus deuterated reducing agents can help to achieve high percentage deuteride incorporation, selectivity, reactivity, and specificity.

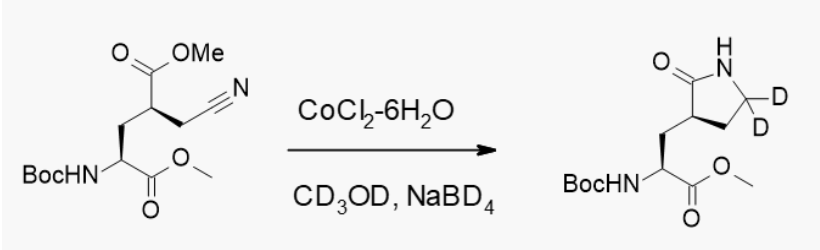


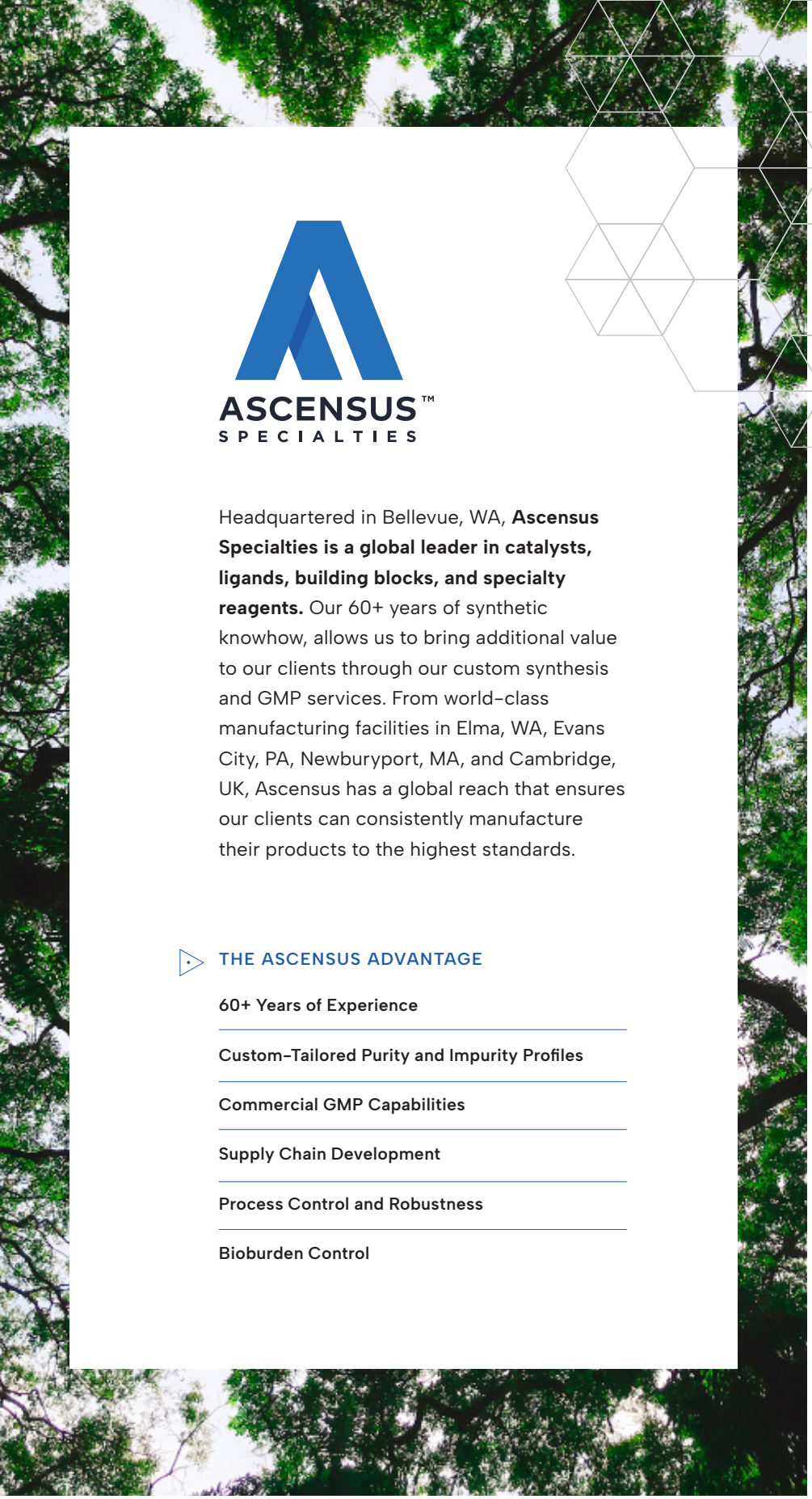
SELECTIVE DEUTERATIONS

Metal triethylborodeuteride compounds proved highly effective to make C-deuterated homoallylic amines via one-pot synthesis of stable aldimine-trialkylborane adducts followed by enantioselective allylation. These C-deuterated homoallylic amines were converted to γ-dueterated γ-phenyl aminobutyric acid (GABA) derivatives in good to high enantioselectivities. GABA derivatives play a vital role in numerous central nervous system disorders [*Org. Lett. 2007, 9,* 3025].

DEUTERATIONS TO REDUCE DOSAGE OF DRUGS

Sodium borodeuteride and deuterated methanol with cobalt chloride reduced nitrile intermediate in the synthesis of nirmetelavir, a key pharma active intermediate in Paxlovid, used as oral antiviral treatment against COVID-19. The deuterium slowed the oxidation of nirmatrelvir by ~40%, significantly increasing its metabolic stabilization, reducing the amounts of co-administered ritonavir used to prolong drug life, and increasing the blood concentration of active therapeutic. Lower doses of ritonavir could benefit elderly and immunocompromised individuals because of known detrimental ritonavir interactions with other medications that reduce their efficacies during Paxlovid treatment. The example demonstrates $NaBD_4$ relevance to insert deuterium in active pharmaceutical ingredients [*Org. Lett. 2023, 25*, 5885].





ASCENSUS™
SPECIALTIES

Headquartered in Bellevue, WA, **Ascensus Specialties is a global leader in catalysts, ligands, building blocks, and specialty reagents.** Our 60+ years of synthetic knowhow, allows us to bring additional value to our clients through our custom synthesis and GMP services. From world-class manufacturing facilities in Elma, WA, Evans City, PA, Newburyport, MA, and Cambridge, UK, Ascensus has a global reach that ensures our clients can consistently manufacture their products to the highest standards.

THE ASCENSUS ADVANTAGE

- **60+ Years of Experience**
- **Custom-Tailored Purity and Impurity Profiles**
- **Commercial GMP Capabilities**
- **Supply Chain Development**
- **Process Control and Robustness**
- **Bioburden Control**

CONTACT

E ask@ascensusspecialties.com

U www.ascensusspecialties.com

CORPORATE OFFICE

Ascensus Specialties
2821 Northup Way, Suite 275
Bellevue, WA 98004

MANUFACTURING FACILITIES

4800 State Route 12
Elma, WA 98541

1424 Mars-Evans City Rd
Evans City, PA 16033

7 Mulliken Way
Newburyport , MA 01950

Haverhill Road,
Stradishall Newmarket
Suffolk, CB8 9EX

Oct 2023